Usage of Non-Steroidal Anti-Inflammatory Drugs (NSAIDS) And Their Interaction With Other Concurrently Used Drugs in Elderly Patients

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Abstract

Background: The elderly consume a disproportionate amount of prescription and non-prescription medications. Thus, elderly patients are highly susceptible to poly-pharmacy, which may cause drug-drug interactions. The main objective of this study is to evaluate usage of non-steroidal anti-inflammatory drugs (NSAIDs) and its interaction with other concurrently used drugs in elderly patients.

Methodology: A cross sectional study was performed with sample size 580 older patients of age 60 or above. Prescription, medical card, questionnaire and US-FDA drug interaction checker software (www.drugs.com) were used to collect information. . Odds ratio (95%CI) was used in all logistic regression analysis. All analyses were considered significant when $p<0.001$.

Results: Average number of NSAIDs prescribed per prescription was 2.09 and average number of drugs per prescription was 6.49. Drug interactions were found in 231 participants among 237 participants suffering from hypertension and in 168 participants among 176 participants suffering from diabetes.

Conclusion: Moderate and few severe drug-drug interaction among the elderly were common that warrants attention of prescribers and pharmacists. With the age number of chronic illnesses are common in elderly patients which increases the number of drug in prescription or polypharmacy. Polypharmacy increases the risk of drug interaction.

Key words: Non-steroidal anti-inflammatory drugs, polypharmacy, drug interaction, elderly participants

Introduction

In 1897, Felix Hoffman synthesized acetylsalicylic acid and the first non-steroidal anti-inflammatory drug (NSAID) was discovered. NSAIDs are the most commonly used medications on account of their anti-pyretic, anti-inflammatory, and analgesic properties. Due to their easy availability, more than 30 million people worldwide use NSAIDs every day. However, they have broad spectrum of side effects [1].

NSAIDs account for approximately 5-10% of all medications prescribed each year [2]. A Brazilian study in elderly patients indicated a mean drug consumption of two to four drugs per person per day [3]. The elderly consume a disproportionate amount of prescription and non-prescription medications. The increase in drug consumption among the elderly population might be due to the prevalence of chronic diseases, the physiology of aging, the influence of the pharmaceutical industry on prescriptions and the medicalization that is common in the training of the health professionals [3]. Thus, inappropriate medication use is highly prevalent among elderly patients (age ≥ 65 years) [4]. Virtually all medications can produce undesirable side effects. The elderly are more likely to experience adverse drug reactions (ADRs) as a result of age-related increases in the frequency of drug use, sensitivity to drug effects, and prevalence of predisposing conditions that can increase the frequency and severity of ADRs [5]. Thus, elderly patients are highly susceptible to poly-pharmacy, which may cause drug-drug interactions (DDIs) and ADR related complications and hospitalizations [5]. A study conducted on elderly population with a family health

Formulation, Evaluation, and Characterization of Ibuprofen Nanocrystals and Comparison of Ibuprofen Nanocrystals Tablets with Conventional Market Product

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STABILITY PENETRATION **FORMULATIVE** CLINICAL STUDY OTHER

Abstract

The objective of this study was to prepare and evaluate ibuprofen nanocrystals using isopropyl alcohol and stabilizer sodium lauryl sulphate by way of the precipitation method. The nanocrystals were prepared by the bottom-up approach of the precipitation technique. This technique involves the use of an organic phase, which is completely miscible in the external aqueous phase. The ratio used for organic solvent-to-aqueous solvent was 1:50. The Fourier Transform Infrared Spectroscopy analyses confirmed that the drug and excipients were compatible, and the differential scanning calorimetry results indicated that the precipitation method led to no change in the crystalline structure of the drug. Scanning electron microscopy analysis of ibuprofen nanocrystals showed the promising size reduction of pure drug ibuprofen. Differential light scattering technique showed significant decrease in particle size and good stability of ibuprofen nanocrystals. Ibuprofen nanocrystals increased 20% to 25% of the saturation solubility of ibuprofen nanocrystals. Ibuprofen nanocrystals showed 90% drug release in the dissolution medium within 1 hour, while the pure drug and market product were dissolved only up to 58% and 63%, respectively. Ibuprofen nanocrystals increased the saturation solubility and *in vitro* dissolution of the drug as compared to conventional market product.

