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Development and Evaluation of Lyophilized Palbociclib Nanosuspension

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Abstract

This work was aimed to develop a Palbociclib nanosuspension (PALB-NPs) with enhanced oral bioavailability, depth understanding of the formulation and process parameters of nanosuspension (NPs). The high-pressure homogenization (HPH) technique was adopted for the production of PALB-nanocrystals. The number of HPH cycles, the concentration of soya lecithin (SL), and poloxamer 407 were identified as significant factors ($P < 0.05$). The further characterization of optimized PALB-NPs was carried out for particle size and zeta potential, entrapment efficiency (EE), drug content (DC), FTIR. The presence of crystallinity was confirmed by DSC and PXRD. The SEM revealed nanosized and uniform rod-like morphology of PALB nanocrystal in NPs. The average particle size, zeta potential, DC, and EE of optimized lyophilized PALB-NPs were found to be 269 ± 0.19 nm, -25 ± 6.58 mV, 88.45 ± 0.48 %, and 98.98 ± 0.45 % respectively. *In vitro* cytotoxicity studies showed more than 00 % inhibitions, while apoptotic cells showed shrinkage, followed by fragmentation and cell death. Besides, the C_{\max} and AUC_{0-t} of the PALB-NPs were enhanced by 1.77 and 2.23 folds, respectively. The relative bioavailability was found to be 2.24 with a higher distribution of PALB-NPs in the liver as compared to PALB-AQD. Promissory PALB-NPs for breast cancer (BC) therapy was obtained using a simple HPH technique, which is a merits point for a possible scale-up for practical rationale.

Keywords: Breast cancer, Palbociclib nanocrystal, Quality by Design approach, Lyophilized nanosuspension, Oral bioavailability.

Nanoemulgel; an Overview

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Abstract

Nanoemulgel, an innovative transdermal delivery method, has proven to show impressive upshots over other formulations for lipophilic drugs. In this modern period, most of the newer drugs developed this lipophilic nature, resulting in low oral bioavailability, inconsistent absorption and pharmacokinetic variations. Therefore, to prevent such problems, this new transdermal delivery system has been shown to be beneficial over other oral and/or topical drug delivery systems. Topical drug delivery is a localized method of delivery of drugs via ophthalmic, rectal, vaginal & skin as topical routes anywhere in the body. Nanoemulgel is also known as hydrogel-thickened nanoemulsion (HTN) since, compared to the nanoemulsion system, the system shows an increase in viscosity. Incorporating the preparation of nanoemulsion with hydrogel matrix to produce nanoemulgel exhibited by the two separate systems that forming it. These nanoemulgels are simply gelled oil-in - water nanoemulsions with the use of a certain gelling agent in them. This gel phase is non-greasy in the formulation, which facilitates consumer compliance and stabilizes the formulation by reducing both surface and interfacial stress. At the same time, it can be more precisely targeted to the site of action and can prevent first pass metabolism and alleviate gastric / systemic incompatibilities of the user. Nanoemulgel has thixotropic, non-greasy, easily spreadable, easily removed, emollient, non-staining, water soluble, longer shelf life, bio-friendly, translucent and good appearance characteristics.

Keywords: Nanoemulgel, nanoemulsions, hydrogel, thixotropic, Topical drug delivery

A Review on Role of Okra Mucilage In Drug Delivery

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Abstract

In this developing world, there is massive need for development of novel drug delivery system which having very less side effects. Natural excipients have the important role in developing drug delivery. They are safe, non-toxic, biodegradable, biocompatible, economic and easily available as compared to synthetic. Medicinal plants are the gift of nature to have disease free healthy life to humans. Okra is one of the traditional plant available worldwide. It is scientifically known as *Abelmoschus esculentus* Linn and belonging to family *Mallow*. The plant has rich nutritional value and widely used in treating several human ailments. Mucilage is one of the important constituents isolated by extraction from the pods of okra. The okra mucilage has the wide applications in different drug delivery system as polymer. In coming days, polymers will play important role in the controlled drug delivery. This review article emphasis on role of okra mucilage in drug delivery systems.

Keywords: Okra mucilage, *Abelmoschus esculentus*, Drug Delivery, Sustain Release, Polymers etc.

Bioprospecting: The Source for Newer Biomedicines

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Abstract

Biodiversity prospecting or bioprospecting is the systematic search for biochemical and genetic information in nature in order to develop commercially-valuable products for pharmaceutical, agricultural, cosmetic and other applications. In simple terms this means the investigation of living things to see how they can be commercially useful to humans. Extreme

environments, provide habitats for “extremophiles”, organisms with unique characteristics developed for survival. The biological processes and materials which enable these extremophiles to survive in extreme temperatures, pressures, salinity etc, and other unique conditions are sources of great potential for scientific advancement and commercial application. These Enzymes derived from extremophiles have been used in detergents, food processing, cleaning, dyeing, medical diagnosis, skin protection products, and forensics. Bioprospecting of marine environments is conducted almost exclusively in regions at extreme depths specifically around submarine trenches, cold seeps, seamounts and hydrothermal vents. The underlying aim of bio prospecting is to find new resources and products from nature that can be used by humans. Improving human health, through both medicine and better nutrition are key focal areas. It plays a dominant role in discovering leads for drug development, since existing/known compounds for developing drugs for human use are limited. A study showed that between 1983 and 2003, almost two thirds of anti-cancer agents being investigated as drug candidates were derived from natural products.

