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Content

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EDITORIAL MESSAGE

Pharmaceutical Sciences have witnessed an enormous growth in diverse fields. It is the need of the hour for pharmacists to keep abreast of the current trends, research and practices with respect to the diversities. Nirma University Journal of Pharmaceutical Sciences (NUJPS) aims to publish research in basic as well as applied pharmaceutical science topics; case studies related to Pharmaceutical management, Regulatory affairs and Clinical reports. The major emphasis will be given to publish high quality research papers that are origin and will have impact of research both in academic and industry that follow blind review process. Review process will be transparent and will acknowledge all the beneficiaries involved.

We are glad to bring forward the second volume of NUJPS. In this issue we try to bring forth a blend from different areas of Pharmaceutical Sciences to keep the readers updated about the ongoing research and trends through research papers and proceedings of NIPiCON 2014. In this issue we have two papers: "The Development of Mk2 Inhibitor: Where Does It Stand?" by Piyushkumar R. Kapopara from Department of Cardiology and Angiology & Hannover, Hannover Medical School, Hannover, Germany and another paper "Quantification of 2, 4-Diaminopyrimidine 3- Oxide In Marketed Hair Growth Formulation Using Rp-HPLC" that was collaboratively written by team of scientists of Mikasa Cosmetics Ltd. Ahmedabad, India.

For a wider viewing, we are also publishing abstracts of NIPiCON 2014 | 23-25 January, 2014 "Fostering Innovation in Drug Discovery & Development".

We are thankful to all our authors and appreciate their timely support and for providing the manuscripts. We would like to express deep sense of gratitude to Management Authorities of Nirma University for their tenacity and enthusiasm in bringing this journal.

Happy Reading!!

Editorial Team - NUJPS
editor.nujps@nirmauni.ac.in

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INVITED ARTICLE

THE DEVELOPMENT OF MK2 INHIBITOR: WHERE DOES IT STAND?

Piyushkumar R. Kapopara*
Department of Cardiology and Angiology & Hannover Biomedical Research School, Hannover Medical School, Hannover, Germany.

Abstract

Drug development targeting protein kinases is the second most important group of drug target after G-protein coupled receptor which codes 22% of the druggable human genome. The protein kinases are key players in signal transduction, which regulate many different cellular processes in a tightly controlled manner through reversible phosphorylation. Several drugs that inhibit protein kinases have been in clinical use for the treatment of cancer. Mitogen-activated protein kinase-activated protein kinase 2 (MK2 or MAPKAP KINASE 2) is one such kinase activated by $p38^{MAPK}$, which plays a pivotal role in the regulation of inflammation and associated diseases diversifying it from other $p38^{MAPK}$ regulated signaling pathway. Considering the toxicity and side effects of $p38^{MAPK}$ inhibition, in the last decade, efforts were undertaken to develop different classes of MK2 inhibitor for therapeutic interventions as an alternative to the direct inhibition of $p38^{MAPK}$. This review article describes the biology and mechanism of action of MK2, its role in inflammation and the development of small molecular inhibitor of MK2 highlighting opportunities and challenges in drug development targeting such type of kinases. The development of small molecule MK2 inhibitor will provide a better and safe therapeutic option in future.

Keywords: *MK2, inflammation, cytokines, inhibitor*

***Correspondent Author:** Email: Kapopara.Piyushkumar@mh-hannover.de, Phone: +49-511-532-5777*

Introduction:

Today's quests for the development of novel drug molecules, pharmaceutical industries are exploring protein kinases as a potential drug target. Along with tough challenge for the selectivity of a drug molecule, protein kinase family offers a huge opportunity for drug discovery. 10–15% of all human genes (~ 3,000) are thought to be druggable based on sequence similarity to those that have already been targeted, of these only ~2% have been successfully targeted with small molecule drugs [1]. About 22% of the druggable human genome codes for protein kinases which is second most important group of drug target [2]. The protein kinases regulate many different cell processes starting from development to growth to aging like cell differentiation, cell cycle and proliferation, migration, survival and senescence etc. All these processes are tightly controlled and one of the main strategies in such control is the reversible phosphorylation of the substrate by protein kinase [3]. A pathological condition arises when controlled signal transduction gets aberrant as a result of a change in one or more protein kinase activity. Among the diseases and disorders associated with abnormal signal transduction are cancer, cardiovascular disease and heart failure, autoimmune diseases, inflammatory condition related diseases, neurological disorders and hormone-related diseases and many more. A number of drugs that inhibits protein kinases have been in clinical use for the treatment of cancer [4]. Imatinib mesylate (Gleevec; Novartis) is one of the examples of such kinase inhibitor for chronic myeloid leukemia and stromal tumor [2].

This review is focused on one such protein kinase - Mitogen-activated protein kinase-activated protein kinase 2 (MK2 or MAPKAP KINASE 2), which is mainly implicated in the inflammatory process diversifying it from other $p38^{MAPK}$ regulated signaling pathway. Literature was searched and retrieved from the PubMed (http://www.ncbi.nlm.nih.gov/pubmed) and ScienceDirect (http://www.sciencedirect.com/), patents describing MK2 inhibitor are not included here as those are discussed elsewhere [5].

MK2: An introduction

MK2 is a protein kinase of serine/threonin class which belongs to MAPKAPK family and a major downstream target of a MAP kinase $p38^{MAPK}$ (p38 α/β) [6, 7]. In 1993 *Stokoe et al*, first cloned a partial human MK2 cDNA and reported a primary structure having a prolin rich region with two putative SH3-binding sites, a catalytic domain, a threonine residue which is phosphorylated by upstream kinase and a nuclear localization signal [8]. Furthermore, it has a nuclear export signal and auto-inhibitory domain as well as other phosphorylation sites which are discussed by *Gaestel* along with structural details and isoforms [9]. Apart from MK2, MAPKAPK family members include MK3 and MK5 [10].

At the resting state MK2 exist as complex with $p38^{MAPK}$ in the nucleus of the cell indicating functional nuclear localization

signal [11], also auto-inhibitory domain tightly binds to catalytic domain making its inactive conformation [12, 13]. Catalytically inactive MK2 stabilizes $p38^{MAPK}$ [14]. Upon phosphorylation by upstream kinase (e.g. MAPK kinase-6) $p38^{MAPK}$ phosphorylates MK2 at the regulatory site T334 with subsequent unmasking of a nuclear export signal leading to nucleocytoplasmic transport of $p38^{MAPK}$-MK2 complex [15-17]. The Phospho-$p38^{MAPK}$ and Phospho-MK2 are mainly localized in cytoplasm. It has been reported that activation of MK2 requires the phosphorylation of any two of the three residues T222, S272, and T334, while the maximum activation is achieved when all three residues are phosphorylated [18]. The basal activity of MK2 in nucleus owing to its auto-phosphorylation [18] may represent a mean for having cytoplasmic substrate specificity only when stimulated. Earlier reported optimal substrate-recognition consensus sequence for MK2 [19] was more refined using a peptide library [20] and finally combining these two results, optimal substrate-recognition motif for MK2 (phosphorylation site on a particular substrate by MK2) was concluded as (L/F/I)-X-R-(Q,S,T)-L-pS/pT-hydrophobic where X denotes all amino acids except S, T, Y or C [9].

Following various stress signaling MK2 is phosphorylated and activated by $p38^{MAPK}$, which further leads to phosphorylation of its substrates like small heat shock protein [21], LIM-kinase [22], CDC25b, CDC25C [20], tristetraprolin [23, 24], 14-3-3zeta [25] and others [9]. MK2 has diversified functions in various cellular processes such as inflammation [26, 27], cytoskeleton reorganization [28-30], cell proliferation [20, 31] and migration [14, 22, 32, 33], transcriptional [34-38] and post-transcriptional regulations [24, 39-41].

Molecular mechanism of MK2 in inflammation

The molecular mechanism regulating inflammation via MK2 involves different ways (Figure 1). The production of master inflammatory cytokine TNF is regulated at the post transcriptional level through mRNA stabilization [42]. RNA binding protein tristetraprolin (TTP) along with its interaction partners regulates the stability/translation of mRNA containing adenylate-uridylate-rich element (ARE) in its 3' -untranslated region (3' UTR) by binding to ARE [43]. TNF mRNA is regulated by MK2 dependent manner [41, 44]. In turn TTP itself is transcriptionally regulated by MK2 via phosphorylation of serum response factor [45].

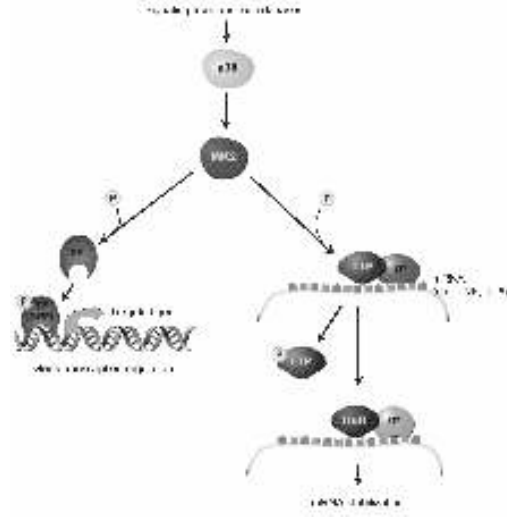


Figure 1. Regulation of inflammation by MK2 at molecular level.

Upstream signaling kinase activates $p38^{MAPK}$-MK2 axis and activated MK2 regulates inflammation by two means. First, activated MK2 phosphorylates Tristetraprolin (TTP) which, along with its interaction partner (IP) destabilizes/degrades mRNA, when in un-phosphorylated form. Phosphorylated TTP gets displaced from adenylate-uridylate-rich element (ARE) of mRNA by human antigen R (HuR) and its IP resulting stabilization of mRNA prolonging protein translation. Second, through transcriptional regulation of the genes involved in inflammation via phosphorylation of transcriptional factors (TF, e. g. SRF & HSF1).

Another constitutively ARE binding protein human antigen R (HuR) functions in a competitive manner in exchange with TTP, upon phosphorylation affinity of TTP reduces which leads to its replacement by the HuR, initiating the translation and vice versa [46]. Apart from TNF, MK2 also regulate the stability of other mRNA such as COX-2, GM-CSF, INF-γ, urokinase plasminogen activator (uPA) and IL-1, -4, -6, -8 mRNA at the post transcriptional level [41, 47-51].

MK2 also regulates inflammation at the transcriptional level via NF-κB. Activated MK2-HSP27 retains $p38^{MAPK}$ in cytoplasm thus preventing it from phosphorylating nuclear MSK1 and hence the nuclear export of NF-κB is prevented, resulting in transcription of NF-κB regulated genes including the one involved in inflammation [52]. MK2 phosphorylates and inhibits the activity of heat shock transcription factor 1 (HSF1), which represses cytokine transcription [53].

MK2 in inflammatory condition related diseases

Regulation of the inflammatory response by MK2 was evident when MK2 knockout mice showed increased stress resistance and survival due to reduced biosynthesis of TNF-α at post-transcriptional level upon lipopolysaccharide induced endotoxic shock [42]. Hence, it's obvious that MK2 may play a critical role in inflammatory condition related disorders. Here I discussed the studies involving experimental models implicating the importance of MK2 (Figure 2). In the disease model of collagen-induced arthritis, MK2-deficient mice shows resistant to the disease [54] while MK2 was reported in modulating key biological pathways associated with and contributing to joint structural deterioration in osteoarthritis [55].

Figure 2. The role of MK2 in the inflammatory condition associated pathophysiology.

The outer circle represents diseases having inflammatory axis where MK2 play a role and inner circle represents physiological systems related to those disease conditions.

Gene deletion of MK2 protects against cerulein-induced pancreatitis by inhibiting TNF-α and IL-6 [56]. MK2 deficiency inhibits inflammatory responses in the inflammatory skin diseases [57] including systemic inflammation [58]. Tumerogenesis is well associated with inflammation, like wise MK2 was reported to regulate the early stages of skin tumor promotion through inflammatory promotion of papilloma formation [59]. Furthermore, the role inflammatory mediators have been implicated in the pathogenesis of cardiovascular disorders (CVD) including atherosclerosis, type-2 diabetes, obesity-related metabolic dysfunction, neointimal hyperplasia and endothelial dysfunction. Systemic deficiency of the MK2 was shown to reduce atherosclerosis in hypercholesterolemic mice [27] and angiotensin II-induced vascular inflammation was ameliorated by MK2 inhibition [60]. Cardiac oxidative stress, inflammation and remodeling were mediated via modulation of $p38^{MAPK}$- MK2-NF-κB signaling pathways after streptozotocin-induced diabetes mellitus [61]. Same axis was shown to regulate the level of negative feedback in the NF- κB pathway in airway inflammation [52]. Neuroinflammation associated with Alzheimer disease [62] and LPS-induced inflammatory bone loss [63] were shown to be prevented in MK2 deficiency. Inhibition of MK2 reduces inflammation in dextran sulfate-induced colitis [64] and postoperative ileus in mice [65]. In addition, MK2 also contributes to Shiga toxin-induced inflammatory response [66] and Clostridium difficile-associated inflammation [67] suggesting that MK2 also plays role in these infectious/septic diseases. The role of mitogen-activated protein kinases, including MK2 is described very excellently in recent reviews [68, 69].

MK2 inhibition over $p38^{MAPK}$

Previous strategies in developing selective $p38^{MAPK}$ inhibitors have proved ineffective due to many reasons. Several $p38^{MAPK}$ inhibitors showing promising results in preclinical phase failed in clinical trials due to side effects related to central nervous system, hepatotoxicity and induction of kinases that can take over the role of $p38^{MAPK}$ [70, 71]. Moreover $p38^{MAPK}$ is not an attractive target for the development of a drug for several reasons. First, it is involved in feedback regulation of upstream kinase [72] which are involved in other inflammatory pathways, over activation of which may pose other toxicity related problems [73]. Second, it has about one hundred targets which make signaling extremely diverse and affecting pathways out of therapeutic interest [74]. Third, $p38^{MAPK}$ activates anti-inflammatory pathways by inducing transcription of the mitogen-activated protein kinase phosphatase DUSP1 and the anti-inflammatory cytokine interleukin 10 [75] and by suppression of prostaglandins [76].

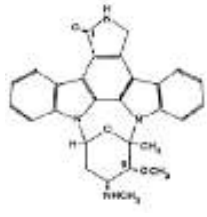
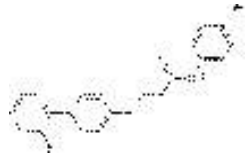
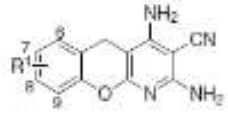
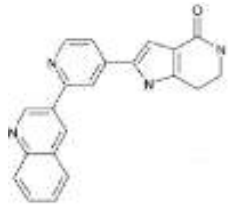
Recently it has been observed that $p38^{MAPK}$ inhibition, not MK2 inhibition enhanced

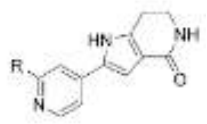
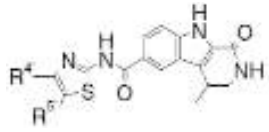
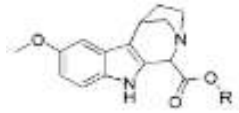
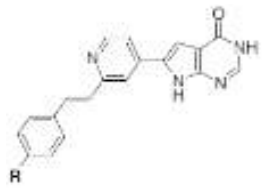
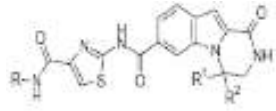
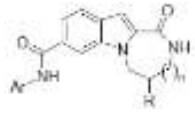
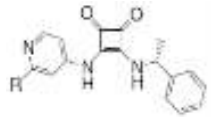
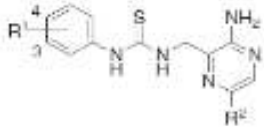
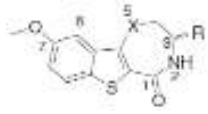
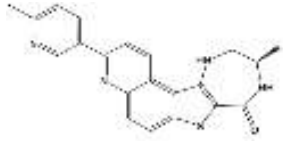
secretion of inflammatory chemokines upon TNF-α stimulation from the cells pointing to the lack of efficacy of $p38^{MAPK}$ inhibition [77]. Mice lacking MK2 produced significantly less cytokines, especially TNFα in response to lipopolysaccharide compared to control littermates indicating MK2 as an essential component of the inflammatory response [35]. Moreover, lack of MK2 has been proven to be beneficial in various pathological conditions as discussed earlier. These findings make MK2 a very attractive target for the pharmacological inhibition in the inflammation and related pathological conditions.

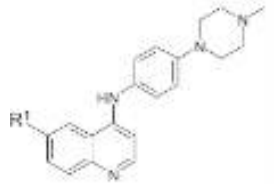
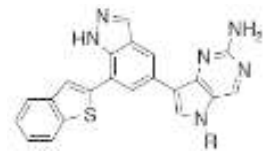
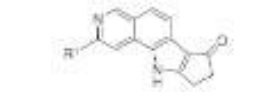
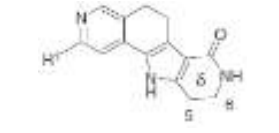
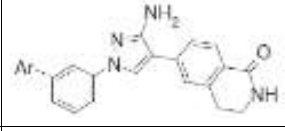
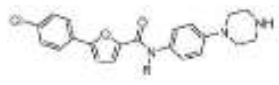
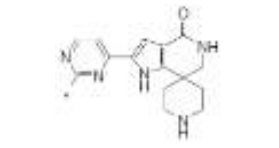
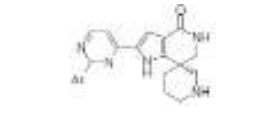
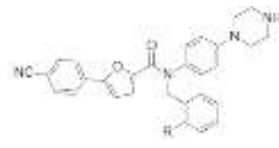
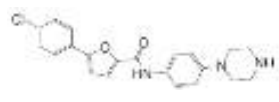
MK2 inhibitors in the development

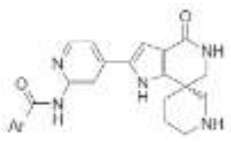
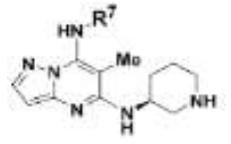
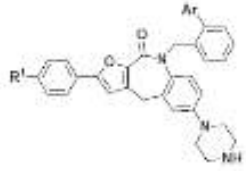
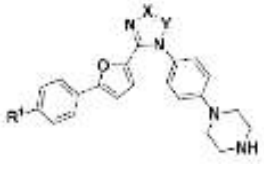
During the past decade, many MK2 inhibitors are generated (Table 1) and tested for their potency, cellular efficacy and *in vivo* effects. Of these selected inhibitors are discussed here group wise.

Table 1. List of MK2 inhibitor.

Compound/Class	Structure	Best analogue	MK2 IC_{50} (nM)	Mechanism of action	Remarks	Developer	Ref.
Natural products		Staurosporine	180	ATP competitive	Study included compounds with wide structural differences		[99]
CMPD		CMPD1	330	Non-ATP competitive	Inhibits a splice variant of MK2 (MK2a) through substrate specific p38α inhibition	Boehringer Ingelheim	[107]
Aminocyanopyridine		Compound 2a	130	ATP competitive	Orally active but with unacceptable therapeutic profile	Pfizer	[12, 79]
Pyrimidylpyrrole		Compound 1	8.5	ATP competitive		Bayer Schering	[106]

Pyrrolopyridine (PH-089)		Compound 23	126	ATP competitive		Pfizer	[103]
Carbolin		Compound 83	44	ATP competitive		Boehringer Ingelheim	[86]
β-carboline carboxylic acids		Compound 96	>1000	ATP competitive	A prodrug, active *in vivo*	Pfizer	[83]
Pyrrolo-pyrimidones		Compound 16	51		Modest selectivity	Novartis	[108]
Pyrazinoindolone		Compound 32	2		Good pharmacokinetic properties and specificity	Boehringer Ingelheim	[87]
Indole		Compound 25a	29			Boehringer Ingelheim	[109]
Squarate based		Compound 42	>1000		Potency remained low	Wyeth (Now part of Pfizer)	[102]
Thioureas		Compound 12f	15		Active *in vivo*	Merck	[110]
Benzothiophene		Compound 29 and 31	5			Pfizer	[80, 81]
		PF-3644022	5.2	ATP competitive	Large predicted human dose, Acute hepatotoxicity in dog and monkey	Pfizer	[82]

Anilinophenylquinoline		Compound 2e	400	Non-ATP competitive	Mixed inhibition with dominating element of uncompetitive inhibition	AstraZeneca	[111]
Diaminopyrimidine		Compound 31a	19	ATP competitive	Development discontinued	Abbott	[84]
Tetracyclic		Compound 14F	160		Orally bioavailable	Novartis	[91]
		Compound 13E	50		Good oral efficacy, well tolerated in mice, Inhibited other 14 kinase	Novartis	[92]
Aminopyrazole		Compound 14e	61		Orally active	Novartis	[112]
Furan carboxyamide		Compound 25	110	Non-ATP competitive		Merck	[93]
Spiro-δ-lactam		Compound 5b	NA*	ATP competitive	Active *in vivo* but lacks oral bioavailability, EC_{50}=4 nM	Merck	[88]
Spiro-3-piperidyl		Compound (S)-23 and (S)-25	NA	ATP competitive	Orally bioavailable	Merck	[89]
Phenyl furany amide		Compound 28	8	Non-ATP competitive		Merck	[113]
Tetracyclic azepine and Oxazocine		Compound 29	2.9	Non-ATP competitive		Merck	[94]

Pyrrolopiperidone		Compound 6d.S1	NA	ATP competitive		Merck	[90]
Pyrazolopyrimidine		Compound (S)-44	130	ATP competitive	Selective, Good ADME with oral bioavailability, active in vivo	Teijin	[85]
Tricyclic lactams		Compound 2S	1.9	Non-ATP competitive		Merck	[95]
Imidazole/ Triazole		Compund 13a	22.5	Non-ATP competitive	Improved permeability and *in vivo* availability compared to tricyclic lactams [95]	Merck	[96]

* NA: not available

Synthetic compounds

Following the failure of $p38^{MAPK}$ inhibitors as anti-inflammatory drugs in clinical trials due to its unacceptable safety profile, pharmaceutical industry has turned their focus towards potential MK2 inhibitors. Since MK2 is a downstream target of $p38^{MAPK}$ involved in less complex signal transduction than $p38^{MAPK}$ and mainly responsible for pro-inflammatory cytokine-regulation [78], its inhibition could give a better and acceptable safety profile.

A first small molecule inhibitor of MK2 was reported by *Anderson et al* [79] which was again synthesized by *Davis et al* to study in Werner syndrome cells but result remain unacceptable [12]. A benzothiophene class of compounds was developed and evaluated for selectivity and potency [80] but they were not up to expectation. So the further efforts were taken to bring improvements in kinase selectivity and cell potency [81]. One of these benzothiophene inhibitors of MK2: PF-3644022 was reported to display good pharmacokinetic parameters in rats having orally efficacy in both the rat acute LPS-induced TNF-α model and the chronic streptococcal cell wall-induced arthritis model [82]. The future of PF-3644022 remains uncertain as its projected human dose would be large and acute hepatotoxicity was observed in dogs and monkeys, although it was well tolerated in rats [82]. Another structural class of compounds as MK2 inhibitor were

reported through structure–activity relationship study, which were less potent than former, but has better selectivity against MK2 [83]. Due to difficulties in improving oral efficacy, cellular and enzymatic potency further development of diaminopyrimidine class of inhibitors was discontinued [84]. Pyrazolo pyrimidine class of derivatives showed excellent selectivity and good ADME accompanied with *in vitro* cellular potency as anti-TNF-α agents and *in vivo* efficacy in a mouse model of endotoxin shock [85]. The potency of a carbolin based MK2 inhibitors [86] was improved by transposing the indole nitrogen from the carbolin scaffold to the corresponding pyrazinoindolone scaffold [87]. Structure based lead identification of a class of spiro-δ- lactam MK2 inhibitor produced compounds which were active *in vivo* with good selectivity while it had a major issue of lack of oral bioavailability [88]. The issue of oral bioavailability was addressed by moving the position of the piperidyl nitrogen in structure so as to generate orally available compounds [89]. To further improve the cell based potency of these compounds the structural alterations were performed using computation chemistry [90]. A series of tetracyclic MK2 inhibitors were reported in two parts [91, 92], in the first part a tetracyclic ketone proved to be orally bioavailable with good selectivity against a panel of kinases in an *in vivo* study pointing to MK2 specific actions. In the second part, two orally active MK2 inhibitor series the spirocyclopropanes and the spiroazetidine were discovered. The spiroazetidines showed very potent MK2 inhibition but they generally suffer from low oral absorption and high clearance. The spirocyclopropanes on the other hand were less potent, however they display better absorption and moderate clearance *in vivo* [91, 92].

The non-ATP-competitive MK2 inhibitors based on a furan-2-carboxyamide scaffold was discovered through high throughput screening using the affinity selection-mass spectrometry-based Automated Ligand Identification System platform [93] where compound 25 showed promising results by inhibiting secretion of pro-inflammatory cytokines and dose dependently inhibiting TNF-α, IL-6 and matrixmetalloprotease. Moreover, it also showed excellent kinase selectivity, drug metabolism and pharmacokinetics [93]. Recently, conformationally restricted tetracycles over non-cyclized compound were reported to be a very potent with regards to MK2 inhibition (IC50) and/or cellular activity from same laboratory [94]. Further efforts were taken to improve profile of these compounds by confirmation changes and substitutions [95, 96].

Peptides

Protein kinases are often maintained inactive by an autoinhibitory loop/region masking catalytic activity [97]. A 14 amino acid peptide was derived from the autoinhibitory domain of MK2 which inhibited its kinase activity in a concentration dependent manner [98]. By other means of inhibition pseudo substrate peptides were derived which has mutated phosphorylation site. One of them, peptide

P3 showed potent inhibition of kinase activity [99]. Unfortunately, these peptides were not specific as it inhibited other kinase as well like protein kinase A and C (PKA and PKC).

Discovery of protein uptake by the cells growing in tissue culture [8, 11] raised possibilities in delivering therapeutics as a cargo. *Brugnano et al* took the advantage of this discovery in generating cell penetrating peptides (CPPs) linked with MK2 inhibitory peptide [15], however its efficacy and *in vitro* therapeutic functionality were not promising. It was revealed that apart from delivering peptides CPPs has an independent biological activity, which may be of major limitation in targeted delivery. *Lopes et al* developed cell permeable MK2 inhibitor peptide MK2i based on peptide P3 [99] which suppressed fibrotic response [16] but selectivity and efficacy were not studied. Furthermore MK2i was shown to inhibit intimal hyperplasia in a human saphenous vein organ culture model [17]. In order to improve specificity and reduce toxicity a peptide called MMI-0100 linked with CPP was generated which showed potential for inhibition of abdominal adhesion during surgical procedures, while the peptide was not 100% specific but there were no obvious *in vivo* side effects [100].

Natural products

Natural product as a MK2 inhibitor has also been explored. Secondary metabolite alkaloids from microbial sources staurosporine (from Streptomyces sp.) and K-252a (from Nocurdiopsis sp.) and other natural products were reported to inhibit protein serine threonin kinase HSP25 kinase or MK2 in ATP competitive manner [99]. Meroterpenoid inhibitors of MK2 (+)-Makassaric acid and (+)-subersic acid were isolated from Indonesian marine sponge Acanthodendrilla sp. [13] followed by chemical synthesis of (+)-Makassaric acid [101].

Challenges

The decade long efforts to develop MK2 inhibitor have not given any outcome yet. These efforts are on the back foot due to several challenges. More than 500 kinases have been identified which binds to ATP in an almost similar way to attain functional status. Owing to high cellular concentration of ATP (up to 5 mM) and comparatively high ATP binding affinities of protein kinase it's difficult to develop an ATP competitive inhibitor with sufficient selectivity and cellular activity. Competition with high cellular concentrations of ATP dramatically reduces the cellular potency of an ATP competitive inhibitor.

The application of structure based drug design is limited by the availability of the low resolution crystal structure of MK2 [80, 102-105]. In addition, narrow and deep ATP-binding pocket in MK2 as reported by solving MK2 structures further increases difficulties [80, 81, 103, 106]. The alternative to these problems would be to develop a non-ATP competitive inhibitor, which may improve biochemical

efficiency through a non-competitive and selective binding mode.

Conclusion

Overall, the involvement of MK2 in various pathological conditions associated with inflammatory conditions indicates a high therapeutic potential of MK2 inhibition. Till date, development MK2 inhibitor is in its infancy and substantial work needs to be done as none of these MK2 inhibitors made it to clinical trial. The development of small molecule MK2 inhibitor will provide a better and safe therapeutic option in future.

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INVITED ARTICLE

QUANTIFICATION OF 2, 4-DIAMINOPYRIMIDINE 3- OXIDE IN MARKETED HAIR GROWTH FORMULATION USING RP-HPLC

Tejas Vyas, Hardik Bhatt, Nilkanth Ubarhande, Vinay Kumar Singh*
MIKASA Cosmetics Ltd.
1014-A, Siddhi Vinayak Towers, Near Kataria Arcade, Off S.G. Road,
Makarba, Ahmedabad, 380051. Gujarat, India

Abstract

2,4-diaminopyrimidine 3-oxide is widely used as hair growth promoter agent in cosmetics and pharmaceutical preparations. A simple RP-HPLC method was developed for quantification of 2,4-diaminopyrimidine 3-oxide in marketed hair growth formulation. The method was developed using C_{18} column and mobile phase consisted of purified water: methanol (75:25) with flow rate of 0.8 mL/min. The eluent was monitored at 225 nm. The lineraity of the standard 2,4-diaminopyrimidine 3-oxide was performed between concentration 0.01 to 0.1 mg/mL and LOD and LOQ of the method were found. The method was found to be linear. The developed method was successfully applied for quantification of 2,4-diaminopyrimidine 3-oxide in marketed formulation.

Keywords: *RP-HPLC, 2,4-diaminopyrimidine 3-oxide, hair growth formulation*

***Correspondent Author:** *Email: vinay.s@mikasacosmetics.com, Phone: +91-9920866839*

Introduction

2,4-diaminopyrimidine 3-oxide (DPO) is a chemical compound similar to minoxidil. DPO is used in hair cosmetics to combat hair loss due to premature exhaustion of the hair root. The compound DPO is generally used for the treatment of hair loss in compositions which can be provided in the form of a lotion, shampoo, gel, foam, emulsion, vesicular dispersion, soap and spray or aerosol foam.

DPO increase the volume of hair in the growth stage by working on the deep structure of the roots. It rejuvenates the hair roots so that healthy hair growth can persist. The perifollicular fibrosis is a condition in which collagen around the roots becomes rigid, tightens and pushes the hair out. DPO help in softening of the collagen and also inhibits lysyl hydroxylase, an enzyme participating in the maturation and hardening of the collagen structure of the hair follicle. Clinical Trials have demonstrated that DPO preserves and strengthens hair fibers. So DPO is widely used as a hair growth promoter. So its quantification is very important as far as effectiveness and quality of the formulation is concerned. A method had been reported for determination of N-oxide metabolites of 2,4-diaminopyrimidines with ion-pair HPLC [1]. Few analytical methods have been reported for other hair growth promoting agents [2-5]. But, no simple HPLC method is reported hence it was endeavored to develop RP-HPLC method for DPO for its analysis in hair growth formulation.

Materials and Methods

Instrumentation

HPLC

Chromatographic analysis was performed on Agilent chromatographic system (Agilent 1260 infinity, USA) equipped with quaternary pump and variable wavelength detector. Samples were injected through a rheodyne 1260 manual injector with 20 µL loop. Method was developed with a reversed- phase, Agilent Eclipse XDB C_{18} column (4.6 X 150 mm, 5µm). Eleuent from the column was monitored at 225 nm. Data acquisition and integration was performed using Agilent Chemstation software.

Materials and Reagents

Standard DPO was purchased from local supplier with % purity of 99.4% w/w. A hair growth formulation was purchased from local market. Methanol and water used for analysis were of HPLC grade (Finar Chemicals Ltd., Mumbai). Nylon syringe filter (0.22 µm) (Prama Instruments Pvt Ltd., Mumbai) were used throughout study for filtration of solutions.

Experimental conditions

HPLC

The mobile phase was consists of water and methanol (HPLC grade) in the ratio of 75:25 (% v/v). The mobile phase was degassed by sonication for 5 minutes in an ultrasonic bath. The flow rate of mobile

phase was kept 0.8 mL/min. The volume was solution injected in chromatographic system was 20 µL. Quantitation based on peak area was achieved with UV detection at 225 nm. All determinations were performed at ambient temperature.

Standard solutions and calibrations

Standard stock solution of DPO was prepared by dissolving 10.6 mg of standard DPO in 10 mL volumetric flask. 5 mL mobile phase (water: methanol, 75:25 v/v) as a diluent was added to the 10 mL volumetric flask. Flask was sonicated for 2 minutes to ensure complete solubilization of standard DPO. Volume was made up to the mark with mobile phase. The final concentration of stock solution was 1.06 mg/mL of DPO.

Preparation of calibration curve for standard 2,4-diaminopyrimidine 3-oxide by HPLC .

From the stock solution of standard DPO, aliquots of 0.1 mL, 0.3 mL, 0.7 mL and 1 mL were transferred to different 10 mL volumetric flasks. 5 mL of mobile phase was added to each flask. Flasks were sonicated for 2 minutes in ultrasonic bath to ensure degassing and proper mixing.

Table I: Calibration curve for standard 2,4-diaminopyrimidine 3-oxide

Sr. No.	Volume of Stock Solution	Final Volume	Final Conc. (mg/mL) of Working Standards
1	0.1 ml	10	0.0106
2	0.3 ml	10	0.0318
3	0.7 ml	10	0.0742
4	1.0 ml	10	0.106

Then, volume was made up to the mark with mobile phase in each flask. All solutions were filtered through the 0.22 µm syringe filters. Final concentration of working standard solutions is shown in Table I. 20 µL of all working standard solutions were injected in HPLC and chromatograms were obtained under the optimized chromatographic conditions described previously. The calibration graph was constructed by plotting peak area versus concentration of drug and the regression equation was calculated.

Analysis of commercial hair growth product.

Commercially available hair growth formulation was procured and two different weights 533.0 mg and 532.5 mg were accurately taken from the formulation and transferred in a 100 mL volumetric flask. Then 60 mL mobile phase (water: methanol, 75:25 v/v) as a diluent was added in both the 100 mL volumetric flasks followed by sonication for 2 minutes to dissolve the sample. Volume was made

up to the mark with mobile phase. Both solutions were filtered through the 0.22 µm syringe filters. Then 20 µL of both samples were injected in to HPLC system.

Results and Discussion

RP-HPLC

Various experimental trials were carried out to optimize the chromatographic conditions for estimation of DPO. The optimized chromatographic conditions are use of Eclipse XDB C_{18} column and mobile phase consisting of water: methanol (75:25, v/v) at the flow rate of 0.8 mL/min. DPO shows good absorptivity at 225 nm, hence it was selected as the wavelength for detection. Figure 1 shows calibration curve of working standard solutions of DPO by the developed HPLC method. Figure 2 shows chromatogram of standard DPO and Figure 3 shows the chromatogram of DPO in commercially available hair growth formulation.

The above HPLC method was partially validated [6]. The Regression coeffficent for linearity of the method was found to be 0.998 and the regression equation was found to be y = 23764x - 69.29. The system suitability parameters like theoretical plates, tailing factor and resolution were also checked. All the parameters were within the limit.

Limit of detection (LOD) and Limit of quantitation (LOQ)

Limit of Detection is the lowest concentration in a sample that can be detected, but not necessarily quantified under the stated experimental conditions. The limit of quantitation is the lowest concentration of analyte in a sample that can be determined with acceptable precision and accuracy.

LOD and LOQ was found by following equation

$$LOD = \frac{3.3 \cdot S.D.}{Slope\ of\ calibration\ curve}$$

$$LOQ = \frac{10 \cdot S.D.}{Slope\ of\ calibration\ curve}$$

SD = Standard deviation of intercepts

LOD and LOQ of the method was found to be 0.002377 mg/mL and 0.007204 mg/mL respectively. The marketed formulation of DPO was analyzed in duplicate. The area under the curve (AUC) of both the trials were recorded and percentage of DPO was calculated by following equation.

$$\%\ DPO = \frac{AUC_{Sample}}{AUC_{Std}} \cdot \frac{Con_{Std}}{Con_{Sample}} \cdot \%\ purity\ of\ Std$$

Std = Standard

The percentage assay of DPO was found 0.79 ±0.0051 in the marketed hair growth formulation. So, in both the sample analysis, results were reproducible. Validation parameters are summarized in Table II.

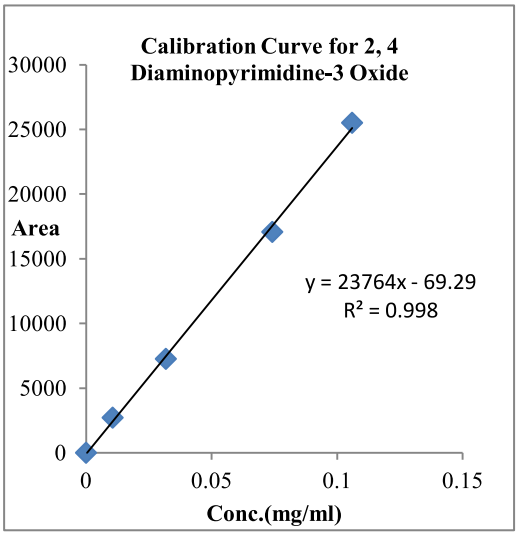

Figure 1: Calibration curve of standard 2, 4-diaminopyrimidine 3-oxide solutions by HPLC

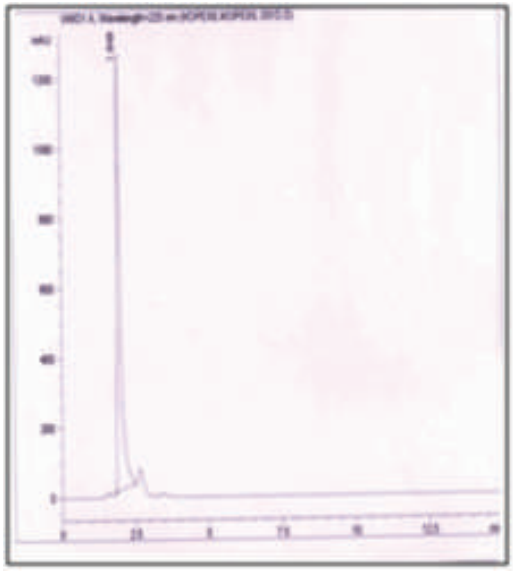

Figure 2: Chromatogram of standard 2, 4-diaminopyrimidine 3- oxide

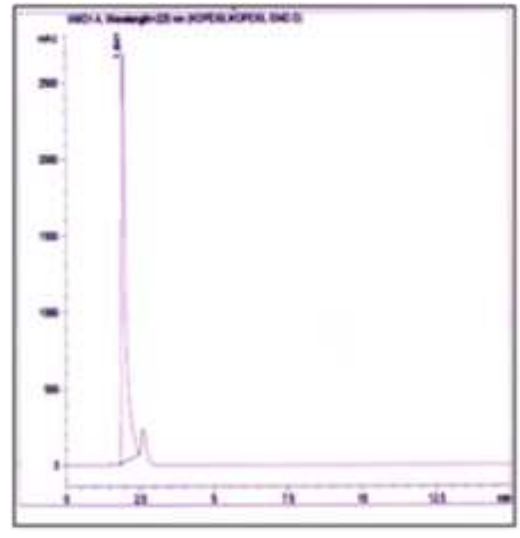

Figure 3: HPLC chromatogram of the formulation

Table II: Summary of the validation parameters

Parameters	Results
Linearity range (mg/mL)	0.0106-0.106
Regression equation: y= mx+c	23764x - 69.29
Regression coefficient value (R^2)	0.998
LOD (mg/mL)	0.002377
LOQ (mg/mL)	0.007204

Conclusion

Various HPLC techniques are generally used for separation and quantification of components in final pharmaceutical preparation and are better with regards to identification and specificity. As the 2,4-diaminopyrimidine 3-oxide is very important in hair growth formulation, its quantification in the product ensures its quality and effectiveness as a formulation. The current RP-HPLC method is very simple, economical, and time saving as the total run time as well as sample and standard preparations are quite easy. So it can be used for routine analysis of 2,4-diaminopyrimidine 3-oxide in commercially available hair growth formulations. However, the method is only partially validated. Further study need to be carried out for impurities of 2,4-diaminopyrimidine 3-oxide and stability of the formulation.

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PT - 30	Formulation And Evaluation of Controlled Release Beads of Capecitabine For Colorectal Cancer	Fadke Janki	The M.S.University of Baroda, Gujarat
PT - 31	Design and Development of Immediate Release Tablets by QbD Approach	Kadtan Dinesh	R.C.Patel Institute of Pharmaceutical Education and Research, Shirpur, Maharashtra
PT - 32	Particle Size Characterization Techniques	Soni Kripali	Institute of Pharmacy, Nirma University, Ahmedabad
PT - 33	Need To Prescribe Generic Medicines By Doctors And Its Benefits For Patients.	Baldia Bhumi	KSV University, Gandhinagar
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PT - 35	A Novel Approach of Cubosomes: As Cubic Liquid Crystalline Nanoparticles	Koyani Vaishali	Department of Pharmaceutical Science, Saurashtra University, Rajkot
PT - 36	Optimization And Evaluation of Microwave Assisted Synthesis of Magnetite As A Carrier For Targeted Drug Delivery System	Raut Tushar	MET'S Institute of Pharmacy, Nashik
PT - 37	Formulation And Optimization of Mouth Dissolving Film of Naratriptan Hydrochloride	Koradia Krishna	Department of Pharmaceutical Science, Saurashtra University, Rajkot
PT - 38	Novel Compression Coated Bilayer Tablet For Patient Compliant Drug Delivery of Lornoxicam	Mehta Dharmik	K.B.Institute of Pharmaceutical Education and Research, Gandhinagar
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PT - 44	Lipoproteins Mediated Dendritic Nanoartifacts For Effective Cancer Cure	Jain Anupriya	Adina Institute of Pharmaceutcial Sciences, Sagar, M.P.
PT - 45	Quality By Design- A High Level Perspective To Build Quality In Pharmaceuticals	Modi Khushali	Institute of Pharmacy, Nirma University, Ahmedabad
PT - 46	Development And Characterization of Ligand Conjugated Multiwalled Carbon Nanotubes For Targeted Drug Delivery To Cancer	Mehra Neelesh	Dr. Hari Singh Gour University, Sagar M.P.
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PT - 58	Development In Drug Delivery Device For Oral Administration: 'Tablet-In-Capsule' Technology	Barad Megha	Institute of Pharmacy, Nirma University, Ahmedabad
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PT - 64	Comparative Evaluation of Capsaicin-Loaded Slns And Nlcs: Development, Characterization And In-Vivo Assessment	Agrawal Udita	Dr. H. S. Gour Vishwavidyalaya, Sagar, M.P.
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PT - 66	Cubosomes: An Advanced Vesicular Approach In Modern Era	Jhaveri Mimansa	Institue of Pharmacy, Nirma University, Ahmedabad
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PT - 72	Self- Emulsifying Drug Delivery System of Clinidipine And Its Evaluation	Bakhle Suparna	Department of Pharmaceutical Sciences, R.T.M.N.U, Nagpur
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PT - 79	Self-Emulsifying Drug Delivery Systems : An Emerging Approach For BCS II And IV Drugs	Butani Shital	Institute of Pharmacy, Nirma University, Ahmedabad
PT - 80	Nano-Technological Path For Drug Targeting In HIV/AIDS A Review	Bali Nikhil	Department of Pharmaceutical Sciences, R.T.M.N.U., Nagpur
PT - 81	Magic Gold Bullet For Cancer Treatment	Uppuluri L.P.B.	Bharati Vidyapeeth College of Pharmacy, Navi Mumbai
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PT - 83	Validation of HBsAg Detection From Few Selected Formulations of Biological Origin	Mahajen Yogesh	G.R.Y. Institute of Pharmacy, Borawan, M.P.

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PT - 86	Development And Evaluation of Cross Linked Chitosan Hydrogel For Enhance Wound Healing	Pandey Suneel	Department of Pharmaceutical Sciences, R.T.M.N.U., Nagpur
PT - 87	Formulation of Site Specific Local Delivery Systems of Herbal Antimicrobial Drug For Periodontitis	Patidar Vandana	G.R.Y. Institute of Pharmacy, Borawan, Khargone, M.P,
PT - 88	Self-Emulsifying Drug Delivery Systems: A Promising Approch For Development of Lipid Based Formulations	Patel Pooja	Institute of Pharmacy, Nirma University, Ahmedabad
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PT - 98	Formulation, Optimization And Evaluation of Paclitaxel Loaded Microemulsion Drug Delivery System For Oral Delivery	Patel Paragkumar	Parul Institute of Pharmacy,Vadodara, Gujarat
PT - 99	Formulation, Development & Optimization of Taste Masked Rapid Dissolving Tablet of Lornoxicam	Shah Kinjal	Arihant School of Pharmacy and Bioresearch Institute, Gandhinagar
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PT - 101	Microenvironmental pH Modulated Drug Release From Extended Release Dosage Form of A Weakly Basic Drug	Joshi Ruchi	Institute of Pharmacy, Nirma University, Ahmedabad
PT - 102	Formulation And Ex-Vivo Evaluation of Metronidazole Microemulsion Loaded Hydrogel For Prevention of Periodontitis	Navgire Somnath	Department of Pharmaceutical Sciences, RTMNU, Nagpur
PT - 103	Microsponges: Potential in Topical & Oral Drug Delivery	Singh Bhavna	Institute of Pharmacy, Nirma University, Ahmedabad
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PT - 114	Formulation And Evaluation of Mucoadhisive Film Containing Nanoparticles of Poorly Soluble Drug	Patel Rakesh	Parul Institute of Pharmacy, Vadodara, Gujarat
PT - 115	Nanosuspension: A Tool To Enhance Bioavailability of Drugs	Agrawal Milan	Institute of Pharmacy, Nirma University, Ahmedabad
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PT - 117	Nanotechnology in Ocular Drug Delivery	Aziz Jahid	Institute of Pharmacy, Nirma University, Ahmedabad
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PT-120	Metabolic Syndrome: Treatment By Novel Formulation	Tandel Hemal	Pharmacy Department, M.S. University of Baroda, Gujarat
PT-121	Understanding the Alteration of Gastrointestinal Microflora by Cefdinir Microspheres and its Influence on Physiology	Prajapati Bhumika	Institute of Science, Nirma University, Ahmedabad

PT-1

Formulation Development of Directly Compressible Co-Processed Excipient for Sustained Release Tablets

Patel Hetal, Ghayal Aakash, Mishra Ashish, Shah Dinesh
Maliba Pharmacy College, Uka Tarsadia University, Bardoli, Surat, Gujarat, India - 394350
hetal.patel@utu.ac.in

Co-processing of excipients is combining two or more existing excipients to improve functionality of each excipient and to bypass costly and time consuming toxicological studies. The objective of present investigation was to develop directly compressible co-processed excipient of glyceryl monostearate and dicalcium phosphate dihydrate for sustained release tablets. Tramadol hydrochloride was selected as a model drug. Co-processed excipients consisted of glyceryl monostearate and dicalcium phosphate dihydrate were prepared by wet granulation method and evaluated for percentage fines, Carr's index, particle size distribution and granular friability index. Percentage of glyceryl monostearate, ratio of dicalcium phosphate dihydrate: glyceryl monostearate and concentration of binder (PVP K30) were selected as independent variables in 3^3 Box-Behnken design. Percentage drug release at given time (Q_3, Q_6, Q_{12}) and Carr's index were selected as dependent variables. Prepared co-processed excipient exhibited better flow property and compressibility. Tablets were prepared by direct compression method and were evaluated for weight variation, hardness, friability, assay, content uniformity and *in vitro* drug release. Regression analysis was carried out to evolve full and refined models. Contour plots were presented for graphical expression of the results. Optimized formulation released tramadol hydrochloride in sustained manner, which was comparable with marketed formulation and it was found to be stable for 3 months at accelerated conditions (40°C/75% RH). It can be concluded that multifunctional directly compressible co-processed excipient of glyceryl monostearate and dicalcium phosphate dihydrate can successfully be used to sustain release of highly water soluble drugs.

PT-2

Formulation, Optimization and Characterization of Transdermal Patch of Repaglinide

Joshi Priyanka, Patel Maulik, Mishra Renuka, Mehta Tejal
Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat
12mph109@nirmauni.ac.in

The purpose of the study was development of matrix-type transdermal patch containing Repaglinide which is an oral hypoglycemic agent with half life of 1 hour and 56 % bioavailability. Total daily dose of Repaglinide is 4 mg, hence it requires frequent dosing. Transdermal patch was prepared to sustain the drug release and improve its bioavailability. Drug purity was confirmed using FTIR and melting point determination. Different formulations were prepared using various concentrations of HPC-EF and Duro-Tak 87-9301 as polymer using solvent casting method. All formulation contained 20 % PEG 400 as plasticizer and IPM (Isopropyl Myristate) as penetration enhancer. Formulations were evaluated for various parameters such as thickness, tensile strength, folding endurance, % elongation, % moisture content, % moisture uptake, % drug content, in vitro- ex vivo drug release, and in-vivo study. Batch H5 containing 10% w/v of HPC-EF and 10% w/v of IPM showed maximum in-vitro (92.41%) and ex-vivo (90.86%) drug release at 24 hr, as compared to batch D5 (80% w/w of Duro-Tak 87-9301 and 10% of IPM). Higuichi model showed maximum r2 value (0.954). In vitro ex-vivo correlation study was performed which indicated in-vitro diffusion profile was similar to ex-vivo diffusion profile. Batch B2 (5 % of PVA) showed maximum tensile strength and % percentage elongation was selected as backing layer and 2% w/w of Duro-Tak 87-9301 was used as adhesive layer. Skin irritation study and patch adherence study was carried out on human skin and results showed that no skin irritation was observed.

PT-3

Development of Novel Bilayer Tablet for Treatment of Sexually Transmitted Diseases

Charde Yogita, Pandhare Govind, Avari Jasmine
Department of Pharmaceutical Sciences, Rashtrasant Tukadoji Maharaj Nagpur University, Nagpur – 440 033

yogitacharde@gmail.com

The treatment of sexually transmitted disease (STDs) has various problems like increasing widespread resistance against individual drug, higher dose of drug required with frequent dosing. As Cefpodoxime Proxetil (CP) and Ofloxacin (OFX) combination is widely used in treatment of STDs, it has a unique dual mode of action, have no drug-drug interaction and both act synergistically. Hence, this present research work was attempted to formulate and evaluate a novel bilayer tablet using this combination. Bilayer tablet was prepared by using optimized immediate release (IR) and sustained release (SR) layers. Initially, CP IR layer was prepared using varying concentrations of superdisintegrants i.e. sodium starch glycolate, croscarmellose sodium and crospovidone. The disintegration time of optimized batch containing crospovidone was found to be less as compared to other batches, and also, in-vitro studies showed that 95-100 % drug was released within 30 min. OFX SR layer was prepared using HPMC K100M as sustained release polymer in different concentrations and the optimized batch containing 10% w/w HPMC-K100M and MCC PH-101 and Lactose monohydrate in ratio 30:70 showed better release profile than other batches and similar to the marketed product OF-OD, with a f^2 value of 72. Finally, bilayer tablets using the optimized CP IR and OFX SR layers were formulated and evaluated. In-vitro studies revealed that the CP IR layer released drug within 30 minutes whereas the release of OFX SR layer was prolonged up to 24 hours. Stability studies also revealed no changes in physical parameters and in-vitro drug release.

PT-4

Nanotechnology: A Gateway for the Treatment of Alzheimer's Disease

Patel Chintan, Patel Mayur
Institute of Pharmacy, Nirma University, Ahmedabad

chinlalu@yahoo.com

Alzheimer's disease (AD) is a progressive irreversible neurodegenerative disease of the CNS that gradually impairs the cognition and memory of the patient especially geriatric population. Current Alzheimer's disease (AD) therapy option exploits mainly a symptomatic approach based on the use of cholinesterase inhibitors and NMDA receptor antagonists. The pathogenesis of AD has given researchers the possible findings where the drug can be targeted which are α-secretase cleavage, by inhibiting the γ-secretase activity, antioxidant therapy and the use of non-steroidal anti-inflammatory drugs, estrogens, NO synthetase inhibitors and natural agents such as polyphenols which may not allow the formation and deposition of senile plaques on the nerve cell and may hopefully slow or stop the progression of the disease. However the main problem remains is to cross BBB for targeting the brain cells for the effective treatment which can be possible by nanotechnology devices such as liposomes, polymeric or lipidic nanoparticles, polymeric micelles, and dendrimers as they take advantage of their finer size and surface modifications which may allow the active conjugation with ligands to the BBB showing a high affinity, and may help in penetrating the restrictions offered by the BBB. Though pathogenesis pathway of AD along with nanotechnology is expected to have a large impact on the development of drug delivery systems for AD but still there is a huge gap which is required to be filled to find a way to elucidate the etiology of the progression of disease and to target the specific sites in the brain.

PT-5

Ligand Anchored Dendrimers for Targeted Delivery of Anticancer Drug with Simultaneous Inhibition of Angiogenesis

Jain Keerti, Jain Narendra Kumar.

Pharmaceutics Research Laboratory, Department of Pharmaceutical Sciences,
Dr. H. S. Gour Central University, Sagar (M.P.)
470003 INDIA

keertijain02@gmail.com

Dendrimers are extensively being investigated in therapy of cancer. Recently poly-l-lysine (PLL) dendrimers have been reported to show antiangiogenic activity. In the present study, we report folic acid conjugated PLL dendrimers (FPLL) as an efficient carrier for model anticancer drug, doxorubicin hydrochloride (Dox), along with pH sensitive drug release, selective targeting to cancer cells, anticancer activity and antiangiogenic activity. In the in vitro release profile this nanoconjugate of Dox showed initial rapid release with gradual slow release. Further drug release was found to be pH sensitive with faster release at acidic pH. In the chick embryo chorioallantoic membrane (CAM) assay and tubule formation assay with human umbilical vein endothelial cells (HUVEC) Dox-FPLL formulation showed the significant antiangiogenic activity. The ex vivo investigations with MCF-7 cancer cell lines showed enhanced cytotoxicity with Dox-FPLL with significantly enhanced intracellular uptake ($p<0.001$). The in vivo therapeutic potential of nanoconjugate was determined in MCF-7 breast cancer xenograft model in tumor-bearing mice. Dox-FPLL increased the concentration of Dox in tumor with superior anti-tumor activity in human breast cancer (MCF-7) tumor model. The formulation significantly prolonged survival as determined by Kaplan Meier survival analysis ($p<0.001$), further confirming the efficacy, safety and biocompatibility of formulation. Thus the developed formulation based on dual attack on cancerous tissue could prove a promising strategy to treat a deadly ailment, cancer.

PT-6

Solubility Enhancement Study of Nimodipine Using Block Polymer

Sharma Om Prakash, Mehta Tejal

Institute of Pharmacy, Nirma Univeristy, Ahmedabad, Gujarat

opsharmaipsdr@gmail.com

The study was undertaken to increase the solubility of Nimodipine (NDP), BCS Class II drug by micellization using amphiphilic block copolymer Kolliphor P 188. Poor solubility of NDP, strongly indicate need to improve solubility and thereby bioavailability. In comparison to methods of solubility enhancement, polymeric micelliation also increase permeability of drugs. Various polymeric solutions were prepared, having concentrations 0.05 % to 1.75% w/v, to study the effect of polymer on the solubility of NDP. The physical parameters, surface tension and size of micelles, of the micellar solution were corroborated to predict the CMC of polymer. An alternative to Du nouy ring method was explored to predict the change in surface tension of solution at CMC using texture analyzer. The applied force, to move the probe upward from the solution surface, became attenuated with the increase in concentration of polymer and then became nearly constant at CMC (0.5% w/v). The size of the micelles was determined using direct light scattering (DLS) method. The micelles were observed at and above 0.5% w/v concentration of polymer which depicted its CMC. The solubility study of NDP, micellar solutions resulted in increased solubility above 0.5% w/v of polymeric concentration and solubility was increased more than 2 times at 1.75% w/v concentration solution as compared to pure drug water. The micellar solutions of NDP were showing higher drug release and permeation as compared to pure drug. Thus, it can be concluded that polymeric micellization can be superior alternate for increasing solubility and permeability of drugs.

PT-7

A Robust Formulation Design Approach for Oral Nano-Curcumin Delivery to Improve Of Quality Of Life of Patients Suffering From Cancer

Kendre P.[1], Chaudhari P.[21]Sanjivani College of Pharmaceutical Education & Research, Kopargaon, Ahmednagar, Maharashtra, India; J. N. T. University, Hyderabad, (AP), India,
[2]Modern College of Pharmacy, Pune, Maharashtra, India

prakashkendre@gmail.com

The objective of present work was to develop functional excipients based robust formulation design for delivery of nano-curcumin via gastroretention to impart higher solubility and enhanced dissolution rate. Dried dispersion with varying ratios of crystalline curcumin and Gelucire® 50/13 containing Aerosil® 200 Pharma as a dispersing agent was prepared by melting Gelucire® 50/13 at 50 ºC followed by rapid cooling. Prepared dispersions were characterized by DSC, FT-IR and XRD and SEM study. Increased in solubility of more than 100 times was observed with highest ratio of drug: Gelucire® 50/13 (1:4) as compared to curcumin. Further, matrix bio-adhesive tablets of modified curcumin were prepared by using combination of HPMC carbapol 934P. SEM confirmed the homogeneity and surface adsorption of Gelucire® 50/13 on Aerosil® 200 Pharma. FT-IR spectrum revealed no interaction among curcumin and excpients. The investigation of swelling matrices behaviour showed that the gel layer thickness increased continuously over the time period studied. Moreover, a correlation between gel layer thickness and strength with the percentage released avoiding re-crystallization of nano-curcumin was observed. The bioadhesive strength and swelling behaviour of gel layer over the time was analyzed using texture analyzer. The mechanism of drug release was found to be non-fickian (anomalous), approaching zero-order kinetics. From this study, it may be suggested that implementation of above novel and innovative approach could be useful for improvement of dissolution rate and bio-availability of poorly water soluble herbal active and improvement in the quality life of patients suffering from cancer.

PT-8

Formulation and Evaluation of Mouth Dissolving Tablet of Glimepiride and Voglibose

Sharma Mayank[1], Jain Dinesh Kumar[2], Vora ChandraPrakesh[2], Rathore Vikas[3]

[1]School of Pharmacy, Devi Ahilya University, Indore, (M.P)
[2]College of Pharmacy, IPS Academy, Indore, (M.P)
[3]Department of Pharmaceutical Technology, Noida Institute of Engineering and Technology, Greater Noida, (U. P)

mayank2306@gmail.com

The purpose of the present study was to develop and characterize mouth dissolving tablets of Glimepiride and Voglibose using direct compression. In the present study, Glimepiride and Voglibose are the model drugs. In this method the different excipients used were Sodium starch glycolate, Corn starch, Mannitol, Avicel and Magnesium Stearate. The formulations containing high concentration of Sodium starch glycolate and Corn starch as superdisintegrants, disintegrated faster compared to the formulation containing low concentration. Pre compressions were evaluated for all four formulations (VG1-VG4). Post compression parameters Hardness, friability, cumulative drug release, in vitro disintegration time, wetting time and water absorption ratio of the optimized formulation were determined. In vitro drug release showed that almost both drugs were release in the range of 90-99% within 15 minutes. Depending upon cumulative drug release, in vitro disintegration time, wetting time, and hardness of the formulation VG3 were in the acceptable range. Depending upon cumulative drug release, in vitro disintegration time, wetting time results, one formulation VG3 was selected for stability studies and subjected to stability studies at 40^0C and 75% RH for 3 month. Overall, formulation VG3 was found to be the best formulation in direct compression method.

PT-9

Development and Evaluation Of Sustained Release Multiparticulate Drug Delivery System for Baclofen

Chaudhary Sona, Mehta Kalyani,
Trivedi Palak, Panchal Lata

K.B. Institute of Pharmaceutical Education and Research, Gandhinagar, Gujarat

sona.s.chaudhary@gmail.com

This investigation is a part of ongoing effort to develop effective sustained release multiparticulate drug delivery system for Baclofen using Eudragit RLPO and Eudragit RSPO. The Baclofen sustained release pellets having ability to give desired drug release upto 12 hrs were prepared by extrusion-spheronization technique. Drug polymer interaction studies were carried out using FTIR. A 3^2 full factorial design was applied to study the effect of independent variables (X_1- concentration of Eudragit RLPO and X_2- concentration of Eudragit RSPO) on response (Y_1- drug release at 2hr and Y_2- drug release at 10hr). The prepared pellets were evaluated for size and size distribution, Aspect ratio, Derived properties, Friability, Assay for drug loading and In-vitro drug release. The surface morphology of pellets were determined by SEM. From the response 3D plot it was revealed that there was corresponding increase in percentage drug release at initial hours with increase in the concentration of Eudragit RLPO and decrease in percentage drug release for upto 12hrs with increasing in the concentration of Eudragit RSPO. Based on the physicochemical properties and the drug release rate at 2hrs and 10hrs batch F8 was found optimized which exhibited 30.17% drug release at 2hrs and 87.38% drug release at 10hrs. Kinetic model study of drug release data of optimized batch revealed diffusion and erosion as mechanism of drug release according to Korsmeyer-Peppas model. Thus it was concluded that sustained release pellets have feasibility to release the drug upto 12hrs in controlled manner.

PT-10

Formulation Development and Characterization of Medicated Chewing Gum for the Treatment of Cough

Dave Chitra, Mehta Tejal

Department of Pharmaceutics
Institute of Pharmacy, Nirma University
Sarkhej-Gandhinagar Highway, Ahmedabad – 382481, Gujarat, India

12mph102@nirmauni.ac.in

Chewing gums are mobile drug delivery systems, which has a potential to administer drugs either for local action or for systemic absorption via buccal route. Dextromethorphan hbr is an NMDA antagonist which suppresses the urge to cough and provide relief from dry, sticky coughs. It is used in the treatment of coughs caused by minor throat and bronchial irritation that may occur with common colds, allergies, or inhaled irritants. It affects the signals in the brain that trigger cough reflex. In the present work medicated chewing gum of Dextromethorphan was prepared and evaluated. The chewing gum was prepared using Health in Gum®, a direct compressible powder and formulated by direct compression method. Effect of Gum base concentration, various softening agents and compression force were studied. Taste masking of the drug was done by using suitable sweetening agents and flavoring agents like aspartame and menthol. Parameters like physical appearance, hardness, assay, In vitro drug release as well as In vivo studies were evaluated for the optimized batch. From the results of In vitro studies, it was observed that as the gum base concentration increases, the release rate of drug substance decreases. A modified In-vitro apparatus was used for the release testing of medicated chewing gum. From the above study it is concluded that Chewing gum is an excellent drug delivery system for the treatment of cough as it is convenient, also can be administered without water and thus enhances patient compliance.