Introduction

Ibuprofen is a Biopharmaceutics Classification System Class-II drug which has poor aqueous solubility and good lipophilicity. Ibuprofen belongs to a class of drugs called nonsteroidal anti-inflammatory drugs. These drugs are used for the management of mild to moderate pain, fever, and inflammation. Pain, fever, and inflammation are promoted by the release of chemicals in the body called prostaglandins. Ibuprofen blocks the enzyme cyclooxygenase that helps create the chemicals prostaglandin and thromboxane, resulting in lower levels of prostaglandins. As a consequence, inflammation, pain, and fever are reduced. Ibuprofen is used for the treatment of, but not limited to:

- mild to moderate pain,
- strains,
- sprains,
- cuts,
- scrapes,
- puncture wounds,
- muscle aches and pains,
- tooth pain,
- common cold,
- mild headache,
- strains,
- some arthritis conditions, and
- joint pain.

Ibuprofen is used to reduce inflammation and fever caused by many diverse diseases. It is also used for treating menstrual cramps (dysmenorrhea), osteoarthritis, rheumatoid arthritis, and juvenile idiopathic arthritis.

The poor aqueous solubility of drugs is an industry-wide issue for pharmaceutical scientists. Because of their low aqueous solubility, up to 40% of new chemical entities fail to reach market despite exhibiting potential pharmacodynamic activities. In addition, up to 50% of orally administered

Design and Development of Agglomerated Isomalt

Nikita N. Chauhan, PhD Scholar
Jayvadan K. Patel, PhD

S STABILITY P PENETRATION F FORMULATIVE C CLINICAL STUDY O OTHER

Abstract

The objective of this study was to prepare agglomerated isomalt by using the melt granulation process. This method involved the use of 99.5% of isomalt with the meltable binder glyceryl monostearate in a concentration of 0.5%. Glyceryl monostearate has a melting point of 50°C to 55°C, therefore, glyceryl monostearate was melted at its melting point and isomalt powder was blended with it to break the mass into agglomerates. The agglomerates were cooled to room temperature and were then screened to obtain granules of the desired size. The Fourier Transform Infrared Spectroscopy studies confirmed that the chemical structure of isomalt was not changed before and after the melt granulation process. A differential scanning calorimetry study showed that there was no appearance of more new peaks or disappearance of one or more peaks corresponding to those of the isomalt powder and agglomerated isomalt, which showed no changes in the structure of the isomalt powder before and after the agglomeration process. The agglomerated isomalt and galenIQ 721 showed almost identical solubility profiles for g of solute per 100 g of solution at different temperatures. The scanning electron microscopy analysis of agglomerated isomalt showed promising results for the preparation of agglomerates of isomalt with glyceryl monostearate. The flow properties of the agglomerated isomalt compared with the galenIQ 721 and pure isomalt powder and melt granulation process showed promising results for agglomerated isomalt. The melt granulation process showed promising results to prepare agglomerates of the isomalt with the meltable binder glyceryl monostearate.

Introduction

ISOMALT

Isomalt is an odorless, white, crystalline, and low-hygroscopic substance, which tastes like sugar, but is less sweet. Isomalt is a mixture of two stereoisomers, 1) 6-O-α-D-glucopyranosyl-D-sorbitol (1,6-GPS) and 2) 1-O-α-D-glucopyranosyl-D-mannitol dihydrate (1,1-GPM). Generally, isomalt comprises a mixture of 1,6-GPS and 1,1-GPM. 1,6-GPS crystallizes without water and is more soluble than 1,1-GPM. By shifting the ratio of the two components, the solubility and crystal water content can be adjusted.[1,2] Isomalt is a noncariogenic excipient used in a variety of pharmaceutical preparations including tablets, capsules, coatings, sachets, suspensions, and effervescent tablets. It can also be used in direct compression and wet granulation.[3] In buccal applications such as chewable tablets, it is commonly used because of its negligible negative heat of solution, mild sweetness, and 'mouth feel'.[3,4] Isomalt is also used widely in lozenges, sugar-free chewing gum, and hard-boiled candies, and as a sweetening agent in confectioneries for diabetics.[1,2] Isomalt is a sugar alcohol (polyol) that occurs as a white or almost white powder or granular or crystalline substance. It has a pleasant sugar-like taste with a mild sweetness approximately 50% to 60% of that of sucrose.[1,5-7] In a 10% solution, its sweetening power is 50% to 60% that of sucrose. Although it has less sweetening power, it has a similar sweetness profile. One of the advantages of using isomalt is that it can be combined with intense sweeteners to achieve various sweetness profiles, thus optimizing sweetness without masking the flavor, which is a limitation of some other sweeteners. Furthermore, isomalt blends well with many flavors, including fruity, menthol, and minty. Isomalt's negative heat of solution results in a cooling effect lower than all other sugar substitutes. Therefore, products such as isomalt-containing chocolates have no unpleasant cooling effect in the mouth. Standard isomalt has a solubility of 24 g per 100 g of solution at 20°C, increasing at higher