Keywords: Bioprospecting, molecular techniques, biomedicines, pharmaceuticals

Development of Oral Liposomal Ascorbic Acid Formulation

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Abstract

Ascorbic acid is the exogenous compound necessary for a variety of metabolic processes; therefore, the efficient delivery is critical for the maintenance of body homeostasis. Ascorbic acid pharmacokinetics and low quantities in processed foodstuff, necessitates its continuous supplementation. In the paper, we present the new liposomal formulation of Ascorbic acid free of harmful organic solvents. The formulation was quantitatively characterized with respect to its chemically composition and nano-structuring. The Ascorbic acid accessibility to cells from the formulation was evaluated using evidence derived from experiments performed

on cell cultures. Finally, the enhanced bioavailability of Ascorbic acid from the formulation was demonstrated in the medical experiment.

Keywords: Ascorbic acid, liposomal, bioavailability, stability

Application of Acetyl Amine Modified Chitosan In Wound Dressing

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Abstract

Natural polymers are hydrophilic in nature, economic, chemically inert, easily available, biodegradable, and non-toxic. Naturally occurring polysaccharides can be easily modified chemically and biochemically to impart desirable properties suitable for designing of drug delivery systems. Among the polysaccharides, Chitosan (CS) has prospective applications in Pharma field. In past few decades, to strengthen the functionality of Chitosan, developing its novel derivatives has become a new pursuit. In this study, Acetyl amine derivatization of Chitosan was carried out by reaction with Chloroacetyl chloride followed by ammonia. The results revealed that acetyl amine modified chitosan exhibits better water solubility and viscosity than chitosan. Similar to the chitosan, modified chitosan showed non toxicity. The evaluation of the applicability of acetylamine modified chitosan in the treatment of dermal wound in rats was performed by induction of transcutaneous wound. In the modified chitosan treated mice, the wounds were completely healed in 15 ± 2 days where in the control animals it requires more than 20 ± 2 days. The antibacterial activity was also tested on Gram negative and Gram-positive strains. It was found that the modified chitosan showed grater activity against Gram-negative stains as compared to Gram-positive strains. The superior wound healing and antibacterial activity might be due to the grafting of additional cationic group on the polymeric backbone and their ionic interaction with anionic cell wall of skin or bacteria.

Preparation and Optimization of Azithromycin Loaded Lipid Polymer Hybrid Nanoparticles

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Abstract

Present study was designed to prepare and characterize azithromycin loaded lipid polymer hybrid nanoparticles (EM-LPHNs) by hot homogenization method. Binary lipids were chosen to prepare lipid vesicles in presence of stabilizer which were coated with chitosan. EM-LPHNs were characterized for entrapment efficiency, drug content, *in-vitro* drug release, particle size analysis, morphology and stability study. The optimized EM-SLN formulation exhibited a maximum entrapment efficiency $83 \pm 7\%$ and smallest particle size of 935 ± 0.2 nm with a zeta potential of -26.2 mV. Physicochemical characterization of EM-SLNs by FTIR and DSC confirmed entrapment of EM. *In vitro* drug release study of optimized formulation in phosphate buffer pH 7.4 showed prolonged release of drug for 24 h. azithromycin SLNs were found to be spherical nanometric in size. In conclusion, azithromycin loaded lipid polymer hybrid nanoparticles can serve as a superior carrier system for controlled delivery of azithromycin in treatment of intracellular infections.

Formulation and Evaluation of Solid Lipid Nanoparticle of Azithromycin

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Abstract

Azithromycin is a broad spectrum macrolide antibiotic, used in numerous infectious condition like upper and lower respiratory infection, skin and other soft tissues. This paper describes the preparation and enhanced *in vitro* antibacterial activity of Azithromycin loaded solid lipid nanoparticle (SLNs). Azithromycin loaded SLNs were prepared by solvent evaporation method using single lipid (stearic acid) and binary lipids (stearic acid and tristearin). SLNs were characterized by particle size analysis, zeta potential determination,

entrapment efficiency, release profile, DSC, ATR-FTIR, PXRD, stability studies and antibacterial activity against *Staphylococcus aureus*. Optimized SLNs exhibited particle size of 355.4 ± 5.75 nm and 85.33 ± 4.44 % entrapment efficiency by using binary lipids. The release profile showed initially burst release followed by plateau within 24 h. DSC and ATR-FTIR studies demonstrated compatibility between drug and polymer. X ray diffractogram revealed amorphous nature in SLN. Azithromycin loaded SLNs showed improved antibacterial activity compared to intact Azithromycin against *S. aureus*. Thus, result of study confirmed improved therapeutic efficacy against biofilm forming resistant strains of *S. aureus*.

Keywords: Solid lipid nanoparticle, Azithromycin, *Staphylococcus aureus*, Biofilms

Phytochemical and Pharmacological Overview of *Barleria Prionitis*: Primary Ingredient of Traditional Ayurvedic Formulation *Sahcharadi Kashyam*

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Abstract

Barleria prionitis Linn. also known as the porcupine flower, is a species of plant in the family Acanthaceae, native to India, southeast Asia, and eastern Africa. This plant is under extensively in ayurveda for stomach disorders, urinary infections, fever and catarrh, diuretic. Bark is used as diaphoretic and expectorant. Ash, obtained from the whole plant, mixed with honey, is given in bronchial asthma. It is the main ingredient of the classical ayurvedic formulation Sahacharadi Kashayam which is used to relieve for chronic and acute back pains, lower limb. Leaves and stems showed presence of iridoid glucosides, barlerin and acetylbarlerin. Flowers gave the flavonoid glycoside, scutellarein- β -neohesperidoside. The presence of beta-sitosterol is reported in the plant. The objective of the present review article is to compile all the relevant published information regarding traditional uses, phytochemistry and therapeutic potential of *Barleria prionitis*.