PT-11

Solubility Enhancement of Curcumin Using Potentials of Liquisolid Technique

Sharma Vijay, Pathak Kamla

Department of Pharmaceutics, Rajiv Academy for Pharmacy, Mathura – 281001, INDIA

vijay_ceutics07@yahoo.co.in

Curcumin, a product obtained by solvent extraction of turmeric, drives considerable interests due to wide range of therapeutic potentials. However, the efficacy of curcumin could often be limited by its poor water solubility. Present research was carried out to overcome the associated limitations, with the aim to improve physicochemical and pharmacokinetic properties of curcumin. Suitable solvent systems were selected through solubility studies and mathematical model was applied to calculate phi-value and liquid load factor (Lf), to select the carrier and coating material ratio. All formulated liquisolid systems (LS1 – LS9) were evaluated for their powder properties, and subjected to direct compression. A total of 9 batches of liquisolid tablets (LT1 – LT9) have been developed and were evaluated for various pharmacopoeial and non-pharmacopoeial characterizations. Dissolution behavior of selected formulations and directly compressed tablets (DCT) reveals the significant enhancement in %CDR of curcumin. Enhancement of 368.72% (LT-9) was recorded in 60 min in comparison to DCT. Pharmacokinetic studies showed an increment of 14.395 folds in the Cmax of curcumin when formulated as liquisolid tablet in comparison to DCT. AUC 0-” was found to be 1.224±4.616 and 22.797±5.548 µg.h/ml for DCT and LT-9, respectively. Absorption and elimination rate constant were also determined and Ka was found to be 21.848±0.0027 h-1 for DCT, and 0.059±0.003 h-1 for LT-9, and Ke value was 2.072±0.0086 and 0.298±0.0039 h-1 for DCT and LT-9, respectively. Conclusively, liquisolid tablet of curcumin was successfully developed and proved to be an efficient technique for solubility enhancement of poorly water soluble drugs.

PT-12

Comparative Studies on Spray Dried and Freeze Dried Techniques for Probiotics Stability and Viability

Lohiya Govind[1], Avari Jasmine[1], Kale Vinita[2]

[1]Department of Pharmaceutical Sciences, R.T.M. Nagpur University Nagpur-33

[2]Gurunanak College of pharmacy, Nari, Nagpur-26

lohiyagovind@gmail.com

Now a day’s Probiotics are gaining more concern in maintaining healthy life. The quantity of live probiotics to conquer the health benefits on host is most important parameter in probiotics formulation. In the current research we had studied on spray drying and freeze drying techniques for quantity of regenerative probiotics. Spray drying was done after pre treatment on probiotics culture with skimmed milk (SM), microcrystalline cellulose (MCC) and sodium alginate (SA) with varying spray drying parameter and fixed ratio of 1:1 of probiotics to slurry. It was found that viability retains up to 92% with SM and MCC, 88% with SA on drying at 80°C. Minimum viability of 78% was found with SA, 82% with skimmed milk and 84% with MCC at 140°C. Freeze drying technique was done by varying different ratio of probiotics and cryoprotectant. Viability of 94% and 92% were found with SM and mannitol at 1:3 ratio and viability of 86% and 82% were found at 1:1 ratio. It was also found that regeneration time for spray dried sample was 30+6 hours and 42+6 hours for freeze dried sample. It was concluded that maximum viability of 92% was found by spray drying technique with MCC. However in freeze drying, viability was satisfactory but regeneration time was very long. Therefore present study highlights that spray drying technique is superior to freeze drying and may be cost effective on large scale pharmaceutical production.

PT-13

Formulation and Evaluation of Aceclofenac Fast Dissolving Tablets

Gandhi Tishir, Parikh Dhaivat, Mehta Tejal

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat

tishirgandhi@gmail.com

Aceclofenac is an orally effective non-steroidal anti-inflammatory drug (NSAID) from the category of phenyl acetic acid group; which has noteworthy anti-inflammatory, analgesic and antipyretic properties; and hence, it is widely utilized in the treatment of rheumatoid arthritis, osteoarthritis and ankylosing spondylitis. The immediate release formulation of such drugs helps the patient for faster relief from the pain. Variety of approaches available for immediate release formulation includes melt-in-mouth formulation, rapid disintegrating formulations as well as fast dissolving formulations. Majority of the researcher prefers film formulation; however, the tablet is more preferred by the industry. Formulating mouth dissolving tablet of Aceclofenac using direct compression technology is useful for fast disintegration by adding super disintegrant and taste masking agent for taste masking of bitter drug, and hence better patient compliance and convenience. Fast dissolving tablet might not even required the glass of water and the small amount of saliva is sufficient to obtain the desired therapeutic outcomes. In the present research work by using crosscarmellose sodium, mannitol, aspartame and MCC the formulation was optimized and evaluated for different parameters like angle of repose, bulk density, tapped density and flow properties of blends and thickness, uniformity, hardness, friability, weight variation, drug content, wetting time, disintegration time and dissolution time and stability of tablet. As the amount of super disintegrating agent increase the faster disintegration of the tablet was observed. It was concluded that optimized fast dissolving tablet with poor soluble drug shown enhanced dissolution, taste masking and would provide patient compliance and effective therapy.

PT-14

DTCA (Direct To Consumer Advertisement) of Prescription Drug - As Revolutionary Trend in Pharma Marketing

Sane Manali, Charming Vakil, Patel Hardik.

MBA Pharma Department, KSV University, Gandhinagar

manalisane@ymail.com

Direct to consumer advertisement of prescription drug is advertising of prescription medicines on television and internet. In current scenario it is most popular promotional tool in USA, New Zealand. If DTCA will be permitted in India then it can reduce healthcare cost because anti-cancer drugs and other generic medicines are available at cheaper cost but patients are not having enough knowledge about that. The main drawback of current pharma market is that consumers are not aware about product, price, action, side effect etc. before purchasing. DTCA also allows patients to engage in more informed conversations with their health care providers and helping patients to identify problems early. In pharma market companies are communicating to customers (doctors) but they are not communicating to consumer who is real money provider. So if consumers (patients) will be more informed then overall healthcare system will shows quality performance. Along with that just if we compare the price of same molecule with two different brands like AUGMENTINE DUO (GSK) is available at 266 Rs. and MOXIKIND CV (MANKIND) is available at 75 Rs. for six tablets. In that sense if as a patient we have capability to analyze same products through DTCA the cost of basic treatment can be reduced to some extent.

PT-15

Preparation and Evaluation of Oral Effervescent Pellets of Chlorpheniramine Maleate

Patel Amit[1], Mehta Tejal[2], Parikh R[1].

[1] Ramanbhai Patel College of Pharmacy, Charotar University of Science and Technology, Changa-388421, Gujarat, India
[2] Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat.

amitpatel.ph@charusat.ac.in

Oral formulation is widely accepted by all age of patients. The effervescent pellets formulation gives faster onset of action compared to other conventional formulations. It is prepared using acid source and carbonate source. The acid and carbonate source react in presence of water and generate carbon dioxide. Chlorpheniramine maleate (CPM) a histamine antagonist is widely used for itchy, watery eyes, allergic rhinitis and other respiratory allergy. In present study prepared effervescent pellet formulation of CPM was using citric acid as acid source, sodium bicarbonate as carbonate source and poly ethylene glycol meltable binder. Pellets were prepared by extrusion-spheronization process which is a preferred process in industry. Pellets were evaluated for uniformity of content, amount of carbon dioxide generated, effervescent time and in-vitro drug release profile. The amount of acid, alkali and type and amount of PEG were optimized. Batch containing polyethylene glycol 4000 and sodium starch glyconate exhibited 6 sec. effervescent time and 83% of drug was released in 2hrs. In conclusion oral effervescent pellets having good dissolution and effervescence were developed.

PT-16

Development of Nicardipine Hydrochloride Osmotic Tablet Using an Asymmetric Membrane Technique

Sharma Sapna, Mehta Tejal

Department of Pharmaceutics
Institute of Pharmacy, Nirma University,
Ahmedabad 382 481, (Gujarat) India
sapnasharma0211@yahoo.com

Osmotic drug delivery is one of the controlled drug release technology having membrane coating with semipermeable membrance. The drug release occurs from the orifice which drilled by laser or manually. The aim of present study was to develop asymmetric membrane (AM) coating which containing porous membrane in the outer skin and offer significant advantages over the conventional osmotic tablets like no need to drilled orifice and give the drug release with zero order kinetic in sustained manner. Nicardipine hydrochloride (NH) is potent calcium channel blocker used for the management of patients with chronic stable angina and for treatment of hypertension having half-life 8.6 hrs, and available in capsule form in the market but food effects and patient compliance affected so asymmetric membrane containing tablets can reduce the side effect of the NH capsule. NH is water insoluble Thus, attempts were made to improve it solubility before developing its OCDDS. The complexation using β-CD was utilized to improve its solubility. This inclusion complex was used in formulation of core tablets that coated with the asymmetric membrane. Core tablets were prepared using, HPMC (50cps) as carrier NaCl as osmogent. Asymmetric membrane coating was obtained by use of cellulose acetate in acetone/water solvent and glycerol as pore forming agent also added. The results of optimized batch showed zero order drug release. Further, drug release was also found independent of pH, food effects, agitation etc. The study indicated that development of NH tablets using asymmetric membrane approach is advantageous than conventional osmotic tablets.

PT-17

Pulsatile Drug Delivery System: As Novel Aprroach for Programmed Pulse Release Devices

Satani Rajesh, Raval Mihir, Sheth Navin.

Department of Pharmaceutical Sciences, Saurashtra University, Rajkot

raj.satani52@gmail.com

Pharmaceutical research strives to design drug delivery system that respond to therapeutic needs considering like circadium rhythms in physiological parameters and pathological condition (e.g. Hypertension, asthma, angina pectoris). The pulsatile dug release provides in such a way that a complete and rapid drug release is achieved after the lag time. So, various novel approaches for programmed pulsatile drug delivery device like: Time controlled system, single unit system (capsular system and osmotic system), multiple unit systems (system with erodible membrane soluble or rupturable membrane, system with change membrane permeability and low density floating approaches), stimuli induced system (temperature induced, chemical induced) and externally regulated system (magnetically stimuli system, ultrasonically stimuli system, electrically stimuli system, photo-stimuli system and mechanical force induced system). These provide beneficial effects for drugs having chronopharmacological behavior where night time dosing is required, such as anti-anginal, anti-asthmatic, anti-arrhythmic. It summarizes the latest technology development, formulation parameters and release profile of these systems. Pulsatile Drug Delivery Systems are gaining a lot of interest as they deliver the drug at the right place at the right time and in the right amount, thus providing spatial and temporal delivery and increasing patient compliance. This paper outlines the concepts that have been proposed to release drugs in a pulsed manner from pharmaceutical devices.

PT-18

Exploration of Novel Sustained Release Multifunctional Coprocessed Excipient in Development of Modified Release Tablets of Water Soluble Drug

Patel Smita, Joshi Disha, Shukla Kinjal, Parejiya Punit

K.B. Institute of Pharmaceutical Education and Research, Gandhinagar, Gujarat

italiya.smita@gmail.com

The study was undertaken to develop novel sustained release multifunctional Co-processed excipient. Further it was also aimed to achieve first order drug release for water soluble drug. Slugging method was adopted in preparation of co-processed excipient (CPE). Co-processed excipient was optimized by trial and error method. The CPE composed of 75% HPMC K100M, 20% EC and 5%PVP K30 was found optimum out of trail batches. Tramadol HCl (water soluble drug) was used as model drug for characterization of CPE. The prepared CPE was characterized for powder properties, tablet properties and drug release characteristics. Optimized CPE was evaluated by scanning electron microscope (SEM), Fourier infrared spectrophotometer, X ray diffraction, dilution potential, lubricant sensitivity test, particle size distribution. The compressibility behavior of the CPE was evaluated by Heckle's equation and Kawakita equation. The prepared CPE was characterized for stability by short term stability study. Incorporation of PVP K 30 at 5% in to CPE was found compulsory to attain loading dose. The results of SEM showed smooth surface of CPE particle as compared to physical mixture of the excipients employed. Fourier infrared spectrophotometer of CPE and physical mixture were identical which indicates that there was no interaction between the excipients used. The CPE was further validated for sustained release efficiency by other couple of water soluble drugs (Diltiazem HCl and Propranolol HCl). The results of short term stability study and FTIR spectra exhibited stable characteristics of CPE with used drug.

PT-19

Development and Optimization of Elementary Osmotic Pump Tablet of Nicardipine Hydrochloride Using Central Composite Experimental Design

Patel Kunal, Mehta Tejal

Department of Pharmaceutics and Pharmaceutical Technology, Institute of Pharmacy, Nirma University, Ahmedabad-382481, Gujarat, India

k_gadhiya1983@yahoo.co.in

Elementary Osmotic Pumps (EOP) consists of osmotic core (coated with a semipermeable membrane (SPM) and a small orifice is created in the membrane. The objective of the present study was to develop an optimized EOP tablets containing inclusion complex of Nicardipine Hydrochloride (NH) using central composite design. Amount of osmotic agent (X1) and size of delivery orifice (X2) were selected as independent variables. Formulations were prepared by direct compression method and evaluated for % Cumulative Drug Release (% CDR) at 540min. as dependent variables. Amount of osmotic agent and size of delivery orifice had a significant effect on % CDR. The results of multiple linear regression analysis revealed that EOP tablets should be prepared using an optimum concentration of osmotic agent and size of delivery orifice to achieve a zero order drug release. Contour plots as well as response surface plots were constructed to show the effects of X1 and X2 on % CDR. a model was validated for accurate prediction of % CDR by performing checkpoint analysis. The computer optimization process, contour plots and response surface plots predicted at the concentration of independent variables X1 and X2 (50mg and 0.8mm respectively), for maximized response. The drug release from the developed formulation was found independent of pH and agitational intensity. The above optimized batch was also evaluated by different pharmacokinetic models. Stability study of optimized batch was conducted at accelerated conditions for six month and it was found to be stable.

PT-20

Formulation and Evaluation Mucoadhesive Oral Films of Rizatriptan Benzoate Using Indian Sago Pearl Starch As a Film Forming Polymer

Bansal Garima [1], Garg Vipin[2], Nitin Kumar

[1] Teerthanker Mahaveer University, Moradabad, UP

[2] Meerut institute of Engineering and Technology, Meerut, UP

garimagarg2000@hotmail.com

In the present work we investigated the Indian sago pearls or tapioca pearls as a film forming polymer for mucoadhesive oral films of antimigraine drug rizatriptan benzoate. Rizatriptan Benzoate is preferred antimigraine drug with its shorter time to maximum concentration (tmax) tended to produce a quicker onset of headache relief than sumatriptan and zolmitriptan. The films were prepared by solvent casting technique using glycerol as plasticizer and a full 32 factorial design was adopted for the formulation of mucoadhesive thin films of antimigraine drugs. Concentration of sago starch and concentration of beta cyclodextrin were selected as two independent variables where beta cyclodextrin was incorporated to mask bitterness of Rizatriptan benzoate. The prepared films were characterized for number of parameters like physical appearance and surface texture, weight uniformity, thickness, folding endurance, swelling index, surface pH, drug content uniformity, drug-excipients interaction study, and in-vitro drug release study. The batches containing higher concentration of starch exhibited comparatively rough surface, lower hydration and release of drug due to or compact structure and higher viscosity. The batch R3 was selected as best formulation as it exhibited maximum swelling, mucoadhesion and in-vitro drug release. The FTIR studies revealed that there is no interaction of drug with starch and other excipient used.

PT-21

Preparation and Evaluation of Ondansetron Orally Disintegrating Tablets (Odts) By Directs Compression Method.

Chothe Pankaj, Shete Amol, Doijad Rajendra

Shree Santkrupa College of Pharmacy Ghogaon, Karad, Maharashtra

pankajchothe@rocketmail.com

The objective of present investigation is to prepare and evaluate Ondansetron orally disintegrating tablets (ODTs) by directs compression method and to study the effect of various superdisintegrants and sweeteners. The present study consist of two trials, in first trial nine different formulations were prepared by varying conc. of various superdisintegrants (i.e. Croscarmellose sodium, Sodium starch glycolate, Crospovidone (Polyplasdone XL10) and in second trial three different formulations were prepared by using various sweeteners (i.e. Sodium saccharin, Sucralose, Acesulfame potassium). Formulations were evaluated for weight variation, hardness, friability, disintegration, % drug content,in vitro release study and stability testing. It was concluded that ondansetron was successfully formulated in orally disintegrating tablet which was found to be stable up to 3 months, having good mouth feel, faster disintegration and better drug release.

PT-22

Formulation, Development and Evaluation of Multiple Particulate Floating Drug Delivery For Sustained Release Micro Beads of Baclofen

Pansara Chintan, Tadvi Ronak, Shah Jigar

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat

12mph101@nirmauni.ac.in

The objective of the present work is to prepare multiparticulate floating alginate micro beads by the Ionotropic gelation method with gas forming agent Calcium Carbonate. Different strategies have been used to develop a formulation with enhanced drug encapsulation efficiency by adding chitosan. Moreover delay in the drug release was observed by coating micro beads with Eudragit RS30D. It was found that the drug encapsulation efficiency of chitosan-alginate micro beads was much higher than that of the calcium alginate micro beads. The formulation was prepared with Sodium alginate, gas forming agent like $CaCO^3$ and chitosan was optimized for different weight ratios. The entrapment efficiency of micro beads was in between 68.50-78.87% and in-vitro release of optimized formulation in 24 hr was studied. FTIR Spectroscopy, SEM, DSC and X-Ray studies were performed for optimized formulation. Drug and excipients compatibility was studied by FTIR Spectroscopy and no incompatibility was observed. From the results of Scanning Electron Microscopy it was revealed that micro beads were found in spherical in shape and porous nature. DSC results shows that the incorporated drug was encapsulated in a molecule dispersed from inside the cross-linked particle matrix. X-ray studies in healthy human male volunteer showed the position of beads in the upper part of the stomach. In vitro studies showed that coated micro beads were able to float over simulated gastric fluid for 24 hr. Thus the suitable sustain release multiparticulate system with good floating efficiency; optimum drug entrapment efficiency has been developed.

PT-23

Development of Liposome Formulation of Bicalutamide Drug for the Treatment of Prostate Cancer

Shah Nirmal, Shrotriya Kautal, Chauhan Sachin, Seth Avinash

Department of Pharmacy, Sumandeep Vidyapeeth, Piparia, Vadodara – 391760, Gujarat

nimspharma@gmail.com

Present study deals with the development and characterization of liposome formulation of bicalutamide drug for the treatment of prostate cancer. Liposomes were prepared by lipid hydration method using 3^3 factorial designs. Various preformulation studies like solubility analysis of drug and excipients, screening of drug by UV and DSC, compatibility studies by FTIR were carried out. All batches were formulated and subjected to investigate the influence of process parameters such as drug – lipid ratio, hydration time and hydration volume on the physical characterization of liposomes such as size, shape and drug entrapment. It was found that, there was not any influences of those parameters on size and shape of liposomes. But, significant influences were observed on drug entrapment as increase in drug – lipid ratio, the drug entrapment was also increased. The optimization of the formulation was done by desirability function. Contour plots were drawn by using reduced polynomial equation and check point analysis was carried out. According to this statistical analysis, Batch – 9 was selected as optimized batch. This batch had shown slow and S – shaped release profile and did not exhibit any burst effect. Bicalutamide release from liposomes was well described by first order kinetics followed by Higuchi square root model, which indicate that the release mechanism is diffusion controlled. The stability study was performed on Batch – 9 at three different storage conditions such as 2-8°C, 25°C and 40°C, it was found that, prepared formulation was more stable at 2-8 °C then other two conditions.

PT-24

Development and Characterization of Solid Dispersion Adsorbate of a Poorly Soluble Drug

Pandya Radhika[1], Mehta Tejal[2], Gohel Mukesh

[1] L. M. College of Pharmacy, Ahmedabad

[2] Institute of Pharmacy, Nirma University, Ahmedabad.

pandyaradhs@gmail.com

The objective of present investigation was to improve the dissolution characteristics of a poorly soluble drug belonging to BCS class II by preparing solid dispersion adsorbate, which eventually will offer improved bioavailability. A combination of solid dispersion and melt adsorption technology was utilized for development of formulation as it helps to improve flowability, compressibility and stability of solid dispersion adsorbate. Various surfactants were used as a melting carrier and Neusilin as an adsorbent to prepare the solid dispersion adsorbate. The formulation parameters comprising of, type of melting carrier and carrier: adsorbent: drug ratio were optimized, to a ratio of 4:2:1. The optimized formulation was then subjected to various physicochemical characterization studies utilizing techniques such as Fourier Transform Infrared spectroscopy, Differential Scanning Calorimetry and X-ray diffraction. The analysis of the data from these techniques revealed decrease in the crystalline fraction of drug which might be responsible for improved solubility. In summary, the improved solubility due to decreased crystallinity lead to improved solubilization, which was supported by in-vitro release study of solid dispersion adsorbate and the marketed formulation, wherein compared to commercial product, the solid dispersion adsorbate showed significant enhancement (10%) of the dissolution rate.

PT-25

Formulation and Optimization of Orally Disintegrating Tablet of Domperidone Using D-Optimal Design

Pathak Ronak, Mehta Tejal

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat

rdpathak93@gmail.com

The objective of present study was to develop orally disintegrating tablets (ODT) of Domperidone (DOM), an anti-emetic drug. ODT is having many advantages over conventional tablet formulation like faster onset of action, ease in administration for both children as well as elder patients etc. Tablets were prepared by direct compression method. Preliminary trials were taken to study the effect of diluents (Mannitol, MCC, Ludiflash, and Xylitol) and disintegratants (crosspovidone, crosscarmellose sodium, L-HPC, sodium starch glycolate) on ODT. MCC, Ludiflash and L-HPC were selected on the basis of results of preliminary trials and were further optimized by applying D-optimal design. In design, MCC to Ludiflash ratio and L-HPC were taken as independent variables while friability, disintegration time and wetting time were taken as dependent variables. Result shows that ODTs having composition in ratio of MCC to Ludiflash at 70:30 %, and L-HPC at 8% were showing fast disintegration as well as wetting time and friability within acceptable limit. Optimised tablets were having disintegration time of 16 seconds, friability 0.2%, wetting time 20 second and complete drug release within 30 minutes. It can be concluded that D-optimal design was successfully employed for the development of Domperidone ODTs. However further scale up is necessary for industrial applicability.

PT-26

Formulation and Evaluation of Lipid Microspheres as Controlled Drug Delivery for Water Insoluble Drug

Kale Bhushan, Bhoyar Milind, Choudhary Nilesh, Avari Jasmine

Department of Pharmaceutical Sciences, R. T. M. Nagpur University, Nagpur, Maharashtra

kalebhushan21@yahoo.in

The present studies were carried out to formulate lipid microspheres of water insoluble anti-inflammatory drug ‘ibuprofen’ to provide controlled release and minimize or eliminate local side effect in the upper gastrointestinal tract by avoiding the drug release. There are very few reports explains the effect of pH of external medium on the study of Compritol ATO 888 (glyceryl behenate) lipid microspheres of ibuprofen by microencapsulation method i.e. melt dispersion method. Ibuprofen has a short biological half-life of 1.4-2.6 hours, low aqueous solubility and high lipophilicity. The objective of this research was to study the effect of the lipid matrix, glyceryl behenate on the entrapment efficiency of ibuprofen. Effect of process variables on evaluation parameters and in-vitro release of ibuprofen were studied. Scanning Electron Microscopy study after dissolution showed the presence of pores on the surface of microspheres and roughened surface, which indicates dissolution and diffusion of the entrapped drug crystals in the dissolution medium. The optimized batch of lipid microspheres was prepared with drug-lipid ratio (1:2), pH 4.5 of aqueous medium and suitable modifier resulted in the highest entrapment efficiency (88.85%) of ibuprofen. Thus, it proposes that Compritol ATO 888 may have a wider application to prepare lipid microspheres for water insoluble drugs and for targeted drug delivery systems.

PT-27

Dissolution Enhancement of Poorly Water-Soluble Olmesartan Medoxomil Using Liquisolid Technique

Patel Varun, Vasava Deepika,
Shah Dhaval, Thakkar Hetal

The Maharaja Sayajirao University of Baroda,Vadodara, Gujarat

Shree G.H.Patel Building, Pharmacy Department, Donor's Plaza, Nr. FDL, Fatehgunj, Vadodara-390002. Ph no: 0265-2794051

hetal_thakkar11@yahoo.com

Olmesartan medoxomil is a selective AT1 angiotensin type II receptor blocker for the treatment of hypertension, administered orally. Its absolute bioavailability is only 26% due to poor aqueous solubility (10.5µg/ml).The poor dissolution rate of water-insoluble drugs is still major problem confronting the pharmaceutical industry. The objective of the present investigation was to enhance dissolution rate of Olmesartan Medoxomil using liquisolid technique. Liquisolid compacts were prepared using PEG 400 as a non-volatile vehicle, Alfacel PH 200 as carrier material, Aerosil 200 as coating material in different ratios. The DSC and FTIR study confirmed the absence of any interaction between the drug and excipients used in the preparation of liquisolid compacts. The in vitro dissolution study confirmed significantly higher dissolution from the liquisolid tablets compared to directly compressed tablets and marketed formulations. The optimized batch of Olmesartan medoxomil liquisolid tablets showed more than 95% dissolution in 90 min signifying the possibility of enhancement of bioavailability.

PT-28

Novel Granulation Technology: A Novel Approach for Desired Solid Dosage Form

Trivedi Khushbu, Mishra Renuka

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat

khushbu.248@gmail.com

Granulation is a prominent unit operation, which is used in the pharmaceutical industry to enlarge and densify small powder particles into larger ones. Granulation improves powder flow so that material can be compressed into a solid dosage form. Granulation within the pharmaceutical industry has for many years, been performed through techniques like dry granulation, wet granulation and direct compression. Dry granulation is utilized where API is hygroscopic and prone to hydrolysis. Wet granulation is the most suitable and common method used in pharmaceutical industry. Direct compression is economical, dry process consisting of fewer steps but requires directly compressible excipients like MCC. The innovations within these conventional techniques makes granulation process more specific. The novel technologies are Pneumatic Dry Granulation, Freeze Granulation, Foamed Binder Granulation, Moisture Activated Granulation Technology, etc. These techniques shorten granulation time, reduce equipment cost, enable use of heat labile and moisture sensitive drugs and provide many other advantages. The use of modified excipients also provides novel approach to granulation technology. It can be concluded that novel granulation technologies provide better platform for tablet manufacturing with specific requirements.

PT-29

Ophthalmic In-Situ Gel For Treating Ophthalmia Neonatorum

Avari Jasmine, Das Ujjalkumar, Morris Princy

Dept. of Pharm. Sciences, R.T.M.N.U., Nagpur Maharashtra

jasminegavari@gmail.com

Ophthalmia Neonatorum or purulent conjunctivitis of the newborn is caused to the infant by the mother infected with Neisseria Gonorrhea during passage through the birth canal. It is common in developing countries and its treatment using a single antibiotic raises the potential to develop resistance. With this in mind and in order to increase the precorneal residence time of drugs in the eye cavity it was envisaged to formulate an ophthalmic in-situ gel. The drugs selected were Gemifloxacin mesylate (GFX) and Azithromycin dihydrate (AZT). For the formulation of in-situ gels, Gelrite and HPMC E50 LV were selected as gelling agent and viscosity modifiers respectively. Using these excipients in varying proportions different batches of combination gel each containing 1% AZT and 0.3% GFX were formulated. The batch containing 0.3% Gelrite and 0.4% HPMC E50 LV was found to be the optimized batch. The optimized batch showed better ability in retaining the drug for prolonged period of time (8 hours). The cumulative percent drug release was 92.36±1.91% and 93.89±1.31% for GFX and AZT respectively for the optimized batch. Thus, it was concluded that the in-situ gel of AZT and GFX using Gelrite and HPMC E50 LV as polymer can be effectively used for treating Ophthalmia Neonatorum. It was also concluded that Gelrite with HPMC shows better sustained release properties for both the drugs.

PT-30

Formulation and Evaluation of Controlled Release Beads of Capecitabine for Colorectal Cancer

Fadke Janki, Patel Namrata, Desai Jagruti, Thakkar Hetal

The Maharaja Sayajirao University of Baroda, Vadodara, Gujarat.

hetal_thakkar11@yahoo.com

Capecitabine, an orally-administered chemotherapeutic agent, is a prodrug that is enzymatically converted to active 5-fluorouracil. The plasma half-life is 0.85 hours and oral bioavailability is 40%. It is given at a high dose of 1250 mg/m2 twice a day for colorectal cancer leading to various side effects. In the present study, beads of capecitabine were formulated that target the drug to colon and also give controlled release. Beads were formulated by ionotropic gelation method. Sodium alginate: pectin ratio and chitosan concentration were optimized by 32 full factorial design of experiment. % entrapment efficiency (EE) and % drug release were taken as response parameters. The optimized beads were evaluated for different parameters. Average particle size and EE were found to be 1395.76 µm and 69.29% respectively. Morphology study showed that the beads were almost smooth and spherical in shape. DSC study confirmed entrapment of drug in beads. FT-IR study showed no drug polymer interaction. Drug release was found to be 49.43% in-vitro at the end of 9 hr. MTT assay using HT29 cell line showed 63.92% cell death in 3hr at colonic pH. High swelling index was observed in the phosphate buffer pH 7.4 than at pH 6.8. Mucoadhesivity was found to be 70% at the end of 6 hr. Thus formulated beads could effectively deliver drug to colon.

PT-31

Design and Development of Immediate Release Tablets By QBD Approach

Kadtan Dinesh, Ishi Pankaj, Mahajan H.

R. C. Patel Institute of Pharmaceutical Education and Research, Shirpur (Maharashtra).

dineshkadtan@gmail.com

The pharma industry is witnessing revolution in new drug delivery techniques. Nevertheless, abiding the Quality and Safety of the drug and their delivery, convenience of manufacturing and patient compliance has maintained their significant importance in the design if drug delivery systems. The present QbD designed drug delivery system exhibits various advantages viz. commonly used pharmaceutical excipients, process feasibility and desired release. Also includes the improved patient compliance and reduction of dosing units and cheaper product. In the light of this information, the present QbD study would deal with design, development and evaluation of conventional dosage form containing combination of an antibiotic along with an analgesic/antipyretic drug, which would assure product efficiency, safety and quality as per the regulations. Process and formulation parameters were also optimized with design expert variations. High percentage of API's in the product led to the use of dry granulation for manufacturing granules. The prepared tablets were evaluated on the basis of various pharmacopoeial specifications. Disintegration time of 12-13 min. was observed along with more than 90% drug dissolved within 30 min. Tablets were having sufficient hardness and friability within limits. Drug content and disintegration and dissolution parameters too were met by the product. The stability testing of optimized batch at 400C/75% RH revealed no significant changes either physically or any color change which indicated the stability of formulated product.

PT-32

Techniques for Particle Characterization: A Review

Soni Kripali, Parikh Dhaivat; Mehta Tejal

Institute of pharmacy, Nirma University, Ahmedabad, Gujarat

soni.kripali07@gmail.com

Characterization of particle size and size distribution is critical step for dosage form design and development for variety of pharmaceuticals and nano-technology based products. Particle sizing study involves the measurement of mean particle size of sample as well as particle size distribution study and many more statistical parameters. The particle size can have considerable importance in a number of industries including the chemical, mining, forestry, agriculture, and aggregate industry. Traditionally, particle size is being measured by various techniques like counting few particles by microscopic method (optical & electron), sieving the powder sample (mechanical shaking, gas oscillation) and sedimentation of particle in liquid media (pipette method, hindered settling, centrifugal method) at laboratory scale. However, these methods are not sophisticated as well as they are not reliable & reproducible. Newer techniques for particle size characterization include use of sophisticated techniques which provides the accurate and reliable results. The newer methods includes induced grating (IG) technology, 3D surface imaging, laser diffraction, dynamic light scattering, acoustic spectroscopy, air pollution emissions measurement, single particle light scattering photometry, CCD based tomography, atomic force microscopy, etc. The in-depth knowledge of these techniques alongwith its merits, demerits and applications may be useful by formulation scientists for development and evaluations of newer dosage forms.

PT-33

Need To Prescribe Generic Medicines by Doctors and Its Benefits for Patients

Baldia Bhumi, Patel Hardik, Charming Vakil

MBA Pharma Department, KSV University, Gandhinagar.

bhumi.baldia1990@gmail.com

Generic medicines are those which contain the same active ingredient (the ingredient which acts to cure the condition the medicine is used to treat) in the same quantity as a brand-name medicine. Branded medicines means only that medicine which are having same active ingredients as generic medicines, but it is given a specific name by manufacturer company to differentiate their product from competitor. Thus we can say that generic medicines have the same effect on the body in terms of curing disease as the brand-name medicines. Now days we found that doctors are prescribing branded medicines to treat any decease even generic drug is available which containing same active ingredient. Every country has their own food and drug authorities and that only approves generic medicines which are having same active ingredient and having same therapeutic effect for any disease. If a government department or agency only approve such medicines then why we should not prefer such medicines as they are available at lower cost? What can be the reason behind prescribing branded medicines more by doctors? Also now day's health care cost is very much for patients because of many diseases. So in such condition low cost generic medicines can reduce overall health care cost for public also. Generic medicines are also having economic advantage for patients. Other benefit of prescribing generic medicines is easy availability. As compare to generic product branded medicines are costly only because of more spending on its promotion and marketing by companies for their respective companies. The main question here is why patients have to pay for such expenses done by companies to earn profits from their branded medicines? This review article will be helpful to uncover such facts about generic medicines and branded medicines and will also be helpful to create awareness about same benefits from generic medicines like branded medicines among the patients as well general public.

PT-34

Dissolution Enhancement of Poorly Soluble Drug by Using Hot Melt Extrusion

Patel Parth, Shah Tejal

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat, India

parth57patel@gmail.com

Hot melt extrusion (HME) involves the compaction and conversion of blends from a powder or a granular mix into a product of uniform shape.Hot melt extrusion (HME) is a widely used process in the preparation of solid dispersion in a single step. Initially HME is used to prepare solid dispersion to enhance solubility of poorly water soluble drugs. The main objective of the work was solubility enhancement of hydrochlorothiazide, by the selected method hot-melt extrusion technique. Hydrochlorothiazide is a BCS class-IV drug having low solubility and low permeability (water solubility- 722 mg/L, at 25 °C). It has half –life 5.6 and 14.8 hours. It is diuretics used in the treatment of hypertension, so in that condition rapid onset of action is required. So as the solubility increases the onset of action will be fast and the bioavailability may increase. In this context attention was focused on the elucidation of the mechanism of drug release from solid dispersion, the physico-chemical processes taking place during Hot-melt extrusion, and thermo-dynamical stability of the technique. The prepared solid dispersion was evaluated for drug content, percentage yield, solubility study and in-vitro dissolution study. The Solid Dispersion of the Hydrochlorothiazide prepared by Hot melt extrusion method using Poloxamer 188 as carrier which is very soluble in water for maximum solubility enhancement of Hydrochlorothiazide. The method was feasible because of low melting points of poloxamer 188 and Hydrochlorothiazide; which facilitate better control over process variables such as temperature, shearing rate and time required for preparation.

PT-35

A Novel Approach of Cubosomes: As Cubic Liquid Crystalline Nanoparticles

Koyani Vaishali, Patel Nirav, Sheth Navin.

Department of Pharmaceutical Science, Rajkot

Department of Pharmaceutical Sciences Saurashtra University, Rajkot

koyanivaishali@gmail.com

Cubosomes contain honeycombed structures or cavernous structures consists of two internal aqueous channels and a large interfacial area .Cubosomes are self-assembled so, they produce more and more attention and interest beyond the first discovery and nomination. Cubosomes are widely used in melanoma therapy as nanoformulations and second/third degree burn infection by incorporating cubosomes in gel base, due to their highly potential benefits. The advantages like high drug payloads to high internal surface, biodegradability of lipids, and ability of encapsulating hydrophobic molecules. The main one of the advantages is they give the controlled release of bioactive agents. There unique structure makes them biologically compatible and capable of controlled release of solubilized active ingredients like drugs and proteins. Due to early realization of their potential, the manufacture of Cubosomes on a large scale embodied difficulty of their phase separation and viscous properties. But by applying new technical process of simple mixing of two solvents, with a minimal input of energy can resolve that problem. While applying this method we produce the versatile Cubic liquid crystalline nanoparticles. So, applying the new concept, remove the stability problem of Cubosomes. This work focuses on manufacturing techniques, system forming cubic phase, mechanism of dosage form, applications and list of marketed formulations. The review suggests that Cubosomes intellectual property that resulted and the eventual use of patented technology.

PT-36

Optimization and Evaluation of Microwave Assisted Synthesis of Magnetite as a Carrier for Targeted Drug Delivery System

Raut Tushar[1], Srivastava Brijendra[2], Gide Paraag[3], Deokar Gitanjali[1]

1 MET's Institute of Pharmacy, Bhujbal Knowledge City, Nashik

2 Jaipur National University, Jaipur

3 Dr. L.H. Hiranandani College of Pharmacy, Ulhasnagar

tushar.raut85@gmail.com

The present research work is a novel, cost effective method of synthesis of magnetite. Magnetite is a carrier which is used in the targeted drug delivery system. The conventional methods of preparation of magnetite takes around 6 to 7 hours for the completion of reaction, moreover the particle size of magnetite which we get by the conventional methods is above 5 microns so the present work aims at preparing magnetite with microwave assistance which has found to reduce the reaction time with particle size obtained below 5 microns. The aim of this study was to optimize magnetite synthesis. Magnetites were synthesized using oxidation of ferrous sulphate. In the next step, the effect of different variables on particle size are studied, including the stirring speed, microwave power (Watt), stirring time. Based on the type and the variables studied, magnetite was prepared and their particle size was determined. Finally, by using optimized process parameters, magnetite were evaluated on the basis of SEM, XRD and particle size and revealed that particle size by using microwave techniques was found to be below 5μm and having good magnetic property.

PT-37

Formulation and Optimization of Mouth Dissolving Film of Naratriptan Hydrochloride

Koradia Krishna, Sidhdhapara Pradip, Sheth Navin

Department of Pharmaceutical Science, Saurashtra University, Rajkot, Gujarat

k.koradia@yahoo.co.in

Migraine is a primary episodic headache disorder characterized by various neurological, gastrointestinal and autonomic changes. A Variety of medication are available to treat the migraine attach. Naratriptan is one of them. It's second-generation triptan class of antimigraine drug which having better tolerability and lower recurrence rate as compared to other triptans. Despite of the applicability, Naratriptan also has drawback like fist pass metabolism which reduce its efficacy. Moreover for effective treatment of migraine, faster onset of action of the drug is required. In order to full fill this medical need, fast dissolving film was prepared using HPMC E6 as a film forming polymer and glycerol as plasticizer. 32 full factorial design using the Design Expert Software (version 7.1.6) was applied for the optimization of the formulation. Concentration of HPMC E6 and concentration of Glycerol were selected as independent variables and disintegration time, folding endurance, tensile strength and cumulative % drug release at 2 min as dependent variables. Effect of independent variable on the dependent variable was check by regression analysis, response surface plot and counter plot. Selection of optimized batch was done from the desirability plot. From the result of 3^2 full factorial Designs, batch F3 was selected as the optimized batch, which shows higher cumulative % drug release (91 %) and lower disintegration time (22 sec).

PT-38

Novel Compression Coated Bilayer Tablet for Patient Compliant Drug Delivery of Lornoxicam

Mehta Dharmik, Mehta Neel, Shelat Pragna, Parejiya Punit

K.B.Institute of Pharmaceutical Education and Research, Kadi campus, GH-6 circle, Sector -23,

Gandhinagar-382023

mehtadharmik1988@gmail.com

Lornoxicam is one of the drug of choice for Rheumatoid arthritis, the disease characterized by joint pain, stiffness ad impaired movement. The latter is more commonly attributed to "Morning stiffness". The circadian rhythm of the symptoms necessitate the delivery of effective dose in the morning followed by constant controlled release for day time to make the product once daily, patient compliant. In the present work, attempt is made to design compression coated bilayered tablet of Lornoxicam which, when taken at night (9-10 pm) releases first dose at 4 am followed by sustained release of drug upto 12 hours. Bilayer tablet consist immediate release layer (4 mg Lornoxicam) and sustained release layer (12 mg Lornoxicam). SR layer tablet was optimized using 32 factorial designs for two different polymers. It was found that SR layer follows Higuchi model release rate and gave non-Fickian diffusion based release mechanism. Compression coat composition provides lag time of 6 hours for first burst release. The optimized formulation was comparatively evaluated for In vitro release study with different biorelavant dissolution medium along with market product (Flexilor SR 16 mg).

PT-39

Quality by Design for ANDA

Patel Rushi, Butani Shital, Mehta Tejal

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat

12mph112@nirmauni.ac.in

In recent days the manufacturers of generic products should get the ANDAs approval for the sale of their generic product in the market. Manufacturers should prepare the pharmaceutical Product Development Report of their generic product and it is submitted for the ANDAs approval. In this pharmaceutical Product Development Report the manufacturers have ben illustrated the detail of benchmark (marketed) product and their evaluation, targeted product profile, selection of active pharmaceutical ingredient and excipients according to the prior knowledge and their compatibility study, pre optimization and post optimization batches and their dissolution data, and final formula of the product and its evaluation data should mentioned in the report. Manufacturers should also mentioned the technology transfer data which having a data of final product specification, raw material analysis, granulation process validation, and other in process quality control studies which are perform during the manufacturing of generic product. This report is one type of comparison between the benchmark (marketed) product and manufacturers generic product but in this report the aim of manufacturers that their generic product should complies with all the critical criteria of the benchmark (marketed) product. The main aim of these types of studies is to develop the generic products which have good patient compliance.

PT-40

Preparation and In-Vitro Characterization of Sustained Release Ranolazine HCl Microspheres for Treatment of Chronic Stable Angina

Chauhan Sachin, Patel Kirtida, Shah Nirmal, Seth Avinash.

Department of Pharmacy, Sumandeep Vidyapeeth, Piparia, Vadodara, Gujarat, India

sachinpc2004@yahoo.co.in

Ranolazine loaded microspheres of ethyl cellulose polymer were prepared by quasi emulsion solvent diffusion method (Spherical Crystallization Technique) using 3^3 factorial design. The goal of this work was to investigate the influence of some process parameters such as drug – polymer ratio, stirring speed and concentration of surfactant on the physical characteristics of microspheres such as size, % yield, % drug entrapment, % CDR and kinetic of drug release. It was observed from obtained results, that size of microspheres increased with decrease in stirring speed. Drug content was found to be affected by both the parameters i.e. stirring speed as well as drug – polymer ratio. FT – IR and DSC data shows that there was no significant interaction between drug and polymer during preparation of microspheres. All formulations have exhibited slow release profile with good dissolution efficiency. In drug – polymer ratio (1:3), all the batches showed significant burst release. This may be due to drug was not incorporated sufficiently in polymer matrix and was present at surface of microspheres. Ranolazine release from microspheres were well described by zero order followed by Higuchi and Krosmayar- Peppas model indicating drug release was concentration independent and release mechanism was diffusion controlled. Also optimized formulation shows best fit to Baker Lonsdale model which shows that drug release occurred from the spherical matrix system. The optimization was done by overall desirability and contour plot drawn by reduced polynomial equation then check point analysis was carried out.

PT-41

Development of Gastroretentive and Pulsatile Delivery System of Captopril Hydrochloride for Chronotherapy of Hypertension

Patel Hanisha, Doshi Deesha, Doshi Ankur, Lalwani Anita

K.B. Institute of Pharmaceutical Education and Research, Gh/6, Sector 23, Gandhinagar- 382023, Gujarat

honey_hani2@yahoo.com

The objective of this work was to develop and evaluate a gastroretentive and pulsatile drug delivery system of captopril intended for chronotherapy of cardiovasular diseases. Core tablets containing API were screened for various superdisintegrant for achieving burst release after lag time and then compression coated by mixture of hydrophilic erodible polymers and $NaHCO^3$. Amount of $NaHCO^3$ was optimized for getting acceptable floating lag time (FLT) and total floating time (TFT). The effect of various hydrophilic erodible polymers either alone or with combination on the lag time and drug release was investigated and it was found that combination of HPMC K15M: HPMC E50 (60:40) showed satisfactory results. Further optimization was done to study the effect of amount of HPMC K15M: HPMC E50 in the outer coat and amount of Ac-Di-Sol in core tablet on responses like lag time, % CDR during the lag time & % CDR in 1 hr after lag time i.e. at 6 hr. Optimized formulation remained intact during the period of study and released only 3.65% drug within lag time and showed lag time of 285 minutes and released around 98% of drug at 6 hr. Developed formulation did not show alcohol dose dumping effect and was stable during period of stability study. Hence, this novel approach of disintegrating core based compression coated tablet for floating-pulsatile drug delivery system released drug on site-and in time-specific manner as per chronotherapy of diseases.

PT-42

Advanced Optimization Techniques: A Key to Success for Pharmaceutical Formulations

Desai Dhara, Shah Jigar

Institute of Pharmacy, Nirma University Ahmedabad

dharadesai34272@gmail.com

To develop best formulation by 'optimization process', various systemic methods like genetic algorithm, artificial neuron network (ANN), and simulated annealing etc. can be used in pharmaceutical industries. These techniques are having wide applications in industrial planning, allocation, scheduling and decision making etc. The advantages of using these techniques as compared to conventional techniques such as surface models and simplex optimization are best solution with incomplete data and in presence of competitive objectives, do not require rigidly structural experimental designs, fewer experiments needed to perform, easy to trace and rectify problem, significant saving of time, effort, material and cost. Now a days, pharmaceutical industries are facing number of technical problems which could not be tackled with conventional techniques. In this modern era of advanced and automatic computer technology, the way to solve various technical problems faced by formulators and research scientists has been changed and the technology helps to give relevant information with less time and energy in design and execution of experiments with help of these techniques. FDA declared that by January 2013 QbD was made mandatory in all the pharmaceutical industries. These methods are not guaranteed to give the optimal result, in almost all cases they are able to find very good solution where other techniques fail completely. This article gives an overview of application of advanced optimization techniques and its methodology to pharmaceutical industries.

PT-43

Formulation Development and Evaluation of Proniosomal Gel of Lornoxicam

Shah Jigar[1], Shah Hiral[2], Bharadia Praful[3]

[1]Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat, India

[2]Arihant School of Pharmacy & BRI, Gandhinagar, Gujarat, India

[3]B. S. Patel Pharmacy College, Mehsana, Gujarat, India

jigar.shah@nirmauni.ac.in

Lornoxicam is a potent NSAID used for the treatment of various types of pain resulting from inflammatory dieseaes like osteoarthritis and rheumatoid arthritis, available as oral and parenteral formulations. The pharmaceutical value of Lornoxicam has been limited because of low solubility, potential gastrointestinal disorders, cardiovascular risks and limitations for chronic condition. These problems can be overcome by entrapping the drug in vesicles, which can be expected to prolong release, enhance penetration into target inflammatory region, and reduce side effects. Niosomes have shown advantages as drug carriers, like low cost and chemically stable, but they are associated with problems related to physical stability, and leakage on storage. The proniosome approach minimizes these problems by using dry, free-flowing product or liquid crystalline gel that can be hydrated immediately before use on brief agitation in hot aqueous media. Proniosome of lornoxicam was prepared by coacervation phase separation method. The prepared systems were characterized for encapsulation efficiency (EE%), size and in vitro drug release. Stability studies carried out to investigate the leaching of drug from the proniosomal system during storage. The results showed that lornoxicam was successfully entrapped and substantial change in release rate and alteration in the EE% were observed depend on type of surfactants used. The EE% of proniosomes prepared with Span 60 was superior. A preparation with Span 60, cholesterol and lecithin gave maximum EE% (92%) and release rate 88% as compared to other compositions. Stability studies also showed high retention of Lornoxicam inside the Proniosomal vesicles at 4- 8°C for 1 month.

PT-44

Lipoproteins Mediated Dendritic Nanoartifacts for Effective Cancer Cure

Jain Anupriya[1], Jain NK[2]

[1]Adina Institute of Pharmaceutical Sciences, Sagar, M.P,

[2]Dr. H. S. Gour Central University, Sagar, M.P

anupriya.sips@gmail.com

Chemotherapy is a foremost remedial approach for the treatment of localized and metastasized tumors. In order to explore new treatment modalities for cancer, it is important to identify qualitative or quantitative differences in metabolic processes between normal and malignant cells. One such difference may be that of increased receptor-mediated cellular uptake of low density lipoproteins (LDLs) by cancer cells. Lipoproteins in general and specifically LDL are ideal candidates for loading and delivering cancer therapeutic and diagnostic agents due to their biocompatibility. In the present investigation, poly (propylene imine) dendrimers up to fifth generation (PPI G5.0) were synthesized using ethylene diamine and acrylonitrile. Lipoproteins (high-density lipoprotein; HDL and low-density lipoprotein; LDL) were isolated from human plasma by discontinuous density gradient ultracentrifugation, characterized and tethered to G5.0 PPI dendrimers to construct LDL- and HDL-conjugated dendrimeric nanoconstructs for tumor-specific delivery of docetaxel. Developed formulations showed sustained release characteristics in in vitro drug release and in vivo pharmacokinetic studies. The cancer targeting potential of lipoprotein coupled dendrimers was investigated by ex vivo cytotoxicity and cell uptake studies using human hepatocellular carcinoma cell lines (HepG2 cells) and biodistribution studies in albino rats of Sprague–Dawley strain. Lipoprotein anchored dendrimeric nanoconstructs showed significant uptake by cancer cells as well as higher biodistribution of docetaxel to liver and spleen. It is concluded that these precisely synthesized engineered dendrimeric nanoconstructs could serve as promising drug carrier for fighting with the fatal disease, i.e., cancer, attributed to their defined targeting and therapeutic potential.

PT-45

Quality by Design- A High Level Perspective to Build Quality in Pharmaceuticals

Modi Khushali, Butani Shital

Institute of Pharmacy, Nirma University, S.G Highway, Ahmedabad -382481, Gujarat India.

khushali.26@gmail.com

Quality by design (QbD) is a systemic approach to pharmaceutical development that begins with predefined objectives and emphasis product and process understanding and process control, based on quality and risk management. QbD was introduced as an integral part of Process analytical technology (PAT) by US FDA in 2004.This step initiated the industry to ensure product quality and performance by product and performance by looking beyond quality by testing (QbT). QbD is applicable to both NDA and ANDA. For NDA, Target product profile (TPP) is under development while in case of ANDA, TPP is well established by labelling and clinical studies .Three important tools that are used in QbD are Design of experiments (DoE), risk assessment and Process analytical technology (PAT). In order to focus on product development, International conference on harmonization (ICH) in 2005 gave the concept of Design space under Q8 guidelines. Main function of QbD is to observe and understand how the formulation characteristics and process interacts with each other and then optimization of these parameters to maintain the quality of product and achieve desired goal for the formulation. QbD provides platform for pharmaceutical innovation, scientific discussion and collaboration between industry, regulators and sponsors. The concept of Quality by design will help in strengthening regulatory structure and will provide with the improved process and production performance.

PT-46

Development and Characterization of Ligand Conjugated Multiwalled Carbon Nanotubes for Targeted Drug Delivery to Cancer

Mehra Neelesh, Jain NK.

Pharmaceutics Research Laboratory, Department of Pharmaceutical Sciences, Dr. H. S. Gour Vishwavidyalaya, Sagar 470 003, (M.P.), India

neelesh81mph@gmail.com

In the present study, DOX loaded folic acid-polyethylene glycol-4000-bis amine- and folic acid-multi walled carbon nanotubes (DOX/FA-PEG-MWCNTs and DOX/FA-MWCNTs, respectively) were evaluated as promising nano-architecture for site specific delivery with improved therapeutic outcomes of DOX. The loading efficiency was determined to be 92.0±0.92 (DOX/FA-PEG-MWCNTs) in phosphate buffer solution (pH 7.4) ascribed to π-π stacking interaction. The developed nanoconjugates were evaluated for in vitro DOX release, erythrocytes toxicity, ex vivo cytotoxicity and cell uptake studies on MCF-7 (breast cancer cell line). The DOX/FA-PEG-MWCNTs nanoconjugate affords higher efficacy in tumor growth suppression due to its stealth nature and most preferentially taken up by the cultured MCF-7 through caveolae-mediated endocytosis as compared to free DOX. The in vivo studies were performed to determine the pharmacokinetics, biodistribution and antitumor efficacy on tumor bearing female Sprague Dawley rats and improved pharmacokinetics confirm the function of FA-PEG conjugated CNTs. The median survival time for tumor bearing rats treated with DOX/FA-PEG-MWCNTs (30 days) was extended very significantly as compared to free DOX ($p<0.001$).

Finally, it can be concluded that the DOX loaded surface modified MWCNTs showed better in vitro, ex vivo and biocompatibility profile with sustained release profile especially at acidic microenvironment corresponding to conditions existing at cancerous tissues/sites.

PT-47

Application of Chitosan-Starch Blends for Controlled Release of Model Drug Through Oral Drug Delivery

Shah Nimish[1], Mewada R[1], Mehta Tejal[2], Sharma Omprakash[2]

[1]Chemical Engg Dept, Institute of Technology, Nirma University, Ahmedabad

[2] Dept of pharmaceutics, Institute of Pharmacy, Nirma University, Ahmedabad

nimish.shah@nirmauni.ac.in

Natural polymeric exipients produced from Plant has been proved as an excellent alternative to synthetic excipients. As the natural polymeric components are cost effective, eco-friendly in nature and they are locally accessible. We made an attempt to formulate drug loaded matrix tablet that can give sustained release using blend of starch & chitosan. Many researchers have showed in their studies that starch & chitosan both ascertained certain significant properties which make them helpful during the process of formulation development. The absence of any interactions between the drug and the excipient was confirmed by the compatibility study of drug-excipient with FTIR spectroscopy. By using starch –chitosan blend as a matrix forming polymer in fixed proportion, we prepared matrix tablets. The method of preparation of matrix system and the concentration of the components had significant effect on the release of bisoprolol hemifumarate. The matrix tablets were evaluated for weight variation, friability, hardness, thickness, drug content and in-vitro drug release studies. The results suggest that starch – chitosan blend prolonged the release of drug through the matrix tablet, but not sustained one. Physical blend of starch with chitosan promises considerable utility in the development of oral sustained release formulation but some chemical bonding may give us sustained release.

PT-48

Hot Melt Extrusion: An Emerging Drug Delivery Technology

Shah Shreyash, Butani Shital, Mehta Tejal

Institute of Pharmacy, Nirma University, Ahmedabad

Shreyashshah9126@gmail.com

Recently, Formulation of poorly soluble drugs has widely developed. Hot Melt Extrusion (HME) technique is useful for increasing the solubility and dissolution rate, bioavailability. In addition, this technique is useful for the bitter taste masking & controlled drug delivery, API stability, topical drug delivery system, non-aqueous process. This technique provides a quick and continuous process for moisture sensitive drugs. Basically two types of extruders are available Single screw extruder and twin screw extruder. Optimization of HME process through which product quality and performance is assured by proper selection of equipment parameters like screw speed ,feed rate, Melt temperature, torque and power consumption , barrel and die temperature , polymers, plasticizers and other parameters plays a crucial role in the product quality and the desired drug release of the dosage form; have a strong factors affecting for the product quality and its drug release profile .In this Technology hydrophilic binder which is used for instant release formulation and hydrophobic binder are used for sustained release formulation. HME shows many advantages with comparison with solvent based method like co-precipitation and it's used for manufacture of a variety of dosage forms and formulations such as various dosage forms like tablets, capsule, granules, pellets, implants, suppositories, transdermal systems and ophthalmic inserts. HME is the reliable, cost efficient processes and robust process. Potential drawbacks like the influence of heat may adversely affect the drug stability. In near future, HME would certainly emerge as an extremely preferred technology for various new chemical compounds.

PT-49

Inclusion of Novel Natural Superdisintegrant in Preparation of Tramadol Fast Disintegrating Tablet

Karale Chandrakant[1], Pande Vishal[1], Bhalake Rasika[2], Thorat Suvarna[1]

[1]Department of Pharmaceutics, Sanjivani College of Pharmaceutical Education and Research, Kopargaon, Tal- Kopargaon,Dist- A.Nagar, Pin- 423603, (MH), India.

[2]Department of Pharmacognosy, Sanjivani College of Pharmaceutical Education and Research, Kopargaon, Tal- Kopargaon,Dist- A.Nagar, Pin- 423603, (MH), India

chandu6890@gmail.com

Fast Disintegrating Tablet (FDT) offers a solution for those patients having difficulty in swallowing tablet and capsule. Tramadol HCl was selected as model drug. In present study the FDT was prepared using ground nut shell powder as superdisintegrant in 5 mg to 15 mg following by direct compression method. The powder blend was evaluated for precompression parameters angle of repose, carr's index, compressibility index, Hausner's ratio. Prepared tablet were evaluated for uniformity of weight, thickness, hardness, friability, drug content, wetting time, In vitro dissolution test. No chemical interaction between the drug and excipient were found in formulation and was detected by using FTIR and DSC. As the amount of Ground Nut Shell Powder increases the hardness decreases, friability increases, disintegration time decreases. In conclusion the FDT using Natural Superdisintegrant was prepared successfully.

PT-50

Effect of Oral Permeation Enhancer on Intestinal Permeability of Metformin Hydrochloride

Rai Raghvendra, Solanke Amar, Salve Pramod, Bali Nikhil, Wankhede Harshad

Department of pharmaceutical sciences, Rashtrasant Tukadoji Maharaj Nagpur University,

Nagpur-440033, Maharashtra, India

raghv.k.rai@gmail.com

The poor bioavailability of drugs has been identified as the single most important challenge in oral drug delivery. Permeation enhancers are of major interest to improve the low bioavailability of therapeutic agents due to poor membrane permeation. Piperine and glycyrrhizin, were used as possess permeation-enhancers. A 3.0 cm averted segment was then used for permeability experiments. Different concentrations of piperine and glycyrrhizin (2, 4, 6, 8, 10% w/w) were used. Total amount of metformin HCl in mucosal site was 50 mg (100µg/mL) and in 2 hours cumulative drug permeated from mucosal compartment to serosal compartment in absence of piperine & glycyrrhizin (control) and with different concentration of piperine & glycyrrhizin using everted duodenum was determined. Optimized concentration of permeation enhancers were used in formulation of sustained release metformin HCl tablets. It was observed that piperine shown more permeation enhancing effect as compared to glycyrrhizin at similar concentration and hence piperine was selected as a permeation enhancer in sustained release tablets. In presence of piperine (6% w/w) there was 29.49% increase in absorption of metformin HCl. The outcome of this research presents, piperine at 6%w/w concentration used as a promising oral bioavailability enhancer for the metformin HCl.

PT-51

Development of Flash Release Wafers of Amphetamine

Lade Milind, Patil Abhinandan, D'souza John, Kakade Pratik

Department of Pharmaceutics, Tatyasaheb Kore College of Pharmacy, Warananagar 416113, Kolhapur (M.S), India

mslade.tkcp@gmail.com

The buccal cavity has been an alternative route of administration for drugs undergoing extensive hepatic first pass metabolism or degradation and pre-systemic metabolism in the gastrointestinal tract. Drug delivery by wafer into the systemic circulation provides many of advantages as rapid onset of action, sustained delivery, high permeability, high blood flow. Wafer system is easily accessible for both application and removal of a drug delivery system. A wafer is prepared using hydrophilic polymers that rapidly dissolves on the tongue or buccal cavity, delivering the drug to the systemic circulation via dissolution on contact with liquid. In present work flash releasing wafers of Analeptic agents was formulated by Solvent casting technique using polymer like Pullulan, Hydroxy propyl methyl cellulose, Polyethylene Glycol and evaluated for weight variation, film thickness, folding endurance, tensile strength, percent elongation, In-Vitro drug release study, surface pH. The flash releasing wafers was successfully formulated with the aim to achieve rapid, effective and safe dosage form with enhanced drug dissolution and rapid Analeptic activity. The experimental work consists of trials, in which 3 different formulations were prepared by varying conc. of various superdisintegrants (i.e. Croscarmellose sodium, Sodium starch glycolate, Crospovidone (Polyplasdone XL10). Formulations were evaluated for physical characteristics, thickness, folding endurance, surface pH, drug content uniformity and release characteristics.

PT-52

Formulation Approaches for Dissolution Enhancement of Telmisartan

Mishra Renuka, Goswami Rashmi

Institute of Pharmacy, Nirma University

S.G. Highway, Ahmedabad, Gujarat

382481

renuka.mishra@nirmauni.ac.in

Low aqueous solubility is the major problem confronted with formulation development. The challenge to achieve desired dissolution characteristics, stability and in vivo performance becomes more stringent with drugs which are highly hydrophobic (log P > 3) and having exceedingly pH dependent solubility characteristics. Telmisartan, an angiotensin II receptor antagonist (ARB) widely used in the management of hypertension is a representative example of this category. It is a BCS Class II drug which has extremely low solubility in water (0.09 µg/ml) as well as pH dependent solubility which can be observed in a pH range of 3 to 9. In the present study, two of the major techniques for solubility enhancement were investigated, one of which was Liquisolid compaction. Here, compacts were prepared using Avicel PH 102 as carrier material and Aerosil 200 as coating material with varying drug: vehicle ratio and carrier: coating ratio (excipient ratio) and it was observed that highest drug dissolution was obtained at 1:6 drug: vehicle ratio and 5:1 excipient ratio respectively. Another technique which was investigated was inclusion complexation. Inclusion complex was prepared by physical mixing, kneading and solvent evaporation method with varying drug: complexing agent ratio and it was observed that highest drug dissolution was observed in complexes prepared by kneading method. On comparing both the techniques it was concluded that each technique resulted in dissolution enhancement of Telmisartan. However, on comparison inclusion complexation resulted in higher solubility enhancement than liquisolid compaction whereas liquisolid compaction offered an added advantage of direct formulation as a dosage form.

PT-53

Sprinkle Formulation for HIV Positive Paediatrics – An Overview

Shaikh Mohammedkasim, Shah Jigar, Mehta Tejal

Institute of Pharmacy, Nirma University, Ahmedabad

qasim.pharma2@gmail.com

Pediatric patients cannot take their medication at appropriate time and dose. In general, children are no better taking medicament than older individuals because of the bitter taste of the medicament, dose of drug and family member ignorance. Major pharmaceutical companies are to focus on development of various strategies in the new drug delivery systems instead of the costly and time consuming new drug development process. Now-a-days, Innovative oral granule formulation called 'Sprinkles' is developed by different pharmaceutical companies mainly for the pediatric patients. They have used this technology for diagnosis of various diseases like HIV, Gastro esophageal Reflux Disease (GERD) therapy for children. Sprinkle formulation producing good child drug palatable property. Recently combinational drug therapy for HIV is favorable approach to cure and diagnosis of HIV/AIDS patients. This combination treatment is known as highly active antiretroviral therapy (HAART). HAART combination would involve two nucleoside reverse transcriptase inhibitors (NRTI) with either a non-nucleoside reverse transcriptase inhibitor (NNRTI) or one or two protease inhibitors (PI). The products of lopinavir, ritonavir, abacavir, lamivudine and efavirenz in sprinkle formulation are available and promising results were observed. Thus, it is boon to the HIV positive pediatrics.