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Original Article

Derivative Spectrophotometric Method Development and Validation for the Estimation of Evogliptin Tartrate in Pharmaceutical Dosage Form

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ABSTRACT

Aim: A simple and economic method was developed as a derivative spectrophotometric study for estimation of evogliptin tartrate in the tablet dosage form. The developed derivative method was validated as per the ICH guideline. **Materials and Methods:** The maximum absorption of evogliptin tartare was found to be 267 nm and its first and second derivative wavelengths were measured at 275 nm and 277 nm respectively. Water was used as a solvent for all measurements. **Results:** The developed method was shown linear in the concentration range of 20-120 µg/ml for evogliptin tartrate and shows a good correlation coefficient. The precision of the developed method was less than the maximum allowable limit (% RSD < 2) specified by the ICH guidelines. Excellent % recovery (98% - 101%) with less than 2% RSD value indicates method was accurate. **Conclusion:** The developed UV – Visible method was simple eco-friendly, precise and accurate as per ICH guidelines. The proposed method will use in quality control for routine analysis of evogliptin tartrate in the pharmaceutical dosage form.

Keywords: Derivative method, Evogliptin tartrate (EVO), First derivative, Spectroscopy method, UV-visible method, Validation.

INTRODUCTION

Evogliptin tartrate is chemically (3R)-4-(3-amino-4-(2,4,5-trifluoropheny)butanoyl)-3-(tert-butoxymethyl)piperazin-2-one tartrate.[1] (Figure 1) Evogliptin belongs to class of DPP-4 inhibitors drug for safe and effective oral treatment of type -2 diabetes. DPP-4 inhibitors are reduced degradation of glucagon-like peptide 1 (GLP-1) simultaneously increase insulin secretion and decrease glucagon.[2] Evogliptin is effectively improve glycosylated haemoglobin (HbA1c) and suggests a lower risk for hypoglycemia.[3] Single oral dose of 1.25 mg to 60 mg have 50% bioavilibity and maximum concentration among healthy patient was 3 to 5.5 hr.[4-5] The pharmacokinetics of Evogliptin was affected by food. In clinical research, 5 mg of evogliptin was once daily administrated in diabetic patients for 12 weeks and showed significant glucose lowing effects.[6-8]

The literature survey indicates that few analytical methods involving liquid chromatography with tandem MS method was reported for determination of evogliptin tartrate in human plasma.[9] This technique is highly sensitive and for handling it qualified operator is needed. For routine analysis of drug that type methods are costly. Spectrophotometry is most widely useful method for the determination of drugs in the form of bulk and its dosage form. Only zero order UV spectroscopy method has been reported for determination of evogliptin tartrate in pharmaceutical dosage form.[10] However no derivative

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MOLECULAR DOCKING, IN SILICO PREDICTION AND IN VITRO ANTI-CANCER ACTIVITY STUDIES FOR NITROGEN RICH HYBRIDS OF DIARYL UREA-PYRIDINE ADDUCTS

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ABSTRACT

Novel Diaryl urea-pyridine hybrids (**R1-R9**) were synthesized using Pyridine-4-carboxylic acid, 4-amino thiophenol and 4-Chloro-3-(trifluoromethyl) aniline as starting materials by a multi-step process to afford Diaryl urea derivatives (R1-R9) in good yields. The synthesized compounds were docked in the crystal structure of Raf Kinase (PDB ID: 4DBN) to get insights into structural requirements for anticancer activity. In vitro anticancer activity against MCF-7 cell line showed that compounds **R4** and **R9** were found to be the most potent (Docking score: -13.1; MIC = 17.45 µg/mL) among the synthesized molecules. All the synthesized compounds showed acceptable drug-like properties which make them suitable for further lead modification using in silico design approaches.