Testosterone Replacement Therapy: Controversy and Recent Trends

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Abstract

Testosterone is a multiple effect producing hormone that plays an important role in the human body. Testosterone is involved in androgenesis in boys and men. Through its conversion to estrogen, testosterone affects bone health, including bone density. With the increase of adequate testosterone preparations, the prescription of testosterone has increased tremendously. Male hypogonadism is a clinical syndrome characterized by low testosterone and symptoms of androgen deficiency. The use of testosterone replacement therapy drugs is rising year-on-year for the treatment of androgen deficiency and has reached global proportions. There is gathering evidence that suggests, from an oncological perspective, that it is safe to commence testosterone replacement therapy for men who have a combination of biochemically confirmed androgen deficiency and who have either had definitive treatment of their prostate cancer or no previous history of this disease. However, patients must be made aware and cautioned that there is a distinct lack of level 1 evidence. *In recent years, the rate of testosterone use has increased highly.* A report between testosterone use and increased occurrence of myocardial infarction and stroke has forced the FDA to issue safety notice in 2014. TRT is not approved to treat age-related low testosterone, it is only for the FDA- approved clinical hypogonadism in men so. Although it is not indicated, TRT is often recommended to improve sexual function, bone density, body composition, muscle strength, mood, behavior, and cognition. Although its use is growing, there is much debate regarding TRT's risks and benefits. From 2008 to 2012 in the United States, spending on TRT increased from \$1 billion to \$2 billion, and from 2003 to 2013 there was a fourfold increase in the rate of TRT in men aged 18 to 45 years. In 2013 and early 2014. Therefore, current data on appropriate and potentially inappropriate use are important for pharmacists to keep abreast of and discuss with patients. This article will discuss appropriate TRT use, available formulations and cost, side effects, trends, and the pharmacist's role in patient education, including counseling points.

Keywords: Testosterone, Testosterone replacement therapy, androgenesis, myocardial infarction, hypogonadism

Phytochemical Screening and In-Vitro Antioxidant Activity of *Amorphophallus Paeoniifolius* Tuber Extracts.

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Abstract

Although oxidation reactions are crucial for life, they can also be damaging; hence, plants and animals maintain complex systems of multiple types of antioxidants. Low levels of antioxidants, or inhibition of the antioxidant enzymes, cause oxidative stress and may damage or kill cells. Antioxidants play vital role to protect the damage caused by oxidative stress. Antioxidants act as reducing agents that prevent oxidative reactions, often by scavenging reactive oxygen species before they can damage cells. Many plants are reported to possess antioxidant properties. This study was designed to study antioxidant potential of *Amorphophallus paeoniifolius* tuber extracts. *Amorphophallus paeoniifolius* found throughout India and is commonly known as Suran, elephant foot yam or Jamikand.

Antioxidant activity of tubers was assessed by DPPH scavenging activity and ABTS radical decolourization assay on methanolic and aqueous extracts of tubers. The pulverized dried *Amorphophallus paeoniifolius* tubers were extracted with methanol and water. The extracts were qualitatively investigated for various phytoconstituents, which showed presence of carbohydrates, steroids, triterpenoids and flavonoids. The steroids were isolated from methanolic extract by preparative TLC. Isolated compound was subjected to HPTLC, UV and IR spectral studies. In the *in-vitro* antioxidant model methanolic extract showed maximum scavenging activity (71.22% at 400µg/ml and 56.72% at 400µg/ml) was observed for DPPH and ABTS radicals respectively. Hence, methanolic extract shows more potent antioxidant activity as compared to aqueous extract.

Keywords:- Antioxidant activity, *Amorphophallus paeoniifolius* tubers, DPPH, ABTS.

Development and Characterization of Anti-Fungal Gel

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Abstract

Transdermal delivery, a successful novel approach aimed at achieving systematically active level of drug. The work involves the drug Itraconazole which is antifungal. The micro sponge technology used to facilitate the controlled release of active drug into the skin in order to reduce the systematic exposure and minimize local cutaneous reactions of active drugs. The main objective of this work was to design and evaluate the gel formation of microsphere entrapped Itraconazole to increase the effectiveness of the treatment. Microsphere batches were prepared using Eudragit and EC in a range of 100-400 mg, total 8 batches were prepared and subjected to characterization for the evaluation of particle size, entrapment efficiency, and % production yield and found M-7 batch of microsphere as optimized and suitable batch for further studies Henceforth the M-7 batch of microsphere were subjected to the formulation of gel using HPMC and Carbopol from concentration range 0.5-3%. Total 10 batches of microsphere loaded gel were prepared and subjected to characterization which reveals that the F-3 batch was most suitable on the basis of Viscosity, pH, ex-vivo drug permeability through rat skin, skin irritation studies and % of actual drug content. From the results, it can be concluded that F3 formulation shows drug release in a controlled manner.

Keywords: Antifungal gel, Itraconazole, microspheres

Elevation in the Lcn2 Expression in the Central Nervous System by the Long Term Administration of Diazepam

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Abstract

Benzodiazepines (BZDs), which bind with high affinity to gamma-aminobutyric acid type-A receptors (GABAA-Rs) and potentiate the effects of GABA, are widely prescribed for anxiety, insomnia, epileptic discharge, and as anticonvulsants. The long-term use of BZDs is

limited due to adverse effects such as tolerance, dependence, withdrawal effects, and impairments in cognition and learning. Additionally, clinical reports have shown that chronic BZD treatment increases the risk of Alzheimer's disease. Unusual GABAA-R subunit expression and GABAA-R phosphorylation are induced by chronic BZD use. However, the gene expression and signaling pathways related to these effects are not completely understood. In this study, we performed a microarray analysis to investigate the mechanisms underlying the effect of chronic BZD administration on gene expression. Diazepam (DZP, a BZD) was chronically administered, and whole transcripts in the brain were analyzed. We found that the mRNA expression levels were significantly affected by chronic DZP administration and that lipocalin 2 (Lcn2) mRNA was the most upregulated gene in the cerebral cortex, hippocampus, and amygdala. Lcn2 is known as an iron homeostasis-associated protein. Immunostained signals of Lcn2 were detected in neuron, astrocyte, microglia, and Lcn2 protein expression levels were consistently upregulated. This upregulation was observed without proinflammatory genes upregulation, and was attenuated by chronic treatment of deferoxamine mesylate (DFO), iron chelator. Our results suggest that chronic DZP administration regulates transcription and upregulates Lcn2 expression levels without an inflammatory response in the mouse brain. Furthermore, the DZP-induced upregulation of Lcn2 expression was influenced by ambient iron.