PT-54

Nanosponge: A Novel Approach for Drug Delivery

Vadgama Neha, Patel Priya, Sheth Navin.

Department of Pharmaceutical Sciences Saurashtra University, Rajkot

Neha.vadgama89@gmail.com

Nanosponges are the innovative drug delivery system that have been recently developed as nanosized carriers having 3-dimensional structure, synthesized by inorganic or organic materials with crystalline or amorphous structure having uniform spherical shape. The average diameter of a nanosponge is below 1µm. This delivery system was originally developed for topical administration of drug, but due to it has some beneficial aspects it can be used for controlled oral drug delivery. It is developed by cross linking the polymer with the cross linker. These systems have the ability to encapsulate the lipophilic as well as hydrophilic drug substances. Due to its high porous nature it can enhances the aqueous solubility of poorly water soluble drugs and hence bioavailability will be improved. As compared to other nanoparticles, nanosponges are insoluble in water and organic solvents, porous, nontoxic and stable in pH from 1 to 11 and at higher temperature up to 300°C. The present article also focus on type of polymeric systems, their evaluation, advancements and their commercial formulations. From manufacturing point of view, such devices are easy to prepare and lowers the production cost.

PT-55

Innovations in Drug Delivery Systems for Photodynamic Therapy in Cancer

Maniyar Apurva, Patel Ashit, Barot Ankit, Lalwani Anita

K.B. Institute of pharmaceutical Education & research, Gandhinagar, Gujrat

apurvamaniyar1992@gmail.com

Photodynamic therapy (PDT) is a two-step procedure. In the first step photosensitizer is administered to the patients and incubation time is allowed when the photosensitizer gets accumulated in the tumor tissue. This is followed by irradiation of the tumor site with light in range of 635-760 nm. The molecular oxygen and photosensitizer in the excited state lead to the formation of singlet oxygen. Reactive oxygen species, hypoxia induced vascular damage and immunogenic responses are proposed mechanisms by which PDT works. By virtue of its mechanism it causes minimal damage to normal host cells and is not toxic to the internal organs. Photofrin, Levulan, Foscan and Visudyne are USFDA approved photosensitizers. Liposomal drug delivery system is used clinically for delivery of the water-insoluble photosensitizers. Light source for the purpose of PTD include laser and light emitting diodes. Clinical applications of PDT are restricted to the areas of the body that are accessible to the radiation form laser and other light sources used in the therapy. Hence treatment using PDT is available for treatment of tumors and neoplasias of the skin, bladder, oral cavity and female reproductive tract. DUSA Pharmaceuticals, Tarrytown, NY, USA, Photocure ASA, Oslo, Norway, Novartis Corporation, NY, USA and QLT Inc, Vancouver Canada, Biolitec Pharma, Dublin, Ireland are the only companies having huge share in PDT. Advancements in the types of light source, photosensitizers and delivery of the photosensitizers so as to reach deeper parts and internal organs and increase the efficacy of the therapy have been proposed.

PT-56

Texture Analyzer: A Boon for Evaluation of Pharmaceuticals

Parikh Dhaivat

Institute of Phramacy, Nirma University, Ahmedabad, Gujarat

dhaivatpharma@gmail.com

Texture analyzer is the sophisticated equipment of pure science for obtaining accurate, reliable and reproducible results of force required either in the form of compression or tension. The equipment contains the load cells attached with sensor to moving element in upward or downward direction. The equipment is widely utilized in the non-pharma field since long time i.e. dairy products, agriculture products, food products, cosmetics, etc. However, the application of texture analyzer is observed in very few pharmaceutical products. Currently, morethan 100 units of the equipment is available in India at various reputed government universities and well-known companies; out of which only 1-2 % belongs to pharma sectors. The accurate force determination is useful evaluation parameter for comparative study of various batches for the pharmaceutical products. The compression feature may be utilized to measure hardness of tablet and pellets, strength of gel by extrusion or puncturing, etc; whereas, the tension feature may be utilized to measure the tensile strength of film or patches, adhesion of gel or tablet or film or any other material. The unique feature of the equipment is the scope of variability in all the process parameters like test speed, target setting in terms of force or distance, type of probes rod or cylinder or cone, etc. Moreover, the software available with equipment facilitates the record of force values at every second and conversion of data in graphical representation as well as overlay plots. Texture analyzer may be proved as a boon in formulation development and optimization.

PT-57

Role of Nanoparticulate Drug Delivery Systems in Cancer Therapy

Khatri Hiren[1], Patel Mayur[2]

1 Saraswati Institute of Pharmaceutical Sciences, Dhanap, Gandhinagar, Gujarat

2 Institute of Pharmacy, Nirma University, Ahmedabad.

hirennkhatri@gmail.com

More than ten million people worldwide are diagnosed with cancer each year. Cancer cells are identical to normal cells in most respects, which make it difficult to find drugs that are selectively toxic to cancer cells while being non-toxic to healthy cells. Development of drug carrier that targets tumor is a challenge to the formulator. Nano-sized drug delivery systems, such as Liposomes, Polymeric nanoparticles, Solid Lipid Nanoparticles, Nanostructured lipid carriers, polymeric micelles, which are capable of delivering their drug selectively to cancer cells, are among the most promising approaches. Usually in a size range of 100-200 nm in diameter, these carriers exhibit numerous characteristics that make them powerful drug delivery vehicles. Various tumor characteristics can be utilized to target them. These carriers can be tailored to alter the pharmacokinetics and biodistribution of drugs through passive and active targeting, leading to increased drug accumulation at target sites while significantly decreasing non-specific distribution to other tissues. Long circulating carriers accumulate in tumor tissue by exploiting the leaky vasculature and poor lymphatic drainage that are characteristics of solid tumors. Many of these carriers increase the solubility and stability of water insoluble drugs. Many anticancer drugs have been successfully marketed as liposomes and nanoparticles which is having various advantages as compared to conventional formulation. Several other drugs are under development in this area. Development of Nanoparticulate anticancer formulations is likely to result in more efficacious cancer treatments.

PT-58

Development in Drug Delivery Device for Oral Administration: 'Tablet-In-Capsule' Technology

Barad Megha, Patel Mayur

Institute of Pharmacy, Nirma University, Ahmedabad

12mph106@nirmauni.ac.in

Solid oral dosage form specifically tablets are the widely accepted dosage form for delivering of medication to the patient population. Mini-tablets are small tablets with a diameter equal to or less than 3 mm that are either filled into capsule or compressed into large tablets or can be administered with a dose dispenser for individual dosing. Tablet-in-capsule device is an emerging multiple unit dosage form which offers great formulation flexibility over conventional single unit dosage form. Various mini-tablets having different release profiles or containing combination of drugs are filled into capsule to form the tablet-in-capsule multiple unit dosage form. Minitablets can be formulated to achieve programmable release, pulsatile release, biphasic release, sustained release, delay release or chronotherapeutic release and incorporated in suitable capsules. Also minitablets of different drug combination can be formulated to improve the therapeutic efficiency of chronic diseases requiring many medications to be given at single time. Tablet-in-capsule dosage form offers several advantages like high degree of dispersion in gastrointestinal tract, reduced dose dumping hence reduced risk of systemic toxicity and reduced risk of high local concentrations. Thus tablet-in-capsule technology is an alternative for pellets/granules in capsule dosage form because of their relative ease of manufacturing and because dosage forms of equal dimensions and weight with smooth regular surface are produced in a reproducible and continuous way.

PT-59

Targeted Drug Delivery Systems for Lung Cancer

Waheed Abdul, Gullaiya Sumeet, Madan Swati

Amity Institute of Pharmacy, Amity University, Noida-125, U.P. (INDIA)

abdul.waheed2050@gmail.com

In the present scenario, lung cancer is one of the most prevalent and malignant cancer especially among the smoking group of people. The targeted delivery of chemotherapeutic agents to the lungs represents a novel therapeutic approach in lung cancer. Lung is an ideal route for administration of anticancer drug as it provides larger alveolar surface area, low thickness of epithelial barrier & extensive vascularization. Nanoparticles with nanocarriers have possibility of cell-targeted drug delivery with minimal systemic side effect and toxicity. Pulmonary epithelial cell, enzymes, receptors and genes are the target of the targeted drug delivery in lung cancer. This paper reviews the till date targeted drug delivery research performed for the treatment of lung cancer.

PT-60

Extended Release Silicone-Hydrogel Contact Lenses For The Treatment of Dry Eyes

Patel Parth, Patel Mayur, Mehta Tejal

Institute of Pharmacy, Nirma Univeristy, Ahmadabad

parthmanilal@gmail.com

Chronic dry eyes are a condition in which tears producing ducts within the eyelid dries up. Tears lubricate the eyelid and help to keep it moist. Lower tear volume results in the poor vision and it sometimes may lead to damage to the eye. Contact lenses loaded with cyclosporine A can be used to tread dry eyes. Eye drops are widely used conventionally to treat chronic dry eyes. Disadvantages of eye drops are its low bioavailability due to its small residence time on the surface of the eyes and its easy removal from the surface. Silicone-Hydrochloride (SiH) contact lenses can be used to give extended release of CyA which enhances bioavailability of drug. Release profiles of CyA from extended release SiH contact lenses and from that of commercial eye drops have been demonstrated which shows drastic increase in the bioavailability of the drug with SiH contact lenses. Vitamin E can also be incorporated in the contact lenses to extend the release of the drug to about one month.SiH contact lenses can be used for the sustained delivery of CyA with increased bioavailability for treating chronic dry eyes.

PT-61

Performance Evaluation of Transmucosal Drug Delivery System

Vaddariya Azaz, Pandya Dipal, Rudatala Anjanabahen, Shelat Pragna

K.B.Institute of Pharmaceutical Education and Research, sector-23, GH-6, Gandhinagar-382023, Gujarat, India

azazvadadriya91@yahoo.com

Delivery of a drug or other substance into the body through the epithelium lining of mucous membrane involved with absorption and secretion. Mucoadhesive drug delivery system depends upon mucoadhesion. Mucoadhesion can be defined as the state in which two components are held together for extended period of time by help of interfacial force. Bioadhesion can be defined as the formation of bond between two biological surfaces. different mucoadhesive drug delivery system are buccal delivery system, oral delivery system, vaginal delivery system, rectal delivery system, nasal delivery system, ocular delivery system etc. these all delivery system are works on basis of mechanism are, swelling or wetting which leads to intimate contact between bioadhesive and biological membrane, followed by penetration of bioadhesive drug into the tissue. Currently, evaluation of mucoadhesive drug delivery system can be done by several methods like park and robinson method, wilnelmy plate method, falling liquid film method. for performance evaluation of transmucosal drug delivery system in-vivo & in-vitro methods are available. Viscometric method, falling liquid film method, adhesion weight method, adhesion number etc. are in-vitro methods. Falling liquid film method are used to evaluate mucoadhesive strength. In-vivo methods are also used. Various in-vivo methods are gamma scintigraphy technique, by use of radioisotopes, x-ray studies etc. generally, for distribution and retention time of mucoadhesive formulation can be studied by gamma scintigraphy technique. Measurement of residence time of mucoadhesives at the application site, provide quantitative information on their mucoadhesive properties.

PT-62

Oral Delivery of Macromolecules: Barrier, Strategies and Recent Advancement

Dave Parth, Parikh Dhaivat, Mehta Tejal

Institute of Pharmacy, Nirma University, Ahmedabad-382481, Gujarat (India)

parthdave303@ymail.com

Macromolecules are giant molecules made from thousands or even hundreds of thousands of smaller molecules. Due to advancement in biotechnology, number of macromolecules such as therapeutic peptides, oligosaccharides and nucleic acids are entering in pharmaceutical arena. In the main, these agents are administered to patients by injection, infusion or by subcutaneous implants. Oral delivery of macromolecules is attractive because it offers improved convenience and patient compliance, and this will reduce overall healthcare costs. Although it represents number of advantages, oral delivery of macromolecules is a major challenge. The effective oral delivery needs to overcome barriers related to degradation in gastrointestinal track, absorption and permeation. Various strategies have been taken into consideration for increasing bioavailability. They include use of enzyme inhibitors to prevent degradation in gastrointestinal track, absorption promoters to enhance the permeability, mucoadhesive polymers to localize drugs to a small defined region and increase the residence time of dosage at absorption site and formulation vehicles like emulsion, liposomes, microspheres and nanoparticles. This article explores the barriers, strategies, and some innovative current technologies under research for oral delivery of macromolecules in the pharmaceutical field.

PT-63

Animal Models to Study Tumor Targeting By Drug Carrier Systems

Parekh Khushali, Patel Maharshi, Gajra Balaram

Ramanbhai Patel College of Pharmacy, Charotar University of Science and Technology, Changa – 388421, Tal.:Petlad, Dist.: Anand, Gujarat

Khuship88@gmail.com

Drug delivery to the specific site is achieved by preparing targeted delivery systems. The targeting is achieved either by passive or active way of targeting. The targeting is also classified as 1st, 2nd and 3rd order targeting based on the organ, tissue or the cellular targeting, respectively. Due to advancement and increase research work in targeted drug delivery, evaluation of targeting through drug carrier systems has always been a matter of discussion. Due to advancement in the analytical and microscopic techniques, it is possible to measure the level of the targeting using various animal models. After inducing the tumor to the animal, the drug carrier system is administered and then by using various techniques like homogenization technique, roentgenography, histopathological observations, radiotelemetry, gamma scintillography, live animal imaging,etc, the drug targeting can be studied, qualitatively and quantitatively.

PT-64

Comparative Evaluation of Capsaicin-Loaded SLNs and NLCs: Development, Characterization and *In-Vivo* Assessment

Agrawal Udita, Vyas S.

Drug Delivery Research Laboratory, Department of Pharmaceutical Sciences, Dr. H. S. Gour Vishwavidyalaya, Sagar 470 003, M.P., India

uditaagrawal.pharma@gmail.com

Present study aims at exploring the potential of SLNs and NLCs in improving the topical delivery of CAP. The purpose was to develop and evaluate the most efficient lipidic smart nanocarrier system for successful CAP topical delivery. Furthermore the in-vitro and in-vivo studies were also performed. CAP-loaded SLNs and NLCs were prepared by solvent diffusion method in an aqueous system. Prepared nanoparticles were characterized for shape, average particle size and zeta potential. The entrapment efficiency (EE %) was determined by measuring the concentration of unentrapped drug in the lipidic dispersion. In vitro skin permeation studies were performed on dorsal skin of hairless albino rats using locally fabricated franz diffusion cell. Skin retention of the CAP was assessed by tape stripping and fluorescent studies. Toxicity of the formulation was assessed by skin irritation studies. Both the systems were nanometric in size as revealed by TEM photomicrographs. Higher amount of CAP can be encapsulated in the NLCs (81.4 ± 3.89%) as compared to SLNs (75.7 ± 4.94%). The cumulative amounts of CAP permeated through the skin and retained in the SC was higher in case of NLCs as compared to plain drug solution and SLNs. No irritation was observed for both the SLNs and NLCs at 72 hr. Results concluded that the lipidic nanocarriers have the ability to augment drug accumulation in the skin layers. However NLCs were found to be more potential carrier for topical delivery of CAP for an effective therapy of psoriasis.

PT-65

Immediate Release Formulation of PPIs - A Paradigm Shift ?

Shah Neha, Mehta Tejal

Institute of Pharmacy, Nirma University

13ftphdp15@nirmauni.ac.in

Gastric acid imbalance (GAI) is one of the major GI diseases that affect more than 10% of population globally. To treat and prevent damage to gastric mucosa from uncontrolled acid secretion in cases of peptic/duodenal ulcers or Gastro-esophageal reflux disease (GERD), many Gastro-Protective Agents (GPAs) are available like antacids, H2-Receptor , Proton pump Inhibitors (PPIs) which include prescription as well as Over-the-counter (OTC) products. Out of all these agents, PPIs have proved to be most effective and have improved treatment of disorders associated to GAI like GERD, peptic ulcer disease, etc. As PPIs are acid labile, these are traditionally administered as delayed release/enteric coated dosage form. Despite of improved treatment, PPIs lack to effectively control and minimize excessive acid secretion like nocturnal acid breakthrough. This, as a basis, led to development of newer dosage form of PPIs like modified and immediate release formulations. Out of this, immediate release formulation of PPIs has shown promising results as compared to traditional delayed release formulations. Apart from this, newer targets were also explored like Potassium competitive acid blockers (PCABs) but it did not prove to be superior to already available treatment. As a consequence, entry of immediate release formulations into market has begun.

PT-66

Cubosomes: An Advanced Vesicular Approach in Modern Era

Jhaveri Mimansa, Patel Mayur, Shah Jigar

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat

vimanjhaveri17@gmail.com

Cubosomes are mainly nanoparticles, but instead of solid they are self-assembled liquid crystalline particles containing certain surfactant with proper ratio of polymers. Commonly used surfactant is monoglyceride glycerol monoolein. Some cubosomes are honeycombed structure separating two internal aqueous channels and a large interfacial area which are optically clear, very viscous and has a unique structure. These types of cubosomes are known as bicontinous cubic liquid crystalline phase. They have high drug payload, biodegradability of lipids, ability of encapsulating hydrophobic, hydrophilic and amphiphilic substances, targeting and controlled release of bioactive agents. They are prepared by simple emulsification of monoglycerides and a polymer by sonication and homogenization. This is done by 2 techniques i.e. top-down and bottom-up. Now a day cubosomes are widely used for melanoma therapy. Due to its bioadhesive property they are used in topical and mucosal depositions. They are also used in cosmeceuticals like anti-wrinkles, in treatment of photo-damaged skin. Cubosomes can incorporate and deliver the potent antioxidants for anti-ageing. They are also administered through intranasal route to improve brain drug delivery and reduce immunogenicity e.g. Lectin is highly immunogenic and toxic for the treatment of Alzheimer's diseases for drugs having poor ability to cross blood brain barrier. To improve the immunogenicity of new generation vaccines of highly purified peptides and proteins, they are incorporated into particulate carriers for sustained release vaccine delivery system. This proves that now a day cubosomes are widely used to cure wide range of diseases.

PT-67

Formulation and Optimization of Gamma Oryzanol Chitosan Nanoparticles

Mishra Neha, Butani Shital

Institute of Pharmacy, Nirma University

Sarkhej Gandhinagar Highway, Ahmedabad (382481), Gujarat, India

nehamshr2@gmail.com

Recently chitosan nanoparticles are widely studied as carriers for different proteins and genes, growth factors, anti-inflammatory drugs, antibiotics, bioimaging etc with varying degree of therapeutic effectiveness and limitations. Chitosan is a polycation with reactive functional groups, high adsorption and gel forming capacity, and biodegradability. In addition, it is innately biocompatible and non-toxic to living tissues as well as having antibacterial, antifungal and antitumor activity. These features highlight the suitability and extensive applications of chitosan in medicine. This work seeks to explore the ability of chitosan to form nanoparticles of gamma oryzanol (OZ) which is an important component of rice bran oil and having potential therapeutic activities such as antioxidant, antihyperlipidemic, anticancer, antiallergic etc. Characterization of drug and its compatibility with other excipients were studied using IR. In this research work chitosan nanoparticles of gamma OZ were prepared by coacervation phase separation method using glutaraldehyde as crosslinking agent as well as by ionic gelation method using polyanion tripolyphosphate (TPP). Effect of various factors such as chitosan and TPP mass ratio, pH of chitosan solution, drug to polymer ratio, ambient temperature and stirring speed have been taken into consideration while preparing the formulation. Nanoparticles were evaluated for particle size, zeta potential, % entrapment efficiency and drug release kinetics. Ionic gelation method was selected for further optimization due to low toxicity, absence of organic solvent and above of all, better entrapment efficiency (approx. 80%). The selected formulation will be further optimized using suitable experimental design to evaluate effect of all important parameters.

PT-68

Role of PEGylation in Liposomes: An Overview on Targeted Drug Delivery

Vasani Pankti, Mishra Renuka

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat

panks.vasani@gmail.com

Liposomes are an aqueous compartments enclosed by bilayer lipid membrane. These are spherical vesicles with a membrane composed of a phospholipids and cholesterol bilayer. Pegylated liposomes are prepared by pegylation in which large number of synthetic, non toxic molecule of polymers such as polyethylene glycol (PEG) are attached at one end of the polymer chain to the surface of the liposome. PEGylation increases hydrodynamic radius and reduces immunogenicity and antigenicity. It increases the bioavailability of drugs by bypassing the digestive tract and minimizes potential toxic or side effects by remaining in the circulation for long period. Pegylation can also improve the passive targeting ability on tumoral tissue. PEG chain on the surface of the liposome, avoids the vesicle aggregation, improving the stability of the formulation. Surface modification of liposomes with PEG can be achieved in several ways namely physically adsorbing polymer on the surface of the vesicle, incorporating the PEG-lipid conjugate or covalently attaching reactive group to the surface of liposomes. Nutrients can be delivered to the bloodstream via mucous membrane such as mouth or vagina which is more direct and less hostile route than digestive tract. These liposomes can also be applied transdermally in the form of aqueous suspension. Methods of preparation include hand shaken method, sonication method, reverse phase evaporation method and freeze dried rehydration method. Pegylated doxorubicin liposome show significant activity against AIDS related Kaposi's sarcoma and ovarian and breast cancer where AUC after a dose of 50mg/m2 is approximately 300 fold greater than with free drug.

PT-69

In Situ Ophthalmic Gel: Current Status and Advanced Approach in Ocular Drug Delivery System

Desai Dharmesh, Patel Priya, Sheth Navin

Department of Pharmaceutical Sciences, Saurashtra University, Rajkot

dharmesh.desai21@gmail.com

Ophthalmic drug delivery is one of the most interesting and challenging Endeavour facing the pharmaceutical scientist. Now-a-days ophthalmic drug delivery system is very interesting and challenging task for most pharmaceutical companies. Among these In situ gel is one of the widely used approaches for ophthalmic drug delivery system. In-situ gels are one of the viscous polymer based liquid system which gives sol-to-gel phase transition on the surface of eye due to change in physicochemical parameters like temperature or pH and ionic strength. Due to many advantages of ophthalmic In-situ gel like prolongation of pre-corneal resident time and improvement in ocular bioavailability, so it is a widely popular approach for ophthalmic drug delivery. The In-situ formulation exhibited well drug content, sustained drug release and viscosity. While the conventional liquid ophthalmic formulation facing problems of low bioavailability and frequent dosing due constant lacrimal drainage in the eye. The normal drainage of an instilled drug dose come out immediately upon instillation and get complete within 5 min. This review includes various parameters which is used to achieve prolong contact time of drugs with cornea and increase their bioavailability. The present article also focus on type of polymeric systems, their evaluation, advancements and their commercial formulations. From manufacturing view, such devices are easy to prepare and lowers production cost. Ophthalmic In-situ gel opens a platform for treatment of various diseases like conjunctivitis, keratitis, glaucoma, endophthalmitis and itching.

PT-70

Formulation Development & Characterization of Microemulsion Based Hydrogel of Naproxen

Shah Santur, Mehta Tejal

Institute of the Pharmacy, Nirma University, Ahmedabad, Gujarat

ssantur shah@gmail.com

Microemulsions at present are of concern to the pharmaceutical field due to their substantial potential as a mean of delivering drugs by incorporating a broad range, both hydrophilic & hydrophobic, of drug molecules. Naproxen, which is chemically (s)-6-methoxy-α-methyl-2-naphthalenenacetic acid, is a non-steroidal anti-inflammatory drug (NSAID) with analgesic and antipyretic effects which is utilized in the management of rheumatoid arthritis, osteoarthritis and dysmenorrheal. Lower solubility, Gastritis and peptic ulceration are the main limitation upon oral delivery of Naproxen likewise other NSAIDs. In addition, there is inconvenience in application & waste of scarce due to the low viscosity of microemulsion and affected by the environmental condition. In the view of above problems, Formulation of topical microemulsion based hydrogel (MBH) of naproxen is developed. Based on the solubility of the Naproxen, Isopropyl Myristate as oil phase, mixture of Tween 80 & Brij 35 as surfactant, propylene glycol as co-surfactant & double distilled water as aqueous phase was selected. Prepared MBH was characterized for droplet size, viscosity, gel strength, short-term stability, in-vitro drug release etc. The optimized batch showed satisfactory results.

PT-71

Effect of Polymer and Formulation Variables on Properties of Self-Assembled Polymeric Micellar Nanoparticles

Bhambere Deepak[1], Shirivastava Birendra[2], Sharma Pankaj[2], Gide Paraag[1]

1 Department of Pharmaceutics, MET's Institute of Pharmacy, Bhujbal Knowledge City, Adgaon, Nashik, Maharashtra, India-422003

2 Departments of Pharmaceutics, Jaipur National University, Jaipur, Rajasthan, India

deepakbhambere123@gmail.com

Chemotherapy is having various side effects and toxicities, to overcome these problems nanoparticles are formulated. Nanoparticles accumulate in the tumor cells due to enhanced permeation and retention effect. A series of poly (d, l-lactide-co-glycolide) PLGA and bovine serum albumin (Fraction V) BSA formulations were fabricated and used as nanocarriers for delivery of a promising anticancer drug paclitaxel (PTX). The eight formulations of nanoparticles of PTX-PLGA and PTX-BSA were prepared by using 23 factorial designs. PLGA (A,) poly vinyl alcohol (PVA) (B) and stirring speed (C) was used as independent variables where particle sizes (Y1), entrapment efficiency (Y2) and % drug release (Y3) were taken as dependant variables. PTX was efficiently encapsulated into the micelles by desolvation technique. The mean diameter of PTX-BSA and PTX-PLGA nanoparticles ranged from 104 to 1150 nm and 110 to 1023 nm respectively. The entrapment efficiency and in vitro drug release also depends on the solubility of drug and polymer in solvent. The use of design expert software is systematic tool for optimization technique, and also helps to reduce number of runs. Hence, in the present work, an attempt was made to formulate, evaluate and optimize particle size and entrapment efficiency of PTX-BSA and PTX-PLGA nanoparticles.

PT-72

Self- Emulsifying Drug Delivery System of Clinidipine and Its Evaluation

Bakhle Suparna, Avari Jasmine

Department of Pharmaceutical Sciences, Rashtrasant Tukadoji Maharaj Nagpur University, Amravati Road, Nagpur-440033

suparna_bakhle@yahoo.co.in

Clinidipine is a novel calcium channel blocker with an inhibitory action on the sympathetic N-type Ca^{+2} channels and is used clinically in hypertensive patients. The drug suffers from the drawback of possessing low aqueous solubility leading to poor bioavailability. The purpose of the present research work was to formulate self- emulsifying drug delivery system (SEDDS) of Clinidipine with the objective of improving the solubility, dissolution and ultimately the bioavailability of the drug. Solubility of Clinidipine was determined in a variety of oils, surfactants and co-surfactants. After initial screening of oils, surfactants, co-surfactants and construction of Pseudoternary phase diagrams, SEDDS were formulated using Capryol 90 as oil phase, Tween 80 as surfactant and Transcutol HP as co-surfactant. The developed SEDDS were characterized for droplet size, zeta potential, self-emulsification time, optical clarity, drug content, in-vitro and ex- vivo release profile and stability studies. The optimized formulation was found to have nano scale droplet size (below 100 nm) and exhibited more than 90% of the drug release within fifteen minutes as compared to plain drug which had limited dissolution rate. Similarly the ex-vivo release profile of the drug through everted rat intestine from self-emulsifying formulation was significantly higher compared to the plain drug suspension. Clinidipine SEDDS were found to be stable under intermediate and accelerated conditions. The study demonstrates that self-emulsifying drug delivery system is promising strategy for the formulation of poorly soluble lipophilic compounds with low oral bioavailability.

PT-73

Nanotechnology Based Drug Delivery Systems for the Treatment of Tuberculosis

Chokshi Nimitt, Patel Mayur

Institute of Pharmacy, Nirma University, Ahmedabad – 382481

13ftphdp22@nirmauni.ac.in

Mycobacterium tuberculosis is one of the most deadly diseases after Cancer, transmitted through Mycobacterium species. According to World Health Organization (WHO) in 2012, India and China solely accounts for 26% and 12% of the global cases, respectively. TB has been the leading cause for most preventable deaths after Cancer. First-line drugs such as Isoniazide, Pyrazinamide, and Rifampicin have been effective with some limitations in the treatment of M. Tuberculosis. The main aim of recent therapy is to remove the technological drawbacks of these first-line drugs. Nanotechnology based drug delivery is one of the promising approach in the development of a more effective, compliant and affordable TB pharmacotherapy. Nanotechnology based treatment of tuberculosis includes nano-dispersions (including nano-suspensions, nano-emulsions and niosomes), polymeric as well as non-polymeric nanoparticles (PNP), polymeric micelles, dendrimers and liposomes. Stability of the Nano-dosage form, low-patient compliance, limited bioavailability and low aqueous solubility characteristics has to be considered as an important aspect for promising targeting strategies for local delivery of Nano-formulations. Cutting-edge technological barriers (e.g., Drug Delivery System, Nano-medications) due to substantially high cost remain the undefeated challenge which needs to be addressed using scalable approach with Nanotechnology based Drug Delivery System.

PT-74

Formulation Development and Optimization of Topical Solid Lipid Nanoparticles Containing Momentasone Furoate

Mehta Amee, Singh Ruchi, Pandya Yogesh, Dodiya Hitesh.

K.B. Institute of Pharmaceutical Education and Research, Gandhinagar, Gujarat

mehtaamee1@gmail.com

The work was aimed to formulate, develop and optimize topical solid lipid nanoparticles (SLNs) containing Momentasone Furoate (MF) for the treatment of psoriasis. SLNs are attracting major attention as novel colloidal carrier system to prolong drug release. SLNs are considered to be the most effective lipid based colloidal carrier system to improve bioavailability of poorly water soluble drugs. MF loaded SLNs were prepared by solvent injection followed by ultra-sonication technique using glyceryl monostearate as lipid and poloxamer 188 as surfactant. The SLNs were optimized using 32 full factorial design. Effect of amount of lipid and surfactant were studied on particle size, % entrapment efficiency (%EE) and % in-vitro drug diffusion. For the effective treatment of psoriasis, SLNs were incorporated into sodium carboxy methyl cellulose (Na-CMC) gel and further evaluated for in-vitro drug release and ex-vivo permeability studies. Optimized MF loaded SLN gel showed 59.19% drug diffusion within 12 hrs. Drug diffusion from SLNs followed Higuchi model and had release exponent n=0.68 of Korsmeyer and Peppas model that demonstrated that drug was released by anomalous diffusion. It can be concluded that MF loaded SLNs - CMC gel can serve as promising carrier for the treatment of psoriasis.

PT-75

Development and Characterization of Solid Lipid Nanoparticles for Enhancement of Transdermal Permeation of Lopinavir

Patel Dhruval, Thakkar Alok, Kumar Praveen, Thakkar Hetal

The Maharaja Sayajirao University of Baroda.Vadodara, Gujarat

Shree G.H.Patel Building, Pharmacy Department, Donor's Plaza, Nr. FDL, Fatehgunj, Vadodara-390002

hetal_thakkar11@yahoo.com

Lopinavir is one of the specific reversible inhibitors of the HIV protease, an enzyme that has an essential role in HIV replication. Sensitivity of lopinavir towards intestinal metabolizing enzyme cytochrome P450 3A4 limits its oral bioavailability. In addition, its high molecular weight, poor aqueous solubility, high log P value & susceptibility for P-glycoprotein efflux transporters further adversely affect the oral absorption. To overcome such a problem, the transdermal route could be a better alternative in providing sustained levels of drug for a greater time period, bypassing presystemic metabolism. However, its clinical application is limited due to the presence of the tough outermost barrier, the stratum corneum. Several nanoparticulate systems such as SLNs had been developed for increasing permeation of active moiety through skin due to their size and occlusive behavior. In the present investigation, SLNs are selected as a drug delivery module for improve penetration and systemic availability of Lopinavir. The current work includes development of Lopinavir SLNs gel, its characterization & investigation of its transdermal drug delivery potential. The prepared SLNs were extensively optimized for various process parameters & molar quantities of lipid and surfactant to impart desirable characteristics by 3^2 factorial designs and contour plots. The optimized SLNs were characterized by zeta potential measurement and SEM. Ex vivo skin permeation studies across rat abdominal skin indicated greater % drug release compared to plain gel. Histopathological studies were carried out using male Wistar Rat where irritation was checked by applying Positive control & Negative control.

PT-76

Dissolution Enhancement of Olmesartan Medoxomil with Special Emphasis of Nanotechnology

Buddhadev Ankit, Parmar Ramesh., Zalavadia Dikesh.

Department of Pharmaceutics, S. J. Thakkar Pharmacy College, Rajkot, Gujarat, India-360005

ankitbuddhdev@gmail.com

Dissolution enhancement of poorly aqueous soluble drugs is an important aspect of formulation development. The objective of the study was to observe the aqueous solubility and dissolution characteristics of Olmesartan medoxomil enhanced by Particle size reduction (nanosuspension). The nanosuspension has been prepared by solvent evaporation method by using different concentration of stabilizer. Nanosuspension was characterized by FT-IR, TEM, Particle Size, Zeta Potential, Saturation solubility and In-Vitro Dissolution. The particle size and zeta potential of nanocrystals were 298 nm and 45.59 mV respectively. Solubility and in-vitro dissolution in which significant improvement Solubility was found to be 84.39 µg/ml and in-vitro dissolution was 74.29 % at the end of 9 minutes. By evaluating the results, it was concluded that, Nanosuspension method was found to be the better because it caused significant improvement in Solubility and dissolution profile. Nanosuspension of Olmesartan medoxomil was contained 9 times more solubility than the pure drug.

PT-77

Nano Structured Lipid Carriers (NLCs) Based Topical Delivery for Treatment of Osteoarthritis

Patel Krunal, Patel Mayur, Mehta Tejal

Institute of Pharmacy, Nirma University, Ahmedabad,Gujarat.

krunalpatel_999@yahoo.in

Osteoarthritis is the syndrome of joint pain and dysfunction of joints. It caused by joint degeneration, affects more people in the world than any other joint disease. For the treatment of disease we have to identify the most attractive target to modify or stop the disease progression there are several route like oral, topical and parenteral route for treatment of arthritis but due to the localized nature of the disease, topical route is most preferred route. With the use of oral and parenteral rote there are several problems like side effect of drugs and higher dosing frequency is required etc. So to avoid all disadvantages of other route NLCs based topical drug delivery is the most preferred for treatment of arthritis. NLCs have attracted increasing attention in recent years. Because of their small size and comparatively narrow size distribution permits site-specific drug delivery at the target site and also controlled and Sustained release of active drug can be achieved. NLCs are drug delivery system composed of solid matrix & lipid matrix. NLCs are the nano safe carriers because they have combine the advantages for drug therapy over the conventional carriers & also avoid the major disadvantages of those carriers such as emulsions, liposomes, and polymeric microparticles and nanoparticles. This paper will describe the advantages, objective, material required for NLCs, preparation techniques, physicochemical characterization of NLCs. And also this paper will discuss the dermal application of NLCs because they exhibit many features of dermal application.

PT-78

Microsponge and Nanosponge: A Novel Approach to Drug Delivery

Kyada Megha, Pansuriya Kinjal, Patel Janki, Panchal Lata

K.B. Institute of Pharmaceutical Education and Research, Gandhinagar, Gujarat

meghakyada@gmail.com

The development of new colloidal carrier called Microsponge and Nanosponge have potential to provide targeted to specific site drug delivery. Microsponge and Nanosponge are tiny sponge like spherical polymeric particles of micro and nano range respectively with a large porous surface. Aqueous solubility is an important feature of these sponges which allows the use of these systems effectively for drugs with poor solubility. They can entrap wide range of drugs and prolong drug release in a controlled manner over topical, oral and colonic site. They also enhance product performance and elegancy, extend release, reduce irritation, and improve thermal and physical stability of the product. Furthermore, Nanosponge has wide range of applications particularly as anticancer whereas Microsponge is mainly used as antifungal. They can be developed as different dosage forms like topical gel, tablets and capsules, parenterals, aerosols and colloidal nanosuspension. Nanosponge mainly based on the polymers like cyclodextrin, carbopol, ethyl cellulose and polystyrene backbone whereas microsponge based on the various types of eudragit, ethyl cellulose, hydroxy propyl methyl cellulose and carbopol. Microsponges can be prepared by quasi emulsion solvent diffusion method and suspension polymerization technique while nanosponges can be prepared by emulsion solvent diffusion method and Incubation-lyophilization technique. The main evaluation parameters include polydispersity, loading efficiency and resiliency. By controlling the ratio of polymer to the cross-linker, the particle size and release rate can be modulated. This review elaborates the interesting features, preparation, application and recent updates of Nanosponge and Microsponge.

PT-79

Self-Emulsifying Drug Delivery Systems: An Emerging Approach for BCS II and IV Drugs

Shital Butani

Institute of Pharmacy, Nirma University

shital.butani@nirmauni.ac.in

Amongst all route of administration, oral route is preferred route for treatment of all types of diseases. BCS II and IV drugs are having low solubility and hence they exhibit poor bioavailability after oral administration. Various approaches have been evaluated to delivery such drugs and self-emulsifying drug delivery system (SEDDS) is recently explored by many researchers. SEDDS is composed of oil/lipids phase which are generally vegetable oils or their derivatives, hydrophilic surfactant and or co solvent. The selection always based on higher drug solubility. This formulation when introduced into aqueous phase under gentle agitation in gut, spontaneously emulsifies to produce fine oil in water emulsion or microemulsion or nanoemulsion. The droplet size generally depends on type and proportion of oil, surfactants and co-surfactants. The drug solubility study should be done followed by ternary phase diagram for selection of most suitable component preferably giving fully dilutable region. Selected system can be optimized by applying suitable mixture design. The liquid system is used or converted to solid self-emulsifying system by various means. This system improves bioavailability by increasing solubility due to surfactants, lymphatic absorption due to lipids, elimination of food effect, P-glycoprotein (P-gp) inhibition etc. Thus for compounds having low aqueous solubility, this approach can be valuable for improvement of rate and extent of absorption.

PT-80

Nano-Technological Path for Drug Targeting in HIV/AIDS: A Review

Bali Nikhil, Salve Pramod, Rai Raghvendra, Wankhede Harshad.

Department of Pharmaceutical Sciences, Rashtrasant Tukadoji Maharaj Nagpur University, Nagpur – 440033, (M.S), India

nikhil19_Bali@yahoo.co.in

The HIV/AIDS is increasing worldwide with destructive health related and socioeconomic effects. There are 40 million deaths are forecast in this millennium. The first case of HIV/AIDS was found in 1959 in blood sample obtained at Leopoldeville in Belgian Congo. The overall use of antiretroviral therapy change life with increases hope of patient. There are five classes of antiretroviral drugs, Nucleoside Reverse Transcriptase Inhibitors (NRTIs), Nucleotide Reverse Transcriptase Inhibitor (NtRTI), Non-Nucleoside Reverse Transcriptase Inhibitor (NNRTI), Protease inhibitor (PLs). Multiple drug resistance (MDR) are developed with antiretroviral drugs due to mutations.The conventional drug delivery applications have increase life span of HIV patients, but total eradication of HIV is still not possible with these approaches. Development of novel drug delivery approach for treatment of HIV/AIDS is grand challenge. The nanotechnological evaluation is weapon which will give an opportunity to fight with HIV/AIDS. The size of nano carrier system allows better crossing biological barrier, enhance cellular uptake as well as transport that's way it enables the potent drug delivery to targeted sites. Nanocarriers areassembling to defend drug fragment and target drug to the definite anatomical as well as cellular destinations. Nanotechnological products have been reported for targeting anti-HIV drugs. It has given ideal move in diagnosis, treatment, and prevention of HIV/AIDS. The current review discuss about nanocarriers and their drug targeting in HIV/AIDS.

PT-81

Magic Gold Bullet for Cancer Treatment

Uppuluri L.P.B.Lavanya, Yadav Rupali, Garge Vaibhavi, Joshi.Y

Bharati Vidyapeeth College of pharmacy, Belapur C.B.D, Navi Mumbai

roses4lavanya@gmail.com

The landscape of cancer treatment has dramatically changed over the last four decades. The use of surgery, chemotherapy and radiotherapy were the only effective treatment options to fight tumor growth. These therapeutic interventions are associated with many problems such as, the individual differences in tumor response, resistance, recurrence and tissue toxicities. Understanding these problems has the potential to make cancer therapy safer and more effective. One of the innovative strategies for the treatment of tumors is based on the nanotechnology. The nanoparticles have emerged as an important tool to deliver conventional anticancer drugs. Gold nanoparticles are emerging as promising agents for cancer therapy and are being investigated as drug barriers, photo-thermal agents, contrast agents and radio sensitizers. This review introduces the field of nanotechnology with a focus on recent gold nanoparticles research which has led to early phase clinical trials. By properly conjugating gold particles with specific peptides, they can be selectively transported to the nuclei of targeted cancer cells. Localization of gold particles can cause the damage of cancer cells DNA. Dark field imaging of live cells revealed that localization of gold nanoparticles can specifically induce arrest of cytokinesis in cancer cells, where the binucleate cell formation occurs after mitosis. TNF-alpha coupled with gold nanoparticles can be used for the treatment of cancer.

PT-82

Design, Production and Application of Micro-Emulsion Based Nanoparticles

Gotecha Ankit, Mehta Tejal

Institute of Pharmacy, Nirma University, Ahemdabad

ankitgotecha@rocketmail.com

Micro-emulsions are transparent fluid, thermodynamically stable oil and water system and stabilized by a surfactant usually in conjunction with co-surfactant. Micro-emulsion can be converted to gels, nanoparticles and other dosage forms. Micro-emulsion based Nanoparticles exhibits excellent solubility and thereby absorption behavior. The pharmacokinetic property of API or drugs encapsulated in nanoparticles is influenced by micro-emulsion formulation processes. Likewise, micro-emulsion formulation processes must be chosen in function of the selected therapeutic goals of the nanoparticles and its administration route. As potential differences may include drug sensitivity to temperature, shear with devices, or even contact with organic solvents. The pseudo-ternary system utilization provides a balanced micro-emulsion for designing of nanoparticles. Nanoparticles synthesized from micro-emulsion are of current interest, along with this also have resulted in important pharmaceutical as well as other applications, such as catalysts, high-performance ceramic materials, micro-electronic devices, magnetic nanoparticles for biomedical applications, for gene delivery, etc. One very successful pharmaceutical application of this type of micro-emulsion based nanoparticle is in the oral delivery of drugs, in particular of proteins and peptides. It is one of the widely accepted technique which enables to control the particle properties such as particle size, geometry, morphology, surface area and homogeneity. The aim of reviewing current article is to highlight methodology used to design micro-emulsion based nanoparticles, its applications and future prospects.

PT-83

Validation of HBsAg Detection from Few Selected Formulations of Biological Origin

Mahajen Yogesh, Sridevi G., Gopkumar P., Sujit pilli.

G.R.Y. Institute of Pharmacy, Borawan, Khargone-451228, M.P, INDIA

yogimahajen92@gmail.com

Quality control of biologicals has been and emerging issue of concern to all the regulatory bodies. A biological formulation with its origin from animal /human/microbiology/aquatic resources has the possibility of caring the contamination of different types at different stages. We have established methodology to understand the contaminations like hepatitis Ag and HIV Ag in blood samples. Though blood in itself is a complicated matrix which makes the evaluation of contaminants in blood has a task for bio-analyst. The contamination in biological formulation is also a challenging task for bio-analyst, as the biological formulation undergoes various ways of processing which enables the contaminant to get entrapped in various lattices of formulation. Hence the work is an effort to evaluate few selected formulations of biological origin and in establishing a quantitative evaluation technique which can help to improve the quality control measures in production of biological formulations. Developed validation protocol for quantitative analysis method for detection of HBsAg contamination was found effective in analysis and quantification of HBsAg as well as in the recovery study from tested biological sample like insulin, HCG, BCG. Validation method for detection of HBsAg from blood matrix revealed effective incubation time 20 and incubation temperature 60oC. Detection of HBsAg from biological sample revealed LOD=3.689×10-4mg/ml LOQ=11.94×10-4 mg/ml for HBsAg detection from insulin sample, LOD=0.8827×10-4 mg/ml LOQ=2.268×10-4 mg/ml for HBsAg detection from HCG and LOD=0.660×10-4 mg/ml LOQ=1.499×10-4 mg/ml for HBsAg detection from BCG.

PT-84

Transgenic Lycopersicum Esculentum Mill (Tomato) Plant as Bioreactor for the Production of Human Neutrophil Peptide-1 (HNP-1): A Useful Protein Based Pharmaceutical

Jha Lalit Lata[1], Shah Darshika[2], K.S Rajesh[1]

[1]Parul Institute of Pharmacy, Vadodara, Gujarat

[2]Department of Botany, MSU, Vadodara, Gujarat

lalit_lata@hotmail.com

The term 'transgenic plant' is defined as the plants that are produced in the lab by artificial insertion of genes sometimes from the same species and sometimes entirely from the different kingdom. A major advantage of transgenic plant because of which it gains a lot of importance in today's world is developing it for producing pharmaceutically useful compounds. Hence they are acting as a natural bioreactor for producing therapeutic proteins and peptides in large amount. The present work deals with the investigation of producing a Human Neutrophil Peptide-1 (HNP-1) which is an antimicrobial peptide and commonly known as Defensin in Lycopersicum esculentum Mill. Plant by Agrobacterium tumefaciens mediated genetic transformation technique. The cloning of HNP-1 was successfully done in a plant expression vector 'pGreenI 0029 with 35S CaMV promoter' by authors and described earlier. In this work we would like to describe transformation of pGreenI 0029 with HNP-1 gene and 35S CaMV promoter, inside Agrobacteium tumefaciens cells and then genetic transformation of Lycopersicum esculentum Mill Plant via recombinant Agrobacteium tumefaciens by seed cotyledon method. The transgenic tomato plants were screened for the presence of HNP-1 gene. The isolated total soluble proteins from tomato leaves were subjected for In Vitro antimicrobial activity and showed antimicrobial activity against various pathogenic microorganisms like S. aureus, B. Subtillis, E. coli and Candida albicans.

PT-85

Design and Development of Fixed Dose Combination of Simvastatin Fast Dissolving and Aspirin Enteric Coated Capsules

Prajapati Manali, Shah Chandni, Butani Shital

Institute of Pharmacy, Nirma University, Ahmedabad

manaliprajapat@gmail.com

Fixed dose drug combinations (FDCs), are combinations of two or more active drugs in a single dosage form. Fixed ratio combination products are acceptable only when the dosage of each ingredient meets the requirement of a defined population group and when the combination has a proven advantage over single compounds administered separately in therapeutic range. The two molecules simvastatin and aspirin are the best treatment therapy for treatment of dyslipidemia in diabetic patients. However, the problem with the combination therapy is their chemical incompatibility, due to which there occurs a problem of decreased bioavailability of statins and a degradation of the acetyl salicylic acid. Simvastatin, a lactone, is HMG-CoA reductase inhibitors, readily hydrolyzing in vivo and thereby preventing the synthesis of mevalonic acid and used in cholesterol reduction. It is a BCS class-II drug with low solubility and high first pass metabolism, thereby its bioavailability is less than 5%. Hence, there was a need for the enhancement of its dissolution properties. Several approaches like micellar dispersion, ß-CD complexation, Gellucire 44/14 dispersion, PEG 6000 dispersion & PG liquid solid compacts, out of which the formulations containing PG showed desired properties. Hence, PG was selected for further trails and used as an agent in the formulation of Liquid Solid compacts. The compacts were evaluated for several parameters, mainly dissolution properties and the best batch was selected. Aspirin is used in the relief of headaches and muscle joints, also has an application in the enhancement of platelet sensitivity, thereby used as a combination with statins for the treatment of dyslipidemia in diabetic patients. But, since NSAIDS have a common disadvantage of gastric ulceration, enteric coating of the aspirin molecule by Eudragit L- 100 55 was needed which would serve a dual purpose of preventing chemical interaction and gastric problems.

PT-86

Development and Evaluation of Cross Linked Chitosan Hydrogel for Enhance Wound Healing

Pandey Suneel, Paratkar Yuvraj, Joshi Vivek, Patil Arun

Department of Pharmaceutical Sciences, Rashtrasant Tukadoji Maharaj Nagpur University, Mahatma Jyotiba Fuley Shaikshanik Parisar, Amravati Road, Nagpur- 440 033, Maharashtra, India

pandeysuneel@rediffmail.com

The present study intended to formulate film forming chitosan based hydrogel for heighten wound healing activity. In situ film forming chitosan hydrogels have been prepared via cross linking through zinc acetate (0.5, 1.0, 5.0, 10.0 and 15.0% (w/w) used as a cross-linker. Application of zinc acetate (10%) as cross linking to a chitosan hydrogel resulted in an indissoluble, elastic, gentle rubbery structure within 90 seconds and further converted into a film within 8-10 min onto the skin. The effects of composition and cross linking on the physicochemical properties of samples were evaluated. In order to evaluate its wound healing effect, full-thickness skin incisions were created on dorsal surface of the rat below the cervical region. A chitosan hydrogel was applied onto the wound and cross linked via zinc acetate for 60 seconds. Mechanical properties of cross linked gel as well as formed films were also determined through stress-strain and creep tests: samples inclemency increased with increasing the cross linker amount. The macroscopic appearance indicated that the wound healing occurred by 6th day for the treated groups whereas it was noticed only on the 10th day in the control animals. Complete healing of the wound in dressing applied groups took only 14 and 16 days, respectively, whereas it took 24 days for the control groups. On the 4th day, the wound contraction of control was 13% whereas 21% for marketed formulation and 35% closure were observed for film forming Chitosan based hydrogel. Due to its ability to accelerate wound contraction and healing, situ film forming chitosan hydrogels may become accepted as an occlusive dressing for wound management.

PT-87

Formulation of Site Specific Local Delivery Systems of Herbal Antimicrobial Drug for Periodontitis

Patidar Vandana, Sridevi G., Gopkumar P., Sujit pilli

G.R.Y. Institute of Pharmacy, Borawan, Khargone-451228, M.P, INDIA

patidarvandana74@gmail.com

Present research work is about the formulation and evaluation of buccal films for their suitability to use for dental applications. Formulations were developed with the aim of delivering clove oil having both antimicrobial and anesthetic property in a controlled manner which is more beneficial in periodontal diseases. Eugenia caryophyllus (L.) clove, from Myrtaceae family, an important aromatic spice. The clove oil traditionally used by folk healers in assuaging the toothache and dental decay. Clove bud oil showed potent antibacterial activity especially against anaerobic bacteria's and it is also known to possess antifungal properties. Present investigation, local drug delivery systems of polymeric strips containing clove oil were formulated using blend of chitosan and hyuronic acid by solvent casting method using 1% v/v acetic acid in water and are hardened by cross-linking to extend the drug release. HA help to retain the elasticity and bloatability of the prepared film. Evaluations of the films were done for all the physical and pharmaceutical formulation behaviours that make it suitable to use with effective drug release profile. Drug release profile was indication of initial burst and progressive sustained drug release profile for the period of almost a week once the strips were cross-linked.

PT-88

Self-Emulsifying Drug Delivery Systems: A Promising Approch For Development Of Lipid Based Formulations

Patel Pooja, Shah Jigar, Patel Mayur, Mehta Tejal

Department of Pharmaceutics, Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat

Poojapatel541@gmail.com

Self-emulsifying drug delivery systems (SEDDS) are recent innovation in liquid formulations. They are isotropic mixtures of oils, surfactants, co-surfactants and sometimes containing cosolvents, which emulsify under conditions of gentle agitation which would be mimic the conditions in the gastro-intestinal tract. These systems are mainly used for design and development of drug formulations in which there is need to improve the oral absorption and bioavailability. In oral drug administration, more than 45% of recent drugs having poor aqueous solubility, which are giving unsatisfactory oral drug delivery results. Self-emulsifying drug delivery systems have gained exposure for their ability to increase solubility and bioavailibilty of poorly soluble drugs. The self-emulsfying process is depends on the various process parameters like nature of the oil-surfactant pair, concentration of surfactant and effect of triggered conditions like temperature, pH or ion at which self-emulsification occurs. This review article gives an overview of SEDDS with different types of formulations, their mechanism, objectives, advantages, applications and developments, existing problems and future scope.

PT-89

Importance of Different Characterization methods of Solid Dispersion: A Review

Deshmane Subhash, Biyani Kailash

Anuradha College of Pharmacy, Chikhli. Dist-Buldana (M.S.) India

subdeshmane@yahoo.co.in

Solid dispersion poorly water-soluble drug with inert hydrophilic carrier or matrix is promising to enhance the solubility. The desire properties of carrier and solid dispersion are determined by different characterization methods. Characterization of solid dispersion can be carried out by different techniques to differentiate between solid and solid dispersions in which drug is only partly molecularly dispersed and physical mixtures of drug and carrier. The functionality of different characterization methods and its importance were studied. Differential scanning calorimetry, Fourier Transformed Infrared solutions, Spectroscopy, Scanning Electron Microscopy, Thermal Gravimetric Analysis, X-ray diffraction, Dissolution calorimetry, Hot stage microscopy, Dynamic Mechanical Analysis and Confocal Raman Spectroscopy all have prominent application in the characterization and stability of solid dispersion. Temperature Modulated Differential Scanning Calorimetry can be used to assess the degree of mixing of an incorporated drug. Hence it concluded that, there are many applications in characterization of solid dispersion

PT-90

Drug Device Combination: Current & Future Perspectives

Dave Vishakha, Kotadia Preeti, Modi Deval, Shelat Pragna

K. B. Institute of Pharmaceutical Education & Research, Gandhinagar

divyeshshastri@gmail.com

Now-a-days combination devices are widely used consists of drug releasing components in many devices. FDA approved antimicrobial catheters and drug-eluting stents are versatile and better clinical technology with functional improvements to implant devices. This article focuses on advanced creation of combination devices. Orthopedic and cardiovascular implants having versatile capabilities with associated drug delivery systems are also available with implantable sensors. Current strategies will give more versatile basis for advanced drug combination and functional tissue regeneration. Transdermal drug delivery system has made an important role in medical practice by targeting its effect directly into the stratum layer of skin using micro-needles, thermal ablation, electroporation and cavitational ultrasound technology. Microneedles and thermal ablation are under clinical trials for delivery of large drug molecules and different types of vaccines. Other drug-device combinations include cost-effective and acceptable inhalers which provide opportunities to develop generic formulations of the drugs which are patent expired. Pharmaceutical industries have also given a good response in development of inhalers which can able to deliver multiple bioactive agents. Development of different types of drug-device combination is the major task of today's pharmaceutical industries around the world.

PT-91

Advanced Technologies of Packaging

Patel Bhranti, Parikh Dhaivat, Mehta Tejal

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat

bhrantipatel10@gmail.com

Packaging is an emerging science, an emerging engineering discipline, and a success contributor to pharmaceutical industries. It is generally classified into primary & secondary packaging which were generally used for stability and protection of the products during the tenure from production at industry up to end-user (i.e. patient). However, in the recent modern era, many other complications like counterfeiting, tempering of products, regulatory compliances as well as improved delivery of product from package and high elegancy required to product as brand value; created a need to innovate newer packaging for pharmaceuticals. As a result, recent advanced technologies developed in packaging field like blow fill seal (BFS) vials, anti-counterfeit measures, plasma impulse chemical vapour deposition (PICVD) coating technology, snap off ampoules, unit dose vials, two-in-one prefilled vial design, prefilled syringes and child-resistant packs. The newer techniques ensure the safe delivery of product to end-user and avoids mal-functioning related to change of content or package of branded product. Packaging may be considered as the single largest summative purchase made by a company of materials vital to the protection, distribution, and sale of the product compared to other pharmaceutical products. This review article gives an overview about recent advances made in packaging types, its merits and applications, and also including future aspects for advancements in pharmaceutical packaging. The in-depth knowledge about recent advances in pharmaceutical packaging helps the industrialist to select the most appropriate packaging.

PT-92

Intelligent Polymers for Responsive Drug Delivery Systems

Soni Monil, Mehta Tejal

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat

sonimonil357@gmail.com

Intelligent polymers exhibits high sensitivity towards various environmental stimuli and changes the physicochemical properties as required. The stimuli may be physical like mechanical stress, electricity, and temperature, chemical like pH and biological such as various biomolecules. Delivery system of intelligent polymers can be activated either by external sources (Magnetism, ultrasound) or internal sources (Various pathophysiological conditions). The concept of development of intelligent polymeric drug delivery has emerged as a promising drug delivery approach which involves drug targeting strategy to treat complex diseases. Most mesmerizing features of intelligent polymers are there versatility and designable sensitivity. By considering both advantages, intelligent polymer system lead to programmable and accurate drug delivery such developments are often restricted due to high cost and time requirements associated with it. Use of such polymer for injectable and/or implantable controlled drug delivery system is relatively new and more infrequent. So, the polymer incorporated must be biocompatible and nontoxic in addition to their controlled release property. This review gives a overview of various intelligent polymers for responsive in drug delivery system and recent advancement into it.

PT-93

Formulation and Evaluation of Capsule Containing Microspheres For Immediate and Sustained Release of Different Drugs Using Same Polymer

Dhage Swapnil[1], Nagpure Pramod[1], Avari Jasmine[2]

Department of Pharmaceutical Sciences, RTMNU Nagpur, Maharashtra

dhagesg@gmail.com

Natural polymers like Chitosan have been found of enormous utility due to their properties of bio-compatibility, non-toxicity, biodegradability and low cost. Also such natural polymers do not induce any immune response and thus are of immense importance in formulation and development of drug delivery systems. Targeted drug delivery with controlled release rates is the new trend that has a serious influence in current pharmaceutical era. The intension of using these strategies is to resolve certain problems i.e. first pass metabolism and varying bioavailability of certain drugs due to their instability in acidic environment of stomach. Preparation of microspheres using natural polymers to counter these problems has been the core of current research, which involves the formulation and evaluation of polymeric microspheres of different drugs like atorvastatin calcium and amlodipine and investigates the release profile of such drug using the polymer chitosan.

PT-94

A Novel Class of Photo-Triggerable Liposomes Containing 5-Fluorouracil for the Treatment of Skin Cancer

Sharma N. K., Vimal Kumar.

Department of Phytopharmaceuticals & Natural Products, Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat

neerajsharma236@gmail.com

Success of nanocarriers-mediated drug delivery solely depends on delivery of therapeutics to a specified target. Secondly therapeutically active amount of drug should be released within defined space and time (triggered release). In the present study, novel photosensitive liposomes were formulated from soy lecithin and photosensitive agent naproxen to release entrapped 5-fluorouracil (5-FU) efficiently upon UV light exposure. Prepared formulations were examined to check effect of released anticancer drugs on cellular toxicity. 5-FU loaded REVs were examined for size, % EE and stability. Subsequently, a combined study was performed to examine the effect of UV light treatment on 5-FU release, and cellular toxicity by released 5-FU using SRB assay. Liposomes using the 5:1 molar ratio of PC and cholesterol showed highest encapsulation efficiency hence, this formulation was investigated further. Co-cultures of 5-FU-loaded photosensitive liposomes and SK-MEL-2 cell line were treated using UV light and resulted in significant ($p < 0.5$) improved cell-killing as compared to untreated samples. These results supported the exploration of these formulations for in vivo applications. These phototriggerable liposomes reported here may provide a platform for future drug delivery in the field of cancer and other diseases.

PT-95

Mannosylated Multiwalled CNTs bearing Artemether for Targeted Delivery to Cerebral Malaria

Bhatt Ajita, Jain Aviral

Pharmaceutics Research Laboratory, Department of Pharmaceutics

Adina Institute of Pharmaceutical Sciences, Sagar (M.P)

ajita.bhatt@gmail.com

Malaria infects over 300 million people every year resulting in about 1 million deaths. One of the major hurdles for the eradication of the disease is the development of parasite's resistance to current chemotherapy; subsequently there is an urgent need of new drugs. Carbon nanotubes have fascinated the scientist world-wide. The unique physicochemical properties, strength and easy surface modification of these structures make them very useful in cellular imaging with diagnostic effects in nanomedicine and targeted drug delivery. Artemether, a clinically versatile artemisinin derivative utilized for the treatment of mild to severe malaria, was loaded in various functionalized Multiwalled carbon nanotubes (MWCNTs). MWCNTs were subjected to purification by selective oxidation method. Mannosylated MWCNTs were synthesized involving the sequential steps of carboxylation, acylation, amidation and finally mannose conjugation. The modified MWCNTs were investigated by FTIR, zeta potential, elemental analysis and TEM, drug entrapment efficiency and In vitro drug release. Further, In vivo efficiency was assessed by administering Rhodamine-G loaded MWCNT's. Nanotubes have a wide range of unexplored potential applications in various technological areas.

PT-96

Formulation and Development of Floating Alginate Beads of Tizanidine Hydrochloride for Stomach Specific Drug Delivery

Bagada Arti, Ladola Prakash, Raval Mihir, Sheth Navin

Department of Pharmaceutical Sciences, Saurashtra University, Rajkot

arti910@gmail.com

Tizanidine Hydrochloride is used for the management of spasticity. It is mostly absorbed in the stomach. It is highly soluble in acidic medium, its solubility decreases as ph increases. The half life of Tizanidine Hydrochloride is approximately 2 hours and the dose of drug is also low so it makes it a suitable drug for sustained release dosage form. Aim of this research is to develop a Tizanidine HCl loaded floating emulsion gel beads, which could give spasmolytic effect more effectively by releasing the drug particularly in stomach and also for a longer duration of time. A new emulsion gelation technique was used to prepare emulsion gel beads by using combination of hydrophilic polymers like sodium alginate & Pectin. The effects of factors like concentration of oil, curing time, and drug: polymer ratio, alginate: pectin ratio and curing agent on drug entrapment efficiency, floating lag time, and morphology and drug release were studied. A proper combination of alginate and pectin was used to provide the sustain release of drug in the stomach for a prolong duration of time. Drug polymer interactions were studied by FT-IR spectroscopy and DSC and the surface characteristics of the beads were studied by SEM. These beads can entrap drug in sufficient amount and without using any organic solvent and any time consuming step in the preparation of these floating beads it is possible to develop an effective, cheap and nontoxic Floating drug delivery system for Tizanidine hydrochloride.

PT-97

Nano-biotechnology - Based drug Targeting to Brain.

Goswami Darshan, Patel Mayur, Mehta Tejal

Institute of Pharmacy, Nirma University, Ahmedabad

Darshan221090@gmail.com

Nanoparticles (NPs) are very small size particles ranging from 1 to 1000 nm that are used in drug delivery to brain. The use of NPs to deliver drugs to the CNS across the blood-brain barrier (BBB) may provide a significant advantage over current methods. Drug delivery across the blood-brain barrier (BBB) is a major challenge in the treatment of central nervous system (CNS) disorders. Several strategies have being investigated to improve drug delivery across the BBB.Highly lipophilic and smaller size particle can pass this barrier and give their therapeutic effect in the CNS. The small size of the nanoparticles enables them to penetrate the Blood Brain Barrier and facilitate the delivery of drugs across the barrier. Several mechanisms are involved and various approaches are used based on different types of nanomaterial like the combination with therapeutic agents.Now-a-days, mainly liposomes and polymeric nanoparticles are used for the drug delivery in CNS. Nanoparticles can be used as non-viral vectors for CNS gene therapy. Nanotechnology is expected to reduce the need for invasive procedures for delivery of drug to the CNS, some devices such as implanted catheters and reservoirs will still be needed. Nanomaterials can improve the safety and efficacy of such devices. Nanoparticles can deliver drugs at the cellular level bu virtue of nano-fluidic channels. Major hurdles are about the safety of nanoparticle entry in the brain and proper clinical trials are to be carried out before human use. The present review covers different approaches including the most recent ones with their applications.