Keywords: Diaryl urea, Pyridine, 4DBN, MCF-7, Molecular docking, Drug likeness

1. INTRODUCTION

Cancer continues to be the most serious threat to human health in the world's most developed nations [1]. Globally, it is estimated that 3.5 million people die each year as a result of cancer. Chemotherapy, while intended to kill cancer cells in a patient's body, also damages normal and healthy cells, causing significant side effects and, as a result, numerous organ failure [2]. In 2020, 1,392,179 people in India will be diagnosed with cancer in 2020. The breast, lung, mouth, cervix,

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Research Article

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Simvastatin Manifold Emulsion Preparation and Evaluation: 3^2 Factorial Design ApproachArticle

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Abstract

Background: Manifold emulsions are used to increase the bioavailability of active medicinal ingredients and to provide a longer drug delivery mechanism. Hydrophobic and hydrophilic combinational surfactants are frequently used to stabilize the manifold emulsions.

Results: In order to accomplish stable manifold emulsions, critical is the ratio of these surfactants. Simvastatin (SMV) was created as a manifold emulsion in this work using a two-step emulsification process using a variety of surfactants, including tweens and spans. 3^2 factorial designs were used for optimization of particle size and drug release. The stability, percentage of drug entrapment, and *in-vitro* drug release of the various emulsions are assessed.

Conclusions: The B3 formulation offers a higher release profile than other formulations, per experiments on in vitro dissolution. As the concentration of span 60 rose, the formulation's release profile got better. In spite of SMV's poor water solubility, it has been found that different emulsions can help increase the dissolving rate and, as a result, the medication's oral bioavailability.

Keywords: Manifold emulsions; emulsion; surfactants; simvastatin; *in-vitro*; 3^2 factorial design; drug delivery etc.

List of Abbreviations

SMV: Simvastatin, o/w: oil-in-water, w/o: water-in-oil, W/O/W: water-in-oil-in-water, smix: surfactant-co-surfactant mixture, TLC: Thin Layer Chromatography, ANOVA: Analysis of variance, DR: drug release, CP: centipoise, DSC:

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Fabrication and Characterization of Curcumin-loaded Gelatin Nanoparticle Using A Two-Step Desolvation Protocol

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Abstract Recently gelatin nanoparticles (G-NPs) have been gaining substantial consideration because they offer excellent properties like low cost, biocompatibility, and biodegradability. One of the protein materials that can be utilized to make nanoparticles is gelatin. The emphasis is constructed on the datum that gelatin is non-toxic, easy to crosslink, and chemically changeable, and hence consumes a gigantic potential for colloidal drug delivery system synthesis. The surface of G-NPs can be easily cat-ionized with a variety of amine derivatives to provide targeted and sustained drug delivery. Curcumin-loaded gelatin G-NPs were manufactured using a two-step desolvation progression in this study. A glutaraldehyde cross-linker was also employed to provide G-NP with good stability. Inclusive, the ordinary size of the curcumin-loaded gelatin (CGNPs) was 112 nm, with a zeta potential of +31.80 mV. An *In-vitro* dissolution study confirmed 88 % of the drug was released from the CGNP within 24 h. In comparison, drug release showed a lower release rate, at about 66 % after 24 h. In the present work, we fabricated a curcumin-loaded gelatin nanoparticle to improve the solubility and thereby enhance the stability of a formulation, which will further encourage the progress of curcumin based on nanoformulation. Curcumin-loaded gelatin nanoparticles have a higher stability in biological fluids than colloidal carriers, allowing for the desired delimited and unrelenting release of encapsulated drug molecules. In all, the fabricated curcumin-loaded gelatin nanoparticle proved to be a sustained-release drug delivery system.