Keywords: Benzodiazepine, diazepam, GABAA-Rs, lcn2, CNS

Formulation and Evaluation of Gastro-Retentive Nanoparticle of Carvedilol

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Abstract

The aim of present study was to formulate and evaluate nanoparticles of Carvedilol using hydroxypropyl methyl cellulose (HPMC) and ethylcellulose (EC) as polymer. Carvedilol was selected to prepare gastro-retentive nanoparticulate formulation due to its short half life, low

bioavailability, high frequency of administration and narrow absorption window in upper GIT. Nanoparticles of Carvedilol were prepared by double emulsion method. The prepared formulations were then characterized for particle size, polydispersity index, zeta potential determination, loading efficiency, encapsulation efficiency, *in-vitro* drug release, *ex-vivo* release profile, drug-excipient compatibility, swelling index and bio-adhesive behavior. Optimized nanoparticulate formulations of Carvedilol with HPMC and EC polymers in 1:1 ratio have shown particle size, polydispersity index, zeta potential and entrapment efficiency of 249.12 nm, 0.281, -24.2 mV and 79.05 ± 6.7% respectively. *In vitro* drug release study in 0.1 N HCl showed prolonged drug release upto 24 h and approximately 70 % formulation remained floating for 8 h. ATR-FTIR, DSC and pXRD confirmed compatibility between drug and polymers along with entrapment of drug. The results of mucoadhesive study confirmed good mucoadhesive properties of optimized formulation confirming gastro-retention of formulation.

Keywords: Carvedilol, gastro-retentive, nanoparticles, nanoprecipitation

Impact of Petroleum Ether Extract of *Schleichera oleosa* on *Eisenia fetida*

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Abstract

Helminthiasis and soil transmitted helminthiasis (STH) are exceptionally normal in tropical and subtropical nations because of poor sanitation. Whipworms, hookworms and roundworms are the regular operators for the helminthiasis and STH. Helminthiasis can cause the wellbeing risks like weakness, loss of iron and proteins, loose bowels and the runs, and extreme conditions like lymphatic filariasis, onchocerciasis and schistosomiasis. The current examination explored the *in-vitro* anthelmintic impact of petroleum ether extract of the leaves of *Schleichera oleosa* on *Eisenia fetida*. The petroleum ether extract of the plant was

oppressed for fundamental phytochemical screening and quantitative assessments. Distinctive concentration (25, 50, 100 mg/mL) of petroleum ether extract of the leaves have been utilized for the evaluation against *Eisenia fetida*, while Albendazole and 3% Tween 80 in ordinary saline have been considered as standard and control separately. Significant measure of flavonoids and phenolics were found in petroleum ether extracts. All the concentrates displayed strong anthelmintic activity in dose dependent way; the petroleum ether extracts at 100 mg/mL concentrations of *S. oleosa* indicated more significant action against *Eisenia fetida* contrasting against the low fixations. Chromatographic investigation and confinement of these plants to comprehend the molecular level action is the future premium.

Keywords: Helminthiasis, *Schleichera oleosa*, *Eisenia fetida*, anthelmintic impact

Microemulsion: An Overview

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Abstract

Topical drug delivery is a localized method of delivery of drugs via ophthalmic, rectal, vaginal & skin as topical routes anywhere in the body. These can be applied to safe or diseased skin providing a large variety of preparations for both cosmetic and dermatological. Microemulgel has emerged as one of the most interesting topical delivery methods because it is a dual control release system, i.e. gel & emulsion. Both types of medications, hydrophilic and hydrophobic, are integrated into dosage forms. The key aim behind this formulation is the distribution through the skin of hydrophobic drugs to systemic circulation. Topical drug delivery, by passing the first pass effect, has the key benefit of direct delivery of the drug to the target tissue, i.e. skin & mucous membranes. Microemulgel has better penetration with its micron sized globule & shows control drug release with gelling property. The Microemulgel for dermatological & cosmetic use has various favourable properties, such as thixotropic, easily spreadable, non-staining, emollient, bio-friendly, clear, translucent & elegant appearance, and these formulations based on Microemulgel improve the API's skin deposition, eventually improving its therapeutic activity.

Keywords: Microemulgel, Topical drugs system, therapeutic, gel & emulsion

Development of Okra Mucilage Containing Interpenetrating Polymer Network System and Its Application

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Abstract

Introduction: In present review we have focused to development of IPN of okra mucilage and chitosan. Mucilage from okra is medically reported to be linked with anticancer .The rheological behavior of okra mucilage is pseudo plastic hence it acts as an ideal substitute for costly synthetic and semi-synthetic excipients. IPN based drug delivery system is one of the newly developed method for designing the novel controlled release drug delivery system. Developed IPN will be used for entrapment of anticancer drug.

Methods

1. Simultaneous synthetic method
2. Sequential synthetic method

Result: Prepared IPN has important role in development of different formulation as film, tablets, capsules and nano formulation

Conclusion: IPN with chitosan okra mucilage will give good result in targeting drug delivery system.