PT-98

Formulation, Optimization and Evaluation of Paclitaxel Loaded Microemulsion Drug Delivery System for Oral Delivery

Patel Parag[1], Mandal S[1], K.S. Rajesh[1], Patel L. D.[2]

[1]Parul Institute of Pharmacy, Limda, Vadodara, Gujarat,

[2]C.U. Shah College of Pharmacy & Research, Wadhwan, Surendranagar, India

p4pharmacy@yahoo.co.in

Effectiveness of Paclitaxel is limited due to its poor aqueous solubility and low permeability; hence the aim of this study was to develop an optimal Paclitaxel loaded microemulsion formulation for oral delivery. Pseudo-ternary phase diagrams were constructed using biocompatible oil, surfactant and cosurfactant to find out microemulsion existing zone and also to get optimal ratio of surfactant to cosurfactant. The Box-Behnken design was used to optimise Paclitaxel loaded microemulsion taking IPM (Iso propyl myristate) (X1), Tween-60 (X2) and IBA (Isobutyl alcohol) (X3) as three different independent variables and globule size, transmittance and % release as dependent variables. Result showed that all three independent variables had a significant effect ($p<0.05$) on all responses. Optimal microemulsion formulation containing IPM (3%v/v), Tween-60 (28% v/v), IBA (9% v/v) and distilled water (60% v/v) was transparent and had showed globule size as 95.09±3.98 nm, zeta potential as -32.6±4.43 mv and ph 6.9 ± 0.2. Solubility of Paclitaxel was increased by 127 folds to its aqueous solubility. In-vitro release and ex-vivo permeation study revealed that more than 90% (93.6±2.1%) of drug was released in 4 hrs and rapidly permeated through rat ileum. Results of the study represents that developed micoemulsion is stable and may be used as an alternative and effective delivery system for Paclitaxel.

PT-99

Formulation, Development & Optimization of Taste Masked Rapid Dissolving Tablet of Lornoxicam

Shah Kinjal[1], Mehta Tejal[2]

[1]Arihant School of Pharmacy and Bioresearch Institute, Gandhinagar, Gujarat

[2] Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat

kinjalsanghvi07@gmail.com

Oral formulation is the most popular due to high acceptance amongst the patients. Rapid disintegrating tablets (RDTs) are dosage form which disintegrates within 60 seconds giving immediate release in mouth. Lornoxicam is a new nonsteroidal anti-inflammatory drug of oxicam class with analgesic, anti-inflammatory and antipyretic properties having bitter taste. To overcome the bitter taste and fasten onset of action, taste masked rapid disintegrating tablet were formulated. The bitter taste of drug was masked by polymer carrier-Eudragit EPO in different ratios. The rapid disintegrating tablets were prepared by direct compression method. The tablets contained superdisintegratant-acdisol, binder-PVP K 30, diluent in ratio- MCC: Pharmabust and aspartame as sweeteners. The optimized RDT were evaluated for weight variation, assay, content uniformity, in vitro disintegration and dissolution, in vivo disintegration and taste masking ability. The complete taste masking was achieved by drug:Eudragit EPO of 1:2 by mass extrusion. This complex was then incorporated into RDTs. To optimize the amount of acdisol, MCC and pharmabust central composite design was applied. The results revealed that on increasing the amount of acdisol disintegration time was decreasing and MCC: Pharmabust as diluents shows sarisfactory blend for direct compression. Diluent containing MCC: pharmabust in ratio 80:20 gave faster in vivo and in vitro disintegration time of 07 seconds and 08 seconds respectively giving in vitro drug release of more than 90% within 10 minutes. Thus it could be concluded that the taste masked rapid disintegrating tablet of lornoxicam would give rapid onset of action and faster relief in pain.

PT-100

Asialoglycoprotein Receptor Mediated Hepatocyte Targeted Delivery of Polymeric Nanoparticles of Doxorubicin

Pranatharthiharan Sandhya, Patel Mitesh, Devarajan Padma.

Dept. of Pharmaceutical Sciences and Technology, Institute of Chemical Technology (Deemed University), Mumbai-19

sandhyaharan136@gmail.com

Doxorubicin (Dox) is a drug of choice for hepatocellular carcinoma. Although high hepatic uptake of nanocarriers (>200 nm) is well reported, they are generally taken up by the Kupffer cells (non-parenchymal) of the liver. This could cause higher toxicity and hence compromise the targeting achieved. Our group has confirmed through molecular docking studies that, Pullulan (Pul) and Arabinogalactan (Ar) show high interaction with asialoglycoprotein receptors (ASGPR) present on hepatocytes. Further, high hepatocyte targeting of Curcumin Gantrez nanoparticles has been demonstrated using the two ligands. The objective of the present study was to evaluate the hepatocyte targeting potential of a combination of Pul and Ar anchored on Polyethylene sebacate (PES)-Doxorubicin nanoparticles. In vivo pharmacokinetic studies in rats revealed long circulation of the nanoparticles, while biodistribution studies revealed high uptake with the ligands evaluated singly and in combination. Nevertheless, uptake with Pul and Ar in combination was significantly higher ($P<0.001$). Intrahepatic disposition studies of the nanoparticles by isolating hepatocytes using standard techniques revealed preferential uptake in the hepatocytes in the order Pu Ar PES Dox> Ar PES Dox > Pu PES Dox> PES Dox>Dox solution. Our study suggests promise of this ASGPR ligand combination for improved therapy of hepatocellular carcinoma.

PT-101

Microenvironmental pH Modulation: An Approach to obtain pH-Independent Drug Release from ExtendedRelease Dosage Forms

Joshi Ruchi, Mishra Renuka.

Department of Pharmaceutics, Institute Of Pharmacy, Nirma University, Ahmedabad, Gujarat

ruchi.h.joshi@gmail.com

In formulation development, weakly basic or acidic drug offers a major challenge. Many weakly basic drugs and their salts exhibit release rates that are strongly dependent on pH of dissolution medium. This pH-dependent solubility characteristic of these drugs can lead to faster drug release in acidic medium (burst release) and low and incomplete release in basic medium. Thus, to achieve pH-independent drug release throughout gastrointestinal tract with weakly basic drugs, microenvironmental pH modulation is one of the strategies. The objective of this review is to demonstrate the relationship between microenvironmental pH modulation and drug release enhancement. Various alternatives have been investigated by the formulators to overcome pH-dependent solubility by microenvironmental pH modulation which includes incorporation of organic pH acidifier's citric acid, fumaric acid, alginic acid in dosage forms, using anionic polymers such as eudragit, sodium alginate, carbopol as extended release polymers and pellet formation by pH modifying polymer layering on the drug. Modulating microenvironmental pH with the use of polymeric or non-polymeric acidifiers, maintains a low pH within the dosage form, hence keeping drug in solubilised form and inhibiting precipitation of salt form into free base. Thus, incorporation of acidic pH modifiers in extended release matrix increases the solubility of the basic drug despite high pH dissolution medium enters into the dosage form hence, increasing drug release and bioavailability.

PT-102

Formulation and Ex-vivo Evaluation of Metronidazole Microemulsion loaded Hydrogel for Prevention of Periodontitis

Navgire Somnath, Satpute Amit, Pandey Suneel, Patil Arun

Department of Pharmaceutical sciences, R.T.M. University, Nagpur, Maharashtra 440033

navgiresomnath@gmail.com

Periodontal disease comprises a group of inflammatory conditions of periodontal tissues with common etiologic agent (bacteria) in the form of dental plaque. The objective of this research was to prepare a water-in-oil type microemulsion comprising metronidazole and equate its potency towards the effective treatment of periodontitis. A pseudo ternary phase diagram for microemulsion investigated using quaternary system containing water/captex 500/ tween 80/acconon CC6. The microemulsion was preferred from the microemulsion area on the phase diagram. Stable water-in-oil type metronidazole microemulsion was prepared successfully using the quaternary system of water/captex 500/ tween 80/acconon CC6 at 4:1 ratio having droplet size in the range of 81±12.91 to 196±10.73 nm, conductivity 50.6±0.8 to 330.7±1.1 µs/cm. In-vitro drug release, in-vitro and ex-vivo antimicrobial activities by agar well diffusion were investigated. Formulations F9 and F10 showed the maximum release of metronidazole and antimicrobial activity in terms of the zone of inhibition. The in-vitro release evidenced that metronidazole microemulsion loaded hydrogel release rate was maximum as compared to other plain metronidazole gels. Stability study proved that microemulsion persisted stable for at least 6 months; with no changes in clarity, characteristic properties, and no sign of crystallization of metronidazole. In ex-vivo evaluation, microemulsion based hydrogels were effective against the microbial flora of the human oral cavity suffering from periodontitis. The system was found to be appropriate for application and more effective in reducing the clinical symptoms of periodontitis.

PT-103

Microsponges: Potential in Topical & Oral Drug Delivery

Singh Bhavna, Mishra Renuka

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat

bhavnasingh4491@gmail.com

Microsponges are polymeric delivery systems composed of porous microspheres typically 10-25 μ in diameter. They are designed as tiny sponge like spherical particles that consist of large number of interconnecting voids within non-collapsible structures with large porous surface. They are intended to be used mostly for topical and recently for oral administration. They are spherical in shape, porous in nature, provide good compressibility and flowability. They are self sterilising as their average pore size is 0.25μm where bacteria cannot penetrate and have higher drug entrapment (50-60%). They are evaluated by techniques such as thermal behaviour, surface morphology, particle size, pore structure, viscosity, loading efficiency and production yield. They are prepared by various techniques such as quasi emulsion solvent diffusion method, liquid-liquid suspension polymerisation, emulsion, solvent diffusion method. Examples of drugs incorporated in microsponge drug delivery are Flurbiprofen(FLB) for colon drug delivery, Ketoprofen for treatment of arthritis, Benzoyl peroxide for acne and athlete foot treatment, Flucinolone acetonide for treatment of skin inflammation and Retinol in cosmetic formulation. Marketed formulation of microsponges are retinol cream (Biomedic), salicylic peel 20 (Biophora), dermalogical oil control lotion (John and Ginger dermalogica skin), oil free matte block spf20 (Dermalogica), retinol cream (Biomedic). When applied to skin, it releases drug on a time mode and also in response to other stimuli like rubbing, temperature, pH etc.

PT-104

Ocular Inserts: Formulation Strategy For Controlled Drug Delivery

Dedakia Arjun, Koradiya Krishna, Sheth Navin.

Department of Pharmaceutical Science, Rajkot

Department of Pharmaceutical Sciences Saurashtra University, Rajkot

arjundedakia@gmail.com

Ocular inserts are the sterile product which contains one or more layered and drug containing solid or semisolid preparation which is placed in to cul-de-sac or conjunctiva sac. 90% of currently available ophthalmic formulations are as in the conventional forms while in 10% are available in market as a novel dosage forms. The main problem in conventional dosage form is precorneal drug loss. While this major problem can be removed by applying new drug delivery, by applying this intelligent drug delivery we increased ocular drug bioavailability and decreased the dose frequency. By applying the newer technologies in to single or combination of polymers containing formulations we can increased the drug release as means prolong the sustain release. Using the vehicles we can improve the prolong contact time at the ocular surface and reduced the excretion time. Due to anatomy, physiology and biochemistry of eye, ocular inserts drug delivery is the one of the interesting approach. Ocuserts can maintain the drug concentration in desired range. One of the approach is to prepare it with biodegradable polymers so no matter for removal problems compare to insoluble and lenses. Lesser administrations are required for better patients' compliances. Now a day, there are so many Ocuserts available for so many types of ophthalmic diseases. So, it's a beneficial drug delivery system.

PT-105

Innovations in Capsule Dosage Form

Shah Abhishek, Patel Abhishek, Patel Arpan, Shah Herin

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat

10bph051@nirmauni.ac.in

Pharmaceutical sciences - a noble profession which deals keep in mind patient compliance as sole responsibility. Capsule dosage form is the most suitable oral, feasible and widely accepted dosage form by patients. Various innovation in capsule dosage form are made to increase bioavailability and to have targeted drug delivery action. There are two best possible ways for capsule dosage form modifying the capsule shell and modifying capsule system. Basic rationale for modifying capsule shell is to improve stability, consistency, bioavailability-formulation point of view and improved patient compliance as major population of terrain is vegetarian. Shells are made up of animal origin mainly gelatine and non-animal (vegetarian) origin (veg caps). Various systems like capsular systems, osmotic systems, pulsatile system based on the use of soluble or erodible polymer coating, use of ruptured membranes and pulsatile system based on membrane permeability are summarized. The present review focuses on the newer patented technologies of capsular manufacturing and certain industrially widely accepted technologies. Implementing these technologies in current practice will help in diagnosis (capsule camera) sophistication in formulation manufacturing, targeted drug delivery action, BA-BE studies and cost effective drug therapy. Newer compatibility studies and research drugs can be made bioavailable. From patient compliance view point no. of dosing frequencies get reduced and side effects and ADR can be minimized.

PT-106

Fabrication and Texture Characterization of Novel Surface Decorated Herbal Anti-Acne Nanogel

Dighe Pramod [1], Pande Vishal [1], Bhalke Rasika[2]

[1]Department of Pharmaceutics

Sanjivani College of Pharmaceutical Education and Research, Kopargaon (Maharashtra)

[2]Department of Pharmacognosy

Sanjivani College of Pharmaceutical Education and Research, Kopargaon (Maharashtra)

pramoddighe.5110@gmail.com

The skin disorder called Acne vulgaris is genuinely caused by Propionibacterium acne and Stapphylococcus epidermidis species of bacteria. This type of skin disorder occurs in the teenagers or up to the age group of 21 years. While treating this disorder most of the drugs of synthetic origin show side effects like peeling and skin darkening which obviously leads to decrease in self confidence. Hence, present work gives a new approach of treating acne-vulgaris by herbal treatment. In current work herbal plants with novel approach of formulation is used to get expected results and these plants have been reported for their anti-microbial, anti-oxidant and anti-inflammatory potential. Ethanol extracts of selected plant i.e. Neem (Azadiracta indica), Nutmeg (Myristica fragrance) and Amba Haldi (Curcuma amada) was formulated into Nanogel by using TPP as cross linking agent and Surface decoration by oleic acid to increase skin penetration. MIC (Minimum Inhibitory Concentration) values were calculated by Disc Diffusion Method using Rabbit Blood Agar Medium having 5% v/v defibrinated blood. Prepared Formulation was evaluated for Texture Profile Analysis to determine parameters like Hardness of Gel, Penetrability etc. Finally In-vivo model was performed on Sebaceous glands of Rats in laboratory to check anti-acne activity and Optimized Formulation Batch was compared with marketed preparation.

PT-107

Intra Nasal Drug Delivery System for Neurodegenerative Disorders

Bhanderi Mansi, Shah Jigar

Department of Pharmaceutics, Institute Of Pharmacy, Nirma University, Ahmedabad, Gujarat

Mbhanderi84@yahoo.com

Neurodegenerative disease is a range of conditions which affect the neurons in the human brain. Neurons built whole nervous system of every human being which includes brain and spinal cord. When they become damaged or die they cannot be replaced by the body because it is not possible to reproduce or replace them. Neurodegenerative diseases are incurable. It is debilitating conditions which cause problems with movement or mental functioning which are the result of progressive degeneration and /or death of nerve cell. Examples like Parkinson's, Alzheimer's, and Huntington's disease. Over the recent decades the interest in intranasal delivery of drugs is increased. As nasal mucosa offers various benefits as a target tissue for drug delivery, a wide variety of therapeutic compounds which gives topical, systemic and central nervous system action, administered via this route. In recent years, it is also observed that systemic bioavailability of drug is increased by this route as compare to oral route because it avoids first pass effect. It is also a non-invasive route and it offers self-medication which increases patient compliance. Also it provides high permeability and rapid drug absorption rate with plasma drug profiles sometimes almost identical to those from intravenous injections. Because of these benefits of intranasal route, Pharmaceutical industries are looking at this option as a viable alternative to traditional routes of administration of drugs.

PT-108

Local Drug Delivery System in the Treatment of Periodontitis

Radadiya Sweta, Raval Mihir, Sheth Navin

Department of Pharmaceutical Sciences Saurashtra University, Rajkot

radadiyaswetaj@gmail.com

Periodontitis is an inflammatory disease of the supporting tissues of the teeth caused by specific microorganism resulting in progressive destruction of the periodontal ligament and alveolar bone with pocket formation, recession, or both. Gram negative periodontal pathogens include: Porphyromonas gingivalis, Prevotella intermedia, Aggregatibacter actinomycetemcomitans. Clinical signs of inflammation, such as changes in colour, contour, pocket formation, and bleeding on probing. The aim of recent periodontal therapy is to delivery antimicrobial agent to the infection site (local delivery). The objective in using of local delivery of antibacterial agents is to maintain drug concentration to the intra pocket for longer period of time. Antibacterial agents have been used in the management of periodontal infection as an adjunctive to surgical treatment. The drawback of systemically applied antimicrobial agent such as gastrointestinal disturbance, development of bacterial resistance would be reduced by using local delivery of antimicrobial agent. Now a days sustained release formulation are useful for delivery of antimicrobial agents to periodontal pocket. Several degradable and non-degradable devices are useful for the delivery of antimicrobial agents into the periodontal pocket including fibre, film, gel, injectable system, microparticles, strips and compacts. Biodegradable polymers are widely used in periodontal drug delivery devices because of its degradation nature in body fluid, lack of toxicity, and high tissue compatibility. This system maintain the drug concentration for prolong period at smaller doses.

PT-109

Use of Bacteria in Cancer Therapy: A Novel Strategy

Shah Prachi., Prajapati Yash

Institute of Pharmacy, Nirma University, Ahmedabad-382481

prshah1712@gmail.com

The present review deals with study of use of bacteria in cancer therapy and results at clinical and preclinical levels. Cancer is one of the deadliest diseases affecting the world and its treatment is a major challenge. There are conventional therapies like chemotherapy, radiotherapy or surgical excision of cancer which to certain extent are effective in managing the disease in some patients. But these therapies are posed with a major challenge of development of resistance by tumour cells. Hence, novel methods are being developed to target tumours. In such cases the ones that are showing realistic prospects are gene therapy. This involves delivery of genetic information via a vector to tumour cells which then facilitates production of therapeutic proteins. One of the emerging families of vectors for this purpose is bacterial family that can be used to transfer genetic information. The basic principle behind this is the delivery of a nucleic acid that affects gene expression of a gene that is the transgene; to the desired site in the patient's body. Bacteria have a natural affinity of homing to tumours when systematically administered into the body and then it leads to high levels of replication. Bacterial colonization in the tumours is because of the hypoxic nature of tumours. The present review deals with the two mechanisms behind the use of bacteria in treatment of cancer: tumour specific replication and bactofection. Bacteria are highly specific in their colonization within tumour masses. Therefore, the activity of the engineered bacteria is specific and hence this is a very attractive prospect in management of cancer. Thus, use of live bacterial vectors for delivery of therapeutic agents is an exciting development in this area of research.

PT-110

Fabrication and Characterization of Film Forming Voriconazole Transdermal Spray for Treatment of Fungal Infection

Patel Priya, Sheth Navin

Department of Pharmaceutical Sciences, Saurashtra University, Rajkot, Gujarat, India

patelpriyav@gmail.com

The Purpose of the present work was to fabricate patient friendly Voriconazole transdermal spray for fungal infection. Transdermal spray was generated by using film forming polymers like Eudragit RLPO and Ethyl cellulose along with eutectic mixture (camphor: menthol) used as a penetration enhancer. The formulation optimized by 3^2 factorial designs. Regression analysis and response surface methodology were used to optimize effect of polymers and formulate check point batch based on Overlay plots. Evaluate viscosity, ex vivo drug transport, kinetic model fitting, permeability data analysis and characterization by FT-IR and DSC study, Antifungal activity, skin irritation study and in vivo pharmacodynamic study and Container related evaluations like spray angle spray pattern, were utilized. Concentration of Eudragit RLPO and EC shows influence on viscosity (cps) as well as t_{50} (mint.). Diffusion study shows 75 % of drug transport with 65.8 ($\mu g/cm^2/hr$) fluxes. Penetration enhancers' shows increase the penetration of drug through the formulation its increase 1.68 fold. Here conclude that fabricated film forming voriconazole transdermal spray formulations penetrate to the deep layer of the skin and it is feasible to treat dermatological fungal infection. This delivery platform opens a wide range of treatment of fungal infection as compare to conventional formulations.

PT-111

Recent Drug Targeting Strategies for the Treatment of Colorectal Cancer

Patel Mayur

Department of Pharmaceutics, Institute of Pharmacy, Nirma University,

S-G Highway, Chharodi, Ahmedabad 382 481, Gujarat, India

drmayurmpatel@gmail.com

Colorectal cancer (CRC) is the third most common cancer in the world and the second most common cause of cancer related deaths. The American Cancer Society reported that in 2011 about 141,210 people were diagnosed with CRC and about 49,380 people died of the disease in the US. As per the population based time trend studies In India, CRC incidents are showing rising trends. The treatment of CRC with conventional I.V. administration results in severe systemic side effects. This is due to their cytotoxic effect on normal cells. Since last decades, colon-targeted drug delivery systems (CoDDS) have attracted tremendous interest among researchers in the field of targeted drug delivery for the treatment of CRC. Researchers involved in the studies related to oral CoDDS for the treatment of CRC have been quite successful. Targeted drug delivery of anti-cancer agent to colonic region increases its concentration at the site of action, which leads to reduction in dose required and thus, subsequently reduces the side effects. The absorption of drugs and degradation pathways in the upper gastrointestinal tract are the major obstacles in delivering of drugs to the colonic region. However, a effectively designed CoDDS can overcome these hindrances. This paper will highlight some of the breakthrough technologies that emerged as a milestone in the field of CoDDS for the treatment of CRC.

PT-112

In Situ Nanocarboplex of Primaquine Phosphate: A Pioneering Nano Drug Delivery System

Das Saugandha, Joshi Vishvesh, Devarajan Padma.

Department of Pharmaceutical Sciences and Technology, Institute of Chemical Technology (Deemed University) N. P. Marg, Matunga (E), Mumbai, Maharashtra, India 400019.

saugandha_2006@yahoo.co.in

Malarial relapse continues to pose a serious threat despite the proven clinical efficacy of Primaquine Phosphate (PQ) as a hypnozoiticidal anti-malarial drug. Targeted delivery of PQ using nanocarriers is a promising approach for complete eradication of the hypnozoites from the liver. High aqueous solubility of PQ which precludes sufficient drug loading, and successful scale up of nanocarriers, are the challenges that need to be addressed. This study presents a pioneering strategy to tackle both challenges simultaneously, through design of an innovative technology IN SITU NANOCARBOPLEX of PQ (ISN-PQ). Nanocarboplex formation relies on complexation of cationic PQ with anionic dextran sulphate (DS). PQ/DS ratio and pH for complex formation was optimized. ISN-PQ was formulated using an unbelievably simple approach, which involved simple addition of an aqueous solution of DS with surfactants/stabilizers, to PQ (15mg PQ base) in a vial, using a syringe. This was followed by 25 inversions of the vial to form the ISN-PQ, ready for injection. Particle size (average 235nm) and complexation efficiency (>70%) were optimized by systematically varying all formulation components. More importantly it was possible to prepare ASGPR ligand, pullulan anchored nanocarboplex of PQ by simply dissolving pullulan in the aqueous phase. Pullulan anchoring was confirmed by increase in particle size and decrease in zeta potential. ISN-PQ revealed the drug in amorphous form, exhibited sustained release, low hemolysis, and good stability. ISN-PQ represents a pioneering platform technology for the preparation of nanocarboplexes of ionic drugs. A significant milestone of this technology is the total bypass of nano scale up challenges.

PT-113

Fabrication of Silver Nanoparticles by Various Green Synthesis Methods and their Comparison

Vashi Ronak, Sharma Om Prakash, Mehta Tejal

Institute of Pharmacy, Nirma University, Ahemdabad, Gujarat

vashi_ronak@hotmail.com

The word 'nano' being searched the seventh most times in google this year shows impact of nanotechnology in our lives. In nanotechnology, silver nanoparticles are gaining importance and have attracted intensive research interest. Due to a wide range of applications like antimicrobial agents, catalysts and various others; numerous methods concerning the fabrication of silver nanoparticles have been developed. Over a period of time there has been development of variety of methods to synthesize silver nanoparticles. Green methods of synthesis have proven to be better methods due to use of benign solvents, reducing agents and capping agent. Now, researchers can have better control over the properties of nanoparticles like shape and size by using these methods in various synthesis routes. The materials, which are using in the synthesis, are eco-friendly and bio-compatible as well as cost-effective too. In present work, silver nanoparticles (AgNPs) were prepared using various green methods- like polysaccharide, microwave synthesis and biological method (using plant extract). The prepared AgNPs were characterized by UV-visible spectroscopy, fourier transform infrared spectroscopy (FTIR), scanning electron microscopy (SEM), dynamics light scattering (DLS) and X-ray diffraction. The properties of nanoparticles, such as particle size and size distribution, were taken in consideration for the selection of best method of preparation. From the results, it was found that nanoparticles prepared by using polysaccharide method were having desired characteristics which will further studied for their antimicrobial properties.

PT-114

Formulation and Evaluation of Mucoadhisive Film Containing Nanoparticles of Poorly Soluble Drug

Patel Rakesh[1], K S Rajesh[1], Shah Dushyant[2]

[1]Parul Institute of Pharmacy, Vadodara, Gujarat

[2]APMC college of Pharmacy, Himmatnagar, Gujarat

patelrakesh0509@gmail.com

Mucoadhesive sublingual film containing nanoparticles of Domperidone was prepared to get quick disintegration for rapid release and onset of action in case of nausea and vomiting produced by chemotherapy, migrane, headache, food poisoning and viral infections. To improve the solubility of Domperidone, nanosuspension was prepared by using high speed homogenizer. Sodium loryl Sulphate was used to stabilize the nanosuspension. Mucoadhesive polymer carbopol 934P was used for mucoadhesion of film to sublingual mucosa. Formulations were prepared by varying the concentrations of polymer, HPMC E 5 and poly ethylene glycol as a plasticizer. Nanosuspensions were evaluated for parameters like Particle size, PDI and Zeta potential. Films were evaluated for parameters like drug content, tensile strength, in-vitro drug release, folding endurance, surface pH, taste, thickness, disintegration time, ex vivo Mucoadhesion time, ex vivo comparative permeation study and drug excipients compatibility study. A 32 Factorial study was applied to check the effect of varying concentration of HPMC E 5 and propylene glycol on dependent variables like disintegration time, % in vitro drug release and tensile strength. Regression analysis and analysis of variance were performed for dependant variables, formulation F4 was found optimum which contained HPMC E 5 200 (mg) and Propylene Glycol (20 %). Dissolution and permeation rate increased in film containing the Nanoparticle of drug, optimized batch shows substantial stability when subjected to short term stability study. Quick disintegrating film of Domperidone can efficiently be formulated by using HPMC E 5 as film forming polymer by solvent casting technique.

PT-115

Nanosuspension: A Tool to Enhance Bioavailability of Drugs

Agrawal Milan, Patel Mayur

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat, India

milanagrawal99@gmail.com

Nonosuspension is a submicron colloidal dispersion of solid particles in an aqueous vehicle. It is a great challenge to make drug bioavailable, which is insoluble in aqueous as well as organic solvent. Although some approaches are available for enhancing the dissolution of poorly soluble drug, there has been certain drawback like use of organic solvent, low drug loading and large doses. Recently nanonisation is a promising strategy for the more soluble, more biologically available and safer dosage form of poorly bioavailable drug by improving solubility, bioavailability, passive targeting. This review emphasis on brief description of production methods of drug nanoparticle and commercialized methods such as wet milling method, emulsion solvent evaporation method, melt emulsification method, high pressure homogenization method, supercritical fluid method, precipitation method etc where the use of media milling technology to formulate poorly-water-soluble drugs as nanocrystalline particles offers the opportunity to address many of the deficiencies associated with this class of molecules. Pearl milling technique is an attrition process wherein large drug particles are crushed in a water-based stabilizer solution to produce nanometer-sized drug particles. This review basically justifies the pros and cons of different manufacturing approaches of nanoparticles regarding their stability and scale up.

PT-116

Elevating Towards a New Innovation-Carbon Nanotubes (CNTs)

Purohit Asmita, Vengurlekar Sudha

Sri Aurobindo Institute of Pharmacy, (S.A.I.M.S), Indore (M.P.)

asmita2shona@gmail.com

Carbon nanotubes cover a recent advancement and perspective in field of pharmacy and medicine. These are found to cover a major breakthrough in nanomedicine and nanotechnology fields as biocompatible and supportive substrates and cover immense potential in delivery of therapeutically important molecules say RNA and DNA, togetherwith sensors, actuators, bionanotubes, in vaccine delivery, antitumor therapy, as antioxidants, composites and so on and so forth . CNTs are recently used in controlled and targeted drug delivery and also as diagnostic tools in many of the therapeutic applications. Following are the summarization of different applications that hold an important part in the field of pharmacy along with medicine. These include – controlled drug delivery, targeted delivery to specific sites for example say - cancer cells, and the most important of all, as a nanofluidic device in many of the drug delivery systems. Some other features of CNTs include: as nanosensors, as nanorobots, as nanoprobes and as actuators as diagnostic tools in many diseases. Thus, these nano delivery systems hold immense potential to overcome many of the present obstacles that may occur during drug delivery. Because of their materialistic properties, these can be remarkably used as nanocarriers that can be included in numerous different fields. Their nanosized structure and unique physical and chemical properties, makes them attractive to be used in pharmacy and medicine fields. The phenomenal property of functionalization, provides new advancements in study of pharmaceutical characteristics. Till date, several CNT products, have reached the clinical trial surveillance stage while several have already being marketed. The present review throws a light on their biomedical characteristics and the idealistic opportunities, in different fields.

PT-117

Nanotechnology in Ocular Drug Delivery

Aziz Jahid, Butani Shital, Mehta Tejal

Institute of Pharmacy, Nirma University, Ahmadabad

jahidtanwar@gmail.com

For the treatment of ocular diseases various drug are used as topical in the form of suspensions, solutions and ointment. However these traditional dosage forms are not suitable for ocular disease, this dosage form suffering the difficulty of less ocular bioavailability, because of number of barriers prevailing in the eye, like anatomical and pathophysiological barrier. Recently ocular nanotechnology is most preferred due to merits like an appropriate an narrow particle size distribution, higher bioavailability, lower irritation and proportionate with ocular tissues etc. These formulations perforate the protective barriers of the eye without causing impairment of permanent tissue and release the drug. Nano size of drug particle in ocular drug delivery is very useful and able to traverse membrane barriers, such as the blood-retinal barrier in the eye and can perform excellent function in chronic ocular diseases need a frequent drug administration process. These formulation may show to be being the best tools of drug delivery in pharmaceutical technology for the treatment of ocular diseases, and such a system are investigated for every suspended drug. Recently nanotechnology based formulation like, nanosuspensions, nanoparticles, niosomes, microemulsions, liposomes, dendrimers and cyclodextrins were evaluated for ocular drug delivery. The upcoming methods like nanomedicine, nanoimaging, nanodiagnostics and can be applied to explore the frontiers of ocular drug delivery and therapy, this present review cover all the techniques including the most recent ones.

PT-118

Development and Characterization of Engineered Nanobioconjugate for Effective Mucosal Immunization

Sharma Rajeev, Vyas S.

Drug Delivery Research Laboratory, Department of Pharmaceutical Sciences, Dr. H.S. Gour University Sagar, M.P. India

rajeevs472@gmail.com

The aim of the present study was to prepare Con A anchored PEGylated PCL nanoparticles and considers their potential as mucosal adjuvants for vaccines. Attempt was made to maximize the therapeutic effectiveness of Immunogens (HBSag antigen) by incorporating it in Concanavalin A anchored PEGylated nanoconstructs. Con A anchored PEGylated PCL {Poly €- caprolactone} diblock copolymer was synthesized by single step surface functionalization method with some modifications and characterized by FTIR and 1H NMR spectral analysis that confirmed the synthesis. Nanoparticles were prepared by Modified Double Emulsion Solvent Evaporation technique and characterized for mean size and distribution by laser diffraction spectroscopy while external morphology and shape was characterized by scanning electron microscopy (SEM) and transmission electron microscopy (TEM). The optimum particle size, entrapment efficiency, polydispersity index and zeta potential were found to be 186.3±4.5 nm, 46.6±3.5%, 0.15±0.04 and -25.6±1.68, respectively. Encapsulation efficiency and in vitro release were determined by bicinchoninic protein assay (BCA). In vivo studies were performed against albino rats via antibody titer value estimation. Nano-encapsulated immunogens in CPP nanoparticles was found to be enhance significantly the systemic, local and cell-mediated immune responses.

PT-119

Fast Dissolving Film: Current Perspectives and Future Ahead

Sharma Jitendra, Mehta Tejal

Institute of Pharmacy, Nirma University, Ahmedabad

jitu.bagra@gmail.com

Fast dissolving films are solid dosage form and highly flexible and comfort to oral administration. It improve the efficacy of Active Pharmaceutical Ingredients by dissolving within 40 seconds and absorb in oral cavity when it come into contact with less saliva as compared to fast dissolving tablets, without chewing and no required of water for administration. The manufacture of fast dissolving films is done by number of method like solvent casting method, semisolid casting, solid-dispersion, extrusion, hot-melt extrusion, and rolling method. The films prepared by water-soluble polymers like Kollicoat or Pullulan, Sucralose and Aspartame used as a Sweeteners and pre-gelatinized Starch used as a disintegrating agent . The optimized films are evaluate by the weight variation, folding endurance, film thickness, content uniformity, tensile strength, assay, in vitro disintegration and dissolution, in vivo disintegration .The oral thin-film technique is still in the starting stages and has bright future ahead. Mostly, film formulation have drugs will be commercially launched using the oral film technology. However, for future growth point of view the oral thin film sector is well-positioned. In the United State marketed product which used for the pain treatment and motion sickness. Mostly, prescription of oral thin films approved in united state, EU and Japan which are the three major regions for fast dissolving films. So we can say present review provide various formulations considerations, methods of preparation and quality control of the oral thin films.

PT-120

Metabolic Syndrome: Treatment by Novel Formulation

Tandel Hemal [1], Upadhyay Manish [2]

[1]Drug Delivery laboratory, TIFAC CORE in NDDS, Pharmacy Department, Faculty of Technology and Engineering, The Maharaja Sayajirao University of Baroda, Kalabhavan, Vadodara 390 001, Gujarat, INDIA.

[2]Shantilal shah Pharmacy College, M.K.Bhavnagar University campus, Bhavnagar

hemaltandel2003@rediffmail.com

Metabolic syndrome is a combination of medical disorders such as Hypertension, insulin resistance, and dyslipidemia. All these disorders are associated with central obesity as factors of the metabolic syndrome. Increased body weight is associated with sympathetic activity. Therefore, it is obvious that controlling sympathetic activity is important in the metabolic syndrome. The aim of this study was to formulate and characterize Cilnidipine microemulsion for management of metabolic syndrome with an objective was to formulate a new dosage form with improve bioavailability, stability. Based on solubility of cilnidipine, phase diagram of tocotrienol(oil): tween 20(s): transcutol HP(cos) has been prepared and 3:1 s/cos ratio has been selected. Optimized microemulsions were evaluated by characterization. *In-vitro* diffusion study indicated better diffusion coefficient value for microemulsion(0.342) than Cilnidipine solution(0.275). Microemulsion was also found to be stable for 3 months. Ex-vivo intestinal permeability data shown 85% of the drug was diffused from the microemulsion system while only 68% diffused from the drug solution after 5 hours of diffusion. *In-vivo* study of Cilnidipine microemulsion showed reduction in body weight 10.16%, in total cholesterol 20.57%, in triglycerides 15.67%, in systolic blood pressure 6.55% and in diastolic blood pressure 9.47%. 11.42% increase in HDL and 41.58% decrease in LDL is highly significant observation for treatment of metabolic syndrome.

PT-121

Understanding the Alteration of Gastrointestinal Microflora by Cefdinir Microspheres and its Influence on Physiology

Prajapati Bhumika, Jena Prasant Kumar, Singh Shilpa, Seshadri Sriram

Institute of Science, Nirma University, Ahmedabad, Gujarat, India

High consumption of dietary fructose increases incidence of metabolic disorders by altering the microflora balance. Manipulation of gut microbiota can prevent the development of metabolic changes. The objective of investigation was to study the effect of altering the gut micro biota by oral administration of pH sensitive cefdinir microspheres to high-fructose fed rats. Cefdinir microspheres were formulated for animal experimentation. High-fructose diet and cefdinir microspheres were given simultaneously for 30 days. Biochemical, histopathological and microflora population studies were performed for both the groups. HFD rats showed hyperglycemia, hyperinsulinemia, hypertriglyceridemia and impaired glucose tolerance. Cefdinir treatment prevented the elevation in metabolic disorder associated biochemical changes as compared to HFD group. The cholesterol, triglyceride and body fat were significantly increased in HFD group. The histopathological changes in liver, small and large intestine were more profound in HFD group as compared to cefdinir treated and control group. *Lactobacillus* population increase and decrease in Enterobacteriaceae in cefdinir treated group, indicated restoration of commensal microflora. Cefdinir microspheres reduced the development of metabolic changes induced by high fructose diet and increased gram positive and decreased gram negative bacterial population. Intestine targeted antibiotic delivery needs to be further explored for its therapeutic applications.

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PG-1

Method Development and Validation of Cholesterol Esterase Inhibitory Assay and Screening of the Indigenous Medicinal Plants

Bhatt Dhara, Kanaki Niranjan, Patel Sonal, Zaveri Maitreyi

K.B.Institute of Pharmaceutical Education & Research, Gandhinagar, Gujarat

dharabhatt88@gmail.com

The inhibition of cholesterol esterase as a potential target particularly for the development of hypocholesterolemic agents. Cholesterol esterase has three proposed functions: 1) to control the bioavailability of cholesterol from dietary cholesterol esters; 2) to contribute to incorporation of cholesterol into mixed micelles; and 3) to aid in transport of free cholesterol to the enterocyte. Cholesterol esterase hydrolyzes cholesteryl ester to cholesterol. Inhibitors of cholesterol esterase are anticipated to limit the absorption of dietary cholesterol. The in-vitro colorimetric assay method was optimized for the evaluation of cholesterol esterase inhibitory activity. Twenty five indigenous medicinal plants were screened for cholesterol inhibitory activity. Amongst these plant extracts, methanolic extracts of *Withania somnifera*, *Centella asiatica, Ficus religiosa, Garcinia cambogia* and *Tamarindus indica* and aqueous extract of *Withania somnifera* showed specific inhibition of Cholesterol esterase. The activity of these plant extracts were evaluated at different concentrations and IC_{50} was calculated. The maximum activity was found in methanolic extract of *Withania somnifera* (IC_{50}-546 µg/ml).The plant extracts showing cholesterol esterase inhibitory activity in-vitro can be further studied for their in-vivo cholesterol esterase inhibitory activity. If found active, further fractionation of the plant extract could be done to isolate cholesterol esterase inhibitory compound from them.

PG-2

Modification and Evaluation of Novel Natural Polymer for Pharmaceutical Application

Patil Swapnil S., Patil Bhushan R., Bhavsar Chetan J.

H. R. Patel Institute of Pharma. Education & Research, Shirpur Dist. Dhulia, Maharashtra

swapnil9975@hotmail.com

Butea monosperma Lam. (Fabeaceae) known as flame of the forest, exploration of natural polymers is an increasing side because of their low cost, biocompatibility and biodegradability. But they have some drawbacks to use as pharmaceutical excipient. Chemical modification not only provides an efficient way for removing such drawbacks but also improve their swelling and solubilization. The present study emphases on the chemical modification of polysaccharides *Butea monosperma* gum for pharmaceutical applications. Gum polymer composed of linear chains of monosaccharide possessing hydroxyl groups; here the modifications discussed include the introduction of carboxymethyl groups into the molecule. The result examine through Scanning electron microscopy (SEM), X-ray diffractometry (XRD) show structural changes crystalline to amorphous and degree of substitution found up to 0.14 and also enhance the solubility of gum than purified gum in respective solvent which is determined gravimetrically. Furthermore this carboxymethylated Butea gum (CBG) is again evaluated as carrier for preparation of solid dispersion by solvent evaporation method using Felodipine drug. Model drug belongs to BCS II and undergoes extensive first pass metabolism with bioavailability only about 15%. Hence work was planned to improve oral bioavailability of this drug by increasing its solubility and dissolution characteristics through solid dispersion technique using CBG as a carrier. The results were examined through various tests and confirmed conversion of crystalline Felodipine to amorphous form in solid dispersions. Furthermore, the solubility show enhanced up to 3.45 fold than normal solubility and the optimized ratio of drug polymer show 95% yield, drug content up to 95.09.

PG-3

Standardization and Evaluation of Collagenase Type II Inhibitory Activity of Different Plant Parts of *Cocculus hirsutus*

Jethva Khushboo , Dhru Bhavita, Patel Sonal , Zaveri Maitreyi

K.B.Institute Of Pharmaceutical Education & Research, Gandhinagar, Gujarat

khushi_198984@yahoo.com

Cocculus hirsutus (L.) a medicinal plant belonging to family Menispermaceae, the perennial climber reported to use in arthritis. Different collagenases, namely (matrix metalloproteinases) MMP-1, MMP-8 and MMP-13, can cleave type II collagen. The loss of the collagen components may be a function of articular cartilage collagenase that leads to the arthritic condition. The study was formulated with the objective to assess the Collagenase inhibitory activity of *Cocculus hirsutus*. Pharmacognostical, physicochemical study and phytochemical study of leaf, stem and the root of *Cocculus hirsutus* were performed. The leaf, stem and the root of *Cocculus hirsutus* were extracted with solvents namely ethanol and 70 % hydro-alcohol for the determination of Collagenase inhibitory activity. Collagenase inhibitory assay was developed and assay method was optimised using O-phenenthroline as standard. TLC study and phytochemical screening showed that *Cocculus hirsutus* contained steroids, saponin, flavonoid and phenolics. Stem ethanolic extracts showed significant collagenase inhibitory activity as compared to other extracts. Again fractionation of stem ethanolic extracts of *Cocculus hirsutus* was done with petroleum ether, ethyl acetate, n-Butanol and residue. From that ethyl acetate and residue showed significant collagenase inhibitory activity with IC_{50} value 3.5712 and 3.0964 respectively. So, it may conclude that collagenase inhibitory activity may be due to flavonoids.

PG-4

Anticancer Potential of *Dillenia indica* and *Dillenia pentagyna* Plants and Its Correlation with Presence of Active Phytoconstituent

Gandhi Dipal, Mehta Priti

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat

dips.pharma@gmail.com

Information on folk medicinal uses of the plants has recently become of renewed interest in the search for the therapeutic agents. *Dillenia indica* and *Dillenia pentagyna* mainly grow in Dang forest, Gujarat. These plants have been used by various tribal and folk communities for treatment of common ailments and diseases especially in diabetes, cancer, skin diseases, in various infections, as tonic, in diarrhoea and dysentery etc. Betulinic acid is one of the phytoconstituent reported to be present in these plants. Leaves and bark of these plants were collected from Dang forest, Gujarat, India. Present research work includes a simple, sensitive, fast, and accurate RP-HPLC stability indicating assay method was described for betulinic acid. For estimation of betulinic acid, different fractions such as toluene and ethyl acetate of bark and leaves of *D. indica* has been prepared and quantified for presence of betulinic acid. The method involves use of simple mobile phase and good separation of peak was achieved. MTT assay has been performed on three different cell lines such as HCT-15, DU145 and A-375 cell lines to check the anticancer potential of plant extracts. Results obtained by performing cell line studies were compared with content of betulinic acid obtained by RP-HPLC method.

PG-5

Bioactivity Guided Fractionation of *Ocimum basilicum* Linn. for Nephroprotective Activity

Vadalia Jigna[1], Movaliya Vinit[2], Zaveri Maitreyi[2], Sheth Navin[1]

[1]Department of Pharmaceutical Science, Saurastra University,Rajkot.

[2]K. B. Institute of Pharmaceutical Education and Research, Gandhinagar.

jigna.vadalia@gmail.com

Nephrotoxicity is a common side effect of many drugs like anticancer, antimicrobial, aminoglycoside antibiotics and radioactive compound. *Ocimum basilicum* (family: Labiateae) is very well known herb mentioned in the category of "Pashanbheda" and hydroalcoholic extract and its ethyl acetate fraction were reported nephroprotective. In present study different sub-fractions of ethyl acetate fraction were studied for nephroprotection in Cisplatin induced renal injury in Wistar rats. Three sub-fraction were administered orally 10mg/kg of body weight. Antioxidant activity, TLC, HPTLC fingerprinting of all sub-fractions were done. Result showed presence of quercetine in sub-fraction 2 in TLC study and also have good antioxidant activity. Sub-fractions showed presence of phenols and flavanoids. Cisplatin induced renal injury model showed that sub-fraction 2 of ethyl acetate fraction was more significant ($p < 0.05$) as nephroprotective and could offer promising role in acute renal failure. Quercetine may be responsible for nephroprotection. We can isolate active compound from sub-fraction 2 and can be used as adjuvant therapy with Cisplatin.

PG-6

In Vitro Investigation On *Prosopis cineraria* Bark for Antioxidant, Antidiabetic and Antiurolithiatic Activity

Barot Ronak, Chauhan Bhavik, Mishra Shri Hari, Sethiya Neeraj Kumar

Pharmacy Department, Faculty of Technology and Engineering, Kalabhavan, The M. S. University of Baroda, Vadodara , Gujarat

ronak91.msu@gmail.com

The plant Prosopis cineraria (family Leguminoseae, subfamily Mimosoideae), is a locally known as 'Khejari and Shami' to have many use in ethanomedicine. This plant is used in pregnancy as a safeguard against miscarriage. The smoke of the leaves is good for eye trouble. The bark is used as a remedy for rheumatism, cough, common cold, asthma and scorpion sting. Keeping the view of the above facts the present studies designed and investigate antioxidant, antidiabetic and antiurolithiatic activity of *Prosopis cineraria*. In vitro antioxidant activity was carried out using ferric ion reducing antioxidant power (FRAP), Hydrogen peroxide scavenging activity & 2, 2-diphenyl-1-picrylhydrazyl (DPPH) assay. Whereas in vitro antilithiatic activity was carried out by titrimetric, conductometric & potentiometric analysis by comparing with cystone (A known biomarker). The antidiabetic activity was carried out by aldose reductase enzyme inhibition. The present study indicate that different solvent extract shows significant antioxidative, antidiabetic potential and inhibitory action on formation of calcium & phosphate precipitate. So it is concluded that the plant Prosopis cineraria possess antioxidant, antidiabetic and antiurolithiatic activity.

PG-7

Hepatoprotective Effect of the *Zizyphus xylopyrus* Leaves Extract against Ethanol-Induced Oxidative Stress in Rats

Modi Anuj[1], VimalKumar[2]

[1]ADINA Institute of Pharmaceutical Sciences, Sagar, M.P.

[2]Institute of Pharmacy, Nirma University, Ahemdabad , Gujarat

pharmaanuj@gmail.com

The present study was undertaken to investigate the protective effect of ethylacetate and ethanolic extract of *Zizyphus xylopyrus* Willd (Rhamnaceae) leaves against ethanol-induced oxidative stress and liver damage. Silymarin (100mg/kg, b.w.) was taken as reference drug. Serum marker enzyme estimation reveals that ethanolic extract (100, 200 and 400 mg/kg b.w.) protects rats liver more significantly in a dose dependent manner than ethylacetate extract against ethanol (5g/kg b.w.) induced damage. Parallel to these progressions, the extracts also obstruct ethanol-impelled oxidative stress by suppressing lipid peroxidation and maintaining the levels of in vivo antioxidant enzymes. The biochemical changes were consistent with histopathological observations suggesting marked hepatoprotective effect of the Z. xylopyrus leaves. Hepatoprotective effect of the leaves could be attributed to the antioxidant effect of the constituents and enhanced antioxidant defenses.

PG-8

Extraction and Characterization of Quercetin from Ethyl acetate and Methanolic Extract of Flowers of *Crinum asiaticum* Linn. (Amaryllidaceae) using HPTLC Technique

Kavade Ekta S[1], Kale Shantanu S[2]

[1]MET's Institute of Pharmacy, Nashik

[2]Sandip Foundation's Institute of Pharmaceutical Sciences, Nashik

ekta1587@gmail.com

Crinum asiaticum L. (Amaryllidaceae) are the white lily flowers obtained in the cultivars of India. It has been reported to have medicinal activities like anti-tumor, anti-inflammatory, anti-microbial, anti-emetic, anti-uretic, haemogogue and anthelmentic. Literature has reported the presence of constituents like alkaloids, terpenoids, flavonoids and saponins. Characterization and Finger-printing study was done by using techniques like TLC and HPTLC. Fingerprinting by HPTLC showed the presence of quercetin in ethyl acetate and methanolic extracts of flowers of *Crinum asiaticum* L. (Amaryllidaceae). The method was carried out on precoated Silica Gel G60 as stationary phase while Solvent system was used as Ethyl acetate: Formic acid: Glacial acetic acid: Water (100:11:11:26). Rf value 0.45 at the absorbance of 366nm, which indicates the presence of quercetin.

PG-9

Apitherapy: Impact on HIV

Vyas Vandana

Institute of pharmacy, Nirma university, Ahmedabad

vyas28.vs@gmail.com

APITHERAPY: It is a therapy in which honey bee products are used to treat diseased condition.

Honey bee contains toxin named melittin, which can treat various infectious conditions. The finding is an important step towards developing a vaginal gel that may prevent the spread of HIV & also helps in treating HIV. Bee venom is a complex mixture of proteins, peptides and low molecular components. It can be either in dry form or in fresh venom preparation. In this therapy, protective bumpers are added to the nanoparticle surface. When they come into contact with HIV cell, it fits between the bumpers & makes contact with surface of nanoparticles, where the bacterial toxin awaits. Melittin (chemical constituent) on nanoparticles fuses with the viral envelope & forms pore like attack complexes. This then ruptures the envelope, but it does not affect the normal body cells as they are larger in size. Initially, basic particle was developed as an artificial blood product, but it has not shown remarkable result in delivering oxygen. Then, it was studied in this field. Bee venom also stimulates release of cortisone which is anti-inflammatory in nature & thus treats arthritis.

PG-10

Hesperidins: An Anticipated Anti-Inflammatory Citrus Bioflavonoid and Their Bio-Molecular Targets

Shrivastava Arpit, Mishra Himanshu, Bhadoriya Santosh Singh

Department of Pharmacology, ADINA Institute of Pharmaceutical Sciences, Sagar (MP)

arpitshrivastava511@gmail.com

Inflammation is characterized as a multifaceted biological response of vascular tissues to injurious stimuli due to pathogens, injured cells or irritants. It is the body's attempt at self-protection aimed to remove such injurious stimuli including damaged cells, irritants, or pathogens and commence the healing process. Conventional medical management of inflammation available so far including steroidal and non-steroidal anti-inflammatory drugs (NSAIDs) lacks utility due to its unpleasant side effects like bleeding, mucosal damage and other gastrointestinal disturbances. Considering the probable undesirable effects of these therapies, as well as their limited capability to offer long-term remission, there is a need of a novel, effectual and safe anti-inflammatory agent which can diminish pain and other associated symptoms. In lieu of this fact the herbal extracts which are being widely prescribed in traditional medicinal systems can be utilized to combat the limitations encountered in conventional therapy. Hesperidin, a bioflavonoid is a plentiful and inexpensive by-product of citrus cultivation and is comprised of the flavanone hesperetin and the disaccharide rutinose. Its aglycone hesperetin have been reported to possess a pronounced anti-inflammatory and analgesic action without tissue toxicity. The anti-inflammatory actions of bioflavonoids have been explained on the basis of a number of mechanisms of action that include ERK signaling pathway, the JNK signaling pathway, the p38 MAPK, cyclooxygenase and lipoxygenase pathway. In this review an attempt has been tried to explore various molecular pathways and targets for hesperidins as anti-inflammatory drugs at a glance and to build a strong speculative platform for researchers towards molecular screening of hesperidin in assorted inflammatory phenomenon.

PG-11

Natural Sources of Xanthine Oxidase Inhibitors

Nair Anu, Patel Naiya, Modh Dharti

Institute of Pharmacy Nirma University, Ahmedabad, Gujarat

nairanu92@gmail.com

Gout is common disease that affects mainly the large part of population which occurs due to accumulation of uric acid in joints leading to pain and swelling in joints. Prevalance of gout has doubled over last two decades. The therapeutic approach for gout treatment is inhibiting xanthine oxidase enzyme that is responsible for synthesis of uric acid from purine. Synthetic drug mainly used for xanthine oxidase inhibition is allopurinol. But allopurinol has side effects of skin rash which are macuopapular, fever, fatal reactions like arthralgias, hepatitis, vasculitis. Some cases of leukopenia, bone marrow suppression, hepatotoxicity, nausea,vomiting, aplastic anaemia were also reported due to prolonged use of allopurinol. Since last few years research on many herbs revealed many constituents are able to inhibit xanthine oxidase having minimum side effects compared to allopurinol. Many Chinese, Indian ,Australian etc species showed xanthine oxidase inhibitory activity and among them extracts of *Clerodendrum floribundum* (84%), Eremophilamaculate (61%), Larixlaricina (84%), Syzygiummalaccense (64%),Stemodia grossa Benth (57%), *Eucalyptus deglupta*(51%) showed significant percentage inhibition at concentration of 50 µg/ml, 50 µg/ml, 50 µg/ml, 44.5 µg/ml, 51 µg/ml, 6.26mg/dl respectively and showed good IC50 value. According to an invitro studies carried out 2-methyl-1-H-pyrrole-4-carboxylic acid isolated from ethylacetate extract of snake fruit showed significant xanthine oxidase inhibition with IC50 value of 48.86µg/ml. Also many fractions mainly flavonoids isolated from Vicia faba and Lotus edulis showed potent xanthine oxidase inhibitory activity ranging 40-135µg/ml and 55-260µg/ml.

PG-12

In Vitro Investigation on Seeds of *Tectona grandis* Linn. for Antioxidant and Antilithiatic Activity

Shah Avani, Chauhan Bhavik, Mishra Shri Hari, Sethiya Neeraj Kumar

Pharmacy Department, Faculty of Technology and Engineering, Kalabhavan, The M. S. University of Baroda, Vadodara 390002 (Gujarat), INDIA.

annu23590@gmail.com

The plant *Tectona grandis* Linn (TG) is commonly known as 'Teak'. It is a large to very large deciduous tree, which belongs to the family "Verbenaceae". The whole plant is medicinally important and used to cure several diseases in traditional system of medicine. The seeds of *Tectona grandis* are used as diuretic, emollient, demulcent, skin diseases, and in vitiated conditions of vata. The seed of the plant is also used in kidney stone by many tribals. Keeping in the view the utility of seeds of the plants TG, the present studies were designed to investigate in vitro antioxidant and antilithiatic activity of *Tectona grandis* seeds. In vitro antioxidant activity was carried out using ferric ion reducing antioxidant power (FRAP), Hydrogen peroxide scavenging activity & 2, 2-diphenyl-1-picrylhydrazyl (DPPH) assay. Whereas in vitro antilithiatic activity was carried out by titrimetric, conductometric & potentiometric analysis by comparing with cystone (A known biomarker). It was found that methanol extract of *T. grandis* showed a significant free radical scavenging activity (antioxidant activity). On the other hand the saponified petroleum ether extract significantly inhibit formation of precipitate of calcium and phosphate. The activity may be due to the presence of terpenoids, fatty acids and steroids in the seeds.

PG-13

Standardization of Herbal Products: A New Approach Using Bio-Hybrid Model of Liver and Small Intestine

Sarvaiya Kuldeep, Pathak Ruchi

Nirma Institute of Pharmacy, Ahmedabad, Gujarat

Sarvaiyakuldeep5393@gmail.com

The standardization of herbal products is very difficult task as many of active compounds are not yet identified or accurate methods are still not available. Herbal medications are used since ancient period and still performing well in market in various sectors. With the increase in the demand for quality product it is necessary to standardize herbal formulation. The bio-hybrid model of liver and small intestine provides the site for absorption of active constituents from crude product or formulation and biotransformation of it. The constituents thus absorbed or bio-transformed can easily be quantified and compared between test and standard and if pure active compound is available then the factor of activity can be derived. The activity factor scale ranges from 1-10. Based on the activity factor the formulation can be classified as poor activity (1-3), Intermediate activity (3.1-5), Good activity (5.1-7) and excellent activity (7.1-10). The amount of active constituent in body fluid is considered to reach at site of action and the factor is derived for that drug or formulation. This method can be used for poly-herbal formulations too by separating absorbed material by chromatography or suitable separation technique. The bio-hybrid model of liver and small intestine can mimic the absorption in small intestine and biotransformation in small intestine and liver. So this method will improve the standardization procedure for herbal formulations.

PG-14

Phytopharmacological Review of *Premna integrifolia* Linn.

Mali Prashant Y.[1], Panchal Shital S.[2]

[1]Department of Pharmacology, Radharaman College of Pharmacy, Ratibad, Bhopal, MP, India

[2]Department of Pharmacology, Institute of Pharmacy, Nirma University, Ahmedabad, India

pymali2008@rediffmail.com

Premna integrifolia (Verbenaceae) is an important woody, medicinal plant and has prominent place in Ayurvedha, Siddha and Unani system of medicines. Almost all parts of this plant have tremendous medicinal value with great economic potential. Objective of this review is to search the literature for morphological, phytochemical, pharmacological, safety/ toxicity and clinical investigations of plant *P. integrifolia*. This task is an extensive review of published literature concerning botanical synonyms and classification, vernacular names, morphology, occurrence and distribution, ecological biodiversity, traditional uses, formulations and preparations, patent, phytochemical, pharmacological and toxicity investigation reports of *P. integrifolia* which will be helpful for the researchers to focus on the priority areas of scientific research. Complete information of plant has been compiled from the various floras, books, ayurvedic classical texts, journals, internet databases, etc. Based on the available literatures, *P. integrifolia* has been reported to contain alkaloids, carbohydrates, amino acids, steroids, flavonoids, glycosides, tannins and phenolic compounds. Among its constituents p-methoxy cinnamic acid and linalool, linoleic acid, β-sitosterol and flavone luteolin, iridoid glycoside, premnine, ganiarine and ganikarine, premnazole, aphelandrine, pentacyclic terpene betulin, caryophellen, premnenol, premnaspirodiene, clerodendrin-A, three diterpenoids namely 1β,3α,8β-trihydroxy-pimara-15-ene, 6α,11,12,16-tetrahydroxy-7-oxo-abieta-8,11,13-triene, 2α,19-dihydroxy-pimara-7,15-diene, etc were identified. The plant is also reported to have antidiabetic and hypoglycemic, anti-inflammatory, immunomodulatory, cardiac stimulant, analgesic and antibacterial, anti-arthritic, hepatoprotective and in-vitro cytotoxic, antihyperglycaemic, antiparasitic, antioxidant and hypolipidemic activites. However, systemic information on the different aspects of this species of genus Premna is not available. Hence, in this review, an attempt has been made to avail this information.

PG-15

Standardization of Ayurvedic Formulations/Products

Deshpande Shraddha G., Kasture V. S., Gosavi S. A.

Department of Quality Assurance Technology, Sanjivani College of Pharmaceutical Education and Research, Kopargaon, Tal- Kopargaon, Dist- Ahmednagar, pin- 423603, (MH), India.

shraddhadeshpande2@gmail.com

Day by day increase in the demand for herbal formulation in the society has given new era to the ayurvedic formulation. Herbal product due to less side effect &good therapeutic activity are now in more demand for increasing demand the need for maintaining quality of herbal product become necessary from quality assurance safety and efficacy point of view need of herbal drug standardization becomes essential. The selected formulation is use for the learning and memory enhancing capacity. The present work deals with the standardization of polyherbal tablet formulation containing Shankhpushpi, Brahmi and gulab. The preliminary phytochemical analysis, physiochemical evaluation, quality control for tablet formulation, microbial quality assessment, pesticide and heavy metal analysis, antioxidant potential evaluation was done. All the result was found to be within limit as per WHO guidelines, indicating safety and efficacy of formulation. Presence of alkaloid, glycoside and phenolic compound justify its biological activity.

PG-16

Concept of Process Validation: An Approach for Herbal Drug Standardization

Shirwadkar Babaso, Shirke Madhuri, Desai Sanjeevani, Disouza John

Tatyasaheb Kore College of Pharmacy, Warananagar, Dist: Kolhapur, Maharashtra

bbshirwadkar.tkcp@gmail.com

Validation is a concept that is fundamental to GMP and any quality assurance programme. Validation of the individual steps of the process is called process validation. Process is developed in such way that the required parameters achieved and it ensures that the output of process will consistently meet the required parameters during routing production. This concept is applied in pharmaceutical industry, but not that much deeply applied or methodologically studied in herbal industry. The use of herbal medicine is the oldest form of healthcare. About 80% of the world's population has faith in traditional medicine, particularly herbal drugs for their primary healthcare. India has a rich tradition of herbal medicine as evident from Ayurveda. As growing public interest in use of herbal medicines, it is necessary to development of modern and objective standards for evaluating quality of herbal medicines. So that it is a need to implement concept of process validation in manufacturing of herbal drugs for control the quality of herbal drugs. The statistical tools can apply for controlling process. Statistical process controls comprises the various tools used to manufacturing process and keep it within in-process and final product specification. The reasons for implementing process validation in herbal manufacturing industry are manufacturers are required by law to conform to GMP regulations, good business dictates that a manufacturer avoids the possibility of rejected or recalled batches, process validation helps to ensure product uniformity, reproducibility, quality and to make process economical.

PG-17

Phytochemicals For Skin

Modh Dharti, Nair Anu, Patel S.

Institute of Pharmacy, Nirma University, Amedabad

dhartimodh@yahoo.com

Phytochemicals have bacteriostatic, anti-wrinkle, anti-tyrosinase effect, anti-spot, skin rejuvenation, improve scar repairing, antioxidant, anti-skin carcinoma, anti-inflammatory, skin lightening, and skin brightening properties, and work to protect and repair sun damaged skin. Phytochemicals improves skin texture and makes skin youthful & glowing. These type of natural phytochemicals are also known to support cellular balance and cellular structure. i.e.; Neem-oil used as anti-fungal, anti-bacterial. Turmeric used as anti-inflammatory agent, acne problem & skin whitening agent. *Tremella fuciformis* Extract from mushroom is a humectant with extraordinary moisture retaining properties. It works effectively as topical anti-oxidant, and contains high level of Vitamin D important for cell metabolism. Glycyrrhizic acid Extract from *Glycyrrhiza glabra* is used for sunscreen activity. Excessive melanin biosynthesis leads to skin hyperpigmentation which causes undesirable skin appearance. This high tyrosinase inhibitory effect reduced by the *Terminalia chebula* fruits extract, onion extract can remove scar appearance problem. *Coriandrum sativam* is also useful for skin disorder like eczema, blackheads & skin ulcers.