Keywords Gelatin Nanoparticle, Gelatin, Curcumin-loaded Gelatin Nanoparticles, Glutaraldehyde, Anti-Cancer, Desolvation Method

1. Background

Because of their excellent biocompatibility and biodegradability, gelatin nanoparticles (G-NPs) have been widely used as drug and gene carriers for diseased tissues such as HIV infection [1], tuberculosis, and cancer [2]. Coating with gelatin, for example, reduces cytotoxicity while also allowing G-NPs to traverse the blood-brain barrier, allowing them to better target brain problems [3]. Recently, nanoparticles (NPs) have provided enormous benefits in terms of improving drug delivery systems by

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Review on CoviShield and Covaxin Vaccine against Covid-19

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Abstract

Since the pandemic began, India has confirmed more than 35 million cases and 50 lakh deaths (COVID19). The country has the second-highest number of Covid-19 infections in the world. As a result, vaccines that are both safe and effective required. The most widely used vaccinations in India are CoviShield and Covaxin. While the Serum Institute of India in Pune produces CoviShield, Covaxin is wholly designed, developed, and manufactured in India. CoviShield, a viral vector vaccine developed, it delivers spike proteins and mounts a tolerable immune response to a live virus using an adenovirus discovered in chimps, ChAD0x1. Covaxin is an inactivated coronavirus vaccine. India has reached the milestone of more than 1 billion vaccination doses. In addition, India achieves a world record by administering 2.5 million vaccines in a single day. The major goal of this research is to distinguish between the two most often used vaccinations in India, CoviShield and Covaxin. Also everyone in the public is aware of how it works, safe, effective and harmful it is. As a result of these vaccines, India plays a critical role in halting the coronavirus in the present and near future, perhaps saving millions of lives.

Keywords: CoviShield; Covaxin; Vaccine; Covid-19; India; Virus

Formulation and Evaluation of Herbal Lipbalm: *Ixora-Coccinea linn*

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Abstract

Cosmetics are incredibly in demand since historical time. These days focus is shifted towards naturally derived cosmetics. Daily lip care cosmetics contain harmful components like heavy metals and preservatives, which makes them harmful. Now a day's ladies are more serious towards their beauty and for making face update and attractive, lip care cosmetics and mainly lipsticks are widely used. Current Lipbalm formulation makes face attractive and give a glamour touch to makeup. Herbal lip balm gives attractiveness to lips by coloring and also maintains its softness, also promote healthy lips. Current cosmetic lip products are based on use of enormous chemical ingredients with various side effects. Lip balms are not gender specific products and both men and women can use them. In present day, majority population uses Lipbalm, many newer shades are also arrived in market to avail product as per consumer demand. Most often the applied Lipbalm can get ingested and therefore it becomes mandatory for the health regulators to approve them with caution. Lipbalm can be used for coloring as well as moisturizing the lips. Herbal formulation is a sign of safety, satisfaction and surety as less or no harm to the users and so herbal Lipbalm can be made without the colors being compromised on. This lip balm is formulated according to the scientific procedure and evaluated as per standard requirements.

Key Words:- Herbal lip balm, .Cosmetic, Natural products, Coloring lips

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1. Introduction:

Rising global demand for natural products whose production is harmless to the environment has stimulated the development of natural cosmetics and, within this category, organics. Cosmetic plays a significant role in today's life style. Moreover, current trend is going green in almost all industries including cosmetics to adopt more natural way of life. The preferable choices are natural food, herbal medicines and natural curing practices for healthy life and also there is much demand for the organic vegetable products. The usage of herbal cosmetics has been increased to many folds in personal care system [1]. Lipbalms can be used for coloring as well as moisturizing the lips. Herbal indicates safety, satisfaction and surety of less or no harm to the users [2]. Coloring lips is been practiced over years since the ancient period. Also, lips do not

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A Review:-*Butea monosperma Linn*

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Abstract:-*Butea- monosperma* (Fabaceae), commonly known as Palas in Hindi is a medium-sized deciduous tree common throughout India, Burma and Ceylon. It finds use both medicinally and commercially with each part of the plant having utility. The plant is traditionally reported to possess astringent, bitter, alterative, aphrodisiac, anthelmintic, antibacterial and anti-asthmatic properties. Bark yields red juice known as 'Butea gum' or 'Bengal Kino'.

Key Words:- Butea- monosperma, Palas, Butea gum, Bengal Kino.