Keywords: okra mucilage;chitosan polymer;IPN development;nano formulations,targeted drug delivery system

Design, Synthesis and Biological Activity of 4-Amino-5-(Benzimidazole-1-Yl) Triazole

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Abstract

Triazoles are an important class of nitrogen containing heterocycles, because many of them exhibit interesting biological activities, such as anti-inflammatory, antidepressant, antifungal,

anticancer, anti-TB, analgesic and hypoglycemic. Sulfur-linked 1,2,4-triazoles represent an important group in lead compound discovery. Many bioactive sulfur-linked 1,2,4-triazole have been reported, such as antibacterial activity, antitumor activity, anti-HIV activity, anti-TMV (tobacco mosaic virus) activity, and so on. We report Synthesis and Biological activity of 4-amino-5-(Benzimidazole-1-yl) Triazole.

Keywords: Heterocyclic, Triazole, Toxicophore etc.

Formulation and Evaluation of Bioadhesive Pulsatile Drug Delivery System of Telmisartan

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Abstract

The main objective of this study was to formulate and evaluate of Bioadhesive pulsatile drug delivery system of Telmisartan, an antihypertensive drug in order to achieve therapeutic efficacy and patient compliance. The approach of combination of bioadhesive pulsatile formulation is suitable for gastroretentive and time specific drug delivery. The study was carried by preparation of fast disintegrating core tablet followed by incorporation of core tablet to design bioadhesive pulsatile tablet by presscoating. The presscoated tablet was prepared with the polymers ethyl cellulose and carbopol. The formulation was evaluated for precompression and post compression parameters, lag time, drug release and bioadhesive study. All evaluation parameters were found within limits. The lag time expected for this disease was 8 hours as need of drug release for this disease was more prone at early morning. The 8 hour lag time was obtained in optimized formulation which has shown mucoadhesion for the same period. Thus bioadhesive pulsatile drug delivery system could be the best precautionary alternative for the drugs having maximum absorption in stomach and used for diseases which follows circadian rhythm.

Key words: Bioadhesive drug delivery, Pulsatile drug delivery, Bioadhesive- Pulsatile drug delivery, lag time

Preparation and Evaluation of Chitosan Loaded Nanoparticles Containing Anti-Alzheimer Drug

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Abstract

Chitosan loaded nanoparticles containing Anti-Alzheimer drug” by spontaneous emulsification method by using chitosan polymer and glutaraldehyde as a cross linking agent for nose to brain delivery. The prepared nanoparticles were characterized by Motic microscope and found to be spherical in shape, highly distinct and of core shell type. The prepared particles showed good drug-loading capacity. The in- vitro drug release studies showed that after the initial burst, all the drug-loaded batches provided a continuous and slow release of the drug. The implication of the study could be the development of nanoparticulate drug delivery system which has the potential utility for treatment of neurodegenerative disorder by reducing the dose, avoiding the first pass effect and side effects.

Keywords: Acorus calamus, Chitosan Nanoparticles, Spontaneous emulsification method, Alzheimer's disease.

Knowledge, Awareness and Practice Among Pharmacists and Consumers Towards Safe Disposal of Unused & Expired Medication

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Abstract

In the current research, knowledge and awareness among pharmacists and consumers towards safe disposal of unused and expired medication is analyzed. The objective behind the research was to examine the possible threat and consequence of unusual disposing of medication with respect to environment. Nowadays community disposes numerous unused and expired medicines via general waste or sewerage. Expired drug causes toxicity risk which

allows the active substance to undergo dreadful condition. Various agencies have given different guidelines meant for disposing of the unused and expired medications, but there exists some discrepancies among these guidelines. We found that man are more aware as compare to women having age group of 26-35 years, and have acknowledged that they keep drugs with them until last date of expired and also aware to note the expiry date on the medicines before purchasing. Practice for disposing unused and expired medications by consumers and pharmacists were not following appropriate. This prospective and observational study involving with the consumer and pharmacists based on self structured questionnaire, which involves data related to their socio-demographic data, practice employed for the dispose, awareness related to the environmental hazards and opinion for proper disposing methods. The pharmacists should appreciates the consumers towards the proper disposing of medical waste and about utilizing the various take back programme. There's a need to identify the proper guidelines for disposing of unused and expired medication and also follow these guidelines by consumers as well as pharmacists.

Keywords: Awareness, disposing, expired medication

Strategies with Improved Therapeutic Efficacy Against Osteosarcoma: An Overview

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Abstract

Background: Osteosarcoma (OS) is one of the key cancers affecting the bone tissues, primarily occurred in children and adolescence. Recently, chemotherapy followed by surgery and then post-operative adjuvant chemotherapy is widely used for OS. However, lack of selectivity and sensitivity to tumor cells, the development of multidrug resistance (MDR), and dangerous side effects have restricted the use of chemotherapeutics.

Main body: There is an unmet need for novel drug delivery strategies for effective treatment and management of OS. Advances in nanotechnology have led to momentous progress in the

design of tumor-targeted drug delivery nanocarriers (NCs) as well as functionalized smart NCs to achieve targeting and to treat OS effectively. Moreover, advanced approaches including gene therapy, gene-directed enzyme prodrug therapy, and T-cell therapy could be promising approaches to treat OS successfully. The present review summarizes the approaches such as conventional nanocarriers, stimuli-responsive NCs, ligand-based active targeting strategies tested against OS. Besides, advanced approaches such as gene therapy, gene-directed enzyme prodrug therapy, and T-cell therapy for tackling OS effectively have also been discussed.

Conclusion: The nanotechnology-based and advanced therapies such as gene therapy, T-cell therapy, etc. could be promising approaches for effective treatment of OS. However, many nanomedicines are still at the preclinical stage and there is a long transitional period before their clinical application.