PG-18

Standardization of Chitrakadi Vati : An Ayurvedic Polyherbal Formulation

Patil Leena, Kulkarni Kirti, Khanvilkar Vineeta, Vilasrao Kadam

Bharati Vidyapeeth's College of Pharmacy, CBD Belapur, Navi Mumbai, Maharashtra

leena171089@gmail.com

Standardization of herbal formulation is essential in order to assess the quality, purity, safety and efficacy of drugs. World health organization (WHO) in 1999 has given a detail protocol for the standardization of herbal drugs comprising of a single content but very little literature is available for the standardization of polyherbal formulations. Chitrakadi vati is official in ayurvedic formulary of India and it is prescribed for the treatment of irritable bowel syndrome, rheumatoid arthritis and loss of appetite. In the present work, attempt has been made to develop a chromatographic method for standardization of Chitrakadi vati. All raw materials used were standardized by macroscopic, microscopic and physico-chemical parameters. Piperine in *Piper nigrum* & *Piper longum*; Plumbagin in *Plumbago zeylanica* are active components in the formulation and can be considered as marker compounds. A simple, rapid, precise, accurate and reproducible high performance thin layer chromatography (HPTLC) densitometric method was developed and validated for quantification of Piperine and Plumbagin simultaneously from Chitrakadi vati. The developed HPTLC method was applied for analysis of in-house preparation and marketed formulations. The proposed method has been validated as per ICH guidelines.

PG-19

Herbal Formulation: Standardization and Analytical Profiling

Mandavkar Sushant, Sherikar Amol, D'souza John

Tatyasaheb Kore College of Pharmacy, Warananagar. Maharashtra.

ssmandawkar.tkcp@gmail.com

Since ancient time medicinal plants used widely for curing of various ailments, their use gets spectacularly increased now days. These are enormously sought in the form of medicinal products, nutraceuticals and cosmetics in the pharmacy as over the counter (OTC) or as a non-prescription products. Though wide spread use, pharma companies involved in manufacturing of herbal medicines lack in maintaining their quality and application of some modern analytical methods. These drawbacks force them to go for more sophisticated and accurate method for qualitatative and quantitative evaluation, to maintain their popularity in today's world. Standardization is a relatively new concept in pharmaceutical manufacturing to ensure total quality management and to assure products of best quality. It involves some highly explicit quality control tests suggested by WHO like determination of foreign organic matter, Ash values, Loss on drying (LOD), Fluorescence analysis etc. Analytical profiling of herbal medicines carried out by using sophisticated modern techniques such as UV-visible spectroscopy, TLC, HPLC, HPTLC and other methods. In this article we are come up with some parameters and their methods of determination used to conduct standardization, which will provide some referential information for identification as well as qualitative and quantitative determination of herbal medicines.

PG-20

Standardization and Evaluation of Antioxidant and Adaptogenic Activity of Polyherbal Formulation

Patel Miral, Rana Divya, Zaveri Maitreyi, Patel Sonal

K.B.Institute Of Pharmaceutical Education & Research
Gandhinagar, Gujarat, India

miral_8241@yahoo.co.in

Capsule, syrup and its composition were evaluated for quality and purity parameters such as organoleptic characters; physico-chemical parameter; estimation of active constituents; heavy metal analysis; HPTLC fingerprinting (extracts, powders, formulations) and microbial analysis. The result of the acute toxicity test, for oral preparations of capsule and syrup formulations indicate that it is relatively safe and non-toxic. Adaptogenic activities of capsule and syrup formulations were investigated in wistar albino rats using swimming endurens stress model. Treatment with formulations resulted in significant decrease in cortisol level, glucose level and also decrease in difference of body temperature after swimming. They also increase the swimming time. Antioxidant activity was done by ferric chloride assay method. Results indicate that capsule and syrup have antioxidant activity. The findings of these experiment concluded that the standardized capsule and syrup formulations possesses adaptogenic activity as well as antioxidant activity.

PG-21

Screening of Soy Lectin: As Anticancer Moiety against Colorectal Cancer

Tande Amol T., Patil Abhinandan R., D'souza John

Department of Pharmaceutics, Tatyasaheb Kore College of Pharmacy, Warananagar 416 113, Kolhapur (M.S.), India

attande.tkcp@gmail.com

The lectins are glycoproteins or sugar binding proteins of non-immune origin but are barred from sugar binding antibodies and enzymes. Soybean is rich source of lectin. Lectins are isolated and purified from seeds of Glycine max by soxhlet extraction and affinity chromatography. Cleaned seeds were powdered and passed through an 85-mesh sieve. The flour was defatted by repeated extraction with cold petroleum ether and air-dried mechanism. Defatted flour was dispersed in 0.05 M sodium citrate buffer of pH 4.0 in the ratio of 1:5 (w/v), stirred in cold for 4 h and centrifuged at 2000 g for 20 min. The clear supernatant obtained was dialyzed against phosphate buffered- saline (PBS), pH 7.4 (0.006 M) and checked for the agglutinating activity. Purification of the lectin on the bio specific adsorbent was done by α acetylgalactose amine utilization and adsorption. These purified lectins have the binding property of carbohydrate moieties on the surface of erythrocytes which agglutinate the erythrocytes. These lectins showed anticancer activity against the colorectal type of cancer cell lines HCT- 116. Trypan blue cytotoxic study was carried out to calculate IC50 value which was found 10 compared with Capecitabine as standard anticancer drug which was 9. These lectins shows binding specificity to specific HCT- 116 cell line; proved as new link for developing anticancer drug for the colon cancer specific to colorectal adenomas from Glycine max seeds.

PG-22

Design, Development and Evaluation Of Novel Topical Gel Of Asiatic Acid For Wound Healing Activity

Acharya Niyati , Shah Priyank, Acharya Sanjeev

Institute of Pharmacy, Nirma Univeristy, Ahmedabad.

niyati.acharya@nirmauni.ac.in

Asiaticoside is very well known triterpenoid present in species like *Centella asiatica* as well as has been investigated in house in the oleo-resin of *Shorea robusta* also. Many reports suggest that the biological activities are attributed to the asiatic acid aglycone and not because of sugar part and asiatic acid has been reported for better stimulation of collagen synthesis and thus may be effective for wound healing compared to asiaticoside. The wound healing evaluation of methanolic extract and oil of *Shorea robusta* was studied and showed promising results in both excision and incision wound model in rats in the preliminary work. Isolation method of crude asiatic acid from oleo resin of *S. robusta* was developed followed by its characterization by IR spectroscopy. Different formulations were developed with various concentration (0.015%, 0.03%, 0.3% and 0.5% w/w) of asiatic acid in form of gel using chitosan as a polymer. Four different concentrations of gel were evaluated for wound healing activity in rats and compared with asiatic acid alone and standard (povidone iodine). The developed formulations showed good results in wound healing activity and release profile study of gels. DPPH free radical scavenging activity of isolated asiatic acid was performed and IC_{50} (4µg/ml) value was determined. At the end it was concluded that 0.3%w/w of gel showed better wound healing activity (higher content of hydroxyproline and collagen), better tensile strength, burst release in an hour and sustained release profile compared to other gels as well as asiatic acid alone and standard.

PG-23

Perspective of Transgenic Plants Derived Vaccines (Edible Vaccine): A Concept Coming of Age

Vishwakrma Saurav Kumar, Modi Anuj

Adina Institute of Pharmaceutical Sciences, Sagar (M.P.)

vishwakarmasaurav@gmail.com

Plants offer an attractive alternative for the production and delivery of subunit vaccines. Various antigens have been expressed at sufficiently high levels in plants to render vaccine development practical. Edible vaccines, a novel approach for delivering vaccine antigens is the use of inexpensive. They were produced by the use of genetic engineering. Transgenic plants (selected genes of pathogen are introduced in plants) were stimulated to manufacture the encoded proteins. An increasing body of evidence demonstrates that these plant-produced antigens can induce immunogenic responses and confer protection when delivered orally. Edible vaccines are targeted to provide mucosal as well as systematic immunity. Edible vaccine offer exciting possibility for significantly reducing the burden of disease like that hepatitis, malaria, diabetes, stopping autoimmunity, cholera and measles. Human trials of these vaccines were conducted by National Institute of Allergy and infectious disease (NIAID), US department of health and human service, shows that edible vaccines are feasible. Prodigene, a biotech company, has a patent for edible vaccine used against hepatitis and transmissible gastroenteritis virus. This new technology might contribute to global vaccine programs and might have a dramatic impact on health care in developing countries.

PG-24

Nutraceutical Industry in India: Towards A Healthier Future

Jeswani Saniya, Mehta Maitri, Shah Smeet

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat

saniyajeswani@yahoo.com

With about 1.2 billion people, India ranks 2nd in the world in terms of population. And when about 60% of its citizens represent the youth, it becomes imperative for us to cater to the exponentially increasing nutritional requirements. This responsibility of building a country with healthy individuals has been taken up by the Indian nutraceutical industry. With more and more number of people in India preferring nutraceuticals of various forms viz. fortified food, probiotics, prebiotics, functional foods etc. has increased the target population for the nutraceutical companies. According to a recent report, the Indian Nutraceuticals market is growing at a healthy double digit CAGR of 18.46% and may become worth near to Rs. 19,500 Cr in current fiscal (2013-14) At present the dietary supplements are the largest category accounting for 64% of the nutraceutical market. However, the nutraceutical industry still has a long way to go. India represents merely 2% of the global nutraceuticals market and is way behind in terms of per capita spent on nutraceuticals with just US$2.5 compared to global average of US$21. The dilemma over whether to consider Ayurveda as a part of the nutraceutical industry needs to be resolved. Moreover, the concept of nutrition is not well understood in India, the awareness about the same needs to be carried on to a much grander scale. Moreover, the implementation of the Food Safety and Standards Act, 2006 (FSSA) is a major transformation that ensures to bring paradigm shift in the food regulatory scenario of the country.

PG-25

Design and Development of Polyherbal Hair Growth Promoting Cream

Barai Priyal, Prasad Durga Shanker, Acharya Niyati

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat

priyalbarai@gmail.com

Hair loss is one of the most common dermatological disorders throughout the world. The usage of synthetic drugs has abbreviated due to their harmful side effects upon prolonged use. Herbal formulations show good activity coupled with lesser side effects and hence have attracted considerable attention. Thus, in current study an attempt was made to prepare a polyherbal hair growth promoting cream using crude extracts of different hair growth promoting plants like fresh juice of *Emblica officinalis*, powder of *Eclipta alba*, flowers of *Butea monosperma* and seeds of *Trigonella foenum-graceum.* The cream base was optimized and polyherbal formulations were prepared using 2%, 4% and 6% total drug concentrations wherein extracts were all in equal proportions and named F1, F2 and F3 respectively. All formulations were evaluated for various organoleptic characters, pH, irritancy, stability and solubility, where formulations F2 and F3 showed better stability and spreadability compared to F1 and hence they were subjected to further pharmacological evaluation. Female albino Wistar rats were used to evaluate hair growth potential of formulations F2 and F3 in comparison with standard (2% ethanolic solution of Minoxidil) for 30 days. In this study, the prepared formulation F3 showed promising results. Time taken for initiation of hair growth (5 days) and completion of hair growth (21 days) using F3 was less as compared to that taken by standard (7 days and 25 days respectively) and showed significantly higher mean length of hair (15mm) compared to standard (11mm). Histopathological studies also revealed that maximum number of hair follicles in anagenic phase were present in animals treated with F3.

PG-26

Guar Gum Lectins: Hemato- 'A Antigen' Agglutination Agent

Alande Gaurav M., Bepari Nurzeba M., Patil Abhinandan R., D'souza John I

Department of Quality Assurance, Tatyasaheb Kore College of Pharmacy, Warananagar

416 113, Kolhapur (M.S.), India

gauravpharma@hotmail.com

Guar is rich source of lectin which is glycoproteins or sugar binding proteins of non-immune origin but is barred from sugar binding antibodies and enzymes. Method we employed includes isolation and purification of lectins from seeds of Guar seeds by Soxhlet extracting method. The powdered seeds were passed through 85-mesh sieve. The defatted flour was extracted with cold petroleum ether and was air-dried and is dispersed in pH 4.0 of 0.05 M sodium citrate buffer in ratio of 1:5 (w/v) which is then stirred in cold petroleum for 4 hours and then centrifuged at 2000 RPM for 20 min. Dialysis of the supernatant liquid and phosphated buffered-saline (PBS), pH 7.4 (0.006 M) and checked for the agglutinating activity. A bio specific adsorbent β, D-acetyl glucosamine amine was used and utilized for the purification of lectin. It had shown binding specificity to anti sera A as standard, indicates that it can be used as the natural blood group detection kit for the blood group A, without rhesus factor interference.

PG-27

Attenuation of CCl_4 – Indued Hepatic Oxidative Stress In Mice By *Eclipta alba* Extract

Patel Monali, Verma R. J.

Department of Zoology, University School of Sciences, Gujarat University,

Ahmedabad - 380009, India

patelmonalir@gmail.com

Carbon tetrachloride (CCl_4) is a well-known hepatotoxin and exposure to this chemical is known to induce oxidative stress and causes liver injury by the formation of free radicals. The objective of this study was to investigate the antioxidant activity of *Eclipta alba* extract against CCl_4-induced oxidative stress in Swiss female albino mice. Oral administration of CCl_4 (826 mg/ kg bw/ day; 1/10th of the LD50 value) for 30 days caused significant ($p<0.05$) increase in hepatic lipid peroxidation, which may be due to significant decrease in non-enzymatic (glutathione content and total ascorbic acid) contents and enzymatic (catalase, superoxide dismutase, glutathione peroxidase, glutathione-s-transferase, glutathione reductase) antioxidants activities. Co-administration of *Eclipta alba* extracts (100, 200 and 300 mg/ kg bw/ day) significantly ($p<0.05$) restored lipid peroxidation, non-enzymatic and enzymatic antioxidants activities in a dose dependent manner as compared to CCl_4 alone treated group of mice. In CCl_4 alone treated group of mice, histological studies showed massive necrosis, fatty infiltration, lymphocytic infiltration, and severe fibrosis which were significantly ameliorated by the co-treatment of *Eclipta alba* extract in a dose-dependent manner. Results of the present study indicate that the *Eclipta alba* extract possess potent hepatoprotective activity against CCl_4 – induced oxidative stress in Swiss female albino mice, which was mainly due to its antioxidative properties.

PG-28

Tephrosia Purpurea – A Superlative Herb

Bhadada Shraddha V.[1], Goyal Ramesh K.[2]

[1]Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat, India

[2] Institute of Life Sciences, Ahmedabad University, Ahmedabad, Gujarat

shraddha.bhadada@nirmauni.ac.in

Herbal medicines have been some of the very important lifesaving drugs used in the armamentarium of modern medicine. *Tephrosia purpurea* (Linn.) pers, is a widely growing herb belonging to Fabaceae (Leguminosae) family. Various parts of the plant are used medicinally like roots, leaves, seeds, and bark. Ayurveda describes *T.purpurea* to be used for disorders of liver, spleen, heart and blood. It also describes the plant as digestible, anthelmintic, alexiteric, antipyretic, astringent, thermogenic, acrid. The plant is also employed for tumours, ulcers, leprosy and asthma. It is also useful in bronchitis, boils, pimples and inflammation as per Unani system of medicine. Various chemical constituents present in *T.purpruea* are glycosides, rotenoids, isoflavones, flavanones, chalcones, flavanols, flavones and sterols. This review explores the data for the phytochemical investigations and the pharmacological studies on different parts of *T.purpurea*.

PG-29

Phytochemical Screening of Extracts of Few ITK Known Angiospermic Plant

Mehra Chander[1], Saxena Navneet[2], Fozdar Bharat Inder[1]

[1]Indira Gandhi National Open University, New Delhi

[2]Central Institute for Research on Buffaloes, Hisar, Haryana

chandmehra2003@gmail.com

Natural products continued to exist and grow to become even more valuable as sources of new drug leads due to the fact that it has broader degree of chemical diversity and novelty of molecules than that from any other source. Screening of new medicinal plants is necessary for bio active compounds to fulfill the need of herbal remedies. Keeping this in view the study was conducted on the phytochemistry of four angiospermic plants known to have biological activities. The plants taken were reported in the traditional literature for their anthelmintic activities. Samples were collected from nearby localities at Hisar (Haryana). Authentication of samples were got done by National Institute of Science Communication and Information Resources (NISCAIR), New Delhi. Authenticated samples were room dried and coarsely powdered in pestle mortar. Extracts were prepared successively in various solvents viz. Petroleum Ether, chloroform:methanol and methanol using soxhlet apparatus. The aqueous extract was prepared by hot extraction method. Phytochemical screening of extracts was carried out in all the sixteen extracts so prepared. The presence of carbohydrates, alkaloids, tannin, glycosides, flavinoids, saponin, proteins, amino acids and steroids in different extracts were confirmed using standard tests. Results of the screening have been compiled and will be presented during the session.

PG-30

In-Vitro Investigation on Ensete Superbum (Roxb.) Cheesman, for Antioxidant, Antidiabetic and Antiurolithiatic Activity

Brahmbhatt Krupa, Chauhan Bhavik, Mishra Shri Hari, Sethiya Neeraj Kumar

Pharmacy Department, Faculty of Technology and Engineering, Kalabhavan, The M. S. University of Baroda, Vadodara , Gujarat

kdb.bhatt27@gmail.com

The plant Ensete superbum is commonly known as cliff Banana.The pseudostem of Ensete superbum is used traditionally to treat diabetes, leucorrhoea, kidney stones, and bladder infections by many healers. Present studies were designed to investigate in vitro antioxidant, antidiabetic and antiurolithiatic activity of various extract and fraction of pseudostem of Ensete superbum. The standardised extracts as per WHO guideline were used in the studies. The various fractions were prepared on the basis of chromatogram obtained in the TLC (thin layer chromatography) by column chromatography. Extracts and fractions of pseudostem of Ensete superbum was studied for reducing power by ferric chloride, hydrogen peroxide scavenging, aldose reductase inhibition and condutometric method of antiurolithiatic activity. It was found that methanol extract has significant antioxidant and aldose reductase inhibition activity, whereas saponified petroleum ether and chloroform extract have significant antiurolithiatic activity. The activity may be due to presence of phenolics, flavanoids and alkaloid content.

PG-31

Safety and Efficacy Assessments of Bioactive Molecules, Functional Foods, Nutraceuticals

Tripathi Minakshi
Food science and nutrition dept.
SNDT affiliated SPTM college, Surat
minakshi4582@yahoo.co.in

Food is usually of plant or animal origin and contains essential nutrients such as carbohydrates, fat, proteins, vitamins or minerals. When we add functional as a prefix to food, it becomes 'Functional Food 'which is food or food ingredients that gives an additional health benefits. Nutraceuticals on other hand is food ingredients which are used like a pharmaceutical, it is product isolated and/or purified from foods that are generally sold in medicinal forms and is not usually associated with food. Functional foods, Nutraceuticals and dietary supplements, all have their activity due to the presence of bioactive molecules. These bioactive molecules are nothing but naturally occurring molecule from any living system like plant, animal, fungi, bacteria, algae, marine animal and they possess biological activity such as anti-proliferative, anti-infective, cholesterol reduction etc. There are many such bioactive molecules whose health benefits are known since years and they are consumed for their health benefits. To name some lutein-reduces risk of muscular degeneration, Lycopene-reduces risk of prostate cancer, beta gluten and soluble fibre present in oats. With the knowledge of health benefits that can be obtained by consumption of such food products, we also need to know what are the recommended dietary allowances (RDA) of these nutrients. Today with the advancement in technology, we are able to know the pathological effects in a shorter time and in much detailed manner .when any ingredient is discovered to have bioactivity, its physiochemical characterization is done and its pharmacokinetics is worked out. This show the bioavailability of the ingredient, absorption, half life, accumulation in tissue, distribution ,metabolism, excretion etc. the biological activity of any ingredient also takes into consideration its adverse effects levels that are LOAEL=low adverse effect, safe upper limits and also its effect in physiological states like pregnancy, lactation and on children. To translate new knowledge into a product the entire process of ensuring safety and quality, evaluating the efficacy, mechanisms of action and demonstrating specific health outcomes is absolutely necessary before the product is made available and to make a health claim.

PG-32

Simultaneous Fingerprinting and Densitometric Estimation of Ursolic Acid, Betullinic Acid, Stigmasterol and Lupeol For Identification of Four Shankhpushpi Botanicals by HPTLC.

Sethiya Neeraj Kumar, Mishra Shri Hari
Pharmacy Department, Faculty of Technology and Engineering, Kalabhavan, The M. S. University of Baroda, Vadodara 390002 (Gujarat), INDIA.
drnspharma@gmail.com

Shankhpushpi is an ayurvedic Sanskrit word with the use associated with mental problems. The meaning of the word reflects Shankha (a musical instrument used in India) like shape of flower. Various literature sources revealed the adaptation of four different plants species under the name of Shankhpushpi. The plant species comprise of entire herbs with following botanicals names viz- *Convolvulus pluricaulis* Choisy. (CP) (Convulvulaceae), *Evolvulus alsinoids* Linn. (EA) (Convulvulaceae), *Clitorea ternatea* Linn. (CT) (Leguminoseae), and *Canscora decussata* Schult. (CD) (Gentianaceae). Keeping in view the utility of these drugs it is proposed to develop routine method of analysis for simultaneous qualitative and quantitative estimation of ursolic acid, betullinic acid, stigmasterol and lupeol by high performance thin layer chromatography (HPTLC). Their presences were further confirmed by isolation and their characterization. This method enables rapid, sensitive, and reproducible analysis of four variety of Shankhpushpi. The method was validated for precision, repeatability, and accuracy in accordance with ICH guidelines. This method is useful for quality control of the crude drug and ayurvedic formulation sold vigorously by the name of Shankhpushpi.

PG-33

Development and Validation of HPTLC Method for Standardization of KankayanVati

Kulkarni Kirti, Patil Leena, Khanvilkar Vineeta, Kadam Vilasrao

BharatiVidyapeeth's College of Pharmacy, CBD Belapur, Navi Mumbai, Maharashtra

kulkarnikirti19@gmail.com

Standardization of Ayurvedic formulation is essential for establishing authenticity, quality and efficacy of final formulation. Standardization is a process of evaluating the quality and purity of herbal drug on the basis of various parameters like morphological, microscopical, physical, chemical & biological observation. The World Health Organization (WHO) in 1999 has given a detail protocol for standardization of herbal drugs comprising of single content but very little literature is available for standardization of polyherbal preparation. Kankayan vati is official in Ayurvedic formulary of India and is prescribed for treatment of piles, bloating and intestinal worms. All raw materials used in formulation were standardized by macroscopic, microscopic, physicochemical and phytochemical methods. Ferulic acid in Ferula asafoetida and Plumbagin in *Plumbago zeylanica* present in formulation were selected as marker components. A new, simple, precise, rapid and selective High performance thin layer chromatographic method was developed for standardization of in-house preparation and marketed preparations of Kankayan Vati. The proposed method was validated as per ICH guidelines.

PG-34

Standardization of Polyherbal Ayurvedic Formulation as Per Official Guidelines

Ajage Rohit, Waman Nitesh, Kasture Veena

Department of Quality Assurance Techniques,

Sanjivani College of Pharmaceutical Education and Research, Kopargaon, Maharashtra.

ajagerohit@gmail.com

The increasing demand of the herbal formulation in the market has given new era for the herbal formulations. The rise in the use of herbal products has also increased various forms of abuse and adulteration of products leading to consumer's and manufacturer's disappointment and in some cases cause fatal instances, making the global herbal market unsafe. Standardization of herbal formulation is necessary from the quality assurance point of view, for assuring quality, safety, purity and efficacy of herbal formulations. The present study deals with standardization of polyherbal formulation as per official guidelines. The selected polyherbal Ayurvedic tablet formulation containing three herbs namely *Withania somnifera* (Ashwagandha), *Colchicum luteum* (Colchicum) and *Zingiber officinale* (Ginger) commonly prescribed for Rheumatoid arthritis and pain associated with it. The standardization parameter includes physicochemical analysis, phytochemical analysis, biological activity evaluation, toxicological evaluation and quality control parameter evaluation for the tablet. The stability of the formulation was evaluated by the short-term accelerated stability study. The preliminary phytochemical analysis of formulation shows the presence of alkaloids, phenolic compound and flavonoids, glycosides, carbohydrates and proteins. The results of standardization were found to be significant with respect to the guidelines. From the study it can be concluded that the selected formulation can be used for long term therapy of the arthritis.

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PM-1

Metabolic Stability and Biological Evaluation of ^{99m}Tc-HYNIC-Met^3-Octreotate as Somatostatin Receptor Positive Tumour Imaging Agent

Behera Ashok [1], Chattopadhayay Sankha[3], Misra Mridula[2]

Department of Pharmacy, Faculty of Technology and Engineering, Kalabhavan, The Maharaja Sayajirao University of Baroda, Vadodara, Gujrat, India[2]Infectious Disease and Immunology Division, Department of Nuclear Medicine, CSIR-Indian Institute of Chemical Biology, 4, Raja S.C. Mullick Road, Kolkata,India.[3]Radiopharmaceuticals Laboratory, Regional Centre, Board of Radiation and Isotope Technology, Variable Energy Cyclotron Centre, 1/AF, Bidhan Nagar, Kolkata India.

ashubehu2000@gmail.com

Diagnosis of cancer in primary stage is important for proper treatment and therapy. *In vivo* imaging of tumours using radiolabelled somatostatin (SST) analogues has become an accepted clinical tool in oncology. We therefore synthesized new cyclic octapeptide conjugated with HYNIC by Fmoc solid-phase peptide synthesis. This was purified and analyzed by RP-HPLC, MALDI mass, ^{1}H NMR, ^{13}C NMR, HSQC, HMBC, COSY and IR spectroscopy. Labelling was performed with ^{99m}Tc using Tricine and EDDA as co-ligands by $SnCl_2$ method to get products with excellent radiochemical purity 98 %. Metabolic stability analysis did not show any evidence of breaking of the labelled compounds and formation of free ^{99m}Tc. Internalization studies were done and IC_{50} values were determined in somatostatin receptor-expressing C-6 glioma cell line and rat brain cortex membrane, and the results compared with HYNIC-TOC as standard. The IC_{50} values of ^{99m}Tc-HYNIC-Met^3-Octreotate (15 ± 0.21 nM) and ^{99m}Tc-HYNIC-TOC (2.87 ± 0.41 nM) proved to be comparable. Bio-distribution and image study on normal rat under gamma camera showed very high uptake in kidney and urine, indicating kidney as primary organ for metabolism and route of excretion. Bio-distribution and image study on rats bearing C-6 glioma found high uptake in tumour (1.95 ± 0.13) and pancreas (1.78 ± 0.03). Using these findings, new derivatives can be prepared to develop ^{99m}Tc radiopharmaceuticals for imaging somatostatin receptor-positive tumours.

PM-2

Synthesis and Characterization of 2-Hema-Co-Acrylamide Hydrogel for Intestinal Drug Delivery

Trivedi P. U, Bhitre M. J, Soni N.

C. U. Shah College of Pharmacy, S.N.D.T. University, Mumbai.

prachiutrivedi@gmail.com

The present study deals with the synthesis and the characterization of pH sensitive hydrogel in which the antibiotic Amoxicillin sodium is incorporated and the drug release studies are carried out to obtain a targeted drug delivery. Hydrogels being biocompatible due to their high water content and low interfacial tension with the biological fluids have been helpful as targetable carriers for bioactive drugs with tissue specificity. The research work provides a targeted drug release in the intestine for a prolong period of time. pH sensitive hydrogel, 2-Hydroxyethylmethacrylate-co-acrylamide was prepared by polymerization in aqueous solution from 2-Hydroxyethlmethacrylate (2-HEMA) and Acrylamide monomers using N, N-Methylene-bis (acrylamide) as a cross linker. It was shown that the swelling behavior of 2-HEMA-co-acrylamide can be controlled by changing the molar concentration of Acrylamide. The hydrogel was characterized by Fourier transfer infrared spectroscopy (FT-IR) to confirm the presence of the required functional groups in the synthesized hydrogel. The surface electronic microscopy (SEM) studies were carried to observe the surface morphology of the hydrogels in the acidic and basic pH. The differential scanning calorimeter (DSC) studies confirmed that the copolymerization reaction was completed. The swellability studies confirmed that the hydrogels swell in the basic pH thus facilitating the drug release in the basic pH. The synthesized hydrogel was found to show a significant drug release in the basic pH. Thus, a pH sensitive hydrogel providing a targeted drug release in the intestine was developed.

PM-3

Chemistry and SAR of Dipeptidyl Peptidase-4 (DPP-4) Inhibitors: An Update

Patel Bhumika, Ghate Manjunath

Department of Pharmaceutical Chemistry, Institute of Pharmacy, Nirma University, Sarkhej-Gandhinagar Highway, Ahmedabad 382481, Gujarat, India

bhumika.patel@nirmauni.ac.in

Dipeptidyl peptidase-4 (DPP-4) is one of the widely explored novel targets for Type 2 diabetes mellitus (T2DM) currently. Research has been focused on the strategy to preserve the endogenous glucagon like peptide (GLP)-1 activity by inhibiting the DPP-4 action. The DPP-4 inhibitors are weight neutral, well tolerated and give better glycaemic control over a longer duration of time compared to existing conventional therapies. The journey of DPP-4 inhibitors in the market started from the launch of sitagliptin in 2006 to latest drug Teneligliptin in 2012. This review is mainly focusing on the recent medicinal aspects and advancements in the designing of DPP-4 inhibitors with the therapeutic potential of DPP-4 as a target to convey more clarity in the diffused data.

PM-4

Oroidin Analogues: Pyrrole-2-Carboxamide Derivatives as Antimicrobial Agents

Desai Neha, Telvekar Vikas

Department of Pharmaceutical Sciences and Technology, Institute of Chemical Technology,Matunga, Mumbai-400 019, Maharashtra

desaiineha@gmail.com

Pyrrole alkaloids are very well reported in literature for their antibiotic and antibacterial activity. Pyrrolnitrin, Pyrrolomycin A and B, pyoluteorin are some of the examples of this class. Oroidin has been previously documented to have moderate biofilm inhibitory activity against Pseudomonas aeruginosa. Since naturally occurring biofilm modulators are scarce, oroidin nucleus was selected as a template for the development of novel small molecules that can control bacterial growth and can even act as potent antibacterial agents. The major achievement in the synthesis was bromination of pyrrole ring using NaNO2 and HBr, wherein the process becomes greener. Thus, convention method of direct use of bromine for bromination can be avoided. Variety of derivatives of cinnamic acids has also been reported for their biological activity. Using the recent concept of molecular hybridization, we have designed a series of novel molecules which contains the dibromopyrrole-2-carboxamide (oroidin feature) and cinnamic acid moiety together within the hybrid molecule. These molecules were tested against Gram positive and Gram negative micro-organisms using REMA (Resazurin Microtitre) plate method and were found to exhibit good activity.

PM-5

Sustainable Synthesis and Bio-evaluation of 3-aryl-5-(thiophen-3-ylmethyl)-1h-1, 2, 4-triazoles

Chaudhari Prashant[1], Ugale Vinod[1], Bari Sanjay[2]

[1]R.C. Patel Institute of Pharmaceutical Education and Research, Karvand Naka, Shirpur, Dist. Dhule, Maharashtra, India.

[2]H.R.Patel Institute of Pharmaceutical Education and Research,Shirpur. Dist.Dhule.

Maharashtra, India.

prashantniperk@gmail.com

In this present work, microwave assisted synthesis of 3-aryl-5-(thiophen-3-ylmethyl)-1H-1, 2, 4-triazoles were carried out.3a-i new compounds were synthesized by reactions of 2-(thiophen-3-yl) acetohydrazide and various aromatic nitriles. The synthesized derivatives were characterized by spectral studies and also by C, H, N analyses. Synthesized derivatives were screened for their anti-inflammatory activity by, the rat paw edema test method and analgesic activity by the tail flick method. The compounds 3b, 3d, 3g and 3i showed remarkable reduction in rat paw edema induced by carrageenan treatment. The compounds 3b, 3d, 3g, and 3i showed good analgesic activity.

PM-6

Complete HIV Inhibition: LEDGF/p75 - IN Interaction Inhibitors and HIV Vaccine Therapy

Pathak Ruchi, Sarvaiya Kuldeep , Bhatt Hardik

Institute of Pharmacy, Nirma University, Ahmedabad.

ruchipathak22@gmail.com

Successful discovery of effective therapeutic agents for AIDS has been done in span of last 30 years. The common antiretroviral drugs target reverse transcriptase, protease and integrase enzymes. The resistance to conventional HIV1- IN (integrase) inhibitors demands the discovery of novel drugs. A lens epithelial derived growth factors/p75 (LEDGF/p75) is a cofactor found to be related with inhibition of HIV1-IN by allosteric mechanism. The stable over-expression of either 347 or 429 C-terminal residue of LEDGF/p57 containing IBD (Integrase Binding Domain) inhibits the HIV1 replication & lentiviral transduction. The IN binding LEDGF/p75 over-expressed in human cells found to compete with endogenous full-length cofactor for IN binding site, thereby inhibiting HIV replication. Discovery of a novel 5-carbonyl-1H-imidazole-4-carboxamide class of inhibitors of the IN–LEDGF/p75 showed the promising results. Based on the results of high throughput screening, the compound, 4-(phenylcarbamoyl)-1H-imidazole-5-carboxylic acid was found with the possible pharmacophore exploration at 4, 5-dicarboxy sites. By incorporating different aromatic groups at position 4 and amino moiety at position 5 showed good *in-vivo* and *in-vitro* activity. Besides this, 4-[1-(3, 5-dimethylbenzyl)-4-hydroxy-1H-indol-3-yl]-2-hydroxy-4-oxobut-2-enoic acid derivatives were also found to have HIV1-IN inhibitory activity via IN-LEDGF/p57 interaction. Apart from this, the cell surface components of HIV virus like the glycoprotein 120 and 41, which prevent the recognition of HIV virus, can be targeted to develop the antibodies like 2G12. The vaccine containing 2G12 is in clinical trial-1. So with this we hypothesized that the combination of HIV vaccine and HIV1-IN inhibitor LEDGF/p57 can completely inhibit the development of HIV in the patients.

PM-7

Lipophagy Inducers: Novel Target to Enhance the Lipolysis

Pendal Palmi , Parmar Kailash , Chhabria Mahesh

L. M. Pharmacy College, Ahmedabad-09

palmipendal@gmail.com

Autophagy functions as a housekeeping mechanism which has the basic catabolic mechanism that involves cell degradation of unnecessary or dysfunctional cellular components through the actions of lysosomes. Autophagy has potential role in modulation of lipid metabolism & plays crucial role in obesity and atherosclerosis as lipid lowering agents. Rapamycin, Perhexiline, Amioderone & Niclosamide are reported as autophagy inducers & Dynasore is reported as autophagy inhibitor. Lipolysis is the breakdown of lipids which involves hydrolysis of triglycerides into glycerol and free fatty acids. Intracellular lipids are stored in lipid droplets and metabolized & hydrolyze to supply lipids for cell use which is called as lipophagy. The quantity of lipid undergoing autophagic degradation relative to the amounts of other cellular constituents can vary with nutritional status which shows lipophagy is a selective form of autophagy. Ezetimibe, Avasimibe, Pactimibe, Anacetrapib which are newer anti hyperlipidemic drugs with the role on lipophagy. Some of compounds were found potent autophagy inducers like potent HMG Co A Synthase inhibitors catalyzes the cholesterol biosynthetic step just prior to the reduction of HMG CoA. Acyl Co-A Cholesterol Acyl Transferase (ACAT) inhibitors which plays a key role in both absorption of dietary cholesterol and in the accumulation of cholesterol within arterial tissue. So, lipophagy inducers which can be as a potential novel therapeutic target whose modulation presents important therapeutic target for the lipolysis.

PM-8

Dengue: A Rising Epidemic Across The Globe

Kothari Kishan , Patel Saloni, Ghate Manjunath

Department Of Pharmaceutical ChemistryInstitute of pharmacy, Nirma University,Ahmedabad, India

13mph401@nirmauni.ac.in

Dengue virus belongs to family Flaviviridae. There are 4 serotypes DENV-1, DENV-2, DENV-3 and DENV-4 that spreads by bite of female Aedes mosquitoes. 2.5 billion People in world lives in dengue risk region with about 100 new cases worldwide. Its infection occurs in more than 100 countries in Asia-Pacific region, the Americas, the Middle- East & Africa &cases of infection continues to rise worldwide. In India, first case was recorded in Chennai in 1780. Dengue disease presents highly complex pathophysiological, economic & ecological problems. Gujarat was affected by DENV-2 virus in 1988 & 1989. Dengue is classified into 3 different categories:-1) Undifferentiated fever, 2) Dengue fever, 3) Dengue hemorrhagic fever. Symptoms of dengue: - 1) Severe plasma leakage 2) Severe hemorrhage 3) Severe organ impairment. Virus is transmitted through environment & climatic factor, host-pathogen interaction. Dengue fever is more common in younger children and adults. It's characterized by high fever, severe frontal headache, pain behind eyes, muscles & joint pain. Other symptom includes Diarrhea, rash & minor bleeding. Management of shock is done by i.v fluids & medication & albumin is given if required. Management of symptomatic dengue is done by plenty of fluids given orally or by i.v in accordance to patient's condition .Broad spectrum antibiotics are given orally or by i.v to prevent 2° infection. For fever paracetamol is given & antihistaminic for reducing itching**.** Platelets counts need to be monitored & blood transfusion is done if needed. Thus main aim of treatment is to prevent hemorrhagic shock.

PM-9

Molecular Modeling Studies on Amido-Benzyl-Substituted-Cis-3-Amino-4-(2-Cyanopyrrolidide)-Pyrrolidinyl of Dipeptidyl Peptidase IV Inhibitors as Anti-Diabetic Agents

Patil Swaraj, Sharma Rajesh, Jotwani Akanksha

School of Pharmacy, Devi Ahilya Vishwavidyalaya, Takshshila Campus,

Khandwa Road, Indore-452001, M.P., India

swarajpatil2006@gmail.com

The Diabetes mellitus, deadly metabolic disease, 347 million people would be affected by the year 2030. The CoMFA, CoMSIA, HQSAR and Docking studies were performed by using Sybyl X2.0 on thirty eight pyrrolidinyl derivatives for the optimization and design of novel compounds as potential DPP IV inhibitors. Models with good statistically significant parameters values were selected, validated and optimized by a test set of ten compounds. The q2, r2 and pred. r2 values are 0.710, 0.980, 0.760 for CoMFA, 0.440, 0.970, 0.720 for CoMSIA and 0.800, 0.920, 0.790 for HQSAR, respectively. Also docking analysis explores important amino acid residues which form interaction with compounds were Arg125, Gln553, His740 with 3W2T PDB Id. The QSAR model, contour map and obtained binding affinity interaction could be successfully utilized as a guiding means for additional structure modifications and synthesis of new potent ant diabetic agents.

PM-10

Medicinal Chemistry Approach For Protein Tyrosin Phosphatase 1B (PTP1B) Inhibitors

Patel Saloni, Kothari Kishan, Patel Bhumika

Department of Medicinal Chemistry,Institute of Pharmacy, Nirma university, Ahmedabad, India.

13mph404@nirmauni.ac.in

Type 2 diabetes mellitus (T2DM) is characterized by the insulin and leptin resistance which reduce the glucose uptake in skeletal muscle and hence reduce its utilization and hence blood glucose level increases.PTP1B is an enzyme which negatively regulates the insulin activity by dephosphorylating the insulin receptor. The catalytic site of PTP1B faces the Endoplasmic reticulum(ER) on its cytosolic site. ER stress, the pathological condition of accumulation of misfolded or unfolded protein in obese patient leads to activation of PTP1B and thus in obesity also PTP1B inhibitors are useful. PTP1B is a protein and on N terminal it has two aryl phosphate binding catalytic site of which one is high affinity and other is low affinity catalytic site. The PTP1B inhibitors deactivate this enzyme and thus dephosphorylation of insulin receptor is ceased. As the binding pocket is lined with positive charge highly acidic moiety is required and thus highly polar drug has problem with cell membrane permeability. To resolve the problem of membrane permeability negative charge is reduced or hydrophilic group is introduced or sugar template is used. Various scaffolds are found to inhibit PTP1B mainly oleanic acid, 2,4 thiazolidinediones but no completely selective PTP1B is found and new substitution are tried .Still no PTP1B inhibitor is available in the market and one that was launch in market is withdrawn from the market because of selectivity issues. This review will update you with all the research done until now to design the structure and correlation of it with biological activity.

PM-11

Design, Synthesis, *In-vitro* and *In-silico* Evaluation of Some 3-Hydroxy-3-phenacyloxindole Analogues of Isatin as MAO Inhibitors

Tripathi Rati[1] , Ayyannan Senthil Raja[1]

[1]21, Pharmaceutical Chemistry Research Laboratory, Department of Pharmaceutics,Indian Institute of Technology (Banaras Hindu University), Varanasi, U.P.

rati.tripathi.phe09@itbhu.ac.in

Acknowledgement of MAO as a remedy for neurodegenerative disorders has catches the eye of researchers towards amelioration of MAO inhibitors (MAOIs). MAOIs are disfavored due to concerns regarding toxicity and lesser efficacy. Thus, there is need to smoothen the path towards discovery of selective MAOIs in search for enhanced efficacy and safety. Isatin and its analogues are well-recognized MAOIs. Identification of 3D-geometry of MAO-A/B active sites *via* X-ray crystallization techniques has unlocked the means for advancement of MAOIs and prompted us to design some 3-Hydroxy-3-phenacyloxindole analogues of isatin and assess them for MAO-A/B inhibition. Synthesis of titled compounds (3a-3g) was accomplished by reaction between N-benzylisatin and acetone/substituted acetophenone, catalyzed by diethylamine. Interpretation of structures of final compounds was exercised by FTIR, NMR and elemental analysis. Final compounds were examined spectrophotometrically for *in-vitro* MAO-A/B inhibition. All compounds depicted substantial suppression for both isozymes at micromolar-submicromolar concentration compared to reference inhibitors clorgyline and selegiline. *In-silico* studies were performed to assess binding interactions of these inhibitors against MAO-A/B (PDB: 2Z5X/1S2Q) using AutoDock 4.2. Satisfactory correlation amongst predicted and observed results prevailed. Majority came-forth with selectivity towards MAO-A. Compound **3e** [IC_{50}: 0.009 μM, K_i: 0.18 μM] emerged as the most persuasive MAO-A inhibitor. Scrutiny of binding analysis of potential inhibitors intimates that static hydrogen bonding and hydrophobic interactions ensued substantial housing of inhibitors in MAO-A active site. Incorporation of *in-vivo* measurements and co-crystallization of inhibitor-MAO complex are all-important for the development of viable beneficial agents for the intervention of MAO-linked neurological disorders.

PM-12

Carbonic Anhydrase IX Inhibitor: A Novel Drug Targeting Approach as Anticancer Agent

Vaishnav Pramudita, Shah Viral, Bhatt Hardik

Department of Medicinal Chemistry, Institute of Pharmacy, Nirma UnivesityAhmedabad 382402, India.

13mph402@nirmauni.ac.in

Cancer is abnormal growth of cells. Cancer cell divides and grows uncontrollably forming malignant tumors. Carbonic anhydrase is a family of enzymes that catalyzes the rapid inter-conversion of carbon dioxide and water to bicarbonates and protons. This process is used to maintain acid-base balance in blood and other tissues. They all are metaloenzymes because their active site contains zinc. This enzyme family includes CAI to CAXIV. Enzymes CAI, II, III, and IV are cytosolic whereas enzymes CAIV, IX, XII, XIV are membrane bound. They participate in a variety of biological processes, including respiration, calcification, acid-base balance and formation of aqueous humor, cerebrospinal fluid, saliva and gastric acid. Out of these enzymes CAIX was found to be involved in cell proliferation and transformation. This is enzyme is over expressed in solid hypoxic tumor cell and VHL mutated clear cell renal carcinoma. CAIX contributes malignant progression by participating in environmental acidosis in tumor cells. Hence inhibition of CAIX activity could be a novel approach for treatment of cancer. Many drugs like acetazolamide, methazolamide, ethoxzolamide, dichlorphenamide, indisulam etc. are used as CAIX inhibitors and hence in treatment of various types of cancer. For example glycosyl coumarin carbonic anhydrase IX inhibitor is used in breast cancer. Variety of drugs from sulfonamide class are emerged as novel anticancer agent. 2, 4-dichlorobenzenesulfonamides are found to have more inhibitory action than reference drugs like acetazolamide, indisulam etc., glycosyl coumarin carbonic anhydrase IX inhibitor is used in breast cancer treatment.

PM-13

Synthesis, Pharmacological Evaluation and Docking Studies of Novel Triazine Derivatives as Potent Cholinesterase Inhibitors for Alzheimer Disease

Kanhed Ashish M., Tamboli Riyaj S., Sinha Anshuman, Prashant R. Murumkar

Pharmacy Department, Faculty of Technology & Engineering, The M.S. University of Baroda, Vadodara, Gujarat 390001.

ashishvmk@gmail.com

Abstract: Alzheimer's disease (AD) a long term neurological condition is now a major public health predicament for aging populations around the world. Pathologically, AD is characterized by formation of beta-amyloid (Aβ1-42) plaques and selective loss of cholinergic neurons in learning and memory regions of the brain. The present work is meant to investigate the triazine derivatives as potential agents in the treatment of Alzheimer's disease (AD). Triazine moiety has been widely studied due to its occurrence in nature. AChE has two major binding sub-sites, one is peripheral anionic site (PAS) and other is catalyatic active site (CAS) which is deeply located in the gorge of structure and is assigned with Ser-His-Glu catalytic triad. The gorge is assigned by around 14 aromatic amino acids which communicate good interactions with substrates. Considering the insights from active site of both the receptors here we have designed and synthesized few substituted triazine derivatives and evaluated them for their acetylcholine esterase (AChE) and buterylcholine esterase (BuChE) inhibition potency. Among the synthesized compounds some derivatives showed good AChE and BuChE inhibitory activity. Further we have demonstrated the binding mode of most active compound with the active site of AChE and BuChE enzyme by means of docking studies to explain and support the cholinesterase inhibition potency of synthesized compounds.

PM-14

The Growing Applications of Click Chemistry in Medicinal Chemistry

Parikh Palak K.

Department of Pharmaceutical Chemistry, Institute of Pharmacy, Nirma University, S. G. Highway, Ahmedabad, Gujarat-382481.

palak.parikh@nirmauni.ac.in

Click chemistry has received appreciable attention as a powerful modular synthesis approach, with numerous applications in several areas of modern synthetic chemistry, drug discovery, chemical biology and material science. Click chemistry is a concept introduced by K. Barry Sharpless in 2001 which describes chemistry tailored to generate substances quickly and reliably by joining small units together. This dominant approach depends mainly upon the construction of carbon–heteroatom bonds using spring-loaded reactants. The copper(I)-catalyzed 1,2,3-triazole formation from azides and terminal alkynes has become the gold standard of click chemistry due to its high degree dependability, reliability, complete specificity and biocompatibility of the reactants. In the challenging world of medicinal chemistry, click chemistry is impacting right at the core, with significant development of novel approaches to creation and screening of compound libraries through combinatorial methodologies. The reliability of the 'click reaction', means that compounds can be screened directly from the reaction mixture. This review mainly focuses the important role of click chemistry in the field of medicinal chemistry and drug discovery.

PM-15

Design, Synthesis and Biological Evaluation of Novel 2-hydroxybenzo hydrazide Substituted Diphenyl Ether Nucleus as Potential Antibacterial Agents

Ghodse Shrikant M, Manohor Yogesh D., Mundlod Krishna N., Telvekar Vikas N.

Department of Pharmaceutical Sciences and Technology, Institute of Chemical Technology, Matunga, Mumbai-400 019, India. Telephone: 91 22 33611111/2222, Fax No: 91 22 33611020.

vikastelvekar@rediffmail.com

A series of 2-hydroxybenzohydrazide substituted with diphenyl ether nucleus have been synthesized, characterized and evaluated for their antibacterial activity. Many of these compounds exhibited good inhibition against bacterial strains of *Staphylococcus aureus* NCIM 2654 and *Escherichia Coli* NCIM 5051 by using resazurin assay utilizing micro titre plate method. Structural activity relationship study of the compounds reveals that presence of 2 4 dichloro is more active than 2 4 difluoro on diphenyl ether nucleus, it increases antibacterial activity of compound. N'-(4-(2 4-dichlorophenoxy) benzylidene)-2-hydroxybenzohydrazide (7d) showed MIC of 1.95 µg/mL. Thus, this compound could act as a potential lead for further development of new antibacterial drugs.

PM-16

AIDS: - A Threat To Mankind

Shah Viral, Bhatt Hardik, Vaishnav Pramudita

Department of Pharmaceutical Chemistry, Institute of Pharmacy, Nirma University, S. G. Highway, Ahmedabad, Gujarat-382481.

13mph406@nirmauni.ac.in

Acquired immune deficiency syndrome (AIDS) is widely spread disease nowadays in world & it is vast confront for medical society. The first case was noted in 1981. AIDS occurs due to depletion of CD4 lymphocytes leading to cellular immunodeficiency. Human immunodeficiency virus (HIV) is causative agent of AIDS. There are two types of HIV responsible for AIDS. HIV-1 is mainly responsible for AIDS. Other retrovirus is HIV-2 which is mainly responsible in spreading of AIDS in West Africa. HIV infected patient relevant that plasma HIV-1 concentration reduced by 10-100 fold between 5-7 days. According to statistical report approx10^{9} virion per day are produced. Potent inhibitors of protease and reverse transcriptase enzymes can be used for treatment of AIDS. Reverse transcriptase is the enzyme important for uncoating viral RNA. Viral RNA act as template and forms complementary DNA (cDNA). Secondary function of reverse transcriptase is circularization of double-stranded DNA and penetrate the nucleus. There are two types of reverse transcriptase inhibitors. Nucleoside reverse transcriptase inhibitors & Non-Nucleoside reverse transcriptase inhibitors. Targeting reverse transcriptase is easier as compared to integrase. Targeting integrase involves complicated interaction between host &viral molecule during combination. Therefore reverse transcriptase is an attractive target for drug action. Nucleoside analogue such as 3,-fluoro-2,3,-dideoxy thymidine (FLT)3,azido,2,3-dideoxy thymidine (AZT) and 2,3 dideoxy-3-thiacytidine ,alkenyl diaryl methane, Triazole, piperidine-4-yl-aminopyrimides,N_{1}-Alkyl pyrimidinediones, 2-arylalkyl-thio-4-amino-6-benzylpyrimidines, pyridazinone,6-Benzyl-3-hydroxy pyrimidine 2,4-diones, Benzofurano-[3,2d]-pyrimidine-2-one shown to have good inhibitory property.

PM-17

Design, Synthesis and Evaluation of Some Novel Chalcone and Their Pyrazole Derivatives as Potential Anti-Psoriasis Agents.

Thumbar Nirmal[1], Patel Mittal[2], Vaghela Vipul M.

[1]A.R. College of Pharmacy and G.H. Patel institute of Pharmacy, Vallabh Vidhyanagar, Gujarat.
[2]Torrent research centre, Ahemdabad.

nirmal.thumbar7@gmail.com

The currently used drugs for the treatment of psoriasis are far from satisfactory as they provide only symptomatic relief and produce several adverse effects. The title compound were designed by hybridization of substituted thiazole derivatives and substituted pyrazole derivative. The designed compounds were synthesized by cyclization reaction between substitution chalcone and hydrazine hydrate in acidic medium and they were analyzed for their physical and spectral characteristics. The synthesized compounds were screened for their anti-psoriasis activity using imiquimode induced psoriasis in BALB/c mice. Various parameters like ear thickness, erythema, scaling and back skin thickness score, ear weight, total and differential leucocytes count, serum TNF-α, ear weight and histopathology were determined for anti-psoriasis activity. All synthesized compounds exhibited promising anti-psoriasis activity. Derivatives of chalcone shows significant activity compared to the pyrazole derivatives. Amongst all pyrazole derivatives 1-(5-phenyl-3-(4-phenylthiazole-2-ylamino)- 1H-pyrazol-1-yl) ethanone shows good anti-psoriasis activity. QSAR study was carried out of pyrazole derivatives and some physiochemical parameters give reliable results with actual anti-psoriasis activity.

PM-18

Design Synthesis and Biological Evaluation of 2-Aminobenzothiazole Derivatives as (PPAR δ Agonists) Anti-Diabetic Agents.

Sainy Jitendra, Sharma Rajesh, Sainy Navin, Dubey Swati

School of Pharmacy, Devi Ahilya Vishwavidyalaya, Indore (M.P.)
School of Pharmacy, Takshshila Campus, Devi Ahilya Vishwavidyalaya, Ring road, Indore-452017 (M.P.)

Sainy_007@rediffmail.com

In this research work a virtual library of twenty eight derivatives of 2-amino benzothiazole was designed by the substitution of various aryl and alkyl groups at R position of 2-amino benzothiazole nucleus. The virtual library of designed derivatives was docked on peroxisome proliferator-activated receptor delta (PPAR-δ; PDB Code: 3D5F).The docking study was performed on the software Molegro Virtual Docker (MVD) version 5. On the basis of docking scores ten compounds were synthesized and evaluate them for their *in-vivo* antidiabetic activity. The compounds SD-01 SD-02 and SD-04 showed significant antidiabetic activity.

PM-19

Synthesis and Biological Evaluation of Substituted Thiadiazole Derivatives of Mefenamic Acid

Ghuge Rahul[1], Shaikh Anwar[1], Yalagatti Manjunath[2], Adhav Suvarna[1]

[1]Bhujbal Knowledge City, MET'S Institute of Pharmacy, Nashik, Maharashtra,

[2]Srikrupa Institute of Pharmaceutical Sciences, Velkatta, Medak, Andhra Pradesh.

ghuge.rahul2007@gmail.com

In present work, an attempt has been made to synthesize a new series of substituted thiadiazole derivatives of mefenamic acid. Thiadiazole is a versatile moiety that exhibits a wide variety of biological activity while mefenamic acid is a good anti-inflammatory drug. To exploit their biological potential, a series of substituted thiadiazole derivatives of mefenamic acid have been synthesized and their structures were confirmed by ^{1}H NMR, IR and MS-MS. Synthesized compounds were screened for antibacterial activity against *Staphylococcus aureus(Gram-positive)* and *Escherichia coli (Gram-negative)* using Streptomycin as a standard and for antifungal activity against *Aspergillus niger* using Ketoconazole as a standard. The anti-inflammatory potential of synthesized compounds was evaluated by *In-vitro* HRBC membrane stabilization method using Mefenamic Acid as a standard. Some of synthesized compounds have exhibited very good activity.

PM-20

Synthesis of Quinazolinone Based Cyclic Guanidines as Potent AChE and BuChE Antagonists for AD

Dhakhada Chetana, Prajapati Navnit, Sinha Anshuman, Verma Amit

Pharmacy Department, Faculty of Technology & Engineering, The M.S. University of Baroda, Vadodara, Gujarat 390001.

dhakhda.panna@gmail.com

The present work is aimed to explore quinazolinone based cyclic guanidine derivatives for potential use in the treatment of Alzheimer's disease (AD). We report the synthesis and biological evaluation of 2-iminoquinazolinone derivatives series as acetylcholine esterase (AChE) and buterylcholine esterase (BuChE) enzyme inhibitors. Acetylcholine level plays a major role for the accumulation of amyloid-beta plaque in the brain of patients having Alzheimer's disease so the approach adapted was to synthesize heterocyclic templates having dual activity as cholinesterase inhibitors and beta-amyloid aggregation inhibitors. Cholinergic hypothesis suggest that small heterocyclic molecules are responsible for maintaining the level of acetylcholine in human brain. Research intimates variety of fused and non-fused ring systems as cholinesterase inhibitors (ChEIs) as per the developments in the cholinergic hypothesis. For example, tacrine (I), an acridine derivative, is one of the well-known ChEI developed to treat AD since long time. Also a recent study on screening of Turkish marine sponges, oroidin (II) was identified from Agelas oroides having cyclic guanidine ring which shows a moderate level of AChE inhibition. After analyzing the basic core of tacrine (tricyclic ring system) and the guanidine ring containing oroidin (II) it was planned to synthesize a ring system which is of type III and to evaluate the derivatives against cholinesterase enzymes. Among the synthesized compounds some derivatives show good AChE and BuChE activity.

PM-21

In-Silico Lab Drug Designing – Molecule Modeling (Modification)

Patel Jimi

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat.

jimi.patel29@yahoo.com

Drug design, also known as rational drug design or rational design. It is the inventive process of discovering new medicines or drug molecule based on the knowledge of a biological target or receptor. Drug Design is sometimes known as computer-aided drug design. Drug design that is based on the knowledge of the three-dimensional structure of the receptor is known as structural drug design or drug modification. Hereby, the presented molecule is modified form of molecule 1E9H which is obtained from protein databank within its receptor. Here, 1E9H has been modified by the help of "Drug Discovery Studio" named software (IN-SILICO LAB). In- silico means "performed on computer or via computer simulation." In silico research in medicine have the ability to accelerate the rate of discovery and helps reducing the expenses of lab work and clinical trials. Here, the presented molecule is modified 1E9H which is CDK2 inhibitor and also known as kinase (transferase) dependent cyclin inhibitor. It is an indirubin derivative. It is used in tumors as an anti-tumor agent.

PM-22

3D QSAR Analysis of 2, N6-disubstituted 1, 2-Dihydro-1, 3, 5-Triazine-4, 6-Diamines as Potential Antimalarial Agents

Patel Chirag N., Patni Mehul I, Mehta Vijay P, S. Prasanthkumar, Pandya Himanshu A.

Department of Bioinformatics, Applied Botany Centre (ABC), Gujarat University, Ahmedabad-380 009.

chiragpatel269@gmail.com

The quantitative structure–activity relationship (QSAR) already plays an important role in lead structure optimization. The discovery of clinically relevant inhibitors of Plasmodium falciparum has proven to be a challenging task. This research shows 3D-QSAR analysis on a series of 2, N6-disubstituted 1, 2-dihydro-1, 3, 5-triazine-4, 6-diamines. Nitrogen group in the aliphatic chain give best activity and the aromatic moiety at the end of the aliphatic linker possessing chlorine atom which also contribute to the activity. The statistically significant best 3D QSAR models for cycloguanil resistant (FCR-3), having correlation coefficient (r2) = 0.9106 and cross validated squared correlation coefficient (q2) = 0.8394 were developed by multiple linear regression stepwise (SW–MLR) forward algorithm. The output of the present study may be valuable for designing of more potent analogues as antimalarial agents.

PM-23

Design, Synthesis and Screening of Falcipain-2 Inhibitors as Novel Antimalarial Agents.

Mundra Sourabh, Radhakrishnan Mahesh

Pharmacy Department, Birla Institute of Technology and Science Pilani, Rajasthan- 333031, India.

Sourabh_mundra@yahoo.co.in

Malaria caused by *plasmodium falciparum* is a disease that is responsible for 880,000 deaths per year worldwide. Vaccine developed has proved difficult and resistance has emerged for most antimalarial drugs. The *Plasmodium falciparum* cistern protease falcipain-2, one of the most Promising targets for antimalarial drug design, plays a key role in parasite survival as a major peptide hydrolyze within the hemoglobin degradation pathway. In this work, a series of 15 new chemical entities designed by ligand based approach and synthesized as potential falcipain-2 inhibitors. Chloro acetyl chloride was reacted with *N*-boc protected piperazine to furnish 2-*tert*-butyl 4-(2-chloroacetyl) piperazine-1-carboxylate. Initially, this compound was deprotected to obtain an amine which could not be isolated because of high polar nature perhaps due to presence of both free chloro as well as free amino functionality in the same moiety. Hence, alternatively this compound was subjected to nucleophilic substitution reaction with aniline to mask the chloro functionality, which afforded the key intermediate which was deprotected and finally, this compound was coupled with appropriate carboxylic acid in the presence of coupling agent afforded the desired molecules. These novel molecules were screened in-vitro and they were showing the enzyme inhibition value from 30μm to 50 μm.

PM-24

Formulation Development and Evaluation of Elementary Osmotic Pump Tablet of Atomoxetine Hydrochloride by Using Different Combinations of Osmogens

Bhanage Bhagyashri[1], Shirgaonkar Snehal[1], Bhitre Milind[1], Ingale Ashvini[1]

[1]C. U. Shah College of Pharmacy, S.N.D.T. Women's University, Juhu, Santacruz (W). Mumbai- 400049

bhagyashri.bhanage@gmail.com

The study was aimed towards the formulation and *in-vitro* evaluation of osmotic tablet containing Atomoxetine HCl by using different combinations of osmogens which is used in the treatment of Attention–deficit hyperactivity disorder (ADHD). ADHD is a chronic condition that affects millions of children and often persists into adulthood. ADHD includes a combination of problems, such as difficulty sustaining attention, hyperactivity and impulsive behavior. The elementary osmotic pump tablet is a core tablet coated by semipermeable membrane with a micro-orifice drilled on the surface. Osmotic tablet of Atomoxetine HCl was formulated using various combinations of osmogens (Mannitol, Mannitol-Sucrose, Mannitol-Lactose) and concentration (60%, 50-50%, 80-20%) The batches were formulated by using wet granulation method. Drug release was taken as the basis to optimize the osmotic tablet. The tablets were evaluated for hardness, thickness, weight variation, content uniformity, friability and dissolution studies. Mannitol in the concentration of 60% was finalized which had showed 50% release in 4.3 hours and almost 100% release in 9 hours.

PM-25

Synthesis and Evaluation of Some Pthalimide Analogues as Potential Anti-Cancer Agents

Katiyar Anumeha[1], Bhayani Dharti[2], Vaghela Vipul[1].

[1] A.R.College of Pharmacy & G.H. Patel College of Pharmacy, Vallabh Vidyanagar Gujarat

[2] Oxygen Healthcare Research Private Limited, Ahmedabad

anumehapharma52@gmail.com

Cancer is still one of the biggest challenges in modern world after heart disease. In 2008 approximately 12.7 million cancer cases were diagnosed and 7.6 million people died of cancer worldwide. There is urgent need for potential anticancer drugs. Thalidomide, which is chemically a Pthalimide derivative, has made a remarkable comeback from its days of being a sedative with teratogenicity due to its ability to selectively inhibit TNF-α. Thalidomide was proved to have interrelations in cancer, inflammation and autoimmune diseases by clinical trials. Sulphonamide moieties have also been reported for their anticancer activity. Some Pthalimide-N-(substituted) benzene sulphonamide derivatives have been synthesized with good yields and have been characterized for their physical characteristics like melting point and TLC. All synthesized compounds have been characterized with their spectral data also. All synthesized compounds were screened for their *in vitro* anticancer activity using Micro culture Tetrazolium Test (MTT) against k-562 cell line using Doxorubicin as a standard reference. Out of this, compounds with phenyl side chain, pyridine side chain and 2-nitro phenyl side chain exhibited promising anticancer activity. Some compounds have exhibited higher activity than Doxorubicin. *In vivo* testing of synthesized compounds may exhibit promising anticancer activity.