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Introduction:-It is powerful astringent and is given in many forms of chronic diarrhea. Seeds have anthelmintic property especially for roundworms and tapeworms. Flowers yields a brilliant yellow coloring matter due to presence of chalcones. Palas wood is white or yellowish brown used mainly for well-curbs water-scoops and for fuel. The plant is highly used by the rural and tribal people in curing various disorders. *B. monosperma* has effective natural origin that has a tremendous future for research.

Scientific Classification

Kingdom- Plantae – Plants

Sub-kingdom- Tracheobionta – Vascular plants

Super-division- Spermatophyta – Seed plants

Division- Magnoliophyta – Flowering plants

Class- Magnoliopsida – Dicotyledons

Subclass- Rosidae

Order- Fabales

Family- Fabaceae – (papilionaceous)

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EXPLORING CERTAIN HERBS FOR DEVELOPING A POTENTIAL HERBAL FORMULATION FOR DIABETES MELLITUS

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Abstract:- According to the WHO definition, herbal medicines contain plant parts or plant material in the crude or processed state as active ingredients. Similarly, the European Medicines Evaluation Agency (EMEA) defines herbal medicine products as preparations containing exclusively herbal drugs or herbal drug preparations as active substances. Herbal drugs are plants or plant parts in an unprocessed state which are used for a medicinal or pharmaceutical purpose.

Key Words:-Herbal Drugs, WHO, EMEA, Traditional Medicine Programme

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Introduction:-Herbal Medicine sometimes referred to as Herbalism or Botanical Medicine, is the use of herbs for their therapeutic or medicinal value. Medicinal plant synthesizes a variety of chemical constituents, eliciting beneficial activity on human body either internally or externally. According to the WHO definition, herbal medicines contain plant parts or plant material in the crude or processed state as active ingredients. Similarly, the European Medicines Evaluation Agency (EMEA) defines herbal medicine products as preparations containing exclusively herbal drugs or herbal drug preparations as active substances. Herbal drugs are plants or plant parts in an unprocessed state which are used for a medicinal or pharmaceutical purpose. Before a generally accepted definition of "herbal medicinal products" was established by the respective European guidelines, several attempts had been made in literature to define what should be meant by the expression, which are as following:

FORMULATION OPTIMIZATION AND EVALUATION OF FAST MOUTH DISSOLVING FILM OF DICLOFENAC SODIUM BY SOLVENT CASTING METHOD

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ABSTRACT

Fast dissolving drug delivery systems such as mouth dissolving films (MDF) are novel dosage forms that disintegrate or dissolve within the oral cavity. These offer a convenient way of dosing medications, not only to special population groups with swallowing difficulties such as children & the elderly, but also to the general population.Mouth dissolving film (MDFs) is the latest oral solid dosage form because of its easy to use properties. When mouth dissolving films are placed in mouth, it disintegrates & dissolves within a minute without consuming water or chewing. This dosage form has added advantage as it allows the medication to bypass the first pass metabolism, so bioavailability of medication may be enhanced. Mouth dissolving film has capability to enhance onset of action, lower the dosing eliminate the fear of chocking.

Diclofenac Sodium is a NSAID. Diclofenac is a medicine that reduces swelling (inflammation & pain). It is used to treat aches & pain as well as problems with joints, muscles & bones. It is also used to tread rheumatoid arthritis. In the present study, total nine formulations by solvent casting method using polymer- hydroxy propyl methyl cellulose & plasticizer- PEG 6000 are prepared & evaluated.The prepared films were evaluated for various parameters like physical appearance thickness, weight variation, and time, Surface PH, folding endurance, percentage moisture loss, Disintegration time, In vitro wetting time, mouth dissolving time & drug content uniformity. from the evaluations, it was found that F2 batch was ideal fast dissolving as the film was nicely formed.

Keywords: Fast Dissolving Film, HPMC, PEG6000, Solvent Casting Method, Diclofenac Sodium.

INTRODUCTION:

The oral route of administration have always been preferred over the other routes of administration namely, parenteral, topical, rectal and vaginal by the medical practitioners, manufacturers due to patient acceptance (1, 2).Ease of administration, convenience and cost effectiveness has been the reason behind the popularity of this route among the patient population (1, 3). The oral cavity has unique environment that offers its potential as a site for drug delivery (2). There has been a lot of advancement in the oral solid drug delivery system, from conventional dosage forms such as tablets and capsules to modified release dosage forms and recently the fast dissolving dosage forms (Fig1.1).Ease of administration, convenience and cost effectiveness has been the reason behind the popularity of this route among the patient population (1, 3).The limitation of difficulty in swallowing oral solid dosage forms has been the reason for the evolution of mouth dissolving drug delivery system.