Keywords: Osteosarcoma, nanocarriers, stimuli-responsive nanocarriers, active targeting, gene therapy, T-cell therapy.

Bioactivity Guided Isolation and Characterization of Active Constituent From The Roots of *Asparagus Aethiopicus* L.

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Abstract

Objective: To isolate and characterize active constituent from the bioactive extract of *Asparagus aethiopicus* L. tested on Streptozotocin induced diabetic rats.

Methods: The roots of plant were subjected to Hot Soxhlet continuous extraction method with increasing polarity of solvents viz., Pet ether, chloroform, ethanol and aqueous maceration. Phytochemical screening was done using different phytochemical tests. Streptozotocin induced Diabetes model was used to screen *in vivo* antidiabetic activity. After confirmation of antidiabetic activity, the bioactive extract was used for the isolation of

chemical constituents with the help of Thin layer chromatography and column chromatography and their structure was elucidated on the basis of spectral data of UV, FTIR, HNMR, CNMR and LCMS.

Results: Preliminary Phytochemical screening confirmed the presence of phytoconstituents like alkaloids, flavonoids, glycosides, saponins, sterols and tannins. Antidiabetic potential was shown highest by ethanol extracts based on the experimental method, histopathology study and biochemical test performed. The Chemical constituent isolated from ethanolic extract confirmed as Quercetin on the basis of spectral data.

Conclusion: The results revealed that the ethanol extract of *Asparagus aethiopicus L.* having a promising antidiabetic activity and Quercetin isolated from plant extract having antidiabetic potential which was reported earlier hence it might be responsible for antidiabetic activity. However, further studies are needed for the confirmation and mechanism of action of Quercetin responsible for its antidiabetic activity.

Keywords: *Phytochemical; Isolation; Antidiabetic; Quercetin; Asparagus aethiopicus L.*

Design and Development of Topical Niosomal Gel for Treatment of Psoriasis

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Abstract

Psoriasis is a widespread skin disease affecting 2-3 per cent of the world's population. It can be identified as a condition of inflammatory skin marked by an abnormal proliferation and differentiation. Niosome is a non-ionic surfactant-based vesicle that is formed as an excipient primarily by the addition of non-ionic surfactant and cholesterol. Tacrolimus (also known as FK-506 or Fujimycin) is an immunosuppressive medication whose primary application is during organ transplantation to reduce the patient's immune system activity and hence the possibility of organ discharge. Psoriasis plaques usually impact the surfaces of the extender skin and the scalp. Inverse psoriasis may damage more delicate skin, such as the neck, genitals and intertrigonal regions, less often. Psoriasis is untreatable, but a number of medication modalities are available that can be used to control the disease. The purpose of this analysis is

to prepare and evaluate the niosomal gel of the drug tacrolimus and evaluate its pharmacological activity for the treatment of psoriasis through topical use. To this end a single product tacrolimus was subjected to niosome preparation. Niosome Preparation using Film Hydration Method Drug 100 mg and Surfactant: Cholesterol Ratio (0.5: 0.5-1.5) Total 9 Batches were prepared. The drug was preevaluated for its compatibility studies for HPMC, SPAN and Carbapol. The size range was found to be from 67.8 nm to 121.6 nm. The niosomal batches prepared were subjected to evaluation to identify the optimized batch and It was evident that due to increase in the concentration of cholesterol the vesicle is increasing due to increasing the hydrophobicity in the formulation. Span 20 gave drug content 83.1 ± 1.1 to 91.8 ± 2.6 mg; Span 60 gave 90.3 ± 1.6 to 93.9 ± 1.5 mg and Span 80 gave 93.1 ± 1.5 to 85.1 ± 1.0 mg. All the assessment was done in replicate. NF5 formulation corresponds to the higher drug content and entrapment efficiency. The NF5 formulation carried forward for the preparation of gel formulations, total 10 formulations F1-F10 were prepared and subjected to evaluation. Among all the formulations the gel formed F5 and F6 were found to be clearest with better spreadability and with excellent homogeneity. F6 were found to be highest viscosity among all the other formulation batches i.e. 8166 cPs. batch F-6 was found as optimized formulation, which is further subjected to stability studies and pharmacological studies. For the evaluation of in vivo anti psoriatic studies the animals were divided into 4 groups Group I : Negative Control (No Disease), Group II: Positive Control (Diseased but receive no, treatment, Group III: Receive Blank Gel as treatment, Group IV: Receive Best formulation as treatment. Niosomal gel of Tacrolimus showed lowest PASI score among the treatment group. Along with the when it is compared with that of negative group. From the study it is evident that niosomal gel of Tacrolimus formulation containing carbapol 934, 4 mg showed promising results for the treatment of psoriasis.

Keywords:-niosomes, tacrolimus, psoriasis, gel.

Development of Polyherbal Candy Based on Indian Medicinal Plants For Cancer Therapy Via Immunomodulation.

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Abstract

Cancer is a group of diseases characterized by unregulated cell growth. It is the major public health problems. In recent years immunotherapy has provided new hope for cancer patients. The emerging idea of manipulating the gut microbiota to improve responses to anticancer therapy is becoming increasingly popular. Herbs and spices play an important role for medicinal purposes. Shankpushpi, Brahmi, Nagarmotha, Chirata, Spirulina are herbs being used in Indian system of medicine during altitude health problems. All the medicinal plants in the formulation have reported activity against different types of cancers. An investigation was planned to prepare herbal candy as immune booster in cancer therapy by standard method. Candy is a fast and effective delivery system for medications Hard boiled sugar candy was developed by using powder of this drug. The results of physio-chemical and sensory characteristics of herbal candy revealed that candy prepared using sugar. The temperature at 145°C produced best consistency, mouldability, thread forming ability, brittleness along with desirable taste and colour.