PM-26

Pharmacophore Modelling, Virtual Screening, Molecular Docking, *In silico* ADMET Studies on CDK2 Inhibitors for The Treatment of Skin Cancer.

Chaube Udit, Vyas Vivek, Bhatt Hardik

Dept of Pharmaceutical Chemistry, Institute of Pharmacy NIRMA University, S.G.Highway, Ahmedabad- 382481, India

uditchoube@gmail.com

Objective of present study to develop new series of molecules which would act on the CDK2 receptors and which may help in the prevention of the skin cancer. Here we are using computational tools like SYBYLX1.3 and GOLD for designing new molecules. CDK2 is responsible for the cell cycle. P53 protein is natural inhibitor of the CDK2 but mutations in the P53 protein results in the excessive amount of CDK2 which causes uncontrolled cell proliferation. Hence in the present study we try to developed the novel heterocyclic compounds which would act on the CDK2 and can be used in the prevention of skin cancer. Nine compounds were selected which having remarkable activity on the CDK2 receptor. Pharmacophore model were developed by using GALAHAD module of sybyl X 1.3 The best model was selected which having 5 features viz 2 donor atoms, 1 acceptor atom, 2 hydrophobic atoms. This model was taken as query and virtual screening was performed using NCI database. 72,959 molecules were obtained after virtual screening, Lipinski filter apply to the obtained molecules which reduced to the 21,119. Various molecules having higher QFIT were docked on the co-crystal structure of CDK2 (PDB ID: 1QMZ) to predict binding orientation of drug candidates onto the receptor structure. Five molecules shows comparable/higher docking score and showing same binding interaction as that of ATP. *In-silico* ADMET studies were carried out using OSIRIS property explorer. These molecular structures do not show any toxicity. These molecules can be further exploit to design novel CDK2 inhibitors.

PM-27

Structural Feature Study of Novel Substituted Pyrimidines Analogs As HIV-1 Reverse Transcriptase: QSAR Approach

Sharma Mukesh Chandra

School of Pharmacy Devi Ahilya Vishwavidyalaya, Indore (M.P)– 452 001, India

mukeshcsharma@yahoo.com

A Thirty four compounds series of as HIV-1 reverse transcriptase of substituted Pyrimidines analogs derivatives were subjected to quantitative structure-activity relationship analyses. Principal component regression (PCR) coupled with genetic algorithm (GA) method was applied to derive QSAR models which were further validated for statistical significance by internal and external validation. The best QSAR model developed gave good predictive correlation coefficient (r^2) of 0.8618, significant cross validated correlation coefficient (q^2) of 0.7739, r^2 for external test set (pred_r^2) 0.8265, coefficient of correlation of predicted data set (pred_r^2se) 0.4129, was developed by GA-PCR with the descriptors like $SssCH_2E$-index, SssOE-index, SsOHcount and StNE-index. It will be useful to build a QSAR model to predict and optimize the properties and activities of new untested pyrimidines analogues and determine key structural requirements for their enhanced HIV-1 reverse transcriptase activity. The current study provides better insight into the designing of more potent HIV-1-RT inhibitors activity in the future before their synthesis.

PM-28

A Comparative Molecular Docking Study and Pharmacological Evaluation of Different Compounds of γ-Oryzanol as Potential Agonist for Asialoglycoprotein Receptor (ASGPR) in Liver Cancer Treatment

Gajjar Krishna[1], Gajjar Anuradha K.[2], Sharma NeerajK.[1], Panchal Shital S.[1]

[1]Institute of Pharmacy, Nirma University, Ahmedabad

[2]Ramanbhai Patel College of Pharmacy, Charotar University of Science & Technology, Changa, Anand-388421 Gujarat

gajjar.krishna@ymail.com

Hepatocellular carcinoma (HCC) is one of the most commonly occurring tumors worldwide. Sorafenib is the only FDA approved drug available for the treatment of HCC, but exhibits severe side effects like bleeding, heart problems and many more. Nanocarrier approaches using liposomes anchored with ligands such as O-palmitoylmannan (OPM), lactose etc. is a well-recognized approach for targeted drug delivery in cancer. Asialoglycoprotein receptors (ASGPR) present on liver cells can be effectively targeted in HCC. An attractive alternative is γ-oryzanol (OZ), acquired from rice bran oil. OZ has been reported to have therapeutically useful biological activities and no side effects were shown in biological activities conducted either on animal or human being. Molecular docking analysis was performed using Surflex Dock implemented in SYBYLX 1.3 software from Tripos Inc., St. Louis, MO, USA to explore the binding model for the asialo-glycoprotein receptor (1.95AÚ) with ligands like OPM, sorafenib and various important compounds of γ-oryzanol. Results revealed that compounds cycloartenolferulate, campesterolferulate and cyclobranolferulate have excellent docking scores of 6.94, 8.50 and 5.56 respectively; which are exceptionally higher than sorafenib (4.09).Their evaluation for cytotoxic activity was performed using sulforhodamine B (SRB) assay on hepatic cancer cell line, revealed remarkable activity confirming the results of the docking studies. These results advocate that γ-oryzanol has higher affinity towards ASGPRs and could be an alternative for sorafenib. It can also be used as a ligand in place of OPM for the preparation of nanomedicines like liposome for targeted drug delivery in cancer.

PM-29

QSAR Analysis of Structurally Diverse Molecules for Bcr/Abl Kinase Inhibitory Activity and Antiproliferative Activity

Manivannan Elangovan[1], N.S. Hari Narayana Moorthy[2, 3], C. Karthikeyan[3], Trivedi P [3]

[1]School of Pharmacy, Devi Ahilya Vishwavidyalaya, Indore 452 017, MP, India.

[2]Department of Chemistry and Biochemistry, Faculty of Sciences, University of Porto, 687, Rua de Campo Alegre, Porto, 4169-007, Portugal

[3]School of Pharmaceutical Sciences, Rajiv Gandhi Proudyogiki Vishwavidyalaya, Airport Bypass Road, Gandhi Nagar, Bhopal (MP) 462036, India.

drmanislab@gmail.com

In the present investigation, structurally diverse compounds having Bcr/Abl kinase inhibitory activity and antiproliferative activity against K562 cell lines were used for the structural analysis. The statistically significant QSAR models were developed and validated by different validation techniques. The contributed descriptors explain that the hydrophobic and polar properties on the vdW surface of the molecules determine the activities of the molecules. The correlations developed between the TPSA, logP and activities showed that increased TPSA values containing compounds possessed better Bcr/Abl kinase inhibitory activity, while those compounds has moderate logP values. Higher logP values containing compounds have less Bcr/Abl kinase inhibitory activity and moderate antiproliferative activity on K562 cancer cell lines. The present work conclude that the molecular refractivity on vdW surface area and vsurf like properties play important role for the Bcr/Abl kinase inhibitory and antiproliferative activity on K562 cancer cell lines. The results of this studies suggested that the moderate hydrophobicity and significant polar properties on the vdW surface of the molecules responsible for the determination of the said activities. The findings will helpful along with other molecular modeling studies for further studies and design of Bcr/Abl inhibitors as anticancer agents.

PM-30

Lead Identification of Aurora Kinase Inhibitors as Anticancer Agents by Using Various Computational Studies.

Borisa Ankit, Bhatt Hardik

Department of Medicinal chemistry, Institute of pharmacy, Nirma University, Ahmedabad-38241

13ftphdp14@nirmauni.ac.in

Cancer is the major disease in this modern time. Billions of dollars are utilize for treatment of this monster. So there are so many targets identified by research work, here one of the thrust target in modern day is Aurora kinase. Aurora kinase is family member of Serine/threonine kinase show great role in chromosome alignment, segregation and cytokinesis during mitosis. 3 members identify: Aurora-A, Aurora-B, Aurora-C having different features for cell division. Here study of aurora kinase inhibitors is much important now days. In this research work we are identify the new lead molecule using various computational tools. Taking 10 familiar aurora kinase inhibitors reported in literature are used to develop pharmacophore query in DISCO Tech module refined with GASP in Sybyl 1.3-tripose. In query 4 features are generated from these structures 1 aromatic, 2 hydrophobic, 1 donor. Then virtual screening is carried out using unity search and got 47187 molecules of these query. From these we selected 8 Structures having highest Q-fit value >90%. These structures docked on GOLD 5.2 CCDC in PDB ID:1M4Q. We got 2 good scaffold with gold score more than standard. Further its evaluated *In-Silico* ADMET studies carried out using OSIRIS property explorer. These molecular structures do not show any toxicity.

PM-31

Traditional Synthesis of Some Novel 1, 2, 4-Triazole Derivatives as Possible *In Vitro* Anti-Inflammatory and Antioxidant Activity

Sahoo Ujjwal, Seth A. K., Balaraman R.

Department of pharmacy, Sumandeep Vidyapeeth University, Vadodara-391760, Gujarat, India

sahoo.devurb@gmail.com

Some novel 4-[1-({5-[3-(substituted) phenyl]-4*H*-1, 2, 4-triazol-3-yl} methyl)-5- substituted -1*H*-benzimidazol-2-yl] benzonitrile 8(I-XXXI) have been synthesized employing conventional techniques. Substituted o-phenylenediamine was reacted with appropriately substituted 4-cyanobenzaldehydes in the presence of sodium metabisulfite to furnish substituted 2-(4-Cyanophenyl)-1H-benzimidazoles (1). These substituted 2-(4-Cyanophenyl)-1H-benzimidazoles were further treated with ethyl chloroacetate in KOH/DMSO gave the N-alkylated product, (2-(4-cyanophenyl)-benzimidazol-1-yl)-acetic acid ethyl esters (2). To endow2-(4-cyanophenyl)-benzimidazol-1-yl)-acetic acid hydrazides (3) reaction were occurred between Hydrazine hydrate and the esters (2). The structures of newly synthesized compounds 8(I-XXXI) have been confirmed by suitable spectroscopic techniques such as IR, ^{1}HNMR, ^{13}CNMR and m/z ratio. All the newly synthesized compounds 8(I-XXXI) were screening for in vitro anti-inflammatory and antioxidant activity by inhibition of protein denaturation, peroxynitrile scavenging assay methods respectively. Compounds 8.VI, 8.XV and 8.XXVIII were highly active at lesser concentration and compounds 8.VII, 8.IX, 8.XI, 8.XII, 8.XXI, 8.XXII and 8.XXX were moderately active at higher concentration as compared to ascorbic acid in peroxynitrile scavenging assay method. In vitro anti-inflammatory by inhibition of protein denaturation method the compounds 8.IX, 8.XI, 8.XVI, 8.XXI, 8.XXVIII and 8.XXX was found to be highly active in lesser concentration and compounds 8.III, 8.VI, 8.VII, 8.VIII, 8.XII and 8.XXVI was found to be moderately active at higher concentration as compared to diclofenac sodium. Keywords: o-phenylenediamine, 4-cyanobenzaldehyde, 1, 2, 4-Triazole, benzimidazole, ethyl chloroacetate.

PM-32

Homology Modeling and Binding Site Identification of SIRT1: A Regulator Involved in Type-2 Diabetes

Vyas Vivek, Ghate Manjunath

Department of Pharmaceutical Chemistry, Institute of Pharmacy, Nirma University, Ahmedabad

vivekvyas@nirmauni.ac.in

Metabolic impairment is one of the possible reasons for pathogenesis of growing number of diseases including type-2 diabetes. Illustration of sirtuins1 (SIRT1) as new regulators of many metabolic aspects makes SIRT1 as fascinating drug targets for the treatment of type-2 diabetes. Herein, we described homology modeling and binding site identification of SIRT1 protein. The X-ray crystal structure of SIRT1 is yet not available; thus homology model of *Human* SIRT1 was constructed, followed by identification and characterization of binding sites, there by assessing druggability of the proteins. Homology modeling study is to build and refine 3D models of the receptors, which is the most accessible approach to study the 3D conformation of proteins and binding mode of the ligands. The homology model of SIRT1 protein was generated using crystal structures of the sirtuin deacetylase family as templates: *Human* SIRT1 catalytic domain (PDB: 4I5I), *Saccharomyces cerevisiae* SIR2 (PDB: 4IAO), and *Human* SIRT2 (PDB: 1J8F). Homology model structure refinement of the SIRT1 protein model was performed using the KoBaMIN web server (a knowledge-based minimization web server for protein structure refinement) in order to obtain the best conformation of structure resulting from I-TASSER sever. The stereo chemical quality of the protein structure was examined by a Ramachandran plot using the PROCHECK program. Surface pockets and sub-pockets for catalytic domain of modeled SIRT1 structure were identified using program DoGSite Scorer. Most of amino acids detected as being part of the binding pocket are mainly hydrophobic in character. The present study is a step towards characterization of SIRT1 protein, and will stimulate us to design and discover SIRT1 activators.

PM-33

Molecular Docking Study of Pyrrolidine Derivatives on Enzyme DPP-IV.

Sainy Navin, Sharma Rajesh, Sainy Jitendra

School of Pharmacy, Devi Ahilya Vishwavidyalaya, Indore (M.P.)

School of Pharmacy, Devi Ahilya Vishwavidyalaya,Takshshila Campus,

Ring Road, Indore (M.P.)-452017

nsainy23@gmail.com

In the present study we designed twelve small non-peptidomimetic inhibitors of enzyme DPP-IV (Dipeptidylpeptidase-IV) by the substitution at R1 position of pyrrolidine ring. R1 position is substituted with various substituted alkyl and aryl groups. The designed compounds were docked on the enzyme DPP-IV (PDB CODE: 3O9V).The docking was performed with the help of Molegro Virtual Docker (MVD) version 5.The compound 01,02,03 and 04 showed moldock score as well as rerank score comparable with standard drug sitagliptin.

PM-34

Design of Novel Anti-Cancer Agents: Pharmacophore Modeling, Virtual Screening, Molecular Docking and *In-Silico* ADMET Studies

Bhatt Hardik, Shah Binoy

Institute of Pharmacy, Nirma University, S.G. Highway, Ahmedabad 382 481. India.

hardikbhatt23@nirmauni.ac.in

Cancer can strike people at any age. The molecular analysis of the cancer genomes showed a remarkable complexity and pointed to key genomic and epigenomic alterations in cancer. The Aurora Kinase family members (A, B and C) are a collection of highly related and conserved serine/threonine kinases that fulfill these criteria, being key regulators of mitosis and multiple signaling pathways. In course of this research to discover novel Aurora Kinase inhibitors, computational approach was used to design novel agents. Pharmacophore mapping was performed on 11 chemically diverse molecules using GALAHAD. Twenty pharmacophore models were generated and model 5 was considered the best model as it had higher specificity compared to all other models. The best pharmacophore hypothesis contained 5 features including 2 donor sites, 1 acceptor atom and 2 hydrophobic region. Model 5 was used as a query in NCI and Maybridge hit finder databases. A total number of 16829 molecules were obtained after Lipinski filtering. On the basis of pharmacophore modeling and virtual screening, various molecules were designed having mercapto-purine ring system as the common core structure and were docked on co-crystal structure of Aurora kinase A (PDB ID: 3K5U) to predict the binding orientation of drug candidates to their protein target. *In-silico* ADMET studies were carried out using admetSAR. The designed compounds can further exploit as potential anti-cancer agents.

PM-35

Organ-on-chip: A New Approach for Drug Discovery and Testing

Nikam R. S, Garge V. N, Kadam V. J.

Institution: Bharati Vidyapeeth College of Pharmacy, Sector 8, C.B.D., Navi Mumbai- 400614

rahulnikam994@yahoo.com

The current drug discovery process is arduous and costly, and a majority of the drug candidates entering clinical trials fail to make it to the marketplace. Eroom's law says that, "Number of medicines invented halves every 9 years, since 1950". Whereas Moore's law states that, "Computer power doubles every 18 months". i.e. microchip technology is becoming affordable. The standard static well culture approaches, although useful, do not fully capture the intricate in-vivo environment. By merging the advances in microfluidics with micro fabrication technologies, novel platforms are being introduced that lead to the creation of organ functions on a single chip. Within these platforms, micro engineering enables precise control over the cellular microenvironment, whereas microfluidics provides an ability to perfuse the constructs on a chip and to connect individual sections with each other. This approach results in microsystems that may better represent the in-vivo environment. These organ-on-a-chip platforms can be utilized for developing disease models as well as for conducting drug testing studies. This review highlights several key developments in these micro scale platforms for drug discovery applications.

PM-36

Design, Screening and Lead Optimization of Dipeptidyl Peptidase-4 Inhibitors as Potential Anti-Diabetic Agents by Molecular Modeling Studies

Jain Shailesh V, Ghate Manjunath

Institute of pharmacy, Nirma University, Ahmedabad 382481, Gujarat, India

shal.jain2007@gmail.com

A Dipeptidyl peptidase-4 (DPP-4) enzyme is responsible for degradation of GLP-1 incretin hormone and in cooperates in glucose metabolism. Inhibition of DPP-4 is a promising new approach for the treatment of type-2 diabetes with low risk of hypoglycemia. An analog based design study was performed using pharmacophore modeling and 3D-QSAR to designing potential lead compounds. A five-point pharmacophore model with two hydrogen bond acceptors (A), one hydrophobic (H), one positive (P) and one aromatic rings (R) as pharmacophore features was generated using 38 azabicyclo-derived dipeptidyl peptidase-IV inhibitors. The validated pharmacophore alignment was used for CoMFA and CoMSIA 3D-QSAR model development. The models generated from sybyl shown a high cross validated r^2 value of 0.63 and 0.61 for CoMFA and CoMSIA models. Systematic pharmacophore based screening protocol was used to screen commercial databases. Hits retrieved were passed progressively through filters like predicted activity, fitness score, Lipinski screen and docking scores. The survived 7 hits were further visually analyzed which shows that all hits contain same threefold of piperazinum ring which can be replaced the azabicyclo ring in existing lead which may increase the potency of DPP-4 inhibitors.

PM-37

Detoxification of Toxicophores – A Major Challanging Process in Drug Discovery

Patel Shivani[1], Parmar Kailash[2], Chhabria M.T[2]

[1]Institute of Life Sciences, Ahmedabad University

[2] L.M.College of Pharmacy, Ahmedabad-380009

shivanipatel90@gmail.com

The structural features of the chemical substance are mainly responsible in producing the toxicity of the compound. The group of atom which is responsible for the toxicity is known as toxicophores. The merging of this toxicophore or their substructure in new drug discovery is limited. But many times some chemical features of toxicophore are also important for therapeutic activity. To overcome this problem predictive toxicity study is important; study of their relative metabolite formation and detoxification approaches should be developed. The predictive toxicity study is correlation of toxicity with QSAR. The estimation of the toxicity with the help of the artificial intelligence program like MULTICASE and TOX II are also in use**.** The toxicity may be reduced by steric hindrance or by a distraction of the required electronic charge distribution near the toxicophore. The aromatic nitro and aromatic amine are examples of toxicophore and they are detoxifying by the introduction of electron withdrawing detoxifying substructures such as sulfonamide or trifluoromethyl groups. This study tells us about the prediction of toxicity of the chemically reactive drug, how to overcome their toxicity by forming relative detoxifying substructures.

PM-38

Quantum Mechanical Methods for Drug Design

Kulkarni Prajakta, Vyas Vivek, Ghate Manjunath

Department of Pharmaceutical Chemistry, Institute of Pharmacy, Nirma University, Ahmedabad, India

puk3391@gmail.com

Quantum Mechanics and Molecular Mechanics (QM/MM) is a computational method that can be used to calculate structure and property data. Quantum Mechanics at microscopic scales deals with physical phenomenon and has action on the order of Planck constant ($6.62606957\times10^{-34}m^2$ kg/s). It is a branch of physics. The other name of QM is quantum physics or quantum theory. It is a procedure based on first principles. First principle is the thing which starts directly at the level of established science and does not make assumptions as empirical model. It is a tool for drug discovery. QM methods are used to estimate relative binding affinities with high accuracy. The poor scaling with respect to the system size hinders quantum mechanics application to large size. QM is applied to optimize molecular structures, evaluate energies and determine protonation states of ionisable groups. The study of chemical process is done in solution as well as in proteins in QM/MM approach. It is a calculation method of molecule and combination of the strengths of Quantum Mechanics (accuracy) and MM (speed) is done. QM is also applied to HTS, to derive QSAR model. There is a great scope for QM in CADD. There are two limitations of QM: 1) to take extreme sample of conformation space,2) to treat macromolecule solution. Due to availability of Protein structures, Structure and fragment Based Design have a great role in computational chemistry.

PM-39

Exploring kNN-MFA Method for 1, 2-dihydro-1, 3, 5-triazine-4, 6-diamine Derivatives Possessing Anti-malarial Potential

Patil Sushil, Momin Ziyaul-Haque, Shaikh.A.R

Department of Pharmaceutical Chemistry, Bhujbal knowledge city, MET Institute of Pharmacy, Adgaon, Nasik, 422003 India

sushilpharma@rediffmail.com

Quantitative structure–activity relationship (QSAR-2D/3D) for 1,2-dihydro-1,3,5-triazine-4,6-diamine derivatives was performed for their anti-malarial activity. The 2D-QSAR models were obtained with r^2 = 0.8458 and q^2 = 0.5986 whereas 3D QSAR studies were performed using k-nearest neighbor molecular field analysis (kNN-MFA) approach. Electrostatic, steric and hydrophobic fields in kNN-MFA were used by three different methods with SW-FB, SA, and GA for the development of models. Internal (q^2 = 0.6396) and external (predictive r^2 = 0.5318) validation criteria were tested for developed models. 3D QSAR models suggested the importance of electrostatic effects in determining the binding affinities whereas 2D QSAR suggested that negative coefficient values of T_N_O_5 [count of number of nitrogen atoms (single, double or triple bonded) separated from oxygen atom by 5 bond distance in molecule] were major contributing descriptors. This study resulted in the identification of common structural features responsible for prediction of anti-malarial activity selected series of compounds. The overall degree of prediction was found to be around 84.65 % in case of MLR. Among the 2D QSAR models, results of MLR analysis showed significant predictive power and reliability as compared to other methods. The overall degree of prediction was found to be around 63.95 % in case of kNN-MFA method. 3D QSAR results revealed the importance of some molecular characteristics, which should significantly affect the binding affinities of compounds. The results derived may be useful in further designing of novel anti-malarial agents.

PM-40

Tuberculosis: A New Challenge For Drug Discovery

Jani Kavan, Patel Abhishek, Ghate Manjunath

Institute of Pharmacy, Nirma University, Ahmedabad, India

kavanjani25@gmail.com

Tuberculosis is most relevant today than any other time in human history. Mycobacterium tuberculosis was identified in the year 1882 by Robert Koch. Today every year total 9.8 million cases are formed. The advances in novelty screening has found a new identification where a new TB targets have been driven largely by the availability of large genome sequence of *M. Tuberculosis* and has found a little success in the anti-bacterial therapy. Currently the recent success with whole-screening approaches for the identification of new TB drugs like diaryl quinolines where target is ATP synthesis and benzothiazines which target the essential cell-wall. Various new antibiotic candidates are chemical molecules which are reengineered from existing scaffolds and are redesign to improve their antimycobacterial potencies. Rifampicin and fluoroquinolines are the two drugs which play important role in both 1st and 2nd line therapy. Various drugs like amikacin, kanamycin etc. are used. The term dormancy is used to describe latent TB disease as well as metabolic state of non-replicative TB bacterium. Out of which 95% of patient recover upon treatment whereas 5% relapse. But in the recent development in the combination trials and standardization of regimen various drugs like moxifloxacin causes risk in CVS where prolongation of QT intervals occurs. Thus the ultimate goal for TB drug discovery is to eradicate both active and latent bacteria and to develop a successful drug regimen.

PM-41

Design of Quinazolines as Novel Anticonvulsants by 3D-QSAR Approach

Ugale Vinod[1], Chaudhari Prashant[1], Bari Sanjay[2]

[1]R.C.Patel Institute of Pharmaceutical Education and Research, Shirpur (Dhule)-425405, Maharashtra, India

[2]H. R. Patel Institute of Pharmaceutical Education and Research, Shirpur (Dhule)-425405, Maharashtra, India

vinod.ugale@rediffmail.com

Pharmacophore modeling studies were carried out on series of quinazoline derivatives as anticonvulsant agents. Pharmacophore model was generated by taking two hydrogen bond acceptors (A), one hydrogen bond donor (D) and two aromatic rings (R) as pharmacophore features. The proposed pharmacophore model was validated by PLS method. The hypothesis was developed which results into a statistically significant 3D-QSAR model, with a correlation coefficient of r2 = 0.8849 for training set compounds. Predictive power of developed model was found to be good with correlation coefficient q2 = 0.6496. Moreover from the 3D-QSAR studies important structural parameters required for the profound anticonvulsant action were elucidated and discussed. All the compounds were analyzed for Lipinski's rule of five to evaluate drug likeness properties and assessed in silico ADME parameters using QikProp. These results are meaningful to produce a potent lead with significant antiepileptic activity.

PM-42

Recent Advances in Antihypertensive Agents Hypertension: A Silent Killer and Big Global Problem

Patel Abhishek, Jani Kavan, Ghate Manjunath
Institute of Pharmacy, Ahmedabad, Gujarat, India

13mph601@nirmauni.ac.in

Hypertension is defined as persistent raised blood pressure of 140/90 mmHg. It rarely causes an symptom on its own. Hypertension is the most important modifiable risk factor for coronary heart disease, stroke, congestive heart failure and chronic kidney diseases. Hypertension has been known as silent killer because its symptoms are hardly detected. Its prevention is the key priority which is the most important challenges facing public health today. Death due to cardiovascular diseases is 51% in which major contributing factor is hypertension. 139 million people are suffering from hypertension in India which is very small compared to developed countries. There is lots of development of antihypertensive agents and so many classes have been discovered in last two decades. Nowadays new era of drug discovery and development by computational methods leading to the discovery of drugs in rational way. New compounds with dual mechanism of antihypertensive action were found by this approach from MDDR 99.2 database (AsInEx and Chembrigde) from their structural formula. Several other compounds are discovered that potent dual inhibitors of ACE, NEP, ECE-1. Various natural compounds were found from latest literature having potential antihypertensive activity such as polyphenolic compounds, flavonoids, high antioxidant potatoes, lactoferrin hydrolysate etc. new classes of antihypertensive have come into existence last few years (vasopeptidase inhibitors, aldosterone synthase inhibitors and direct rennin inhibitors)

PM-43

Synthesis and Anti-Microbial Evaluation of 8-Hydroxy Quinoline Derivatives and Related Compounds

Deshmukh N,Gopkumar P, Kanchan K

GRY Institute pf Pharmacy, Vidya Vihar, Borawan,Khargone, M.P.

nitin_deshmukh90@rediffmail.com

Hydrazine and substituted hydrazines have tendency to take part in substitution as well as condensation reaction. The condensation with suitable carbonyl compound gives hydrazones. This hydrazones undergo cyclization under suitable condition gives 2-nitrogen atom containing heterocyclic ring system. This compounds have pronounced anti-bacterial activity.1, 3, 4-oxadiazole constitute a unique class of nitrogen and oxygen containing five member heterocycles. During the last years considerable evidence has also accumulated to demonstrate the efficacy of 1, 3, 4-oxadiazole including antifungal, anti-cancer, anticonvulsant , insecticidal, anti-bacterial, anti-inflammatory and other biological effects. All the compounds were characterized on the basis of IR and 1HNMR spectral data were screened for antimicrobial activity.

PM-44

Recent Applications of Suzuki Coupling Reaction in Organic Synthesis

Mulamkattil Suja, Vyas Vivek, Ghate Manjunath

Department of Pharmaceutical Chemistry, Institute of Pharmacy, Nirma Univeristy, Ahmedabad.

13mph405@nirmauni.ac.in

Suzuki coupling was first issued by Akira Suzuki in 1979. The Nobel prize during 2010 was awarded to Suzuki for his discovery. Suzuki coupling involves reaction between aryl or vinyl-boronic acid with an aryl or vinyl halide catalyzed by palladium complex which can also be in the form of a nano-material based catalyst. Recent methods developments have increased the possible applications extremely, so that the scope of the reaction is not limited to aryls, but also involves alkyls, alkenyls and alkynals. Various other salts can be used instead of boronic acids such as boronic esters or organo tri-fluoroborates. The reaction is mainly based upon palladium catalyst such as tetrakis (triphenyl phosphine) palladium. The proposed mechanism of Suzuki coupling mainly involves steps like oxidative-addition, transmetalation and reductive elimination. Suzuki coupling also known as Suzuki-Miyaura reaction provides a powerful methodology for the formation of carbon-carbon bonds. Along with this it has some more advantages like easy availability of reagents, largely unaffected by the presence of water, easy handling of boron reagents and removal of by-products produced is also possible. Synthesis of various natural products might have not been possible without these coupling reactions. This review will give all the detailed information regarding latest invention done in Suzuki coupling.

PM-45

Green Synthesis and Antiplatelet Potential of Diaryl-1,2,4-triazines

Tamboli Riyaj S, Patel Naresh, Yadav M. R, Giridhar R.

Pharmacy Department, Faculty of Technology and Enginnering, Kalabhavan, The M. S. University of Baroda, Vadodara-390001

riyaj_2006@yahoo.co.in

Cardiovascular diseases remain the biggest cause of death worldwide. Heart attacks and strokes are usually acute events and are mainly caused by a blockade that prevents blood from flowing to the heart or brain. The most common reason for this is thrombosis, which is a medical term that refers to an obstruction of a blood vessel caused by a blood clot called a thrombus. 1,2,4-Triazine scaffold has been associated with diversified pharmacological activities like antiplatelet, antihypertensive, thromboxane synthetase inhibition, anti-inflammatory, antimalarial, in Alzheimer's disease and as neuroprotective agents *etc.* Literature survey suggests that diaryl-1, 2, 4-triazine exerts a potent inhibitory activity against platelet aggregation. Based on previous findings from our laboratory on diaryl-heterocycles as antiplatelet agents, we have designed some novel COX inhibitors for potential antiplatelet activity. Several lines of evidence have confirmed that antiplatelet activity was dependent on substitutions on diaryl groups of the heterocyclic scaffold. With this background some diaryl-1, 2, 4-triazines with 3-substituted amines were synthesized from their respective 3-methylthio-1, 2, 4-triazines by incorporating substitutions on the aryl rings. The desired products were synthesized in an environment friendly route. The products obtained were confirmed by spectroscopic analysis. Out of seventeen compounds screened four compounds were found to be having excellent antiplatelet/antithrombotic potential in terms of their *in vitro*, *ex vivo* and *in vivo* studies. The four compounds found active in all parameters might be used as lead for further development of potent antiplatelet/antithrombotic agents.

PM-46

Potential Drug Targets in Mycobacterium Tuberculosis and role of Efflux Pump

Mahale Rahul, Jignasa Savjani, Ghate Manjunath

Department of Pharmaceutical Chemistry, Institute of Pharmacy, Nirma University, S. G. Highway, Ahmedabad, Gujarat-382481.

13mph403@nirmauni.ac.in

Tuberculosis is a disease caused by *Mycobacterium tuberculosis* and its slowly progressive wide spread disease. Generally the bacteria is resistant to wide range of antimicrobials so some potentially new drug targets are identified to counteract the effect of bacterial cell wall. These new targets are identified as per metabolic pathways which are necessary for the development of pathogens. Till now 185 distinct targets are identified of which 67 of targets are newer. Efflux pumps are a plasma membrane protein that has ability to expel out the antimicrobial and other toxic compounds outside the cell by energy dependent process present in bacterial cell. Basic two types of multi drug efflux pump are present based on bioenergetics and structural criteria they are as following (a) MFS-Major Facilitator Super family, (b) SMR-small multidrug resistance family,(c) RND-Resistance Nodulation division family,(d) MATE-Multidrug and Toxic compound extrusion family.(2)ABC (ATP Binding Site). Some fewer drugs used as efflux pump inhibitors are: Tetracycline Analogues, Aminoglycoside Analogues, Fluoroquinolone Analogues, Alkylaminoquinolines, Thioalkoxyquinolines, Alkoxyquinolines and others. Recently discovered efflux pump inhibitor which have been seen are Farnesol, piperine. Various natural products also acts as efflux pump inhibitor. The review gives a detail about the recently invented efflux pump inhibitors and its effect in cure of tuberculosis.

PM-47

In silico evaluation of recombinant chimera using immunoglobulin base

Patidar Manoj, Yadav Naveen, and Dalai Sarat

Institute of Science, Nirma University, Ahmedabad-382481, India.

manoj1patidar@gmail.com

Use of recombinant fusion proteins have become an important class of molecules in numerous fields of biotechnology. It has been shown that chimeras having immunoglobulin Fc base, can be useful for drug targeting and delivery (for example IL-2-IgG_2b Fc Fusion Protein induces $CD4^+CD25^+$ T-cells in vivo). But many of these designed chimeras cannot give rise to a homodimer, as claimed. Therefore, we want to test the contribution of the different domains of heavy chain of immunoglobulin in making homodimer as it is the case in any molecule of whole immunoglobulin protein. To address this issue, we took amino-acid sequences of Fc portion and entire constant-heavy-chain separately, and fused with/without the linker to a cytokine of our interest and did the structure prediction. By using different online servers we found that the chimera containing Fc-portion cannot make homodimer, whereas the chimera containing entire constant-heavy-chain could be predicted to be a homodimer. To check whether the length of chimera (particularly Immunoglobulin length) has any effect on homodimer structure formation, we used a linker to fuse immunoglobulin and cytokine. We found that a linker of 15-25 amino-acids after hinge region of Fc could help to form a homodimer. Ramachandran plot, physico-chemical parameter, RMSD value and superimposition analysis shows that chimeric structure is valid, stable and both fused proteins retain their native structure in chimera. Our structural prediction study suggests that extra amino-acids in the range of 16-30 added to CH2 domain of immunoglobulin is a critical requirement to make homodimer. Currently we are trying to find out if homodimer can be made by adding shorter linker to the CH2 domain. This study has implication in generation of stable dimeric recombinant chimera and designing of suitable linker for the same.

PM-48

Investigation of Potential Inhibitors of Human Islet Amyloid Polypeptide (Hiapp) Fibrillation Using Docking Studies

Patel Palak, Das Mili

Institute of Science, Nirma University, Ahmedabad-382 481, Gujarat, India.

Protein folding is crucial for cellular proteome homeostasis. Nascent polypeptide chains can become misfolded due to point and/or deletion mutations in the gene or even a matured native protein can attain a misfolded conformation inside the cell resulting in more than twenty devastating human diseases including Alzheimer's disease, Parkinson's disease and type 2 diabetes mellitus (T2DM). Many unstructured proteins such as amylin, amyloid-β-protein and α-synuclein involved in depositional disorders do not require unfolding and can occur by direct self-assembly. Diabetes is a globally widespread metabolic disease with type 2 diabetes mellitus (T2DM) accounting for over 90% of the diagnosed diabetics and is characterized by insulin resistance, gradual loss of pancreatic β-cell function, decrease in β-cell mass and toxic build-up of human Islet Amyloid Polypeptide (hIAPP) deposits. Therapeutic interventions should aim to prevent andD or reverse conformational changes in responsible proteins. hIAPP is a 37 residue peptide hormone co-secreted with insulin by pancreatic β-cells and plays an important role in regulating glucose metabolism. Misfolded proteins are only one of the internal stressors which induces cell stress. The hIAPP amyloid deposits induced cytotoxicity involves increased membrane permeabilization and oxidative stress in the pancreatic β-cells. Experimental studies suggest that specific regions in proteins act as "aggregation hot spots" driving aggregation leading to amyloidoses. Aggregation prone regions (APRs) found in hIAPP using different computational tools are rich in asparagine (N), serine (S), threonine (T), aliphatic and aromatic residues. These APRs are similar to reported aggregation motifs found in other amyloidogenic proteins. Since hIAPP is one of the most amyloidogenic polypeptides, the advancement in discovery of efficient and impressive inhibitors against the toxic formation of hIAPP amyloids has been extremely challenging. In this study, we tested different plant based bioactive constituents *in silico* using docking methods to check whether they show any inhibitory effect on the amyloidogenicity of hIAPP.

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PC-1

Pharmacological Evaluation of Boswellic Acid on Ovariectomized Rat Model for Osteoporosis

Shah Krushangi, Patel Snehal, Duava Harika

Institute of Pharmacy, Nirma University, S-G Highway, Ahmedabad, Gujarat-382481

krushangishah233@gmail.com

Osteoporosis is a systemic skeletal disease characterized by low bone mineral density (BMD) and micro-architectural deterioration of bone tissue affecting mainly postmenopausal women. This debilitating condition of osteoporosis results into increased bone fragility and susceptibility to fracture and associated with morbidity, mortality and reduction in quality of life. Current osteoporosis treatments are costly and give mainly symptomatic relief. Estrogen plays a pivotal role in the pathogenesis of osteoporosis because the deficiency of this chief hormone increases the release of bone resorbing cytokines, which appear to increase the osteoclast activity. Herbal constituents sometimes overcome the loopholes of the conventional allopathic medicines. Boswellic acid, a constituent from *Boswellia serrata* is having anti-inflammatory effect by inhibiting COX-2 expression, which lead to decline in RANKL expression, resulting in reduction in osteoclast activity consequently reducing bone loss. The structural support from docking study of boswellic acid indicates that it may act on the estrogen receptor and enhances estrogen release. Treatment with 100mg/kg Boswellic acid for 45 days increased body weight and uterus weight as compared to diseased control group. Recovery from the reduced weight and length of femur by ovariectomy was achieved by boswellic acid treatment in nearly similar extend to that of standard estrogen therapy. The biochemical parameters in serum and urine also got in normal range after the treatment with Boswellic acid. Effectiveness of Boswellic acid was further confirmed by the histology of femur, lumbar vertebrae and bone. So, we propose administration of Boswellic acid to be an effective herbal therapy for osteoporosis.

PC-2

Evaluation of Neuroprotective Efficacy of Some Novel Benzazepine Derivatives against NMDA Receptor Mediated Excitotoxicity Using SH-SY5Y Human Neuroblastoma Cell Line

Machhi J[1], Prajapati N[1], Tripathi A[2], Pillai P[2]

[1]Pharmacy Department, The M.S.University of Baroda, Vadodara, Gujarat

[2]Zoology Department, The M.S.University of Baroda

jtnmachhi@gmail.com

Excitotoxicity is one of the major causes of a variety of neurodegenerative disorders including Alzheimer's disease, Parkinson's disease, stroke, Huntington's disease etc. In the brain glutamate is an ubiquitous excitatory neurotransmitter. Overactivation of the glutamate receptors especially NMDA receptor leads to excess of calcium influx which ultimately leads to neuronal death. So NMDA antagonism is a promising approach for the treatment of neurodegenerative disorders. A series of benzazepine derivatives were synthesized to check their selectivity towards NMDA receptor. Their NMDA modulation potential was evaluated using SH-SY5Y neuroblastoma cell line. To standardize the method, different concentrations of NMDA (100µM, 300µM, 1mM, 5mM and 10mM) were checked to find an optimum excitotoxic dose. Different concentrations (200nM, 500nM, 1µM, 5µM and 10µM) of MK-801 (non-competitive NMDA receptor antagonist) were checked against the selected NMDA excitotoxic dose (5mM) to find the most effective neuroprotective dose. Following this scheme, series of benzazepine derivatives were evaluated using single concentration (200nM) to find their NMDA receptor modulation potential. From the results of 25 compounds N-12, N-14, N24 and N-25 have shown significant protection (107±11.29, 130.5±7.39, 83.33±14.59 and 90.42±7.51) against the NMDA induced excitotoxicity in SH-SY5Y human neuroblastoma cell line. So they were found to be potent NMDA antagonists. In Vivo activities of the most promising compounds will be performed in future.

PC-3

Pharmacological Evaluation of Catechin in Animal Model of Asthma

Rajput Shweta, Snehal S. Patel

Department of Pharmacology, Institute of Pharmacy,

Nirma University, Ahmedabad, Gujarat

shweta.rajput@rocketmail.com

The aim of the current study was to investigate the anti-allergic activity of catechin on mast cell mediated allergic asthma. BALB/c mice of either sex were divided in four groups: normal Control, disease control, control treated with catechin (100 mg/kg, p.o), disease treated with catechin (100 mg/kg, p.o). Allergic asthma was induced by intraperitoneal injection of 50 mg Ovalbumin and 4 mg aluminum hydroxide in 0.2 ml saline on days 0 and 14. Aerosolized ovalbumin (1%) was administered on days 28, 29, 30, and 35. Catechin was given orally once a day from day 1 to 35 and after which various respiratory parameters (tidal volume, respiratory rate, airflow rate) ,biochemical parameters (blood histamine, serum bicarbonate and nitric oxide levels), histamine release from mast cells, Bronchoalveolar lavage fluid analysis and histopathology of lungs were carried out. Treatment of catechin to ovalbumin induced allergic animal showed significant improvement in respiratory parameters as well as biochemical and hematological parameters like as compared to disease control group. The treatment also showed inhibitory effects on histamine synthesis in rat peritoneal as well as bronchoalveolar mast cells as compared to disease control group. Also a significant improvement in lung histopathology was observed by treatment with catechin. From present study it was concluded that catechin proved to be effective in treatment of ovalbumin induced allergic asthma.

PC-4

Biochemical and Cellular Correlates of Butea

Monosperma against Bacterial Lipopolysaccharide Induced Acute Respiratory Dysfunction

Mishra Avinash[1], Shirole Rahul, Shirole Nitin, Patil Ravindra

Department of Pharmacology,
A.R.A. College of Pharmacy,

Nagaon, Dhule (Maharashtra) India

rahulshirole@gmail.com

Butanolic fraction of Butea monosperma (BBM) was isolated from dried flowers of Butea monosperma. Female Sprague Dawley rats (180-230g) were divided in six groups. Saline (5 ml/kg/day,p.o.) BBM (50, 100 & 200 mg/kg/day, i.p.), roflumilast (1 mg/kg/day, p.o.) were administered for four days. Animals were anesthetised with ketamine-xylazine (80:20 mg/kg,i.p.) and administered with sterile solution of LPS (Lipopolysaccharide) intratracheally. After four hours bronchoalveolar lavage (BAL) was done with ice-cold phosphate buffer and investigated for total cell count, differential cell count and myeloperoxidase, nitrate/nitrite, total protein, albumin, histopathology respectively. LPS control animals showed significant increase in total and differential cell count ($p < 0.05$) as compared to saline control. BBM (50, 100 & 200 mg/kg) & roflumilast (1 mg/kg) treated animals showed significant decrease in total and differential cell count compared to saline treated animals ($p < 0.05$). LPS control animals showed significant increase in myeloperoxidase, nitrosative stress, albumin and total protein in lung samples as compared to saline control. But BBM (50, 100 & 200 mg/kg) and roflumilast (1 mg/kg) showed reduction compared to LPS control animals ($p < 0.05$). Mild diffused lesions involving focal interalveolar septal, intraluminal infiltration of neutrophils were observed in BBM (50 & 100 mg/kg) pretreated while no abnormality was detected in BBM (200 mg/kg) and roflumilast (1 mg/kg) pretreated rats. BBM showed anti inflammatory and protective effect against LPS induced acute respiratory dysfunction by reducing nitrosative stress and inhibiting mononucleated cellular infiltration.

PC-5

The Lamina Cribrosa in Primary Open Angle Glaucoma: Role of Astrocytes & Angiogenesis in Optic Nerve Head

Patidar Rajesh, Panchal Shital J.

Institute of pharmacy, Nirma University, Ahmedabad

rajeshpharma26@gmail.com

The primary open angle glaucoma is an optic neuropathy that is chronic and progressive in later stage with characteristic optic nerve damage. The lamina cribrosa is portion of sclera which is perforated for passage of optic nerve and allows space to leave central retinal vein and entry of retinal artery and it counterbalance the intraocular pressure by assembling a barrier between internal and external segment of eye along with maintenance of pressure between retrobulbar cerebrospinal fluid space enclosing the retrobulbar side of optic nerve. This review target on astrocyte, that is star pattern glioma cell which is tenure neuron on place and main supporting type cell of optic nerve head supply nutrition, release various growth factors in repairing of damaged optic nerve. Astrocytes could affect occular pressure by interacting with water selecting channels and vascular blood flow in optic nerve head that is mainly regulated by calcium level because astrocytes are involved in signal transforming mostly by chemical pathways in comparison to electrical pathways between different neuronal axons. Angiogenesis having also important role in nutritional and blood supply in new invaded tissue which is regulated by various growth factors mainly vascular endothelial growth factor (VEGF). Astrocytes and angiogenesis actively participate in pathogenesis of damaged tissue because of elevated intraocular pressure disturbing the structural physiology of lamina cribrosa which is engaged with retinal surface and finally cause vision related problems in various types of eye related disorders mainly glaucoma and cataract, these two disorders are responsible for maximum eye related problems in the world.

PC-6

Evaluating the Possible Role of Natural PDE 10 Inhibitors against Rat Binge-Eating Disorder Model

Javed A K , Gullaiya S, Aamir N, Waheed A, Gupta A, Sharma R.

Department of Pharmacology, Amity Institute of pharmacy Amity University, Noida

javedalikhanapril@gmail.com

Binge eating disorder represents a common psychiatric public health problem equivalent to bulimia nervosa, as depicted by data in the World Health Organization (WHO) World Mental Health Surveys. Low treatment rates highlight the pre-clinical effective importance treatment targets in binge eating disorder which is prevalent equally in both women and men but occurs most frequently in older adults. It is manifest as the compulsive, excessive consumption of highly palatable foods and it may or may not be associated with obesity. Binge eaters frequently experience intense feelings of guilt and anxiety after a binge session, but do not indulge in purging. Lisdexamfetaminedimesylate, a novel prodrug that is metabolised to d-amfetamine primarily by red blood cells, is the only drug available for treatment of "Attention deficit hyperactivity disorder". This drug is currently undergoing clinical evaluation in the USA as a potential treatment for binge-eating disorder. Phosphodiesterase 10A (PDE10A) is an intracellular protein that is located almost exclusively within the basal ganglia. It plays an important role in information transfer within this region and could therefore be of great importance in numerous psychiatric disorders. Aim of the present study was to test the hypothesis that PDE10 inhibitors may be beneficial for the treatment of binge eating disorder. This study compared the acute and chronic effects of papevarine (selective PDE 10 inhibitor) treatment in rats trained to binge eat chocolate. Papavarine (50 mg/kg po) reduced chocolate bingeing significantly. The intermediate dose of papaverine, ie 100 mg/kg po, reduced chocolate consumption less significantly than the earlier dose while having no effect on the consumption of standard diet.

PC-7

Role of Anti-Diabetic Drugs in Cancer Therapy: A Review

Patel Hetal J, Patel Bhoomika M

Institute of Pharmacy, Nirma University, Ahmedabad

hetgorasiya@gmail.com

Diabetes and cancer are common diseases that have a tremendous impact on health of the people worldwide. Many epidemiologic studies suggest that people suffering from diabetes have a significantly higher risk of many different types of cancer. Anti-diabetic drugs mainly biguanides and thiazolidinedione, have the role in cancer therapy. Metformin, is the most widely used anti diabetic drug for the treatment of type II diabetes and there is increasing evidence of a potential efficacy of this agent as an anti-cancer drug. Many studies have reported the use of metformin as an anti-cancer agent in many types of cancer like lung, breast, pancreas, prostate, colon carcinoma and hepatic cell carcinoma. Thiazolidinedione medications are used to improve lipid and glucose metabolism by activating PPAR-γ in type II diabetes. In addition to their known insulin sensitization action, these drugs have been shown to suppress tumor development in several in vitro and in vivo models. The mechanisms by which TZDs inhibit the tumor growth involves induction of apoptosis and cell cycle arrest by activating PPAR- γ. Several studies have reported the use of TZDs in different types of cancer like lung, breast and colon. The aim of the present review is to discuss the role of anti- diabetic drugs in cancer therapy and to explore the dependent and independent mechanisms by which they exert their anti-tumor effects.

PC-8

Saussurea lappa – A Potential Anti-Depressant

Gupta Pushpraj S,

Christian School of Pharmacy, FHS, SHIATS-DU, Allahabad.

image24@rediffmail.com

Saussurea lappa C B Clarke, is a Himalayan species and the roots possess carminative, analgesic, anthelmintic and emmenagogic properties stimulate the brain and cure blood diseases and liver and kidney disorders. They are prescribed in advance stages of typhus fever, rheumatism, nervous disorders, irregular menstruation, and heart diseases, to improve complexion, as hair wash to kill lice and to turn grey hair to black. Based on the traditional values of the plant we carried out investigations to explore the roots for their novel anti-depressant activity. The powdered roots material was extracted with ethanol (95%) and dried under reduced pressure to secure a viscous brownish colored residue. The extract was evaluated for their anti-depressant activity in test. In male albino mice, rectal temperature was measured after Clonidine administration till 120 min. Male albino mice are suspended on the edge of a shelf above a tabletop and the duration of immobility is recorded Adult male mice are individually forced to swim in a vertical plexi glass cylinder and immobility period is measured. Saussurea lappa potentiated hypothermia significantly caused by clonidine at different intervals. In the tail suspension model, the group treated with Saussurea lappa suspended tail for the moderate period, but does not have statistically significant antidepressant activity. In despair swim the group treated with Saussurea lappa remained immobile the threshold period, possess significant antidepressant activity. Our data establishes that the ethanolic extracts of the root of Saussurea lappa exhibit significant anti-depressant activity conducted on all the three models of antidepressant.

PC-9

Therapeutic Implications of Orexin Peptides and Orexin Receptors

Patel Bhoomika M.

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat 382 481

drbhoomikampatel@gmail.com

Orexin-A (OxA) and orexin-B (OxB), also known as hypocretin peptides, are neuropeptides which mediate their activity by binding with the orexin receptors. Orexin receptors are G protein coupled receptors. They are classified into two types: 1) OR1 receptor and 2) OR2 receptor. Orexin receptors are differently expressed at different location, majorly confined to CNS. Orexin-A and orexin-B are 33- and 28- residue peptides respectively. The potency of orexin receptors to these peptides is different. The potency of OxA is same for both receptors while, OxB is comparatively 10 folds less potent at OxR1 as compared to OxR2. Approximately, 50,000–80,000 orexin-producing neurons are present in human brain. A cluster of neurons present in the hypothalamus produce orexin peptides. Orexin peptides are involved in the regulation of food intake, sleep, wakefulness and energy metabolism. The orexin system also participates in the regulation of other functions viz. digestion, endocrine secretion, autonomic regulation, reproduction and cardiovascular effects, including modulation of blood pressure. The orexin peptides also regulate the levels of neurotransmitter like acetylcholine, dopamine, noradrenalin, serotonin, glutamate and GABA. Several agonists and antagonists are under clinical trials for several diseases. Since orexin system is widely involved in regulation of CNS physiology,the drugs targeting these can be implicated in several diseases in future. The present review aims to put forth a brief about orexins, orexin receptors and their therapeutic implications.

PC-10

Cannabinoids and Adenosine Receptors as Potential Targets in Pain Management

Thakare Vishnu, Dhakane Valmik, Patel Bhoomika

Sinhgad Institute of Pharmaceutical Sciences, Lonavala, Maharashtra and Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat

vnthakare.sips@singhad.edu

Chronic pain is a severe conditioned associated with various CNS disorders results in impairment in normal daily activity and hence decreases quality of life. The management of neuropathic pain with presently used narcotic and non narcotic analgesic drugs are unsatisfactory due to their major adverse drug reactions. The following discussion emphasizes the significant role of endocannabinods, and adenosine receptors in the pain modulation. Cannabinoid (CB), a family of G-protein coupled receptor, acts through CB1 and CB2 receptors; from the recent literature survey, it has been reveals that, CB2 receptors reduced severity of neuropathic pain, as the CB2 receptors located in the spinal cord, through which pain signal transmitted by spinothalamic tract. Adenosine is an endogenous purine nucleoside that modulates many physiological processes thorough adenosine receptors mainly A1, A2A, A2B, and A3. Modulation of either of these of receptors elicits reduction in pain perception in animal models through various mechanisms, however precise mechanism of action is unclear, and in the following section we emphasize the possible mechanism of adenosine receptors in neuropathic pain. Therefore, our present article emphasize better understanding of Cannabinoids and Adenosine Receptors their pathophysiological implications in pain, thus modulators of these receptors could be emerge as novel treatment for the management of chronic pain.

PC-11

The Silent Treatment: Use of Small Interfering RNA (Sirna) For Liver Disorders

Kalariya Tulsi, Badanthadka Murali

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat.

kalariyatulsi99@gmail.com

Recent epidemiological studies on liver disorders have proved it to be the fifth 'biggest executioner' after cancer, stroke,heart and respiratory disease. Though gene silencing by siRNA (short interfering RNA) is a developing field in biotechnology but still it has evolved as a novel post-transcriptional gene silencing strategy for treatment of various liver disorders like liver cirrhosis, liver fibrosis, hepatitis C virus infection etc. siRNA can offer greater advantage in terms of low toxicity, high potency, specificity and complete remission of the disease by targeting pathogenic genes that are specific for liver disorders. Some evidences show that siRNA/(PEI-SS)-g-HA complex significantly reduced Hepatic Stellate Cell (HSC) number, collagen content, and nodule formation, thus proving it to be a treatment of choice for liver cirrhosis. Inhibitory properties of siRNAs on several components of Hepatitis C virus life cycle have provided a new approach for antiviral therapy. Connective tissue growth factor (CTGF) is extremely profibrogenic molecule and plays an important role in the pathogenesis of hepatic fibrosis. CTGF siRNA protects the liver from fibrosis by inhibiting the expression of CTGF on liver. In the present review endeavor have been made to present a brief outline of the recent understanding of mechanism of RNA interference (RNAi) and depict the therapeutic application of siRNAs and their potential for treating liver disorders.

PC-12

Patch Clamp: Breakthrough Technique in Drug Discovery

Bachchhao Kunal, Patil Kalpesh R.

H. R. Patel Institute of Pharmaceutical Education&Research, Shirpur

kunalbachchhao@gmail.com

The patch clamp technique is a laboratory technique in physiology that allows study of single or multiple ion channels in cells. In this technique, high gain operational amplifier is connected in the circuit so that the current flowing through ion channel is measures a voltage drop across feedback resistor. This technique can be applied to a wide variety of cells, especially useful in the study of excitable cells such as nerve cell, heart cell, muscular cell, pancreatic beta cells etc. It is use to investigate the interaction of drugs with all ion channels involved in the functioning of the heart muscle cell (K+, Na+, Ca2+ and eventually Cl– channels). The concentration response curves of drugs which either inhibit or activate ion channels can be recorded either on the single channel level or by measuring the whole cell current and IC50 or EC50 values can be obtained. Measurements are conducted in a multi parametric manner in an integrated and automated microfluidic chip. Beside this, the technique is expensive, time consuming and it initiates need of special training. The present study highlights utility of patch clamp technique in drug discovery. This technique can be used to assist the newer approaches in drug discovery with the intention to generate better and efficient information about the mechanisms of drug action.

PC-13

Role of Opioid Antagonist in Management of Opioid Induced Bowel Dysfunction and Postoperative Ileus

Lawati Vishal, Badanthadka Murali

Institute of Pharmacy, Nirma University, S-G Highway, Ahmedabad, Gujarat-382481

12mph207@nirmauni.ac.in

Opioid treatment is widely used in the management of postoperative pain or chronic pain, but it is responsible for adverse events on peripheral organs, in particular gastrointestinal tract (GIT) i.e. development of bowel dysfunction and postoperative ileus. Bowel dysfunction marked by pain, constipation (can lead to nausea and vomiting), abdominal distention, accumulation of gas and secretion, retention of gastrointestinal contents, fecal impaction and impaired absorption of drugs. The use of opioids contributes to and exacerbates postoperative ileus (POI) often resulting in significant discomfort, delay in enteral nutrition, prolonged hospitalization, increased costs, and increase morbidity. POI is characterized by bowel distension, lack of bowel sounds, accumulation of gastrointestinal gas and fluid, and delayed passage of flatus and stools. Opioids activate μ-opioid receptor in the GIT resulting inhibition of gut motility. For management, both pharmacological and nonpharmacological measures are usually needed to reduce opioid-induced bowel dysfunction. Block peripheral opioid receptors in the gut appears to be a potential therapeutic target for managing opioid-induced bowel dysfunction. Opioid antagonists such as naloxone are not suggested as a consequence of reverse analgesia and elicit opioid withdrawal. Methylnaltrexone and alvimopan are peripheral opioid antagonists which have the ability to reverse opioid-induced bowel dysfunction without reversing analgesia or precipitating central nervous system withdrawal signs in non-surgical patients receiving opioids for chronic pain. The combination of opioid analgesics with peripherally restricted μ-opioid receptor antagonists have less ileus and shortened duration of hospitalization in postoperative patient compared with those given opioids alone.

PC-14

Estrogen Receptor Beta: Therapeutic Implications

Shah Miral, Shah Dhwani, Patel Tanvi, Trivedi Vandit

K. B. Institute Of Pharmaceutical Education and Research, Gandhinagar, Gujarat.

shahmiral64@yahoo.com

Estrogen receptor-β (ERβ), the member of nuclear receptor superfamily is activated by sex hormone estrogen. Identification of this receptor in 1996 has led to re-evaluation of role of estrogen in selected reproductive and non-reproductive organs under physiological as well as pathophysiological conditions. Because of their wide distribution in central nervous system, cardiovascular system, urogenital tract, skeletal muscle, gastrointestinal tract and organs associated with metabolic syndrome they are thought to control many processes like release of gonadotropin-releasing hormone (GnRH) and thus modulate sexual behavior and female fertility, prevention of cardiac hypertrophy, treat inflammatory bowel disease and duodenal ulcers, glucose homeostasis, body weight regulation, etc. It is also thought to play important role in cancers like breast cancer, ovarian cancer, colon cancer and prostate cancer. It is hence believed by many researchers that the development of selective ERβ ligands may show promising results in modulating and treating many physiological and pathophysiological responses.

PC-15

Interferon Inducer Potential Target in Treatment of Breast Cancer

Shah Niyati, Patel Snehal

Institute of Pharmacy, Nirma University, Ahmedabad.

shah.niyati811@gmail.com

Breast cancer is uncontrolled growth of cells (tumor) most commonly from the inner lining of milk ducts or the lobules that supply the ducts with milk. Interferons are proteins made and release by host cells in response to presence of pathogens such as virus, bacteria and tumors. They are widely used in treatment of the viral infection but having antiangiogenic property they can be potential target for various solid tumor. In breast tumors where clinical trials suggested that it increased the antitumor activity of cytotoxic chemotherapeutic agents when used in combination with antiangiogenic agents and are the best known of biologic antineoplastic agents. The IFNs have well-described actions to induce or inhibit the expression of specific genes helping to inhibit the growth of the breast tumors. Two IFN-inducible genes that have been best characterized are the 22 -52 oligoadenylate synthetase and a double-stranded RNA-dependent protein kinase. The activation of these genes leads to increased RNA degradation and inhibition of protein synthesis, respectively. Both IFN-α and IFN-γ reduced the expression of the Her-2 proto-oncogene in human breast. Inhibition of the production of the angiogenesis promoter, basic fibroblast growth factor, has been identified as a potential mechanism of IFN-α. Thus we can conclude that by understanding the pathway of the interferon and its role in antiangiogensis we can find new potential target for the treatment in breast cancer and we may develop novel therapeutic strategies.

PC-16

Ribozyme Gene Therapy: Evoking Medical Interest

Samariya Bela, Parmar Kinjal, Parikh Foram, Trivedi Vandit

K.B. Institute of Pharmaceutical Education and Research,

Sector 23, near Gh-6 circle, Gandhinagar, Gujarat-3382023

belasamariya@ymail.com

RNase or Ribozymes or ribonucleases are RNA enzymes that are responsible for catalyzing a variety of cell reactions. They normally function via cleavage to produce or degrade RNA and are the main enzymes of the endogenous RNA metabolism. They are extensively explored as treatments for a variety of diseases ranging from inborn metabolic disorders to viral infections and acquired diseases such as cancer. The property of Catalytic RNAs (ribozymes) of specifically cleaving RNA molecules enables them to act as potential antiviral and anti-cancer agents, as well as powerful tools for functional genomic studies. One type of RNase therapy, RNase P has been developed to inhibit gene expression in HIV, human influenza virus and herpes simplex virus 1 (HSV-1). Ranpirnase and bovine seminal RNase, members of RNase A superfamily, inhibit HIV type 1 replication in H9 leukemia cell. By contributing to innate immunity and cell metabolism, RNase L plays a vital role in the antiviral and antiproliferative activities of IFN (interferon). Different RNases such as onconases manifests cytotoxic and cytostatic effects; bovine seminal RNase has an immunosuppressive and antitumor effect and RNase T1, α-sarcin, RNase P, actibind and RNaseT2 have recently been studied for the treatment of different type of cancers. Together, these developments provide promising new starting approach and may become an effective component of intensive complex anticancer and antiviral therapies.

PC-17

Anaemia of Chronic Rheumatoid Arthritis: Molecular Mechanism/ Evaluation of Target and Future Treatment Strategies

Soni Ashvini, Patel Snehal

Institute of Pharmacy, Nirma University, Ahmedabad.

ashusoni112@gmail.com

Anaemia means 'lack of blood' which is a condition where deceased erythropoiesis occurs. This article contains a mechanism by which anaemia occurs its targets and future treatment strategies. Frequently anaemia associated with rheumatoid arthritis is known as rheumatoid anaemia. Rheumatoid anaemia may be normochromic, normocytic or, less often, microcytic, and accompanied with thrombocytosis. Patients with rheumatoid anemia may have felt deficiency anaemia (in the patient with anti-folate therapy), vitamin B12 deficiency, hemolytic anaemia, drug induced anaemia (eg. Methotraxate, salazopyrine, leflunomide) by different mechanisms. The different mechanism involves 1) Hepcidin which inhibits absorption of iron and release of stored iron in macrophage and hepatocytes 2) IL-6 is a versatile pro-inflammatory cytokine which involved in anaemia of chronic diseases (e.g. In patient with advanced ovarian cancer anaemia is related with IL-6 elevation), it reduces the proportion of nucleated erythroid cells in the bone marrow and decreases serum iron level and stimulate Hepcidin gene transcription 3) Also TNF-alpha and IL-1 Beta is also associated with suppression of erythropoiesis in patient having rheumatoid arthritis 4). Other mechanism which are possible IL-10 and interferon gamma. This are the main target mechanisms were identified for occurrence of anaemia in rheumatoid arthritis. This review article suggests molecular mechanism of anaemia in chronic rheumatoid arthritis and give future remedies for the treatment of same disease.

PC-18

Cervical Cancer Cell Lines

Sengupta Pracheta, Patel Manali, Desai Komal, Trivedi Vandit

Department of Pharmacology,

K.B. Institute of Pharmaceutical Education and Research, Gandhinagar.