Keywords: Cancer, immunotherapy, Herbal candy, Shankpushpi, Nagarmotha.

Extract of *Moringa Concanensis* Nimmo Leaves Ameliorates Hyperglycemia and Oxidative Stress, and Improves B-Cell Function In Alloxan Monohydrate Induced Diabetic Rat

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Abstract

The ethano medicinal importance of *Moringa concanensis nimmo* plant is reflected in Ayurvedic and traditional system of medicine. It brings out its importance as diverse plant in Ayurvedic preparation and in diabetes management. The study explore the effects of ethanolic extract of *Moringa concanensis nimmo* leaves (EEMCNL) with respect to its dominant shielding tissue damage, antioxidant properties in serum, liver, kidney and histopathological assessment. The histopathological analysis helps to know about structure degeneration of β -cells of pancreas tissue of diabetic rats. Animals are dissected into five groups ($n = 5$): Normal control; Diabetic control; Standard treatment; Plant extract (250mg/kg); Alone Plant extract (250mg/kg). After 45 days of therapy with EEMCNL, MDA levels were immensely declined in the diabetic-induced group when compared with the AXM -induced diabetic group ($P < 0.05$). The activities of serum enzymes AST, ALT, ALP, ACP and LDH are immensely declined in serum and kidney, and grown in liver tissues of the EEMCNL-treated group as related with the AXM induced diabetic group ($P < 0.05$). The levels of total protein, urea, creatinine and uric acid observed in the diabetic group returned to normal by administration of EEMCNL (250 mg/kg) as relative to the AXM induced diabetic group ($P < 0.05$). These results furnish to a better conception of the hepatoprotective and renoprotective potential of EEMCNL in comparison with oxidative stress in the diabetic state, which was displayed by the volume of EEMCNL to modify the anti-oxidant shield and to reduce lipid peroxidation in these tissues.

Keywords: Moringa, concanensis, Nimmo, Antidiabetic; Antioxidant; AST; EEMCNL

Formulation, Evaluation and Absorption Enhancement of Anti-Diabetic Drug by Using Spray Drying Technique

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Abstract

The present study is to formulate and evaluate an anti-diabetic formulation containing permeation enhancer which increases gastrointestinal absorption of poorly absorbable anti-diabetic drug. Metformin hydrochloride is a BCS class III drug which has high solubility and poor intestinal absorption characteristic. The gastrointestinal absorption of drug was enhanced by using permeation enhancer like cyclodextrin. The absorbed drug was determined by using U.V Visible Spectrophotometer at 234nm. After analysing the all results, it was found that cyclodextrin enhance the absorption of metformin hydrochloride. The cyclodextrin mainly used to decrease dose of metformin hydrochloride in dosage form. The purpose of current study was to formulate and develop directly compressible formulation of metformin hydrochloride by using the spray drying technique in presence of polymer. This help in increase in the flow property of metformin hydrochloride. The permeability of metformin hydrochloride was increased by the addition of cyclodextrin which acts as a permeation enhancer.

Spray drying is a technique of producing a dry powder from a liquid or slurry by rapidly drying with a hot gas. A uniform particle size distribution is a advantage for spray drying. Air is the heated drying medium; however, if the liquid is a flammable solvent such as ethanol or the product is oxygen-sensitive then nitrogen is used. The bed provides a humid environment which causes smaller particles to clump, producing more uniform particle sizes, usually within the range of 100 to 300 μm . These powders are free-flowing due to the larger particle size. This in turn results in better absorption enhancement.

Keywords: Absorption, Solubility, Metformin Hydrochloride, Cyclodextrin.

Phytochemical Evaluation, HPTLC Analysis and In-Vitro Antioxidant Activity of Hydroalcoholic Leaf Extract of *Grewia hirsuta* Plant Collected from Western Ghats Forest.

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Abstract

In-vitro antioxidant action of hydroalcoholic leaf extract of *Grewia hirsute* (HAEGH) has been examined using one, “1-diphenyl-2-picryl-hydrazil (DPPH) free from radical scavenging” actions. The plant collected from the forest of the Western Ghats region of Karnataka province. The motive for plant collection from a specific location is the plant of forests exhibits the variation in growth, quantity, and quality of their active ingredients and secondary metabolites due to influence ecological factors like effect changes in location, soil, climate, etc. The work corresponds to preliminary phytochemical investigation for diverse phytoconstituents and quantitative phytochemical analysis of total phenolic, flavonoids & alkaloids content (TPC, TFC & TAC respectively) was evaluated with advanced methods. “HPTLC (High performance thin-layer” chromatography) fingerprint investigation was achieved for qualitative determination of the likely number of elements present in the hydroalcoholic extract. In-vitro antioxidant activity of HAEGH has been determined through hydroxyl radical scavenging assay that exhibited strong dose dependent antioxidant activity as compared with standards compound, ascorbic acid. The IC₅₀ value of HAEGH found, 25.90 % inhibition and for ascorbic acid, it was 17.68%. The Preliminary phytochemical estimation found presence of flavonoids, alkaloids, glycosides, phenol, proteins, diterpins and quantitative phytochemical analysis estimation of TPC, TFC & TAC found to be 3.627%, 4.059% & 5.671% respectively. HPTLC analysis of HAEGH at 354nm reveals the presence of a compound with R_f value 0.44 compare with R_f value 0.46 of quercetin. These outcomes indicated that the hydroalcoholic leaf extract of *Grewia hirsuta* plant contains phytoconstituents that exhibit antioxidant activity possible because of the existence of bioactive compounds.