Sector 23, near Gh-6 circle, Gandhinagar, Gujarat-3382023

sengupta.pracheta@gmail.com

Cell lines of cervical cancer are derived from the carcinoma of uterine cervix. Various cell lines like CaSki, HeLa and ME-180 are widely used for the treatment of cervical cancer. Researchers have found the effect of these cell lines on cervical cancer using different anti- cancer drugs or using different genes. It have been found that tamoxifen along with the above cell lines have shown inhibition in the cell proliferation. Beclin-1 an autophagy related gene inhibits the metastasis of cervical CaSki cell in vitro which inhibits of cell proliferation. The effect of N-myc downstream regulated gene (NDRG) family on HeLa cells increases by using cisplatin in advanced treatment of anticancer. Emodin derived from Rheum palmatum inhibits the proliferation of HeLa cells by inducing apoptosis through the intrinsic mitochondria and intrinsic death receptor pathway. Recent studies done on nude mice by tumor necrosis treatment (TNT) in which radio immunotherapy of ME-180 cell line was used, provides possible application of this method for the imaging and treatment of cancer in humans. Cell proliferation was observed to be inhibited without any toxicity found in normal cells. In other experiment, the correlation between the over expression of Epidermal growth Factor (EGF) and apoptotic sensitivity of ME-180 cell lines toward cis-diammedichloroplatinum (cDDP) was observed. It has been found that the over expression of EGF increases the apoptotic sensitivity of ME-180 cell lines. All these studies have proved that cell lines can be a better therapy in the treatment of cervical cancer.

PC-19

Prevalence and Quality of Life in Women with Polycystic Ovary Syndrome

Chudasma Mohit[1], Vanam Vandana[2], Shah Jigna[1]

[1]Institute of Pharmacy, Nirma University, Ahmedabad

[2]Shree Sarvajanik Pharmacy College, Mehsana

12mph702@nirmauni.ac.in

Polycystic Ovary Syndrome (PCOS) is one of the most common endocrine abnormalities in women of reproductive age, with its prevalence ranging from 2.2% to 26%. This study was designed to find the prevalence and determine the quality of life in women with PCOS. This prospective, open-labelled, single centric study was conducted at Hemant Hospital & Maternity Home, Kalol, from December 2012 to April 2013. Women attending the outpatient gynaecology clinic for menstrual irregularities such as oligomenorrhea, amenorrhea and anovulation, were included in the study. Demographic data, BMI, Blood Chemistry and Hormonal Tests were recorded**.** Quality of life parameters were assessed by the SF-12 Questionnaire**.** A total of 53 patients were enrolled in this study. In our study, prevalence of PCOS was found to be 22.64% (12 out of 53). The age ranged from 19-40 years. Mean age was 26.24 $\pm$ 5.05 in control group and 25.58 $\pm$ 5.29 in PCOS group. Details of BMI have shown that in the control group mean BMI was 22.40 $\pm$ 2.82 while it was 26.3 $\pm$ 3.81 in the PCOS group which was statistically significant. In our study 34.16% patients in the control group and 75% patients in the PCOS group were overweight and obese. So, a progressive correlation between BMI and prevalence can be predicted in women suffering from PCOS. Quality of life parameters for PCOS women shows reduction in quality of life as compared to control group.

PC-20

Cell Line in Diabetic Research

Parikh Foram, Parmar Kinjal, Samariya Bela, Trivedi V

Department of Pharmacology

K.B.Institute of Pharmaceutical Education and Research, Gandhinagar

foramvp@gmail.com

There have been many attempts done by researchers to develop insulin secreting cell lines which can greatly benefit new drug development for diabetes. To establish insulin secreting β-cell lines that maintain normal regulation of insulin secretion, great work has been done in past years. Different cell lines have been developed to vanquish the limited availability of primary β cells. Rat insulinoma cell line (RIN), hamster pancreatic beta cells (HIT), mouse insulinoma cell line (MIN), insulinoma cell line (INS-1) and beta-tumor cells (βTC) are most widely used insulin secreting cell line for diabetic research. These cells produce insulin, 5-HT and less amounts of glucagon. Insulinoma cell line INS-1 presents many important properties of the pancreatic beta cells, comprising a high insulin content and responsiveness to glucose. INS-1 cells are derived from a rat insulinoma induced by X-ray irradiation. Proinsulin I and II are derived from INS-1 cells. Compared to RIN and HIT cell lines, INS-1 cell lines provides better dose-response characteristics of glucose induced insulin secretion and glucose metabolism. Disadvantage of this cell line is that it requires mercaptoethanol, which is toxic, irritating and irreversibly denatures the proteins in culture medium. These above cell lines are valuable for study of molecular events presenting beta cell function, though none of these cell lines totally imitate physiology of β-cell. In addition, insulin-secreting cell lines illustrate a potential source of transplantable tissue to overwhelm the limited availability of primary islets of pancreatic beta cells in diabetic patient.

PC-21

Diffuse Large B-Cell Lymphoma

Lagariya Labhu , Shah Jigna

Institute of pharmacy, Nirma University, Ahmedabad.

labhulagariya07@gmail.com

Diffuse large B cell lymphoma (Aggressive Lymphomas) is a type of lymphoma, which is general term for cancer that develops in lymphatic tissue. Damaged lymphocytes are the characterisitic of this disease. Abnormal growth and uncontrolled multiplication causes lymph nodes to enlarge and form painless lumps called tumors. A wide spectrum of disease is represented both morphologically and prognostically. Most commonly affected gene include BCL-2, BCL-6, c-myc. Recent gene expression profiling studies have classified diffuse large B-cell lymphoma into two main subtypes: Early-Stage Diffuse Large B-Cell Lymphoma and Advanced Diffuse Large B-Cell Lymphoma Disease. It is diagnosed by removing enlarged lymph node and examining the cells under microscope. Additional test is x-rays, bone marrow sample scan and specific expression of micro RNAs. Rituximab (anti-CD20 antibody) is type of monoclonal antibody that is attached to B cells and makes them more visible to the immune system. It can be given with R-CHOP chemotherapy. In case of resistance, salvage therapy like doxorubicin, vincristine and etoposide infused over 96 hours with bolus intravenous cyclophosphamide, rituximab and oral prednisone (DA-EPOCH-R) or Doxorubicin, cyclophosphamide, vindesine, bleomycin and prednisone(R-ACVBP) is given. Other therapies are stem cell transplant, radio immunotherapy, enzastaurin maintenance etc. Gene modulation by peptide nucleic acids (PNAs) is targeting for microRNAs (miRs). The gene expression profiling and R-IPI is better predictor outcome for patients suffering from DLBCL.

PC-22

Sources of Mesenchymal Stem Cells

Parmar Kinjal, Samariya Bela, Parikh Foram, Trivedi Vandit

K. B. Institute of Pharmaceutical Education and Research

Sector 23, Near Gh-6 circle, Gandhinagar, Gujarat, 382023

kinjalparmar45@yahoo.com

Mesenchymal stem cells (MSCs) have generated a great deal of interest in clinical application because of their potential use in regenerative medicine and tissue engineering. The mesenchymal stem cells isolated from bone marrow are well-characterized. Unfortunately its low quantitative yield during isolation is a major problem. For this reason, other sources for MSCs are of paramount importance. Researchers have used bone marrow tissue fragments digested with collagenase and this treatment yielded mononuclear cells half to those obtained from the corresponding filtered BM. MSCs of these two origins could be induced into osteoblasts, chondroblasts and adipocytes, as revealed by histological and molecular examinations. MSCs can also be obtained from umbilical cord using simple procedure without in vitro expansion. Some researchers have also used adipose tissue of the neck obtained during open tracheotomy showing high proliferation capacity and from mesenchymal stem cells from term human placenta in which trypsin removes the trophoblast layer. In some cases, conjunctiva biopsies and culture of stromal segment of this tissue provided fibroblast-like human stromal cells. MSCs from endometrial decidual tissue (EDT) found within menstrual blood is a new source. Lastly MSCS from these sources can be used in tracheal replacement therapies, regenerative medicine and immune suppression in graft-versus-host disease (GvHD).

PC-23

Herbal Products: A Lead for Anti-Cancer Medicines

Nidha Amir, Gullaiya S, Sharma R, Javed A.K

Amity Institute of Pharmacy

nidha.amir05@gmail.com

Cancer continues to be one of the major causes of death worldwide and only modest progress has been made in reducing the morbidity and mortality of this disease. Cancer has been estimated as the second leading cause of death in humans. So there has been an intense search on various biological sources to develop a novel anti-cancer drug to combat this disease. The plant based drug discovery resulted mainly in the development of anticancer agents including plants, marine organisms and micro-organisms. These anti-cancer compounds have been found to be clinically active against various types of cancer cells. As we all know that herbal medicines have less toxic effects as compare to Allopathic medicines, so herbal drugs will be the novel approach to reduce the side effects of Anti-cancer medicineslike alopecia, cardio toxicity etc.This paper reviews the research performed on the herbal products related to anticancer medicines till date.

PC-24

The Oncolytic Virus: A Help by an Old Foe

Patel Yash S, Patel Bhoomika M

Institute of pharmacy, Nirma University, Ahmedabad

yashpatel183@gmail.com

Viruses is an old foe that have a reputation of using the host cell for its nutrition and replication, resulting in host cell death and further spread of the virus to newer cells. Since the virus can result in killing of the host cells it was proposed that it can be used to kill the cancer cells too. The link between the cancer regression and the virus was demonstrated by Russian Far East encephalitis virus that showed selective destruction of murine tumors. Earlier viruses also harmed the normal cells as they lacked the cancer selectivity resulting in more mortality than curing the cancer. With the help of biotechnology viruses like herpes simplex virus or adenovirus are genetically modified to kill cancer cells specifically while the normal cells are unscathed. Thus oncolytic viruses have an advantage over the conventional therapies which have limited effect with certain cancer and have more treatment related side-effects. The disadvantage of such viruses is the complexity of the manipulating the gene of virus as such to show it effect only to cancer cells. Also certain barriers to oncolytic virus like tumor selectivity, tumor microenvironment and host immune response against the oncolytic virus have been recognized. Thus different strategies to overcome these barriers can provide the better treatment option for fighting the curse of cancer and to give the precious gift to an individual…A LIFE.

PC-25

Humanin Neuropeptide Holds a New Hope for Treatment of Alzheimer's Disease

Desai Komal, Patel Manali, Sengupta Pracheta, Trivedi Vandit

K.B. Institute of Pharmaceutical Education and Research, Gandhinagar

kndesai24@gmail.com

Humanin (HN) is a 24 amino acid endogenous neuroprotective peptide, which is recently identified as a rescue factor against the neuronal cell death observed in Alzheimer's disease. Its neuroprotective action is observed by suppressing the neurodegeneration caused by familial Alzheimer's disease (FAD) genes and amyloid β protein and its precursor. Amongst them, amyloid β is considered as an important causative agent of AD pathogenesis. The intracellular events that trigger the neurodegeneration, which causes genetic mutations and protein aggregation, their inhibition is necessary. HN has to undergo dimerization and bind to its receptor for their inhibition. Its functional receptor, G protein-coupled formylpeptide receptor-like-1 are located on cell membrane. The anti-AD effect of HN has been further confirmed in vivo using mice with Aβ-induced amnesia. Basically, such potent neuroprotective action of HN has been observed both, in in vitro and in vivo studies and it depicts its potential clinical applications. There are many such other neuroprotective factors known to protect neuronal cells, from certain AD-related cytotoxicity, but HN is the only factor believed to exert such a wide range of neuroprotective actions at present. Undoubtedly, HN is setting a wide platform in the therapy of AD by proving itself to be a potent alternative.

PC-26

Molecular Targets and Signaling Cascades of Cardiac Hypertrophy

Sharma Basant, Patel Bhoomika

Department of Pharmacology, Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat, India

basant649@gmail.com

Cardiac hypertrophy is one of thechronic and complex process in which cardiomyocytes take response to mechanical, chemical and neuroharmonal stimuli related to a variety of pathophysiological conditions. The features of hypertrophy are an increase in cardiomyocytes size; enhance protein synthesis and a higher production of the sarcomere. A number of complex signaling cascades were identified that regulate the cardiac hypertrophic response which includes calcineurin, cGMP, NFAT, natriuretic peptides, histone deacetylase, IL-6 cytokine family, Gq/G11signaling, PI3K, MAPK pathways, Na/H exchanger, RAS, polypeptide growth factors, ANP, NO, TNF, PPAR and JAK/STAT pathway, microRNA, Cardiac angiogenesis and gene mutations in adult heart. Through several mRNA expression studies it has been proved that micro RNAs are the key modulators of both cardiovascular development and function, which govern the process of cardiac hypertrophy and heart failure The G- protein coupled receptors are coupled to phospholipase cβ and acts via generation of diacyl glycerol(DAG) and in cardiomyocytes mitogen activated protein kinase(MAPK) signalling cascade can be initiated by GCPRs .The downstream signaling results in activation of P38(α,β,γ,δ) and c jun N- terminal kinase (jnks) and extracellular signal- related kinase (ERKs).P38 β receptors are more noticeable to induce cardiac hypertrophy. Recently the functional roles of estrogen-related receptor gamma ERRγ in the development of cardiac hypertrophy were examined in primary cultured cardiomyocytes and in animal models. The purpose of this review is to provide the current knowledge about the molecular targets and regulators of cardiac hypertrophy with special emphasis on novel researches and investigations.

PC-27

Bee Propolis

Patel Manali, Desai Komal, Sengupta Pracheta, Trivedi Vandit

K.B.I.P.E.R, Gandhinagar, Gujarat 382023

smillypatel@yahoo.com

Propolis is a resin containing product which is obtained from bee hives. It is produced by honey bees using their secretions and buds of living plants, wax and resins to repair and protect the hive from cracks, temperature and parasites. It has been used in folk medicines since ancient times. Many species of propolis are available like birch, pacific etc.... It has too many beneficial pharmacological effects in cold sores, tuberculosis, cancer (especially in breast cancer), stomach and intestinal disorder, tissue repair, skin infection, etc. Propolis was found to have antibacterial action against a wide range of commonly encountered cocci as well as Gram-positive rods, including the human tubercle bacillus, but it has very limited action against Gram-negative bacilli. It is very potential antimicrobial agent and immunomodulator. It has also been effectively used in treatment of dermatological, laryngological, and gynecological problems, neurodegenerative diseases, in wound healing, and in treatment of burns and ulcers. It is not known to have any interactions with other medicines. There are no severe toxic effects observed till date. Due to these properties of propolis it can become subject of interest for many researches and may be lead to revolution in world of medicine especially in field of cancer as it is powerful anticancer agent and can create great benefits for human health & also in other fields.

PC-28

Phosphatidylinositide-3 Kinase: A Newer Molecular Target in Metabolic and Hormonal Pathway of Polycystic Ovary Syndrome

Patel Snehal S, Shah Krushangi N.

Department of Pharmacology, Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat, India

snehal.patel@nirmauni.ac.in

Polycystic ovary syndrome is characterized by hyperandrogenemia, hyperinsulinemia and/or abnormal ovulation, which are the three main consequences of polycystic ovary syndrome. The occurrence of polycystic ovary syndrome is higher and 1 out of 45 women gets affected by this disorder. The pathophysiology of polycystic ovary syndrome is very unique, and many hormonal and metabolic changes occur at molecular level. Polycystic ovary syndrome is a hormonal disorder that affects multiple organ systems within the body, which is caused by insensitivity to the hormone insulin. The target organs of insulin action are skeletal muscles, adipose tissue, fibroblasts where metabolic actions of insulin take place. In polycystic ovary syndrome condition, due to insulin resistance, the actions like glucose uptake and glycogen synthesis gets declined along with exhibiting steroidogenic effect in ovaries. The action of phophatidylinositide-3 kinase varies in different tissues. It plays major role in several kinases. The inhibition and activation of phophatidylinositide-3 kinase in different tissues results in differential outcomes. The inhibition of phophatidylinositide-3 kinase in ovary leads to decreased androgen synthesis and the activation affects the positive actions of insulin like glucose uptake. Targeting the hyperandrogenemia of polycystic ovary syndrome, we can get more ameliorating action in polycystic ovary syndrome because glucose uptake, which is mediated by phophatidylinositide-3 kinase activation, is not much altered during polycystic ovary syndrome as much as the androgen levels in polycystic ovary syndrome. Therefore, it is beneficial to control the androgen level. Thus, Phophatidylinositide-3 kinase inhibition can be a promising target in the treatment of polycystic ovary syndrome.

PC-29

Implicating The Role of Natural PDE 10 Inhibitors in Alleviating CNS Disorder: An *In-vivo* Study

Sharma R[1], Mazhar M, Gupta A, Gullaiya S
Department of pharmacology, Amity Institute of Pharmacy, Amity University, Noida.
sritu14@yahoo.com

The superfamily of PDE's has demonstrated various pharmacological properties including cardiotonic, vasodilator, smooth muscle relaxant, antidepressant, antithrombotic, bronchodilator, anti-inflammatory, antioxidant etc. By these actions PDEIs can be used as therapeutic agents for various diseases targeting dementia, depression, schizophrenia, congestive heart failure, asthma, COPD, diabetes, RA etc.Since PDE- 5 inhibitors are already clinically accepted for treatment of ED, these drug targets can be tested in human subjects.The high level of expression of PDE10A within medium spiny neurons (MSN) of the striatum, which is the principal site for cortical and dopaminergic input within the basal ganglia, suggests PDE10A may play a role in this important brain region, dysfunction of which has been implicated in several neuropsychiatric and neurodegenerative disorders. Phosphodiesterase 10A (PDE10A) is an intracellular protein that is located almost exclusively within the basal ganglia. It plays an important role in information transfer within this region and could therefore be of great importance in motor control in general and Parkinson's disease (PD) in particular. The two main aims of the present was to test the hypothesis that PDE10 inhibitors may be beneficial for the treatment of L-DOPA induced dyskinesias. And second, to screen herbal extracts for possible antiparkinson activity mediated through PDE 10 Inhibition. PDE10A is highly expressed in medium spiny neurons of the direct and indirect striatal pathways and as such it is suitably located to modulate the output pathways of the striatum that are dysfunctional in Parkinson's disease. 2 herbal extract out of total 5, found out to be acting via specific PDE 10 inhibition.

PC-30

A Review on Hypereosinophillic Syndrome

Dakua Pratap Chandra, Bhadada Shraddha V.
Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat.
pratapdakua@gmail.com

The hypereosinophillic syndromes (HES) are a group of disorders marked by the sustained overproduction of eosinophills. Increase in eosinophills in HES can cause damage to the heart, nerves, or skin. Eosinophillic infiltration and mediator release cause damage to multiple organs. An eosinophill is a type of white blood cell that plays an important role in the immune system. If the eosinophill count is increase more than 1.5×10^9 /L for more than 6 months, it is considered as HES. HES can be divided into 3 categories like Clonal (primary) eosinophillia, Reactive (secondary) eosinophillia and Idiopathic hypereosinophillic syndrome. Certain drugs can help lower eosinophill counts to prevent tissue damage. The decision to treat is based upon the patient's presentation as well as laboratory findings and the results of mutational analysis. Patients in urgent need of treatment include those with myeloproliferative HES, predominantly those with mutations resulting in the interaction of the genes for FIP1-Like 1 Protein (*FIP1L1*) and platelet-derived growth factor receptor alpha (*PDGFRA*), referred to as *FIP1L1/PDGFRA*-positive disease. These patients typically have a tremendously aggressive course with disabling complications and high mortality in the absence of treatment. The therapies available are largely unsatisfactory. More often the responses are transient, interferon-alfa, and patients need numerous treatment lines. The specific therapeutic spectrum includes corticosteroids, myelosuppressive agents, immunomodulatory therapy, monoclonal antibodies, tyrosine kinase inhibitors and bone marrow transplantation.

PC-31

Progression of Glaucoma Pathology and Calcium Channel Blockers: Resolving the Dilemma

Pathak Rakesh Ranjan, Patel N

C U Shah Medical College, Surendranagar

rr_pathak@yahoo.com

There are apparently contradictory reports on the progression of pathology of glaucoma. Some studies found that lower blood pressure increases incidence and worsens prognosis of glaucoma. To the apparent contradiction, some other studie found that Calcium channel blockers (CCBs – well established antihyper-tensive drugs) benefit in glaucoma. This paper aims to correlate the systemic blood pressure with intraocular pressure and glaucomatous pathology and the effect of CCBS that are currently being given to these patients by a comparative, Prospective, sequential, Open ended, cohort study (The study has been already approved by human research ethics committee of the institution).

PC-32

Ustekinumab: Wonder Drug for Psoriasis

Vora Drasty S, Bhadada Shraddha V

Institute of Pharmacy, Nirma University.

drastyvora@yahoo.com

Psoriasis an inflammatory disease of skin affects almost 4-5% of overall population. Interleukin 12 p40 is expressed more than the desired range in patient of psoriasis. To estimate the effect of blocking, Interleukin 12 and 13, which is a prototype of human Interleukin12/23 monoclonal antibody was developed .This monoclonal antibody binds with high affinity with p40 subunit of human Interleukin 12 and 23, by nullifying their biological activity by completely hindering their interaction with receptor surface. Clinical trials show no dose limiting toxicity and showed potential therapeutic efficacy in psoriasis and other immune mediated disorders. Thus Ustekinumab a monoclonal antibody serves as a wonder drug to treat mild to severe psoriasis if approved.

PC-33

Role of Hyperinsulinaemia and Insulin Resistance in Macrovascular Complications in Diabetic Rats

Patel Dipali, Mistry Nirav, Rathod S.P Pharmacy dept, Faculty of Tech. & Engg., The M. S. University of Baroda

patel.dipali50@yahoo.com

Insulin resistance (IR) is a condition in which the cells of the body become resistant to the effects of insulin, that is, the normal response to a given amount of insulin is reduced. Increased insulin levels in type II diabetes subjects are related to macrovascular disease. This study aimed to determine the atherogenic potential of insulin. For that comparison of experimental models for induction of type2 diabetes was performed; 1) streptozocin + nicotinamide, 2) 10%Fructose in drinking water and 3) 20%Fructose in drinking water. The model, 20%Fructose in drinking water, which exhibited higher serum insulin levels (endogenous) was selected for further study and given exogenous insulin treatment. Serum lipid profile was estimated and insulin treated rats showed higher lipid profile suggesting that high level of insulin in body causes higher lipid levels. This indicated insulin's indirect effect on atherogenesis. Histopathological studies also correlated with biochemical investigations. When insulin treated rats were exposed to isoproterenol, minimum dose of isoproterenol that caused infarction were 1, 3 & 5mg/kg and the damage observed in 5mg/kg dose was equivalent to that of 200mg/kg dose in control animal. This indicates that hyperinsulinemic/insulin resistant rats are more prone to MI. DNA gel electrophoresis confirmed the necrosis observed by smearing (nonspecific DNA damage) and comparison with isoproterenol induced necrosis. Thus, 20%fructose in drinking water can be suitable model for the induction of insulin resistance of type2 diabetes and insulin plays a significant role in cardiovascular complications in uncontrolled diabetics.

PC-34

Treatment of Allergic Conjunctivitis By Proper Histamine Management Using Combination of Plant Derived Histidine Decarboxylase Inhibitor and Anti-Histaminic Drug

Bakrania Anita, Patel Snehal
Institute of Pharmacy, Nirma University, S.G Highway, Ahmedabad, Gujarat- 382481
anita_bakrania@yahoo.com

Allergic disorders have occurred since decades and various new strategies have arisen in order to treat them. The available treatment is not satisfactory due to several drawbacks. Research is therefore focused upon alternative treatment to provide same efficacy devoid of side effects. Our aim was to study the effect of catechin and the combination of catechin and cetirizine in ovalbumin induced animal model of allergic conjunctivitis. Guinea pigs were used for the study which were sensitized using ovalbumin. Simultaneously, catechin treatment was started while cetirizine was administered at the day of experiment. Various parameters such as clinical scoring, mast cell histamine, blood histamine, histidine decarboxylase activity, vascular permeability and histopathology were carried out. Treatment of allergic conjunctivitis with catechin, cetirizine and the combination showed significant decrease in clinical scoring, histamine content in mast cells and blood, enzyme activity and vascular permeability. However, cetirizine group did not show any difference in enzyme activity hence it does not produce any enzyme inhibition. Histopathological examination showed improvement in ulceration and decrease in edema and inflammation. It can be concluded that catechin exhibits potent anti-allergic activity by histidine decarboxylase enzyme inhibition and the combination of catechin and cetirizine has also shown significant anti-allergic activity by both enzyme inhibition as well as inhibition of histamine receptors animal model of allergic conjunctivitis as well as reduction of CNS side effects.

PC-35

Effect of *Vitex negundo* Seeds in Letrozole Induced Polycystic Ovary Syndrome

Patel Payal, Kakadia Nimisha, Shah Gaurang
K.B.Institute of pharmaceutical education and research, Gandhinagar, gujarat
patel.payal16@yahoo.in

Polycystic Ovarian Syndrome (PCOS) is defined as one of the most common hormonal disorder affecting women with reproductive, metabolic and cardiovascular health complication across the life span. Although, many drugs has been shown to be effective in treatment of PCOS, alternatives are continuously being searched because of actual or possible side effects. PCOS was induced by administering Letrozole 1mg/kg (dissolved in 1% CMC 2ml/kg) for 21 days. Aqueous extract of Vitex Negundo seeds was prepared and administered to treatment groups in the dose of 200mg/kg and 400mg/kg upto day 66. Blood samples were collected on day 0, day 21 and day 66 for the measurement of biochemical parameters like fasting blood glucose, serum total cholesterol (TC), serum triglyceride (TG), high-density lipoprotein (HDL), LH and, FSH. OGTT was performed on day 15 and 40. The study revealed that aqueous extract of Vitex negundo is effective in treating Letrozole induced polycystic ovary syndrome because the Treatment restored the estrus cycle, glucose sensitivity, steroidogenic activity, and LH:FSH hormonal balance.

PC-36

Cardio-Protective Effect of Different Statins on Isoproterenol Induced Myocardial Infarction in Rats

Variya Bhavesh C[1,2], Patel Snehal S[1], Gandhi Hardik P[2], Rathod S. P[2]

[1]Department of Pharmacology, Institute of Pharmacy,Nirma University, Ahmedabad
[2]Pharmacy Department, Faculty of Tech. & Engg., The M.S. University of Baroda, Vadodara

bcvariya@gmail.com

The present study was planned to investigate whether different statins, inhibitors of 3-Hydroxy-3-Methyl-Glutaryl Coenzyme A (HMG-CoA) reductase, would attenuate the acute myocardial infarction in isoproterenol-treated rat model via maintaining activities of endogenous antioxidant enzymes. Cardiac marker enzymes and anti-oxidative parameters of heart and liver tissues were measured, and histopathological examination as well as macroscopic examination of heart tissues was performed. Isoproterenol-treated rats demonstrated a significant rise in level of LDH, AST, ALT, CK-MB and malondialdehyde, as well as fall in activities of GSH, SOD and Catalase were observed. Isoproterenol treated rats also showed significant change in various ATPase levels. Oral administration of different statins i.e. atorvastatin, rosuvastatin, fluvastatin, simvastatin and pravastatin (10 mg/kg) to their respective groups significantly prevented isoproterenol-induced change in cardiac biomarkers. This protective role was further confirmed by histopathological examination and TTC staining. Our results suggest that all statins have significant cardio-protective effect against ISO induced myocardial infarction by maintaining endogenous antioxidant enzyme activities due to anti-oxidant pleiotropic effect. However, rosuvastatin found to be most potent followed by pravastatin, atorvastatin, fluvastatin and simvastatin. Our findings also provide some support to the hypothesized action of different statins towards development of New Onset of Diabetes (NOD).

PC-37

Anti-Thrombotic and Anti-Platelet Activities of Some Anti-oxidants

Yogi Vivek, Patni Mohammed N, Rathod S.P,

Pharmacy dept, Faculty of Tech. & Engg., The M. S. University of Baroda

july2690@gmail.com

The objective of the present study was to screen anti-thrombotic and anti-platelet activity of some anti-oxidants like trans-Resveratrol, Tomato extract (10% lycopene) and Pomegranate extract. Anti-platelet activity was compared with the standard drug Aspirin to assess their application in primary prevention of CVD. Models used to screen the anti-thrombotic and anti-platelet activities include Aggregation Assay, A-V Shunt Model, Ferric chloride induced thrombosis Model, Bleeding test, Template Bleeding time Model and Pulmonary Thrombosis model. Trans-Resveratrol, Tomato extract (10% lycopene) and Pomegranate extract were found to decrease thrombus formation in A-V Shunt Model and Ferric chloride induced thrombosis Model and increase in bleeding time in Bleeding Test as compared to control group. Moreover they were also found to increase % survival rate in case of Pulmonary Thrombosis Model. Anti-Thrombotic and Anti-platelet activities of Lycopene and trans-Resveratrol were found to be more potent compared to Pomegranate extract. However, Anti-Thrombotic and Anti-platelet activities of trans-Resveratrol, Tomato extract (10% lycopene) and Pomegranate extract were less as compared to Aspirin. Since thrombosis is known to play a very important role in the development of CHD and acute myocardial infarction, and is intimately associated with platelet aggregation, these data suggest that Resveratrol, Lycopene and pomegranate extract may offer a novel means of preventing or treating atherosclerosis.

PC-38

Future of Clinical Trials in India

Baxi Bhavya, Murali B.

Institute of Pharmacy, Nirma University, Ahmedabad, Gujarat

baxi_bhavya09@yahoo.in

Clinical research is complete biography of drug from its inception to introduction to the market and beyond. India was the preferred avenues for clinical trials and shared nearly 1/4 of the global clinical trial market. Reasons for this are like - study requires nearly half of the operational cost, low per-patient trial cost; availability of large number of well trained, qualified and english speaking professionals, availability of large pool of patients with diverse ethnic background, wide variation of disease, government medical colleges, institutions and laboratories having state of the art facility, good communications with information technology, easy and fast recruitment of patients etc. Indian clinical research industry grew about 8,000 crore in 2010-2011. Clinical trials permitted by DCGI in last three years are like -2010 (529), 2011 (283) and 2012 (253). However, between 2005 - 2012, about 2,868 volunteers died in various studies. In this regard apex court has taken strict view on the study permission and its conduct. In this year till april, only 12 clinical trials have been approved by the authority as compared to three digit figure in last year. Moreover, in recent days clinical trials and debates associated with it have became headlines in media. Present challenges and stagnant growth of clinical trials are due to regulatory delays in approval, escalating costs, inconsistent quality, ethical irregularities etc. This certainly questions the future of clinical trials in India. This may be the wake-up call for urgent corrective measures to retain the clinical trial market in India.

PC-39

Study of Prevalence, Causes and Effectiveness of Treatment in Infertile Patients

Patel Rutvi[1], Kakadia Nimisha[1], Deshpande Shrikalp[1]

[1]K.B. Institute of Pharmaceutical Education and Research, Gandhinagar, Gujarat

rutvi_patel20@yahoo.co.in

Infertility is major health problem in society and requires public attention especially in country like India. Globally 60 - 80 million people suffer from Infertility. There is spars data available on prevalence and causes of infertility and Efficacy of ART (assistant reproductive technique) in India, particularly in Gujarat. Therefore success rate and complication of ART must be known to society. This study reviews the evidence of efficacy & short term safety of ART. The Present study also aims to find out prevalence of infertility and its causes. This Prospective study was conducted at Sunflower Women's Hospital and it included 100 infertility patients. Documentation included preparation of case report form which included Infertility evaluation, Gynecological history, Medication History, Treatment Protocol. 100 Male and female partners were interviewed and counseled before ART. Causes were classified in 4 classes female factor, male factor, both and unexplained. The other causes studied were BMI, Occupation, Lifestyle habits, Education & etc. Effect of past ART cycles on rate of Pregnancy and success rate of ART technique was measured. Major side effect of ART was multiple pregnancies; other side effects observed after ART were Irregular cycles, Breast tenderness, Abdominal Bloating, hot flushes. The overall prevalence of Female factor was high compare to other cause. Other causes like Age, BMI, stress, Education, Occupation, past ART cycles affect the success of treatment. Thought success of ART techniques there are some limitations which require more attention toward the safety and efficacy of ART.

PC-40

Sphingosine 1-Phosphate Receptor Modulator: A Newer Target for Relapsing Forms of Multiple Sclerosis

Patel Smit, Murali B.

Institute of Pharmacy, Nirma Univeristy, Ahmedabad

smit_9192@yahoo.com

Multiple sclerosis (MS) is an immune-mediated inflammatory disease that attacks myelinated axons in the central nervous system (CNS). Being the most common chronic inflammatory disease of the CNS, causes significant disability in young adults. Sphingosine 1-phosphate (S1P), a naturally occurring lipid mediator and part of lysophospholipids, can act as a regulator of diverse physiological and pathophysiological processes, including pathogenesis of MS. S1P receptor subtypes (S1P1 to S1P5) belongs to the G protein-coupled receptor. S1P receptors are present on oligodendrocytes, astrocytes, neurons, and microglia. Astrocytes from patients with MS show over expression of S1P1 and S1P3 suggesting modulation / inhibition may be the key for treating the disease. Fingolimod is a modulator of S1P receptors and is the first orally acting disease-modifying agent, to be approved for relapsing forms of MS. Other drugs like - Siponimod is under phase II and ONO-4641 is under preclinical trial. These drugs act on sphingosine kinase, particularly SphK2. Fingolimod being an agonist of S1P binds to cell-surface and activate in both autocrine and paracrine fashion. It modulates S1P on lymphocytes and thought to retain circulating pathogenic lymphocytes in the lymph nodes. This prevents their infiltration into the CNS and thereby controls pathological damage. In a comparative study among S1P modulator and interferon-β1a used for treating MS suggested that S1P modulator has greater efficacy. Thus, S1P receptor appears to be a potential target for treating relapsing of MS.

PC-41

Neuropharmacological Activity of Herbal Drugs for Attention Deficit Hyperactivity Disorder (ADHD) Using Experimental Models

Patel Dipal [1], Desai Rina[2], Sharma Komal[1], Shah Jigna[3]

Department of Pharmacology

[1]B.N. Institute of Pharmaceutical Science, Udaipur-313001, Rajasthan

[2]Shri Sarvajanik Pharmacy College, Mehsana-384001, Gujarat

[3]Institute of Pharmacy, Nirma University, Ahmedabad – 382 481, Gujarat

dipalpatel786@gmail.com

Attention Deficit Hyperactivity Disorder (ADHD) is the most commonly diagnosed behavioral disorder of childhood associated with inattentiveness, over-activity, impulsivity, or a combination. It affects about 3 - 5% of school aged children. The prevalence of ADHD is alarmingly increased in last few years. Social isolation of rodents (SI) elicits a variety of stress responses such as increased aggressiveness, hyperlocomotion, and reduced susceptibility. To obtain a better understanding of the relevance of SI-induced behavioral abnormalities to psychiatric disorders, we examined the effect of SI on latent learning as an index of spatial attention, and thereby attention deficit hyperactivity disorder (ADHD). Rats were divided into six groups consisting of six animals in each group and were socially isolated for 10 weeks before experiments. All six rats were divided in to six groups consisting of six animals in each group: BM 50 treated (*Bacopa monnieri* 50 mg/kg, p.o) BM 100 treated (*Bacopa monnieri* 100 mg/kg p.o), WS 100 treated (*Withania somnifera* 100 mg/kg, p.o), WS 200 treated (*Withania somnifera* 200 mg/kg, p.o), Reference standard caffeine treated (caffeine 1 mg/kg, p.o) and Control treated (Normal saline 1 ml, p.o) were administered for 15 days. Reduction in SI-induced latent learning deficit was measured using elevated plus maze and passive avoidance and behavioral alteration models. Our study suggested that *Bacopa monnieri* and *Withania somnifera* were effective in reducing the symptoms of ADHD.

PC-42

In-Vitro and *In-Vivo* Study For Investigation of Chemopreventive Potential of Methylglyoxal on Hepatocellular Carcinoma

Panchal Shital S., Puvvada Madhuri
Institute of Pharmacy, Nirma University, S-G Highway, Ahmedabad, Gujarat-382481
shital.panchal@nirmauni.ac.in

Primary Hepatocellular Carcinoma (HCC) is the fifth most common cancer in the world and third frequent cancer-related cause of death with increasing incidence worldwide. Increasing progression of cancer and multiple changes occurring in the tumor cells has challenged science to develop tumor specific drugs. Several drugs targeting on tumor cell mitochondria (mitocans) are under investigation. Methylglyoxal (MG) is an aldehyde, synthesized by several enzymatic and non-enzymatic pathways in the body with glucose metabolism as a major source. In our study, we have evaluated activity of hepatocellular carcinoma. In-vitro cytotoxicity assay of MG was performed on Hep 3B cell lines and Vero (normal) cell line. MTT assay and DNA fragmentation assay were performed to get the IC_{50} value and the fragmentation pattern of MG. In-vivo anti-cancer activity was evaluated using NDEA induced hepatocellular carcinoma in Balb/c mice. Study findings indicate the cytotoxic activity of MG in hepatocellular carcinoma through in-vitro and in-vivo studies. The in-vitro studies indicate the potential of MG to cause cytotoxicity on Hep3B cell line. The results obtained in in-vivo studies reveal that activity of MG on mitochondria did not significantly show its tumor specificity by increasing oxidative stress in tumor cells. Furthermore, it was found that MG had shown chemopreventive potential with more specificity towards Succinate dehydrogease (SDH) enzyme on the mitochondria. Chronic administration of MG in HCC could reveal better specificity of MG towards mitochondrial ROS production. Owing to the reported toxicity of MG; further studies to establish risk-benefit ratio need to be carried out.

PC-43

Phytotherapy for Hysteria: From Traditional Uses to Preclinical Research

Gagarani Manish B, Patil Prakash Hiraman
Pharmacology Research Lab, Department of Pharmacology
R. C. Patel Institute of Pharmaceutical Education and Research, Shirpur, Maharashtra.
manish.gagarani@gmail.com

The concept of hysteria has been focus of attention of centuries. Hysteria belongs to group of psychological disorders called conversion disorders or also refers to any frenzied emotional state. According to population ratio studies, about 20-25% of patient in hospital setting have conversion symptoms. Since the time of Hippocrates are many herbs suggested to control hysteria like symptoms. Some recognized herbs are: *Nardostachys grandiflora or Jatamansi, Acorus calamus, Allium sativum, Cinnamomum camphora, Nigella sativa ,Ensete superbum* etc. But till date there is no valid literature proof for such plant are evaluated in preclinical and clinical models. It is very difficult to identify and validate hysterical condition in valid animal models. As hysteria is related to excitation, so one can relate this to anxiety or epilepsy. Traditional and modern literature material gives solid support to a plant reported to hysteria and preclinical proven in epilepsy and anxiety. The use of epilepsy model and anxiolytic model with modification may be useful preclinical model in screening of hysterical state. In this project we attempt to summarize certain plant which claims for hysteria and setting and screening of such a plant in preclinical models such as Tail suspension test, elevated plus maze, forced swim test, Irwin behavioral screening test etc., to establish valid data for scientific uses.

PC-44

Mechanism of Pioglitazone and Simvastatin for Cardiovascular Protection

Sethi Prakhar, Shah Jigna
Institute of Pharmacy, NirmaUniversity, Ahmedabad, Gujarat
prakhar2sethi@gmail.com

Creactive protein is a special type of protein which may results in rise in inflammation. This protein is a liver derived pattern recognition molecule. It always serves as a host defense mechanism. Elevation CRP also may lead to increase in chances of type 2 diabetes. Its physiological role is to bind with the phosphocholine which is expressed on the surface of dead cells. Most important markers used for inflammation are C reactive protein, Matrix metalloproteinase (MMP)-9, and Plasminogen activator inhibitor. Low grade inflammation is a pathogenic factor of atherosclerosis. Inflammatory activity has been shown to predict Myocardial infarction and a stroke in patients with pre-existing cardiovascular disease. Numerous inflammatory parameters such as cytokines, chemokins have been identified as valuable risk markers for the production of cardiovascular events. Statin exert anti-inflammatory actions by stimulating the expression of peroxisome proliferation activated factor. These (PPAR) agonist lower inflammatory markers and reduce the chances of CVD in patient with type 2 Diabetes. In the recent years a close relationship is found between the lipid disorders, insulin resistance, metabolic syndrome, at Atherosclerosis has been identified in diabetic and non-diabetic patients. New interventions available for the treatment of type-2 diabetes are drugs like thiazolidine derivative. TZD are also capable of reducing the inflammatory markers. CRP is considered as a predictive marker for CVS disease risk in patients with diabetes mellitus.

PC-45

Pharmacological Evaluation of Bis-Curcumin ato Oxo Vanadium Complex in Celecoxib Induced Alzheimer's Like Condition in Mice

Patel S, Trivedi J, Yadav MR, Rathod S [1]Pharmacy Department, The M.S.University of Baroda, Vadodara, Gujarat
sagarpatel4691@gmail.com

Animal models of Alzheimer's disease (AD) have been designed to reproduce AD like condition in order to understand the consequences of the pathological and biochemical changes that occur as the disease progresses and to investigate the effectiveness of potential pharmacotherapies. More recently, some NSAIDs have shown selective inhibition of Aß42 generation, but among the all COX-2 inhibitors, celecoxib has shown to increase Aß42 production in brain cell culture and in transgenic animals resulting dementia like condition. Our study was carried out to develop AD like condition in swiss albino mice using celecoxib (80mg/kg,100mg/kg,120mg/kg) and its comparison with standard scopolamine model, evaluating behavioral parameter by morris water maze & biochemical parameters Acetylecholineesterase (AChE), Butarylcholineesterase (BChE), β Amyloid aggregation, Lipid Peroxidation and Catalase activity were evaluated. In the present study, Celecoxib produced significant impairment of acquisition and retrieval of memory, enhancement of brain AchE activity, BchE activity, β-Amyloid level and increase in oxidative stress as reflected by raised brain Lipid peroxidation and decreased Catalase levels. Vanadium compounds and curcumin have individually been shown to be effective in ameliorating AD like condition in animal models. We have tried to combine these effective properties by synthesizing a complex, bis curcuminato oxo vanadium (BCOV), which was expected to exhibit positive activity against pathological features of AD like condition. BCOV affected reduction in escape latency and acetylcholinesterase levels in brain. Treatment with BCOV afforded a negative trend towards β amyloid elevation and oxidative stress. The present study concludes that BCOV may be useful in the management of AD like condition.

PC-46

Mechanism of Action and Efficacy of Fingolimod in Multiple Sclerosis

Bhatt Apurva, Panchal Shital
Institute of Pharmacy, Nirma University, S-G Highway, Ahmedabad, Gujarat-382481
apurva5190@gmail.com

Multiple sclerosis is CNS disorder characterized by chronic inflammation which further results in disability in an individual. The disease is conventionally treated by certain drugs like natalizumab, mitoxantrone and interferon treatments. Fingolimod is the only oral drug that is approved by FDA for treatment in case of relapsed multiple sclerosis. Though the treatments like interferon and natalizumab are used for the first line of treatment, it is seen that the disease relapses. In such case fingolimod can be the drug of choice. Fingolimod is also known as sphingosine 1-phosphate receptor modulator. It mainly acts by retaining certain white blood cells (lymphocytes) in the lymph nodes, thereby preventing those cells from crossing the blood-brain barrier into the central nervous system. The drug reduces inflammation there by damage to nerve cells due to prevention of lymphocytes' entry in CNS. Fingolimod gets phosphorylated by hepatic enzyme named sphingosine kinase 2 and converted it to its active form fingolimod-p. This active metabolite binds to 4 receptor subtypes, namely S1P1, S1P3, S1P4, and S1P5. Increased expression of lymphocyte production is subdued by the interaction of fingolimod with S1P receptors. Fingolimod was evaluated large-scale, clinical trials involving people with relapsing-remitting multiple sclerosis and data shows that fingolimod is effective and reduce disease progression. Development of newer agents to overcome existing disadvantages of the drugs leads to decrease disease severity and improve quality of life in patients.

PC-47

Changes in Zeta Potential with Different Sexually Transmitted Diseases

Morris Princy[1], Avari Jasmine[1]
[1]Dept. of Pharm. Sciences, R.T.M.N.U., Nagpur Maharashtra
prince_asv@rediffmail.com

Zeta potential (ZP) is a physical property which is exhibited by any particle in suspension. The ZP of human erythrocytes was found to be slower in patients suffering from diverse diseases. Hence, it was envisaged to determine the ZP of erythrocytes of different Sexually Transmitted Disease (STD) patients depending on the causative organisms' i.e; bacterial, fungal, viral and protozoal and to statistically study the ZP variations among them. Bacterial STDs studied were syphilis, ballanitis and vaginal discharge syndrome. Tinea cruris and candidiasis were studied under fungal STDs. Viral STDs included herpes, HIV/AIDS, genital warts and molluscum contagiosum. Trichomoniasis was studied under protozoal STDs. Blood suspension was made using a 5% dextrose solution and ZP measurements were done using the cell electrophoresis assembly. The average zeta potential was found to be 5.56, 5.82, 6.08 and 1.43mV respectively for bacterial, fungal, viral and protozoal STDs. Comparison was done using one way-ANNOVA which showed that protozoal STD had the lowest ZP value. After trichomoniasis, HIV/AIDS was found to have the lowest ZP value of 3.91mV followed by Syphilis with a value of 4.31mV indicating the severity of the disease. Thus it was concluded that; ZP may be used as an effective diagnostic tool for the detection of various STDs. It also reduces the time required for diagnosis so that early treatment can be given. It is also cost effective.

PC-48

Zeta Potential Studies on Vaginal Discharge Syndrome Patients

Mundhey Dhanashree[1], Avari Jasmine[1], Morris Princy[1]

[1]Department of Pharmaceutical Sciences, Rashtrasant Tukadoji Maharaj Nagpur University, Mahatma Jyotiba Fuley Educational Campus, Nagpur - 440 033 (MS), India.

dmundhey1990@gmail.com

The literature study reveals that zeta potential (ZP) of erythrocyte changes during disease condition. The present study collects the data on ZP of erythrocytes of patients suffering from Vaginal Discharge Syndrome (VDS) as per different causative organism. The causative organisms for VDS are Candida species, multiple bacterial species leading to Bacterial vaginosis, Trichomonas vaginalis, Neisseria gonorrhoeae and Chlamydia trachomatis. Cell electrophoresis assembly was used for determination of ZP. ZP of healthy human volunteers was found to be in the range of 15.83 to 27.46 mV and that for VDS patient was found to be in the range of 2.00 to 13.63 mV. Using unpaired t-test it was observed that the ZP of VDS patients were significantly lower than that of healthy volunteers. The mean ZP of the VDS patient was found to be 9.96, 7.91, 3.16 and 5.12mV for Candidiasis, Bacterial vaginosis, Trichomoniasis and Chlamydia respectively. One-way ANNOVA studies revealed that ZP of Trichomoniasis was significantly lowest than the others. It indicates that Trichomoniasis affects the ZP of VDS patients the most. It was also observed that ZP for Candidiasis was towards normal, indicating it to be the least severe. Therefore, by further increasing the sample size and performing relevant statistical procedures ZP can be used for diagnosis of VDS.

PC-49

Biochemic medicine Calcarea Phosphorica for Diuretic & Antiurolithiatic Activity

Tidke Priti Sudhakar

R.C. Patel College of Pharmacy, Near Karwand Naka, Shirpur-425 405, Dist- Dhule, Maharashtra, India.

preeti.bmcdp@gmail.com

Purpose is to evaluate biochemic medicine-*Calcarea Phosphorica* for diuretic and antiurolithiatic activity. Literature on Biochemic medicine highlights its utility for treatment of number of ailments such as asthma, gout, rheumatism, kidney failure. From these insight we explored the utility of Biochemic *Calcarea Phosphorica* (*Cal phos*) for diuretic and antiurolithiatic activity in male wistar rats. The effect of various dilutions of Biochemic *Cal phos* 3x, 6x, 30x was determined on urine output by comparing the urine volume collected by keeping individual animals in metabolic cages. Wistar rats were induced by administration of 0.75% ethylene glycol p.o. daily for 24 days. Simultaneous administration of Biochemic *Cal phos* 3x, 6x, 30x (100mg/kg p.o. twice a day) significantly decreased calcium oxalate, urea, creatinine, calcium, chloride, phosphorus, albumin, alkaline phosphatase content in urine as compared with control group. After the completion of treatment period animals were sacrificed, kidneys were removed and subjected to microscopic examination for possible stone formation. The histological estimation of kidney treated with Biochemic *Cal phos* (3x, 6x, 30x *Cal phos* 100 mg/kg, p.o.) along with ethylene glycol inhibited the growth of calculi and reduced the number of stones in kidney compared with control group. Biochemic *Cal phos* 6X dilution showed potent diuretic & antiurolithiatic activity in ethylene glycol induced urolithiasis. Significant decrease in the weight of stones was observed on treatment with Biochemic *Cal phos* 6X dilution in comparison with control group. From this study, it can be proposed that the 6X dilution of Biochemic *Cal phos* exhibits significant inhibitory effect on crystal growth, with improvement of kidney function and substantiates claims on the biological activity of twelve tissue remedies which can be proved scientifically through laboratory animal studies.

PC-50

Preclinical Screening Models for Alopecia Mimmicing Male and Female Patterns Clinically

Sawhney Abhinav, Gullaiya Sumeet, Madan Swati
Amity Institute of Pharmacy, Amity University, Noida

abhinavsawhney@live.com

Alopecia is a suspected auto-immune disorder which is characterized by hair loss from the body. It is major emotional distress for the both male and female, which affects them both psychologically and physiologically. Alopecia is of various types like diffuse alopecia, alopecia aerata, androgentic alopecia, cicatrical alopecia, alopecia totalis, alopecia universalis, alopecia barbae and so on many others types are there. In male and female the pattern of alopecia is different. In male there is receding hairline and a thinning of crown and combination of both forms a horseshoe shaped alopecia in males while in females there is patchy hair loss and thinning of hairs while frontal hairline remains there in female but there is loss of frontal hairline in males. To study a drug with for treatment of alopecia we have to develop different screening model to check the activity according to male and female pattern of alopecia. In this present article we will discuss about the various screening model available according to the male and female patterns of alopecia which will help in providing significant directions to the effective preclinical drug moieties for this condition which hinders both physically and psychologically.

PC-51

Epigenetics in Alzheimer's Disease

Patel Shivani, Vyas Vandana
Institute of pharmacy, Nirma University, Ahmedabad-Gujarat

spatel.9310@gmail.com

Alzheimer is one of the most common neurodegenerative disorder characterized by dementia, neuronal cell damage and presence of β amyloid (Aβ) plaques. Alzheimer disease (AD) pathology was associated with different methylation and hydroxymethylation patterns in the hippocampus compared with those seen in normal aging. Aging was associated with an increase in hippocampal DNA methyltransferase 3a, a novel DNA methyltranseferase that play a role in cell proliferation and differentiation. Epigenetic mechanism and chromatin remodeling play an important role in etiology of the AD. Epigenetic changes are chemical changes in DNA that effect gene expression, but don't alter the actual genetic code. Alteration on epigenetic machinery cause aberrant DNA methylation and histone acetylation. DNA methylation can inhibit gene expression in direct or indirect way. Indirect transcription inhibition is mediated through promoting activation of methyl-CpG-binding domain (MBD) proteins by methylated DNA, which inhibits transcription. Direct transcriptional inhibition is possible by interruption of activity of DNA binding proteins from their target sites. Normally there is increase in 5-methylcytosine (5-mC) and 5-hydroxymethylcytosine (5-hmC) but in AD patient decrements of 5-mC and 5-hmC in the hippocampus correlate with hippocampal amyloid plaque. Epigenetic regulation is associated with the pathogenesis of AD thus targeting it may one day lead to novel diagnostic and therapeutic tool.

PC-52

Antioxidative Property of *Nigella Sativa* Seed Extract

Prajapati Heena, Verma R. J.
Department of Zoology, University School of Sciences, Gujarat University, Ahmedabad - 380009, Gujarat, India

heena23prajapati@gmail.com

Nigella sativa (family – Ranunculaceae) is an annual plant that has been traditionally used on the Indian subcontinent and Middle Eastern countries. The present study was carried out to evaluate the antioxidative activity of *Nigella sativa* seed extract. The hydro – alcoholic extract of *Nigella sativa* seed was prepared by standard method. Obtained dry powder was dissolved and used for phytochemical analysis which revealed presence of flavonoids, total phenols, tannin, and ascorbic acid contents. The extract was evaluated for its radical scavenging activity which revealed that it is potent scavenger of superoxide, nitrous oxide, hydroxyl radical and also it shows strong DPPH radical scavenging activity. *Nigella sativa* seed extract was also evaluated for its antioxidative activity against diethyl phthalate – induced oxidative stress in liver homogenate. The results will be discussed.

PC-53

Advancement in Cardiac Devices: MRI-Conditional Cardiac Implantable Electronic Devices (CIEDs)

Borsadia Chirag, Panchal Shital
Institute of Pharmacy, Nirma University, Ahmedabad

chirag_borsadia@yahoo.co.in

Patients with the Cardiac Implantable Electronic Devices (CIEDs) may require for the imaging technique like Magnetic Resonance Imaging (MRI) at any point of life. The CIEDs includes Pacemaker, Implantable Cardioverter Defibrillator (ICD) and Cardiac Resynchronization device that interacts with the MRI. Interaction includes heating, induction of ventricular fibrillation, rapid atrial and ventricular pacing, reed switch malfunction, asynchronous pacing and inhibition of pacing output and changes in the programming due to device damage. These interactions are the limitations for the MRI. Recently introduced MRI-conditional devices have overcome the limitations of the MRI. Changes in the devices includes reduction in the use of the ferromagnetic materials to overcome the magnetic field interactions and avoid the device damage, shielding of the device to reduce the effect of the electromagnetic radiations on device, changing of the reed switch to the hall sensor and lead wires are winded to decrease the device and cardiac tissue heating due to the effect of the magnetic radiations and electrical conduction between the lead and the MRI. Currently, the MRI-conditional devices have shown great advancement in the field of cardiac implants allowing patients to take the benefit of one of the important imaging technique MRI, provided that proper precautions are taken during the time of imaging.

PC-54

Curcumin Ameliorates Diethanolamine-Induced Toxicity in Cauda Epididymis of Mice

Panchal Sneha , Verma R. J.

Department of Zoology, University School of Sciences, Gujarat University,Ahmedabad-380 009, Gujarat, India

sneha_panchal86@yahoo.com

The polyphenol natural product curcumin has been known for its wide variety of pharmacological properties. The present study was designed to investigate the protective effect of curcumin on diethanolamine-induced toxicity in cauda epididymis of mice. For this study, male Swiss albino mice were orally administered with three different doses of curcumin (10, 25, 50 mg/kg body weight) along with diethanolamine (330 mg/kg body weight) for 45 days. After completion of treatment animals were sacrificed by cervical dislocation and cauda epididymis were quickly isolated and blotted free of blood, weighed and used. This study showed that diethanolamine reduced body weight and cauda epididymis weight. Diethanolamine caused alterations in sperm parameters such as sperm count, motility, viability and morphology. Diethanolamine also caused changes in biochemical parameters. In cauda epididymis histopathological abnormalities were also observed. Supplementation of curcumin daily to diethanolamine-treated mice increased body weight and cauda epididymis weight. Curcumin ameliorates diethanolamine-induced alterations in sperm parameters. Curcumin also ameliorates biochemical changes and lowers histopathological abnormalities in cauda epididymis.

PC-55

Hodgkin's lymphoma: Advances in Treatment and Prognosis

Patel Sirin, Shital panchal.

Institute of Pharmacy, Nirma Univeristy, Ahmedabad.

13mph706@nirmauni.ac.in

Hodgkin lymphoma -Formerly Called as Hodgkin's disease- is a form of cancer that Occurs in tissues related to the lymphatic system. The first symptom is mainly a swollen lymph node, and the cancer may then spread to other lymph nodes and throughout the body. The main affected organs are spleen, liver, bone marrow and more. Technological advancements have greatly improved the way Hodgkin lymphoma is diagnosed. Now a days, in place of of the X-ray and spleen removal, physicians use non invasive and far less toxic positron emission topography (PET) scan to form a 3-D image of the body. A small amount of radioactive glucose or sugar is injected in the patient's vein. Cancerous cells attract glucose, The Location Of the cancer is found by the presence of the glucose concentration. Recent work add further evidence to the theory that the Epstein-Barr virus and the bcl2 oncogene play an important etiologic role in certain histologic subtypes.Now adays the patients with hodgkins lymphoma are cured by radiation therapy chemotherapy and both. If chemotherapy is not effective then autologous stem cell transplant may be the next step. In the eatment the patient n stem cells are removed. Then, the cancer-free stem cells are injected back into the patient where, ideally, they will help the body produce healthy new cells. Because of these medical and technological advancements, 90 percent of those with Hodgkin lymphoma now have at least a five-year survival rate, and its many young patients have a much brighter outlook.

PC-56

Integration of Imaging Systems in Preclinical Research

Patil Kalpesh R, Patil Chandragouda R.

R. C. Patel Institute of Pharmaceutical Education & Research, Karvand Naka, Shirpur, Dist: Dhule, Maharashtra

kalpeshpharma20@gmail.com

Now implementation of 3R concept of reduction, refinement & replacement is of main concern in relation to animal experimentation. The government agencies & scientific communities emphasized on limited use of animals along with refinements in experimental procedures. Considering importance of in-vivo experiments to achieve study objectives & giving preference to animal ethics, one can implement methods which are animal friendly as well as generates scientifically acceptable data. Various imagining techniques play a vital role in pharmacological research & increase acceptability of these methods in preclinical testing has been witnessed. The noninvasive nature of imaging techniques makes it more suitable for animal research. By the use of combination of multiple imaging modalities one can derive the more informative & meaningful data in a single experiment while minimizing the experimental variations, spending less time & importantly using less animals. The imaging techniques used in preclinical testing consists of Magnetic resonance imaging (MRI), X-ray computed tomography (CT), Single photon emission computed tomography (SPECT), Positron emission tomography (PET), Optical imaging, Ultrasound imaging, Fluorescence imaging & Bioluminescence. These imaging systems are able to evaluate multiple parameters including anatomy, pharmacology, pathophysiology & molecular aspects in the experimental animals. Although these imaging systems have fascinating applications, simultaneous use of multiple imaging techniques is suggested to generate extensive & appropriate data and to overcome the limitations of individual techniques. The present study highlights state of art behind various imaging systems & its application in preclinical studies.

PC-57

Green Tea Alleviates Bisphenol A - Induced Hepatotoxicity in Mice: An *In Vivo* Study

Suthar Hiral K., Verma R. J.

Department of Zoology, University School of Sciences, Gujarat University, Ahmedabad – 380009, Gujarat, India.

star_hiral21@yahoo.com

Bisphenol A (BPA-4-4'-dihydroxyl-2-2-diphenylpropane) is one of the environmental contaminant and exerts both toxic and estrogenic effects on mammalian cells. The present investigation was an attempt to evaluate hepatoprotective potency of green tea extract against bisphenol toxicity in mice. Oral administration of bisphenol A (270 mg/kg b.w./day) to mice for 30 days resulted in elevation in liver marker enzymes (acid phosphatase, alkaline phosphatase, Serum glutamic pyruvate transaminase and serum glutamic oxaloacetic transaminase) and marked decrease in serum protein content. The effect was significant ($p<0.05$). Co – treatment of green tea extract in three different doses (25, 50 and 100 mg/kg b.w./day) along with the bisphenol A (270 mg/kg b.w./day) caused significant ($p<0.05$) reduction in bisphenol A – induced alteration in liver marker enzymes in serum. The effect was significant ($p<0.05$) and dose - dependent. All three doses of green tea extract ameliorated bisphenol A – induced changes, showing maximum protection at 100 mg/kg b.w. /day dose. Histopathological analysis confirmed the biochemical findings. Results of present study indicate that bisphenol A, induced hepatotoxicity by leaking of the liver marker enzymes, whereas hepatoprotective effect of green tea extract was mainly due to its antioxidative potency.

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PA-35	Determination of Memantine Hydrochloride By a Simple and Accurate Spectrofluorimetric Method Using Opa β -Mercaptoethanol Derivatization in Human Plasma	Patel Mansi	Institute of Pharmacy, Nirma University, Ahmedabad
PA-36	Comperative Evaluation of Regulatory Requirements For Functional Foods and Natural Health Products in Selected Developed Countries with Respect to India	Patel Rajeshri	Department of Pharmaceutical Sciences, Saurashtra University, Rajkot
PA-37	Application of Multi-Dimensional NMR in Pharmaceutical Field	Rana Divyarajsinh	Institute of Pharmacy, Nirma University, Ahmedabad
PA-38	Biobetters: New Beat to Pharmaceutical Industries and Community	Rathore Amit	Acropolis Institute of Pharmaceutical Education and Research, Indore
PA-39	Emergency Use Authorization of Medical Product	Patel Bhumi	Institute of Pharmacy, Nirma University, Ahmedabad
PA-40	Regulatory Trajectory of Biomaterials	Sheikh Aamir	Sri Aurobindo Institute of Pharmacy, Indore
PA-41	New Biosimiliar Guidelines in India- A Critical Review	Shah Shreya	Institute of Pharmacy, Nirma University, Ahmedabad
PA-42	An Overview: Generic Drug filling and Approval in USA & Europe	Tirmizi Ashma	K.B.Institute of Pharmaceutical Education & Research, Gandhinagar
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PA-1

Estimation of Carbonyl Iron in Various Multivitamin Pharmaceutical Formulations

Parikh Nisha[1], Mashru Rajashree[2], Kothari Charmy[3]

[1]Arihant school of Pharmacy and Bio-research Institute, Nr. Uvarsad Square, Adalaj, Gandhinagar, Gujarat, India

[2]Pharmacy department, faculty of technology & engineering, The Maharaja Sayajirao University of Baroda.Kalabhavan, Gujarat, India

[3]Institute of Pharmacy, Nirma University, Gujarat, India

parikhnisha83@gmail.com

New simple, specific, selective & inexpensive spectroscopic method for estimation of Carbonyl iron from pharmaceutical formations was developed. The proposed method is based on the conversion of Fe+3 to Fe+2 by reduction with ascorbic acid followed by the complexation of Fe+2 with 1,10-phenanthroline. The pink-coloured complex was estimated at 510 nm. The Method was found to be linear in the range of 5-50 µg/ml with a limit of detection of 0.62 µg/ml and a limit of quantitation of 2.05 µg/ml. The developed method was found to be suitable for estimating carbonyl iron in various formulations like soft gel and tablet. Satisfactory recovery from spiked samples of standard carbonyl iron suggests no interference of any excipients present in formulations.