Keywords: Antioxidant activity, *Grewia hirsuta*, Hydroalcohol extract, Nagbala

Formulation and Evaluation of Fast Dissolving Oral Films for Nicotine Replacement Therapy

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Abstract

Tobacco Smoking is killing almost one million people every year in India only. Quitting tobacco is not an easy task as withdrawal symptoms are acute and involve strong physical and psychological conditions. Nicotine Replacement Therapy (NRT) involves use of nicotine in small doses in order to avoid intake of harmful chemicals as happening during smoking. Various formulations are available to use as Nicotine Replacement Therapy such as Chewing Gums, Mouth sprays, Lozenges etc.

Present study is undertaken with a view to prepare Fast Dissolving Oral Films containing a Nicotine Salt to provide flash release of Nicotine in order to reduce the cravings. Three different film forming polymers Polyox, HPMC E5 and PVA were selected with varying degrees of plasticizers and superdisintegrating agents. The films were prepared by solvent casting method. The prepared films were evaluated for various parameters like in vitro drug release, thickness, possible interaction between drug and additives etc,

The films containing Polyox as film forming polymer with 30% superdisintegrant showed optimal performance in various qualitative parameters.

Keywords: Nicotine replacement therapy, fast dissolving oral films.

Simultaneous Estimation of Aliskiren and Hydrochlorothiazide In Tablet Dosage Form by Validated Stability Indicating Rp-Hplc Method

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Abstract

Objective: To develop and validate an efficient, simple and cost-effective stability indicating RP-HPLC method for simultaneous estimation of Aliskiren and Hydrochlorothiazide in Tablet dosage form.

Methods: Mobile phase contains Phosphate buffer (ph4.0)-Methanol (60:40) and stationary phase (250*4.6mm C18, Hypersil BDS) column, detector wavelength was selected 225 nm, Flow rate 1.0 ml/ min, injection volume 20 µl. Standard solution and sample solution was prepared at working concentration, used Mobile phase as diluent.

Results: Elution order of Aliskiren (Retention time 3.227 min.) eluted first and Hydrochlorothiazide (Retention time 5.560 min.) second with good resolution and fulfil System suitability parameters. Precision results shows % RSD of Aliskiren and Hydrochlorothiazide 0.6 and 1.0, respectively. Linearity results of Aliskiren and Hydrochlorothiazide found acceptable in range 37.5 µg/ml to 225 .0 µg/ml and 6.25 µg/ml to 37.5 µg/ml, respectively. Correlation coefficient was 1.0000 and 0.9999 of Aliskiren and Hydrochlorothiazide, respectively. Recovery results of Aliskiren and Hydrochlorothiazide from matrix of tablet formulation were 100.2% and 100.6%, respectively. Ruggedness and robustness results were found well within the acceptance limit.

Conclusion: The results shows that the proposed simple, precise and accurate method can be successfully applied for simultaneous estimation of Aliskiren and Hydrochlorothiazide in Tablet dosage form.

Keywords: Aliskiren and Hydrochlorothiazide, RP-HPLC, Stability indicating, Simultaneous estimation.

Preparation and Optimization of Nanomaterial Drug Containing Flavanol Derivative In Neuroprotection

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Abstract

Modern research has revealed dietary consumption is crucial for inhibiting diseases. Flavanols are the molecules present in most of the plants with beneficial effect on human diet. Flavanol rich food improves various state of diseases. Flavonoids interact with various signaling protein pathways like ERK and PI3-kinase/Akt and modulate their actions, thereby leading to beneficial neuroprotective effects. Moreover, they enhance vascular blood flow and instigate neurogenesis particularly in the hippocampus. Flavonoids also hamper the progression of pathological symptoms of neurodegenerative diseases by inhibiting neuronal apoptosis induced by neurotoxic substances including free radicals and β -amyloid proteins ($A\beta$). All these protective mechanisms contribute to the maintenance of number, quality of neurons and their synaptic connectivity in the brain. The focus of this study was to develop nanomaterial of flavanol derivative, to rectify or enhance the solubility, oral bioavailability of drug candidate and neuroprotective effect. The various lipids with poloxomer188 and transcutool HP were mixed and prepared at 60°C by using spray drying technology. The system was found to be robust in different pH buffer and dilution volume. The average particle size distribution of optimized formulation with Acrysol EL-135 was 17.75 dnm with zeta potential -19.7 ± 1.23 . The cumulative percentage drug release was 87.78 ± 2.56 for 24 h, which was significantly higher than the marketed preparation (63.45 ± 3.12). Its in-vitro & in-vivo has confirmed neuroprotective effects in neuron in culture against oxidative models depending on its binding nature of polymer and drug. Its sustained effect can increase the potency with increase in drug dose.

Keywords: Nanomaterial, Flavanol derivative, Solubility, Bioavailability, Neuroprotection.

Design, Synthesis, Characterization and Pharmacological Screening of Some Novel 2 Substituted And 1(H)-Substituted Benzimidazole Derivatives

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Abstract

The most of drugs containing Benzimidazole ring is a prominent structural motif found in numerous therapeutically active compounds. Benzimidazole and its synthetic analogues have been found to exhibit industrial, agricultural and biological application such as antitubercular, anti-inflammatory, analgesic, anticancer, anticoagulant, as well as good antifungal and anti microbial activity. Recent advances in technology considers microwave irradiation energy as the most efficient means of heating reactions for chemical transformations that can be accomplished in a minutes. Microwave irradiation assists organic synthesis (MAOS) not only help in implementing green chemistry but also led to progress in organic synthesis. We report pharmacological screening of some novel 2 substituted and 1(h)-substituted Benzimidazole derivatives.

Keywords: Benzimidazole, Anticancer, QSAR.