PA-2

Development and Validation of Stability Indicating High Performance Liquid Chromatography for Simultaneous Estimation of Clidinium Bromide, Chlordiazepoxide and Dicyclomine Hydrochloride in Solid Dosage Form

Prajapati Monika[1], Soni Khushbu, Bachani Manish, Trivedi Priti

[1]K. B. Institute of Pharmaceutical Education & Research, Gandhinagar, Gujarat

monujigu1991@gmail.com

High performance liquid chromatography (HPLC) method was developed for simultaneous determination of clidinium bromide, chlordiazepoxide and dicyclomine hydrochloride in solid dosage form. A simple, sensitive, accurate, and precise HPLC method was developed for simultaneous determination of clidinium bromide, chlordiazepoxide and dicyclomine hydrochloride in combined tablet dosage form. The utility of development and validation of analytical method for simultaneous estimation is its ability to calculate unknown concentration of components of interest. The HPLC method was developed using methanol as solvent. Chromatography was performed on Agilent, Eclipse XDB C8 column (150 x 46 mm) using methanol: acetonitrile: water (pH 4.5) (34:6:60, v/v/v) as mobile phase. The retention times of clidinium bromide, chlordiazepoxide and dicyclomine hydrochloride were found to be 2.78 min, 5.9 min and 11.28 min, respectively. Developed HPLC method was found to be linear in the range of 2.5-12.5 µg mL-1, 5-25 µg mL-1, and 10-50 µg mL-1 for clidinium bromide, chlordiazepoxide and dicyclomine hydrochloride, respectively. The % recoveries were found to be 98.80%, 99.13% and 98.47% for clidinium bromide, chlordiazepoxide and dicyclomine hydrochloride respectively. The developed analytical methods did not show any interference of the excipients when applied to pharmaceutical dosage form.

PA-3

Microwave-Assisted Hydrolytic Degradation of Sartans Drug using Quality-by-Design (QbD) Approach

Patel Prutha[1], Baxi Samarth[1], Mehta Priti[1], Dhall Vipan[2]

[1]Institute of Pharmacy, Nirma University, Ahmedabad,

[2]Piramal Pharmaceutical Development Service Pvt. Ltd, Pharmez, Ahmedabad, Gujarat, India

prutha.patel0@gmail.com

A novel Microwave-assisted forced degradation method was developed for carrying out hydrolytic degradation in acidic and alkaline condition with help of Box-Behnken design approach. Experimental design has been used during forced degradation to determine significant factors of Microwave responsible for degradation and to obtain optimal degradation conditions. In addition, acid and alkali hydrolysis was performed using a Anton-paar Synthos Microwave Synthesizer. The parameters selected for purpose were Power, Temperature and Time for Microwave. The design working space region was identified by application of Design Expert software to Losartan in acidic condition and obtained optimized design space was then applied to alkaline condition of Losartan and to other drugs of same category. The chromatographic method employed Column- C-18 Inertsil ODS, 150 x 4.6mm, 5µm particle size with mobile phase consisting of gradient method of Mobile phase A of 0.02%, v/v Trifluoroacetic acid in water and Mobile phase B of 0.01%, v/v Trifluoroacetic acid in Acetonitrile: Methanol (75:25) and detection was performed at 240 nm. The procedure was validated for system suitability, linearity and precision. There was no interference observed of degradation products in the determination of the active pharmaceutical ingredient. By studying plots of Temperature, Power and Time, it was concluded that main factor affecting microwave degradation of drugs was Power and to some extent temperature. The time factor had least effect on microwave assisted degradation of drug. The method was found to be robust, reproducible in terms of generation of Degradation products for all three drugs in individual.

PA-4

Analytical Method Validation of Stability-Indicating HPLC Method for Determination of Assay of Memantine Hydrochloride Tablets

Gupta Ajay Kumar [1], Patel P. K. [2]

[1]Department of Chemistry, Shri JJT University, Rajasthan, India.

[2] Department of Chemistry, M. G. Sciences Institute, Ahmadabad, Gujarat, India.

mother.ajay8@gmail.com

This paper deals with the development and validation of stability indicating high performance liquid chromatographic method for the quantitative determination of Memantine hydrochloride. Study of an analytical method for the determination of Memantine hydrochloride drug and also validate the method as per the ICH guideline and required acceptance criteria. The method was developed by using Hypersil BDS C8 column (250×4.6 mm id, 5 µm particle sizes) containing mobile phase Buffer and acetonitrile in ratio (65:35). The flow rate was set at 1.0 mL/minute and the injection volume was 10µL. The run time of injection is near about 15 min. In this method use Waters HPLC system with Reflective index detector. The linearity of method was 50 % to 100 %, the correlation coefficient was found to be 0.9999. Eluents were monitored by reflective index detector. The liquid chromatographic method was validated with respect to specificity, precision (% RSD about 0.71%). Stability an analytical solution (% deviation from initial area count found in limit ±2%

PA-5

Process Validation of Salbutamol Sulphate Tablets by Using Quality by Design Approach

Ingale Ashvini[1], Bhitre Milind[1], Mene Revati[2], Shirgaonkar Snehal[1]

[1]C. U. Shah College of Pharmacy, Santacruz (W), Mumbai, Maharashtra- 400049.

[2]Raptakos Brett & Cooperation Limited, Thane (W), Mumbai, Maharashtra- 400606.

ingaleashvini11@gmail.com

The Salbutamol Sulphate Tablets are conventional release tablets. The objective of research is process validation of Salbutamol Sulphate Tablets by using Quality by design (QbD) approach. Process validation is important step in achieving and maintaining the quality of the final product. The Process validation was performed on three batches of same size, method, strength and on same equipments. The validation of manufacturing Process involves dry mixing, granulation, drying, lubricant mixing and compression stages. QbD refers to a holistic approach towards drug development. QbD is implemented to the Salbutamol tablets by using various elements. These elements are Quality target Product Profile (QTPP), Quality risk management (QRM), Critical Quality Attributes (CQA), Critical Process Parameters (CPP), Critical material attributes (CMA), Design of experiments (DOE), Design Space and control strategy. The CQA, CPP and CMA are identified and evaluated with the help of QRM analysis. The design of experiment is developed based on CQA, CPP and CMA. The design space is developed based on results of DOE. The control strategy is established with the help of design space & DOE. The QRM is performed after execution of control strategy, to check reduction of risk and efficiency of manufacturing process. The prepared batches of salbutamol tablets are evaluated and compared with the marketed products of Salbutamol Sulphate Tablets (Astahlin tablets – cipla ltd and Salbetol tablets – FDC ltd). Based on results produced, the batches with no significant deviation and process effectively produces product with predefined reproducible quality standards.

PA-6

Development and Validation of Stability Indicating HPTLC Method for Repaglinide

Thakkar Palak, Patel Raghav, Patel Nrupesh, Mehta Priti.

Department of Pharmaceutical Analysis, Institute of Pharmacy, Nirma University,S.G Highway,Ahmedabad-382481,Gujarat.

palak249105@yahoo.com

The aim of present work is to develop a specific, precise and accurate stability-indicating assay method for estimation of Repaglinide in bulk drug and in tablet formulation using High performance thin layer chromatography .The stationary phase used was TLC plates precoated with silica gel G using the mobile phase of chloroform–methanol–ammonia in the ratio of 4.5:1.0:0.05 v/v/v. Repaglinide spots were detected at wavelength of 242 nm. This method showed compact bands of drug at RF value of 0.31 ± 0.02. Caliberation curve was found to be linear in range of 500 – 3000 ng/spot with correlation co- efficient 0.9981. Drug was subjected to ICH-prescribed stress conditions such as acid, base, peroxide, thermal and photolytic degradation and method was found to give separate peaks for all degradation products with different RF values. Validation of the developed method was carried out for its specificity, linearity, range, precision, accuracy and robustness. The method was further applied for Repaglinide estimation in pharmaceutical tablet formulation and it was found to be within limits. The method was also used successfully to carry out content uniformity test of Repaglinide in tablet dosage form.

PA-7

RP-HPLC Method Development and Validation for Simultaneous Estimation of Pitofenone HCl and Fenpiverinium Bromide in Tablet Dosage Form

Snehal Nagpure, Kailash Biyani

Anuradha College of Pharmacy, Chikhli.Dist-Buldana (M.S.) India

snehalnagpure31@@yahoo.com

The main aim and objective of present work was to develop an accurate, simple, precise, sensitive and reliable RP-HPLC method for simultaneous estimation of Pitofenone hydrochloride (PIN) and Fenpiverinium bromide (FEN) in the combined tablet dosage form. Reversed phase mode is the most popular mode for analytical and preparative separations of compound of interest in chemical, biological, pharmaceutical, food and biomedical sciences. In this mode, the stationary phase was nonpolar hydrophobic packing with C18 functional group bonded to silica gel and the mobile phase was polar solvent.The highest solubility of drug was found in methanol. The overlain spectra of the Fenpiverinium bromide and Pitofenone hydrochloride in a concentration 30 (mg/mL of each of drug), showed a reproducible isoabsorptive point at 220 nm.The standard solution containing FEN and PIN was assayed with retention time 3.76 and 5.90 respectively. The standard solution containing FEN and PIN was assayed with retention time 3.76 and 5.92 respectively. PIN and FEN marketed formulation was found to be linear in the range of 60% to 140 % of test concentration with R2 =1 at selected wavelength. Lastly it is concluded that, RP-HPLC technique can besuccessfully used for the estimation of the Pitofenone hydrochloride (PIN) and Fenpiverinium bromide (FEN) in their combined tablet formulation.

PA-8

Estimation of Ethylene Vinyl alcohol in Bulk and Pharmaceutical Formulation by Infrared spectroscopy.

Dadhaniya Tejas[1], Mehta Priti[1], Bhanderi Rohil[2], Bambhaniya Tushar[2]

[1] Institute of Pharmacy, Nirma University, Ahmedabad, Guajrat

[2] Neno Therapeutics Ltd., Gujarat

11ftphdp8@nirmauni.ac.in

Ethylene vinyl alcohol is polymer of ethylene and vinyl alcohol mainly used in food packaging industries. The pharmaceutical application of Ethylene vinyl alcohol is use for embolize blood vessels. In current method vibration spectra analysis was carried out by FT-IR spectroscopy in the range of 2500 to 3000 cm-1 and area under the curve was used for estimation of polymer. By using current method estimation of ethylene vinyl alcohol can be performed in bulk and pharmaceutical dosage forms. The method used for estimation of ethylene vinyl alcohol is simple, sensitive, rapid and accurate. The method was validated as per ICH guideline. The linearity and accuracy was found between 20-100 mg/ml. By using developed method Ethylene Vinyl Alcohol were estimated in ONYX 36.

PA-9

Quantitative Titrimetric Analysis of Naproxen Tablet Formulation Using Mixed Solvency Concept

Maheshwari Akash, Maheshwari R.K, Shah Nupur, Tomar Sudarshan

Department of Pharmacy, Shri G. S. Institute of Technology and Science,

23-Park Road, Indore (MP) 452001

akashmaheshwariindore@gmail.com

The present investigation describes the titrimetric analysis of naproxen tablet formulation by application of mixed solvency concept. Naproxen is very poorly water soluble drug and was solubilised using a blend (MSC). The British Pharmacopoeia method uses organic solvents for their solubilisation to carry out titration. Various organic solvents like chloroform, methanol, di-methylformamide and acetone have been utilized to solubilise poorly water soluble drugs for titrimetric analysis which have drawbacks like toxicity, high cost and environmental hazards. The solubility enhancement of naproxen was found 79 fold more as compared to distilled water. The % drug content in two types of marketed tablets was found close to 100 (99.42 ± 1.545 and 100.86 ± 0.947) indicating accuracy of proposed method. Percentage recovery estimated by the proposed method ranged from 98.33 ± 1.299 to 100.11 ± 1.767, which are very close to 100. Recovery studies and low value of standard deviation, percentage coefficient of variation, and standard error validate the proposed method. The primary goal of this study was to preclude the use of organic solvent and to employ mixed solvency concept for the analysis. The proposed method is novel, simple, accurate, precise, eco-friendly and utilise economical analytes and can be used for analysis purpose of naproxen tablet.

PA-10

Design and Evaluation of Pictograms on Medication Labels Targeted at Patients with Low Literacy Skills in India

Patel Shruti, Shah Shreya,

Institute of pharmacy, Nirma University

10bph042@nirmauni.ac.in

Much of the population in India and other Asian countries region is unskilled and many are illiterate, especially in the languages of medical communication, i.e.English. The International Labor Office and the World Health Organization strive to ensure that workers have access to sustainable health and social support.Medicine labels in India are written in English, which the majority of laborers and workers in the country are unable to understand English.To design, develop and evaluate medicine labelminstructions using pictorial illustrations for use among low literacy patients whose native language was in English. Fifty label instructions were identified and 11were chosen for the study. Of the 123 male participants, 32.5% were in the usual care group, 38.2% in the pictogram-only group, and 29.3% in the pictogram and verbal instructions. Participants in the 3 groups were similar in their sociodemographic characteristics and literacy levels.there were statistically significant differences in comprehension between the 3 groups ($p < 0.05$) for all labels, except one.A post-hoc analysis (using Turkey HSD) showed statistically significant differences between group A (current practice) and B (pictogram only), group B and C (pictogram plus verbal), but not group A and C. However, comprehension of verbal instructions supported by pictorials (Group C) was consistently superior to comprehension of labels with verbal instructions (no pictorials) OR labels with pictorials only (Group A and B, respectively).

PA-11

Development and Validation of RP-HPLC Method for Simultaneous Estimation of Metformin Hydrochloride and Sitagliptin Phosphate in Bulk and Combined Dosage Form

Deodhar Mihir, Maduskar Pooja, Shirode Abhay, Kadam Vilasrao

Bharati Vidyapeeth's College of Pharmacy, CBD Belapur, Navi Mumbai, Maharashtra

mihirdeodhar@rediffmail.com

A simple, accurate, precise and rapid reversed-phase high performance liquid chromatographic (RP-HPLC) method has been developed and subsequently validated forthe simultaneous estimation of Metformin Hydrochloride and Sitagliptin Phosphate in pureand tablet formulation. The proposed method was based on the separation of the two drugs inreversed-phase mode using HiQ sil C18HS (4.6mmø×250mm) analytical column. The mobile phase consists of phosphate buffer (pH adjusted to 4 using o-phosphoric acid): Methanol: Acetonitrile in the ratio of 50:30:20 v/v/v was selected. Flow rate was kept at 0.8ml/min. The detection was carried out at 253nm. The drugs-Metformin Hydrochloride and Sitagliptin Phosphate were retained at3.2minutes and7.5minutes respectively. The method was statistically validated for system suitability, accuracy, precision, linearity, and robustness. It was found to be accurate, reproducible and Linear in the concentration range of 10-100 µg/ml for both the drugs. The proposed method can be conveniently applied for the simultaneous estimation of Sitagliptin phosphate and Metformin hydrochloride from their combined dosage forms.

PA-12

Development and Validation of HPLC Method for Simultaneous Estimation of Flunarizine Dihydrochloride, Domperidone and Paracetamol in Combined Solid Dosage Form

Chaudhary Komal[1], Patel Prutha[1], Trivedi Priti[2], Mehta Priti[1]

[1]Institute of Pharmacy, Nirma University, Ahmedabad.

[2]K.B. Institute of Pharmaceutical education & Research

lakhlankomal@gmail.com

A simple, rapid and precise reversed-phase liquid chromatographic method was developed and validated for simultaneous determination of Flunarizine dihydrochloride, Domperidone and Paracetamol in combined pharmaceutical dosage form. HPLC method on an Eclipse XDB C-8 column (150 × 4.6 mm i.d), 5 µm with mobile phase acetonitrile and water (90: 10 v/v) was used. The proposed method had set at a flow rate of 0.8 mL/min; the column temperature was 25ºC and detector wavelength was 210 nm. The sample concentration was measured on weight basis to avoid the internal standard. The calibration curves showed good linearity over the concentration range of 4-6 µg/mL for Flunarizine, 8-12 µg/mL for Domperidone and 400-600 µg/mL for Paracetamol, correlation coefficient was >0.995 in each case. Accuracy was observed within 75%-115%. Recoveries were observed for Flunarizine dihydrochloride, Domperidone and Paracetamol ranged from 99.48–101.33%, 100.96–102.05% and 99.08–102.58% respectively. Accuracy was observed within 80%–120% for all three analytes. This HPLC method can be applied successfully for the estimation of Flunarizine dihydrochloride, Domperidone and Paracetamol in bulk drug and in pharmaceutical formulations.

PA-13

Analytical Method Development for Simultaneous Estimation of Atorvastatin Calcium and Sitagliptin Phosphateby RP- HPLC Method

Gandhi Parikshit, Dhande Swati, Kadam Vilasrao.

Bharati Vidyapeeth's College of Pharmacy,

C.B.D Belapur, Navi Mumbai. Maharashtra

gandhiparikshit@gmail.com

Analytical methods are made to establish the identity, purity, physical characteristics and potency of the drugs. Methods may also support safety and characterization studies or evaluations of drug performance.New analytical high-performance liquid chromatographic (HPLC) method for the simultaneous estimation of atorvastatin calcium and sitagliptin phosphate was developed. The proposed method was based on the separation of two drugs in reversed phase mode with the help of HiQSil C-18 HS (4.6mm ø 250 nm) analytical column. Both the drugs were detected with the help of UV detector at the wavelength of 253 nm. The current method was validated according to the ICH guidelines for Linearity, Accuracy and Precision, System stability, Detection and Quantification and Percent recovery etc.

PA-14

Quantitative Titrimetric Analysis of Bulk Drug Sample of Naproxen Using Mixed Solvency Concept

Tomar Sudarshan Singh, Maheshwari R.K., Kasera Sourabh

Department of Pharmacy, Shri G. S. Institute of Technology and Science,Indore

tomar.sudarshan@yahoo.in

The present paper describes the titrimetric analysis of bulk sample of naproxen by application of mixed solvency concept. Naproxen is very poorly water soluble drug and was solubilized using a blend of solubilizers 5% sodium benzoate, 5% PEG 300, 5% PEG 6000, 5% Propylene Glycol, 5% Niacinamide(MSC1). The British Pharmacopeial method uses organic solvent methanol for its solubilization to carry out titration. Various organic solvents like acetone, chloroform, methanol, dimethylformamide have been utilized to solubilize poorly water soluble drugs for their titrimetric analysis which have drawbacks like toxicity, high cost, and environmental hazards. The solubility of naproxen enhanced to more than 75 fold as compared to solubility in distilled water. The % drug content of naproxen by British pharmacopoeia and proposed method was found to be 97.81% and 99.06% respectively. The standard deviation, % RSD and standard error for the proposed method of naproxen using blend solution were found to be 1.626, 1.641 and 0.939 respectively. The primary objective of this study was to preclude the use of organic solvent and to employ mixed solvency concept for thetitrimetric analysis. The proposed method is novel, simple, accurate, precise, eco-friendly and use economical analytes so it can be used for naproxen for routine analysis purpose.

PA-15

Development and Validation of RP-HPLC and HPTLC Methods for Simultaneous Estimation of Metformin Hydrochloride and Vildagliptin in Bulk and Marketed Formulation

Maduskar Pooja1, Deodhar Mihir[1], Shirode Abhay[1], Kadam Vilasrao[1]

1Bharati Vidyapeeth's College of Pharmacy, CBD Belapur, Navi Mumbai, Maharashtra

pooja.maduskar65@gmail.com

Two different analytical methods were developed and validated for simultaneous estimation of Metfomin Hydrochloride and Vildagliptin in bulk and their marketed combined dosage form. The first method was based on reversed-phase high performance liquid chromatographic (RP-HPLC) mode using variable wavelength detector and HiQ sil C18HS (4.6mmø×250mm) analytical column. The mobile phase consists of phosphate buffer (pH adjusted to 6 using 3M KOH) : Methanol : Acetonitrile in the ratio of 50:30:20 v/v/v. Flow rate was kept at 0.8ml/min. The drugs- Metformin Hydrochloride and Vildagliptin were retained at 3.7minutes and 4.8minutes respectively. The method was found to be linear in concentration range of 10-80 µg/mL for both drugs. The second method, high performance thin layer chromatography (HPTLC) was developed using Camag HPTLC system. Silica Gel 60GF254 preoated TLC plates were used as stationary phase. The mobile phase was ammonium acetate in methanol (1% w/v): Toluene; (10:0.5). The detection of spots was carried out densitometrically using a UV detector at 214 nm in absorbance mode. The Rf value for metformin hydrochloride and vildagliptin was found to be 0.44 and 0.55.The calibration curve was found to be linear between 1000-5000 ng/spot and 500-2000ng/spot for metformin hydrochloride and vildagliptin respectively. Both of these analytical methods were statistically validated for system suitability, accuracy, precision, linearity, and robustness. These RP-HPLC and HPTLC methods can be easily adopted for simultaneous estimation of Metfomin Hydrochloride and Vildagliptin from human plasma.

PA-16

Chemometric Assisted UV Spectrophotometric Methods for Simultaneous Estimation of Metoprolol Succinate and Olmesartan Medoxomil in Combined Dosage Form

Patel Vaishali[1], Shah Zarna[2], Patel Rajendra[1], Makwana Ashlesha[1]

[1] K. B. Institute of Pharmaceutical Education and Research, Gandhinagar, Gujarat, India

[2]Rofel Shri GM Bilakhia college of Pharmacy, Vapi, Gujarat, India

vaishu.patel1991@yahoo.in

Two chemometric assisted UV spectrophotometric methods were proposed for simultaneous estimation of Metoprolol succinate and Olmesartan medoxomil in their combined dosage form without any chemical pretreatment. Spectra of Metoprolol succinate and Olmesartan medoxomil were recorded at several concentrations within their linear range using methanol as solvent. Absorbance matrix was produced by measuring absorbance at 17 wavelengths in the spectrum region between the 220 nm to 300 nm at 4 nm wavelength interval. Classical least squares and Inverse least squares were used for chemometric analysis of data and parameters of chemometric procedure were optimized. Root Mean Square Error of Prediction (RMSEP) value that summarize both accuracy and precision were found 0.0952(Classical least squares) and 0.01180(Inverse least squares) for METO and 0.1104 (Classical least squares) and 0.1040 (Inverse least squares) for OLME. Both Classical least squares and Inverse least squares were successfully applicable to marketed formulations with no interference with excipients. The proposed methods are rapid, precise, accurate, economic and easily used in industry and academic institute.

PA-17

Devlopement and Validation of a Stability Indicating HPTLC Method for Simultaneous Estimation of Fluocinolole, Acetonide and Miconazole Nitrate in Ointment

Bhavsar Krishna B, Patel Archita J, Patel Jigar B, Marwada Kuldeep R.

K.B.Institute of Pharmaceutical Edu. & Res. Sector-23, Near GH-6, Gandhinagar.

bhavsarkrishna92@gmail.com

High performance thin layer chromatography (HPTLC) methods were developed for simultaneous determination of Fluocinolone acetonide & Miconazole nitrate in ointment dosage form. Fluocinolone acetonide is a steroidal drug & Miconazole nitrate is an antifungal azole. The combination of these drugs has a highly beneficial effect on dermatological inflammatory disorder associated with fungal infections. This present manuscript describes a simple, specific, accurate, prescribe, robust & stability indicating HPTLC method has been developed & validated for the simultaneous estimation of Fluocinolone acetonide & Miconazole. Chromatography was performed using pre-coated silica gel aluminium plate 60F254, (10 ×10 cm) as stationary phase and n- Hexane: Ethyl acetate (1:9, v/v) as mobile phase. Detection was carried out at 254 nm. The RF value for Miconazole nitrate and Fluocinolone acetonide was found to be 0.46 and 0.64 respectively. The optimized conditions develop showed a linear response from 200-700 ng/spot (r2 =0.983) for Fluocinolone acetonide and 40000-140000 ng/spot (r2 =0.990) for Miconazole nitrate. The study involved observation on degradation products formed under different stress condition. The developed method successfully separated drug substances from degradation products formed under various stress conditions.

PA-18

Development and Validation of UV Spectrophotometric and HPTLC Methods for Simultaneous Estimation of Tapentadol Hydrochloride and Paracetamol in Their Combined Dosage Form

Patel Vaibhavi, Shah Bonny, Dadhaniya Tejas, Mehta Priti

Institute of pharmacy, Nirma University Ahmedabad, Gujarat, 382481.

13mph312@nirmauni.ac.in

Two accurate and reproducible methods are presented for the quantitative determination of Tapentadol hydrochloride (TAP) and paracetamol (PCM) in their combined dosage form. Two methods are UV spectrophotometric method and High Performance Thin Layer Chromatography method. The UV Spectrophotometry is based on the simultaneous Equation method for the determination of TAP and PCM at the wavelengths 237.5nm and 256nm each respectively. Both the drugs follow the Beer-Lambert's law over the concentration range of 1-5µg for TAP and 2-22µg for PCM.The second method is based on separation of drugs by HPTLC followed by densitometric measurement of their spots at 274nm. The separation was carried out on HPTLC aluminium sheets of silica gel 60F254 using chloroform: ethanol: glacial acetic acid: dilute ammonia (8.0:2.0:15.0:0.15 v/v/v/v) as mobile phase. The linear regression analysis was used for the regression line in the range of 450-750ng/spot for TAP and 500-3500µg /spot for PCM, respectively.This system was found to give compact spots for TAP and PCM, after development. Both these methods have been successively applied to the marketed pharmaceutical formulation. No interference from the tablet excipients were found. Both the methods were validated according to ICH guidelines in terms of accueacy, precision, specificity, robustness, limit of detection and limit of quantitation.

PA-19

Characterization of Pharmaceutical Co-Crystals

Shah Vidhi , Shah Kunti, Parmar Vijay

Ramanbhai Patel College of Pharmacy, CHARUSAT, Education campus Changa, Ta:Petlad, Dist. Anand, Gujarat, India - 388421

vid2205@gmail.com

Both innovator and generic pharmaceutical companies are spending considerable efforts and resources on the discovery of new forms of their APIs but due to poor biopharmaceutical properties very less number of the APIs are coming into the market. Solubility plays a key role for the same. Pharmaceutical co-crystals has been explored widely since last few years because of their advantage over intellectual properties and their ability to overcome the issues related to the solubility which may ultimately help to increase the dissolution as well as the bioavailability of the poorly water soluble compounds. As the preparation of co-crystals is important, the analytical characterization plays a key role in the development of the pharmaceutical co-crystals. Because only analytical techniques can help one to inferred that whether the solid state transformation has occurred or not after co-crystallization. For this various analytical techniques are used like Melting point, FTIR, PXRD, SXRD, SS-NMR, Raman spectroscopy, etc. that helps to identify the co-crystals. Other analytical techniques like TGA, DSC, PAT for in situ as well as NIR techniques for characterization of co-crystals are also being explored widely in recent years. The determination of the drug content, impurities, etc. in co-crystals are often carried out by UV and by chromatography. Representative examples are commented in detail to illustrate the characterization strategies.

PA-20

Stability Indicating RP-HPLC Assay Method for Terbinafine Hydrochloride in Bulk and Tablet Dosage Form

Bhayani Dhara[1], Desai Richa[1], Patel Nrupesh[1], Mehta Priti[1]

Department of Pharmaceutical Analysis, Institute of Pharmacy[1],

Nirma University, S.G Highway, Ahmedabad-08, Gujarat1

bhayanidharaa@gmail.com

A stability indicating assay method has been developed and validated for determination of Terbinafine hydrochloride in bulk drug. The separation was accomplished on an Inertsil C8 (150mm* 4.6mm; 5µm) column under isocratic mode using mobile phase consisting of phosphate buffer pH 7: ACN: Methanol (18.75:32.5:48.75, v/v/v) with the flow rate of 1.2 ml/min. PDA detector set at 280 nm was used for detection. The method was validated in terms of accuracy, precision, reproducibility according to ICH guidelines. Forced degradation of Terbinafine hydrochloride was also carried out under thermal, photo, acidic, alkaline and peroxide conditions. It was concluded that Terbinafine hydrochloride degrades in oxidative and photolysis condition. The method is simple and accurate as it is able to separate the degradation products from the API. Thus the method can be used for routine analysis of the Terbinafine hydrochloride.

PA-21

Advances in Pharmaceutical and Biological Analysis Using Molecularly Imprinting Technology

Christian Mona C., Suhagiya B. N.

Arihant School of Pharmacy & Bio-Research Institute, Adalaj, Gandhinagar

eva.bhuri@yahoo.co.in

Molecularly imprinted polymers (MIPs) has emerged as most valuable concept in the field of molecular recognition techniques in recent decade. The separation and quantitation of analyte in complex matrices with good recovery is the challenging problem in bio-pharmaceutics and determination of analyte with degradation products. MIPs offers to solve these problems with their unique physical and chemical properties in addition to selectivity for the analyte of interest. The present review focuses in detail regarding methods of preparation, properties and its various application fields like chemical sensing, analytical method development, drug delivery and catalysis. In contrary MIPs suffers from some disadvantages like scalability for industrial application and lack of available biocompatible polymers etc. but its benefits prevail over some weakness of these wonderful analytical tool. Although MIPs has offered significant advantages in the analytical field, its potential is not yet fully recognized and there is further scope for research and investigations in this area. Molecularly Imprinting Technology (MIT) will speed up the development process of new MIPs and allow the introduction of novel separation and extraction phases.

PA-22

Implementation of Quality by Design for Pharmaceuticals

Shirgaonkar Snehal[1], Ingale Ashvini[1], Bhitre Milind[1], Bhanage Bhagyashree[1],

[1]C. U. Shah College of Pharmacy, S.N.D.T. Women's University, Juhu, Santacruz (W). Mumbai- 400049

snehalshirgaonkar@gmail.com

Quality by Design (QbD) is a new concept for drug development process. The concept promotes transfer of quality throughout the development. Quality by design allows more flexibility in drug development and regulatory approach. We can understand and optimize, how designing and manufacturing of product may affect the product quality and its effectiveness and how the products safety and effectiveness will affects its quality. Under this concept of QbD throughout designing and development of a product, it is very important to define desire product performance profile [Quality Target Product Profile (QTPP)] and identify critical quality attributed (CQA). On the basis of this we can design the product formulation and process to meet the product attributes. This leads to identify the effect of raw materials CMA and CPP on the CQAs and identification and control sources of variability.

PA-23

Biosensors for Protein Analysis

Shah Kavina, Vekariya Rashmi, Kothari Charmy

Institute of Pharmacy, Nirma University, Ahmadabad, Gujarat

kavinashah99@gmail.com

A biosensor, analytical device,which is used for the detection of an analyte that combines a biological component with a physicochemical detector. Biosensors typically consist of bio-recognition component, biotransducer component and electronic system which include a signal amplifier, processor, and display. The recognition component is called as bioreceptor which uses biomolecules from organisms or receptors modeled after biological systems to interact with the analyte of interest. This interaction is measured by the biotranducer which gives a measurable signal proportional to the presence of the target analyte in the sample. Biosensors are used for estimation of toxic substances before and after bioremediation, protein engineering, detection of extremely low bacterial concentrations quickly, easily and reliably like typhus-inducing *Salmonella typhi*, diagnosis of infectious diseases before symptoms appear like for early diagnosis of sleeping sickness, in diabetes patients glucose monitoring, other medical health related targets, environmental applications e.g. the ddetection of pesticides and contaminants present in river water such as heavy metal ions, airborne bacteria by remote sensing for e.g. in counter-bioterrorist activities, pathogens detection, estimation of organophosphate, analysis of folic acids, biotin, vitamin B12 and pantothenic acid, drug discovery and evaluation of biological activity of newer compounds.

PA-24

Evaporative Light Scattering Detection in Application to Lipid Separation by HPLC

Aal Limbesh, Shah Arpit Sen, Dhrubo Jyoti , Badmanaban R

Department of Quality Assurance & Pharmaceutical Chemistry, Shri Sarvajanik Pharmacy College, Gujarat Technological University, Arvind Baug, Mehsana-384001, Gujarat.

limbesh17@gmail.com

It is well recognized that Evaporative Light Scattering Detection (ELSD) can outperform traditional detectors when analyzing non-chromophoric samples by HPLC as the detection method does not rely on the optical properties of the analyte. Furthermore, an ELSD detects all compounds less volatile than the mobile phase and, with advanced design features for low temperature operation, the benefits of ELSD compared to UV or refractive index detection now apply to an even wider range of HPLC applications. ELSD is mainly work on the principle of Nebulisation, Evaporation, and Detection. It is found that some analyte could not be distinguished from the evaporated mobile phase background when ELSD temperatures exceed the melting point of the compound though useful for many application and a particular interested for compound that are weak chromophore. Some lacks the “chromospheres” groups for detection; it is very difficult to measure the lipids sample by LC-MS, UV-VIS but these can be detected by ELSD. Many Biomolecules like oligosaccharides, triglycerides can be easily detected and analysed by ELSD. ELSD reflects extremely small footprint to maximize available bench space by Wide gradient compatibility, no limitation on choice of solvents, High sensitivity, extremely low limits of detection (LoD), Wide application range to cover very low to high molecular weight compounds, Low dispersion, minimal peak broadening for maximum resolution, Rapid equilibration, fast set-up and high productivity, Advanced, easy to use instrument control with built-in safety.

PA-25

Simultaneous Estimation of Chlorpyriphos and Profenophos Pesticides in bulk Standard by First Derivative UV-Spectroscopy

Kothari Charmy, Dave Harshit

Department of Pharmaceutical Analysis, Institute of Pharmacy, Nirma University, Sarkhej-Gandhinagar Highway, Ahmedabad-382481, Gujarat, India

charmy.kothari@gmail.com

Chlorpyriphos and prophenophos are broad spectrum pesticides, which are widely; use to protect different vegetables and fruits from pests. The objective was to develop a UV method for simultaneous estimation of both Pesticides. In UV spectrophotometry, first order derivative spectroscopy was developed. The amplitude of chlorpyriphos and prophenophos was measured at wavelength 277 nm and 288.5 nm, respectively. Both the Pesticides were showing considerable absorbance at their respective wavelengths. The calibration curve for chlorpyriphos was found to be linear in the range of 6-16 µg/ml for (r2=0.9979). Prophenophos showed linear response in the range of 6-16 µg/ml (r2=0.9993). Developed method was validated according to the ICH guidelines. Result of all the parameter was found within limits. The proposed method was accurate, precise, sensitive and cost-effective, that can be successfully used to determine level of both pesticides in fruits and vegetables simultaneously.

PA-26

Development and Validation of High Performance Liquid Chromatography Method for Simultaneous Estimation of Flunarizine Dihydrochloride, Domperidone and Paracetamol in Combined Solid Dosage Form

Soni khushbu[1], Prajapati Monika[1], Patel Prutha[1], Trivedi Priti[1]

[1]K. B. Institute Of Pharmaceutical Education & Research, Gandhinagar, Gujarat.

sonikhushbu02@gmail.com

High performance liquid chromatography method was developed for simultaneous determination of flunarizine dihydrochloride, domperidone and paracetamol. The present manuscript describes simple, sensitive, rapid, accurate, precise and economic method for simultaneous determination of flunarizine dihydrochloride, domperidone and paracetamol in combined tablet dosage form. The utility of development and validation of analytical method for simultaneous estimation is its ability to calculate unknown concentration of components of interest in a mixture. The method is based on the HPLC analysis of three drugs using methanol as solvent. Chromatography was performed on Agilent, Eclipse XDB C8 column (150 x 46 mm) using acetonitrile:water (90:10 v/v) as mobile phase. The retention times of flunarizine dihydrochloride, domperidone and paracetamol were found to be 1.908, 2.958, 4.458 min, respectively. Developed HPLC method was found to be linear in the range of 4-6 µg/ml, 8-12 µg/ml and 400-600 µg/ml for flunarizine dihydrochloride, domperidone and paracetamol respectively. The % recoveries were found to be 99.98%, 100.98%, 102.58% for flunarizine dihydrochloride, domperidone and paracetamol respectively. The developed analytical methods did not show any interference of the excipients when applied to pharmaceutical dosage form.

PA-27

Sensor: Advance Analytical Tool in Health Care

Bhavsar Hemal[1], Kothari Charmy

Nirma Institute of Pharmacy, Ahmedabad, Gujarat

bhavsarhemal@ymail.com

A sensor is a converter that measures a physical quantity and converts it into a signal which can be read by an observer or by an instrument. Use of sensors in the healthcare sector was increased by the continuous need for advancements in healthcare. Sensors are electronic devices or equipment which is use to "sense" and "monitor" temperatures, pressures, position and biological levels of patients and drugs. Chemical sensor is use in optical techniques for environmental monitoring, biochemical sensing and industrial process control. For the detection of chemicals, biomolecules and microorganisms Quartz crystal microbalance (QCM)-based sensors are widely employed. Heavy metals are detected by use of electrochemical sensors. Biosensors are used for detection of ovarian cancer and determination of neurotransmitter. Combination of chemical sensor and biosensor is beneficial in chemistry, food technology, environmental and information processing. In phonocardiography application like remote diagnostics, self-diagnosis, for critical care and in sports training wireless sensors monitor heart sounds specially in high population area. Paper-based sensors are a new alternative technology for making simple, low-cost and disposable analytical devices which are use in the field of diagnosis, quality control and environmental monitoring.

PA-28

Determination of Genotoxic Impurities in Pharmaceuticals

Sharma Raj, Darwhekar G., Rathore Amit, Mane Ankita

Acropolis Institute of Pharmaceutical Education and Research, Manglia Square, Bypass Road, Indore (M.P.) – 453771

rajsharma@acropolis.edu.in

In pharmaceutical product, impurities are outlined as substances that give no therapeutic profit, however do have the potential to cause adverse effects. Therefore, impurity levels should to be controlled such that pharmaceutical product are sufficiently safe to be administered to humans.

According to ICH Guideline, impurities associated with drug substances are often classified into 3 main categories: Organic impurities; Inorganic impurities; Residual solvents. Within these classes, genotoxic impurities outline a special case that possess a major safety risk, even at low concentrations, as a result of they will be mutagenic & are so potentially damaging to DNA. As a result they'll cause mutations or cause cancer. The ICH has published a draft guideline to investigate the determination & the management of DNA reactive (mutagenic) impurities in medicinal products. The guideline is entitled "M7: Assessment & Control of DNA Reactive (mutagenic) Impurities in Pharmaceutical to Limit Potential Cancer causing peril". The active pharmaceutical ingredients & medicinal product are included under the scope of ICH guideline throughout their clinical development. The default risk management approach for a genotoxic impurity is the threshold of pharmacological concern unless a lot of specific risk characterization is suitable. It includes recent regulatory developments like the "staged threshold of pharmacology concern" once administration is of short period (for e.g throughout clinical trials).

PA-29

Development and validation of UV Spectrophotometric and RP-HPLC Method for Simultaneous Estimation of Levofloxacin and Loteprednol in Their Combined Pharmaceutical Dosage Form

Pandya Khevana, Solanki Ravisinh, Patel Nrupesh , Mehta Priti

Institute of Pharmacy, Nirma University

Ahmadabad, Gujarat

13mph305@nirmauni.ac.in

A sensitive, rapid, accurate and precise absorption ratio method and specific HPLC method has been developed for concurrent estimation of Levofloxacin and Loteprednol in combined dosage form. Ratio of absorbance at two selected wavelengths was calculated. First wavelength is absorption maxima of respective drug and second wavelength is isoabsorptive point at which both drugs give same absorbance. Levofloxacin shows absorbance maxima at 298.5 nm and Loteprednol shows absorbance maxima at 237.5 nm in methanol. The isoabsorptive point of Levofloxacin and Loteprednol was found to be at 269.29 nm. Linearity was constructed in the concentration range of 5-25 µg/ml. Promising values of correlation coefficient for Loteprednol (R2 =0.9984) and Levofloxacin (R2 = 0.9990) proves that method is linear. Chromatography was developed using Zorbax C8 column (250 × 4.6 mm, 5 µm) with Acetonitrile: ammonium acetate buffer at pH 3.1 by glacial acetic acid as mobile phase. Wavelength used was 269 nm. The gradient system was applied to separate both the drugs. Linearity was constructed in the concentration range of 75-375 µg/ml for Levofloxacin and 25-125 µg/ml for Loteprednol etabonate. Promising values of correlation coefficient for Loteprednol (R2 = 0.997) and Levofloxacin (R2 =0.999) proves this method is linear. Both these methods were successfully validated in terms of various validation parameters as suggested by ICH guidelines.

PA-30

Stability Indicating RP-HPLC Method Development and Validation of Metformin HCl and Linagliptin in Bulk and Tablet Dosage Form

Bagada Hina L, Patel Grishma, Patel Rajeshri

Department of Pharmaceutical Sciences, Saurashtra University

bagadahina@gmail.com

RP-HPLC method was developed for the simultaneous estimation of Metformin HCl and Linagliptin in pure and tablet dosage form. This stability indicating RP-HPLC Method was very simple, rapid and accurate. The proposed HPLC method was performed using Shimadzu HPLC system on HiberR C-18 columnsusing a mixture of Methanol: Potassium Dihydrogen Phosphate buffer (60:40 v/v) mobile phase with pH adjusted to 4.0 in a gradient elution mode at a flow rate of 1 mL/min. The detection was carried out at the wavelength 235 nm. The retention time of Metformin HCl and Linagliptin was found to be around 3.60 min and 5.78 min, respectively. The RP-HPLC method was statistically validated for all validation parameter and for Satbility study. Assay and recovery studies of the Tablet dosage containing Matformin and Linagliptin form were also carried out and analyzed. The % Relative Standard Deviation (RSD) from recovery studies was found to be less than 2. The specificity of the RP-HPLC method was ascertained by forced degradation studies by various Environmental Factors Like acid, alkali hydrolysis, oxidation and thermal degradation. The degraded products of both drugs were well resolved from the analyte peak with significant differences at their Retention time values.These Method can be applied successfully for the estimation of Linagliptin and Metformin in bulk drug and in tablet dosage form.

PA-31

Stability Indicating RP -HPLC Method for Estimation of Tiapride Related Substance in Tablet Formulation

Jwal Doctor, Joshi Dharmin, Patel Nrupesh, Mehta Priti

Institute of Pharmacy, Nirma University S.G Highway, Ahmedabad Gujarat India.

jwaldoctor@live.in

A simple, precise, accurate stability-indicating isocratic reverse phase High-performance liquid chromatographic (RP-HPLC) method was developed for the quantitative estimation of impurities which are present in Tiapride Tablets .The present method developed using Inerstil C8 (250 × 4.6 mm, 5µ), column with Mobile Phase used in the method was Mixture of 800 Volume of KH2PO4 Buffer (pH 2.7) *, 50 Volume of Acetonitrile & 150 Volume of Methanol. The Column eluted was Monitored at 240 nm. The retention time of Tiapride Was found to be 9.01 min. Tiapride was subjected to various stress Condition to obtain Degradation product. The Developed was obtain to Resolved Degradation product from Tiapride API. The providing method to be Stability indicated. The Developed Method was subjected to Various Validation Parameter according to ICH Guideline.

PA-32

Development and Validation of RP-HPLC Method for Simultaneous Estimation of Triprolidine HCl, Phenylephrine HCl and Dextromethorphan HBr in Pharmaceutical Formulation

Yadav Priyanka, Parikh Nisha, Patel Jagdish, Panchal Hiral

Arihant School of Pharmacy & Bio-Research Institute, Gandhinagar, Gujarat.

ypriyanka09@gmail.com

A novel liquid oral spray containing Triprolidine HCl, Phenylephrine HCl & Dextromethorphan HBr, in combination used for Common cold, Allergic conjectivitis, Cough & Nasal decongestion. For simultaneous estimation of these three drugs RP-HPLC method was developed & validated. In RP-HPLC method, good resolution and separation of these drugs was achieved by using Hypersil Silica C18 (250×4.6 mm, 5 µm Particle Size) as stationary phase & Methanol : 0.03 M Sodium Acetate Solution (85:15, v/v) as mobile phase, run time 12 min. Retention time was found to be 4.9, 6.3 and 7.7 minutes for Phenylephrine HCl, Triprolidine HCl & Dextromethorphan HBr, respectively with a flow rate of 1 ml/min. Detection was carried out with UV detector at 220 nm. Linearity was observed in range of 62.5-187.5 µg/ml for Phenylephrine HCl, 15.625-46.875 µg/ml for Triprolidine HCl & 125-375 µg/ml for Dextromethorphan HBr. Correlation coefficient was found to be 0.9997 for Phenylephrine HCl, 0.9995 for Triprolidine HCl and 0.9996 for Dextromethorphan HBr. By Accuracy study, %Recovery was found to be in range of 100.07-100.37 %, 100.29-100.84% & 99.95-100.11% for Phenylephrine HCl, Triprolidine HCl & Dextromethorphan HBr, respectively. By Repeatability, Intra-day precision & Inter-day precision study, RSD was calculated & RSD was found less than 2. No interference of excipients was found during estimation of these drugs. The proposed method is found to be simple, rapid, specific, accurate, precise & sensitive.

PA-33

Vibrational Circular Dichroism and Its Application

Kamani Kaushik, Rana Divyaraj, Kothari Charmy

Institute of Pharmacy, Nirma Univeristy, Ahmedabad.

kamanikaushik@gmail.com

Vibrational circular dichroism is very useful technique to analyse the absolute configuration of biomolecules containing chiral centers. It is comparison of practically measured VCD spectra to the theoretically calculated VCD spectra. Absolute configuration can be achieve by comparing practically calculated VCD spectra to the theoretically measured VCD spectra using chiral molecules. Based on this result relation of theoretically measured and practically achieved spectra of IR and VCD can be checked. Raman spectroscopy is also useful technique for analyse the biological macromolecules like proteins etc. Newly introduced technique ROA (raman optical activity) is a combination of raman spectroscopy and the vibrational circular dichroism. This technique is used to identifying the optically active molecules. Compare to the other optical methods VCD responded to the signals obtained in this method. It is scientifically proved that IR/VCD (RAO) used to study of the chiral compounds. Still these techniques have some limitations that it is a complementary technique and advantage is that it is competing technique. Aim of this paper is by using these methods, making new models for research of much complicated molecular system.

PA-34

Development and Validation of HPTLC Method and Stability Indicating HPLC Method for Simultaneous Estimation of Montelukast Sodium and RupatadineFumarate in Bulk and Pharmaceutical Dosage Form

Jani Aashka, Vansjalia Dipesh, Jasoliya Jaldip

Department of Pharmaceutical Sciences, Saurashtra University, Rajkot

aashka_jgd@yahoo.co.in

A simple reverse phase liquid chromatography method has been developed and subsequently validated for the tablet dosage form. Mobile phase used consist of Methanol: Water (90:10)0.1%Triethyllamine, pH set to 3.41 with O-Phosphoric acid gave resolution of peaks and satisfied retention time in HPLC. C-18 (250mm × 4.6mm i.d with particle size of 5 µm) used with flow rate 1ml/min detection at 260nm. A simple HPTLC method has been developed and subsequently validated for tablet dosage form. The solvent system consisted of Methanol: Toluene:Ethylacetate:Amonia(3.5:3:7:0.3).In HPLC Retention time of RTN and MNKT were found at 4.9 & 11.57min, respectively. In Which Linearity for RTN and MNKT was found to be 31170X + 3786, R2= 0.996, and y= 34446X +44477, R2=0.999 in concentration range of 15-40µg/ml and 15-40µg/ml respectively. %Assay of RTN and MNKT was found to be 100.83 %w/v and 99.83 %w/vIn HPTLC Rf value were0.43 ±0.01 and 0.69±0.01 for MNKT and RTN respectively at 260nm. Linearity of MNKT and RTN was found to be Y=5.398x + 2650.435, R2=0.9967, Y=6.150x+2397.6, R2=0.997 respectively in concentration range of 900-1400ng/band. %Assay of MNKT and RTN was found to be 100.5 %w/v and 100.2%w/v. All other validation parameters were within criteria of ICH guideline for HPLC and HPTLC.

PA-35

Determination of Memantine Hydrochloride by a Simple and Accurate Spectrofluorimetric Method Using Opa B-Mercaptoethanol Derivatization in Human Plasma

Patel Mansi, Patel Nrupesh, Mehta Priti,
Kothari Charmy
Institute of Pharmacy, Nirma University
Ahmedabad, Gujarat
13mph308@nirmauni.ac.in

A Spectrofluorimetric method was developed and validated for Quantitative Determination of Memantine Hydrochloride in Human Plasma. Extraction was carried out using 5% TCA for Protien Precipitation followed by Liquid-Liquid Extraction with 5% IPA in n-hexane. For Determination Spectrofluorimetric Conditions used were, in synchronous mode delta value was applied to be 65(medium sensitivity mode),Wavelength used was 389nm.For Memantine Hydrochloride Linearity was found to be in the concentration range of 50-300ng/ml and the Correlation Coefficient (R2) was 0.9951,Percentage Recovery was 77.85%-83.68%. The added Advantage with the proposed method was found that it is simple,sensitive and easy to apply and requires relatively inexpensive instruments. The Proposed Method can be used for Routine Analysis, As Quality Control tool and for Quantitative Determination of Memantine Hydrochloride in Human Plasma.

PA-36

Comperative Evaluation of Regulatory Requirements for Functional Foods and Natural Health Products in Selected Developed Countries with Respect to India

Patel Rajeshri, Bhargav Shilu, Bagada Hina
Department of Pharmaceutical Sciences, Saurashtra University, Rajkot.
rajeshripatel.2504@gmail.com

Natural health products (NHPs) and functional foods are more popular now days. They are extensively used in the developed as well as developing countries, where in many places they offer a more widely available and more reasonable alternative to pharmaceutical drugs. Enhancement in use of herbal medicines took a part in consideration about professionalism of practitioners, and assessment of their quality, efficacy, safety and treatment methods and products from herbal and natural sources available in the market. Moreover, research has been focused on clinical and investigational medicine with respect to safety, efficacy, and mechanism of action and regulatory issues, to the general avoidance of public health dimensions. Globally, social, cultural, political, and economic status are mostly considered in public health research to maximize the contribution of NHPs and medicines in health care systems. The regulatory framework for NHPs and functional foods is presently under review. Currently, there is a need of mew system for proper regulation and registration of NHPs, traditional herbal medicines and functional foods will ensure that marketed products meet standards for quality and safety. The majority of public belief that herbal and natural products are safer than synthetic drugs. These can only be ascertained by imposing regulatory standards on these products that should be manufactured using these good practices. In present study, we include history, legislation, health claims, regulatory systems and requirements for registration of NHPs and functional foods in USA, UK, Canada, Japan and India.

PA-37

Application of Multi-dimensional NMR in Pharmaceutical Field

Rana Divyarajsinh, Kamani Kaushik, Kothari Charmy

Institute of Pharmacy, Nirma University, Ahmedabad.

divyarajrana1@gmail.com

Nuclear magnetic resonance (NMR) is an important technique to understand biological processes at the molecular level. Various multi-dimensional NMR techniques are used to identify components of bio-molecule. Some technique, like DOSY technique is useful to estimate wrong ingredient in the formulation. Now it is possible to take multi-dimensional spectra in only single scan with the use of recent approach, Ultrafast 2D NMR. With the use of 3D DOSY technique, it is possible to identify diffusion coefficient of individual constituent of mixture, when 2D DOSY spectra shows overlapping. Multi-dimensional NMR is a non-destructive technique. The sensitivity and efficiency of newer 3D BEST-DOSY technique is higher and has replaced standard 3D HMQC-DOSY NMR technique. In addition number of research is being done for the application of multi-dimensional NMR in pharmaceutical field.

PA-38

Biobetters: New Beat to Pharmaceutical Industries and Community

Rathore Amit, Darwhekar G.N, Mantry Piyush, Sharma Raj

Acropolis Institute of Pharmaceutical Education and Research, Mangliya Bypass Road, Indore (M.P.) – 453771

rathoreamit302@gmail.com

Biobetter means a recombinant protein drug that's within the same category as an already present biopharmaceutical however isn't identical; it's improved over the initial one. Biobetters are having a reduced side effect profile and further improvements can be done by studying folding impacts of protein on the effect of drug. The development of biobetters basically falls under three different categories viz. modification in chemistry, changes in the formulations, and novel drug delivery concepts. The major strategy used is the alteration in dosage form, as it possess a minimum risk and make the entry of product in the market comparatively easier. Entry of these products in the market requires clinical trials, which increase the scope for regulatory authorities. USFDA is developing a clinical trial pathway for these products. Biobetters are based on the success of existing approved products however are thought to be a less of conventional risk than developing a novel category of biologics. As the biobetters are newer drugs, companies can enjoy many years of market protection. As per today's economic climate and regulatory environment, many pharmaceutical and biotechnological industries are succeeding in biobetters. For instance Astra Zeneca invested a big amount in purchasing medimmune to focus on biobetters. Similarly many big industries like GSK, Aventis, and Merck etc. are showing interest in biobetters. More than a dozen companies in India are manufacturing biosimilar products. Biobetters are regulated by Biologics License Application (BLA) in USA. As the world needs a number of products now days it has now become a demand of time, so if a positive environment is created for biobetter, India will play a major role in the near future.

PA-39

Emergency Use Authorization of Medical Product

Patel Bhumi, Patel Rajvi, Mehta Priti
Institute of Pharmacy, Nirma University
Ahmadabad, Gujarat
13mph801@nirmauni.ac.in

Emergency use authorization (EUA) is a guideline given by US FDA in July 2007. EUA permits the use of unapproved drug or unapproved use of approved medical product (off lable use) during determined and declared emergency condition. EUA can avail the use of medical product (drug and vaccine) during the declared emergency. EUA provides best available counter measure to treat, prevent, or mitigate disease caused by biological, chemical or radiological threat, such as in swine flu attack in 2009. In case of EUA there is no need to follow any regulatory requirement. It also protects against any legal law suit for therapeutic result. EUA can remain in force for a limited time period or for a particular event after that it can be revoked.

PA-40

Regulatory Trajectory of Biomaterials

Hussain Aamir Mehtani Disha
Sri Aurobindo Institute of Pharmacy,
Ujjain Highway, Gram Bhavarasla, Indore, M.P.
aamir9098@gmail.com

Board are the substances which are systemically and pharmacologically inert. The most crucial factors that governs the success of biomaterials includes biomaterial properties, design, biocompatibility of the biomaterial, techniques used by surgeon to implant the biomaterial and also health condition of the patient. The increase in demand of biomaterials has led to a markable boom not only in industrial production , but also in funding for research purposes but the undesirable effects of biomaterials necessitates the exposure of biomaterials to various in-vitro and in-vivo challenges. The intent of this article is to provide the highlights of the regulations for biomaterials to prevent inadequately tested devices and materials from coming on the market, and to screen out individuals clearly unqualified to produce biomaterials. In addition, the article focuses on the testing methodologies related to the development of biomaterials. The evolution of the biomaterials field has paralleled the formation of its regulations that includes Medical Device Amendments, the Good Laboratory Practices regulations in 21CFR 58, the Good Manufacturing Practices regulations for medical devices, the Safe Medical devices Act, the FDA Modernization Act, Biomaterials Access Assurance Act, and the International Organization for Standardization's regulations. The current regulatory framework has proved to be enough stringent to control the biomaterials but, still there is a need of further exploration of regulatory guidelines and work on their proper implementation in order to rationalise the use of the existing biomaterials and to pave the way for the development of more useful biomaterials in future.

PA-41

New Biosimiliar Guidelines in India- A Critical Review

Shah Shreya, Vyas Vandana

Institute of pharmacy, Nirma University

10bph042@nirmauni.ac.in

The Indian pharmaceutical market is poised for an unprecedented market revolution with the introduction and gaining popularity of biosimiliarproducts. The looming patients expirations and an almost dried up lead pipelines, has forced even international players to switch their focus to biosimiliar products. While the introduction of biosimiliar can be good news to pharma industries, we need to consider whether we have required regulatory machinery in place to put safety of population on top of market returns of the companies. The recently introduced biosimiliar guidelines by central drug standard control organization (CDSCO) and department of biotechnology (DBT) is a strong step in this regard from government of India. The guidelines lay out the specific regulatory requirements that wish to market biosimilars in India. It is to be noted that unlike the generics, establishing the proof of identity of this biological molecules with conventional analytical tools is a formidable task, furthermore the toxicity, immunogenicity and other clinical safety parameters also warrants consideration. We also need to study the applicability and efficiency of the clauses and guidelines that have been adopted from other international agents with a view of socioeconomic and cultural background of our population and domestic pharma market so that a safe, efficient and transparent approval process is in place.

PA-42

An Overview: Generic Drug filing and Approval in USA & Europe

Tirmizi Ashma [1], Kinnari Akhani[1], Patel Priyank [1]

[1]K. B. Institute of Pharmaceutical Education & Research, Gandhinagar, Gujarat

ashma221191@gmail.com

The object of presentation is to give idea to those who want to know how to get approval for generic drugs in USA & Europe. For that, company has to file the application in prescribed manner. In USA, Application is submitted in form of ANDA (Abbreviated New Drug Application) to market generics which is evaluated by CDER (Center for Drug Evaluation & Research) & OGD (Office of Generic Drugs) of USFDA (US Food & Drug Administration). For generics there is no need to perform preclinical & clinical trials to prove safety & effectiveness of drug. Only Bioequivalence study is sufficient to establish safety & effectiveness of drug. For Bioequivalence, chemistry & labeling review process ANDA is submitted in form of electronic format to OGD. In Europe, EMEA (European Medicine Agency) evaluates the MAA (Marketing Authorization Application) & prepare opinion for MAA to carry forward it to European Commission. European Commission is the ultimate authority for approving MAA. If any query is found during process, EMEA provides guidance to companies in drafting MAA for generic drug approval. Applicant can submit MAA to EMEA in single application to market generics in Europe (decentralized procedure) or also in other European countries (centralized procedure) or in non-European countries (Mutual Recognition procedure).

PA-43

Counterfeit Medicines: Grave Menace to Global Health

James Vanessa, Patel Rajvi, Patel Nrupesh
Institute of pharmacy,Nirma University
Ahmedabad, Gujarat
13mph810@nirmauni.ac.in

WHO defines counterfeit medicines as "medicine which is deliberately and fraudently mislabeled with respect to identity and/or source". These medicines may contain few or no active ingredients and some are actively harmful. Some may contain enough active ingredients which affect the disease but does not eliminate it contributing to growth of drug resistance. These drugs give huge profits to manufacturers and distributors. More than 15% of all pharmaceuticals in the global supply chain are counterfeit and in parts of Asia and Africa it exceeds 50%.The problem exists both in developing as well as developed countries. USFDA warned counterfeit versions of Roche's Avastin and other cancer drugs from overseas supplier. This was a alarm that the counterfeiting was not confined to lifestyle drugs like Viagra or Cialis. Counterfeit drugs pose a threat to society in terms of serious side effects and even to public in terms of trade relations, economic implications and global pandemics. The problem has reached global dimension. Intersectoral cooperation between regulatory authorities for effective control of national drug market and enforcement of drug regulation should be prime consideration. The ultimate goal is to ensure genuine and affordable medicines worldwide.

PA-44

An Overview – Current Regulations for Nano-Formulations

Shah Darshi, Parikh Dhaivat, Mehta Tejal
Department of Pharmaceutics
Institute of Pharmacy, Nirma University,
Ahmedabad, Gujarat
darshi_17391@yahoo.com

Nanotechnology is the science of converting materials into very small scale such that they cannot be observed with simple regular microscope; however, the small size provides broad range of pharmaceutical applications due to improvement in total surface area leading to penetration in deeper biological sites.The size for the nano-technology based products may range from 1 nm to 100 nm; however the upper limit for the products has always been a debatable issue between pharmaceutical industry and regulatory bodies. The small size of the formulation may exhibit the weird behaviour systemically due to high penetration power into deeper tissues, hence, the detailed study of such formulation becomes upmost critical from regulatory aspect during approval of product before launching into market. Till date, the newer nanotech products were approved based on one-to-one basis due to unavailability of official guidelines, which demands the need to design and implement newer regulatory guideline – which should balance the criteria related to safety of patient and entry of innovative products to market by pharmaceutical industry. Recently, FDA has floated the draft for industry in 2011 for comments and recommendations by pharma industries and research scientists. The review would focus on pros and cons of draft guidelines based on the comments by eminent personalities of pharma profession. The review would help formulation scientist to take necessary precautions from regulatory point of view i.e. selection of solvent, incorporation of toxicity studies, etc., before designing the newer nanotech based formulations.

PA-45

Development and Validation of RP-HPLC Method for Simultaneous Estimation of Memantine Hydrochloride and Donepezil Hydrochloridein Tablet Dosage Form Using OPA β Mercaptoethanol Prederivatization

Shah Jinal, Patel Nrupesh, Mehta Priti, Kothari Charmy

Institute of pharmacy, Nirma University,Ahmedabad.

13mph304@nirmauni.ac.in

A basic simple elementary liquid chromatography method was carried out for the determination of anti-Alzheimer drugs such as memantine hydrochloride and donepezil hydrochloridein in their combined pharmaceutical dosage forms. The methods include derivatization of MEM with OPA-β mercaptoethanol. The reason for carrying out derivatization is MEM has less chromophoric group. Chromatographic separation was achieved by injecting 20 uL of the solution into a JASCO HPLC system with PDA detector using a ACE C18 column (150mm x4.6mm i.d. 5um). The mobile phase consists 80% acetonitrile and 20% phosphate buffer (pH-7) solution and flow rate of 1ml per min. The elution time of MEM and DH was respectively8.6 and 3.5 min and PDA detection was at 326 nm.The linearity obtained was in the range of 5-25 ug/mlwith R2 0.09988 and 0.9997 respectively for MEM and DH were successfully analyzed using the developed method. High percentage recovery shows that there is no interference of excipients in the dosage form. The developed method was found to be selective and rapid for simultaneous estimation of MEM and DH in their combined dosage form.

PA-46

The Patch Clamp Technique: A Review

Patel Nrupesh R.

Department of Pharmaceutical Analysis, Institute of Pharmacy, Nirma University, Sarkhej-Gandhinagar Highway, Ahmedabad-382481, Gujarat, India

nrupesh.patel@nirmauni.ac.in

Cells are packed with nano-scale structures as components of most cellular organelles have dimensions in the nano-scale range. It is always fascinating to know how these nano-scale structure functions in-vivo. Various techniques are developed by researchers collectively known as Electrophysiological techniques. In this review emphasis is given on patch clamp technique used for measurement of potential of various membranes like neurons, cardiomyocytes, muscle fibers etc. The patch-clamp technology offers a wide range of applications in the field of biology and in the medical research. Most cell-types of clinical matter concerning biophysical investigation became accessible with it. Numerous inherited diseases were due to a faulty channel-function. An attempt has been made in this review for explanation of working principle, construction and recent advances in field of Patch Clamp Technique.

PA-47

Intellectual Property Rights for Natural Medicines

Mantry Piyush, Darwhekar G., Rathore Amit, Sharma Raj

Acropolis Institute of Pharmaceutical Education and Research, *Manglia Square, Bypass Road, Indore (M.P.) – 453771*

piyushmantry@acropolis.edu.in

Natural products are gaining popularity to a great extent in different parts of the world, as people now prefer natural alternatives in spite of synthetic drugs. The Dietary Supplement Health and Education Act of 1994, or DSHEA, which established the framework for the regulation of dietary supplements by the FDA, has helped to create "dietary supplement" a new class of health food/drug. Firms dealing in natural medicine are herding to these markets in an attempt to secure a stronghold for their products. Many companies are now a day's deal in a number of natural products and are making a good range of profit. As part of the move to bring natural products, which include herbal medicine, to the international market, many research institutes have been established worldwide to deal exclusively with natural products such as herbal medicine and Traditional Chinese Medicine (TCM).As natural medicine expands and evolves, intellectual property (IP) protection is playing a bigger role in its existence, because many herbs are indigenous to a particular region. But many countries uses the indigenous herbs of other countries and use as their native product, which should be controlled. Researchers and practitioners must establish a reputation for their products and move one step toward exclusivity using IP protection. Most people might assume there is no IP protection for herbal medicines. In fact, this is not true. The most popular forms of IP protection for herbal medicines are trade secrets and trademarks.

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