CONCEPT OF SHODHANA (DETOXIFICATION) PROCESS AND ITS EFFECTS ON TOXIC HERBAL PLANT LANGALI (GLORIOSA SUPERBA LINN.)

Sangita K. Patel[1*], Dr. Hitesh A. Patel[2]

Article History: **Received: 30.04.2023** **Revised: 26.05.2023** **Accepted: 22.06.2023**

Abstract:

An ayurveda suggest that the use of natural drugs obtained from plants, animals, and mineral origin, it can be divided under poisonous and nonpoisonous category.The some herbal drugs possess unwanted impurities and toxic substances which can lead to harmful health problems. As per many scientists all medicinal plants are not safe it contain many toxic and harmful phytoconstituents. Sodhana is the purification process use to convert poisonous drug into nonpoisonous ones. Hence, the process involves purification as well as reduction in the levels of toxic principles and some impurities. Herean attempt traditional and conventional shodhana process uses for purification of the langali (Gloriosa superb linn.) to enhance therapeutic effects. Preliminary physicochemical parameters was applied for evaluation of ashodhita and all shodhita samples, the results showed that the reduction of toxic principle and impurities after shodhana.

Key word: Ayurveda, Toxic plant, Shodhana, Purification, Phytoconstituents

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Formulation, Optimization And Characterization Of Root Extract Superba Linn. Loaded Transdermal Patch

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Abstract
The aim was to formulate and evaluate methanolic root extract of gloriosa superb linn. Containing patch by solvent casting method using polymer ethyl cellulose, 0.35% and 0.45% and a total of eight prepared and study on effect of polymer concentration on in vitro drug release profile. Plasticizer pthalate with penetration enhancer PEG-6000. The transdermal patches were evaluated for ther properties like thickness, weight variation, % moisture content, water vapor transmission, % drug c in-vitro drug release studies were performed by using Franz diffusion cell. The optimized formu 0.35% ethyl cellulose with dibutyl phthalate as plasticizer showed a maximum release of 99.89 in 12 eight formulations of 0.35% ethyl cellulose was optimized concentration they produced sustain an over a period of 12 hours due to 0.035% of PEG-6000 as penetration enhancer. The study indicated formulation F4 has good effect of polymer concentration on in vitro drug release profile.

Keywords: Gloriosa superb Linn. Transdermal, Ethylcellulose, PEG-6000

Introduction

Transdermal drug delivery systems are self contained, discrete dosage forms which, when applied deliver the drugs, at controlled rate to the systemic circulation.[1,2] The transdermal delivery provides c administration of the drug, it deliver therapeutically effective amount of drug across the skin when it is the dosage form in which the drug is administered topically in the form of a patch that deli controlled rate for systemic effects[4]. TDDS offers many advantages over conventional mode injectior Numerous considerable advantages of TDD are limitation of hepatic first pass metabolism, enrichn efficiency and maintenance of steady plasma level of the drug[5]. It reduces the load that the oral route on the digestive tract and liver. It is safe, effective and may be withdrawn easily as per need of the pat

Gloriosa superba Linn is tuberous root of the Liliaceae family[7]. It is considered as a rich source gloriosine. It has highly active alkaloid "Colchicine". This plant is a part of folk medicine f ncie number of important pharmacological activities.[8] *G. superb* considered as a medicinal pl zau pharmaceutical constituents known as colchicines, gloriosine[9] and other tropolone alkaloids. Co alkaloid of *G. superba*, is a useful agent chiefly in the treatment of acute attacks of gout but is also inflammatory diseases such as gouty attacks, Arthritis, serositis related to familial Mediterran syndrome, and more recently use in acute and recurrent pericarditis and many more diseased conditi colchicine is effective in alleviating the acute attack and as a prophylactic medication. Colchicine is treat attacks of gout (also called gouty arthritis). This condition is caused by too much uric acid in th of gout occurs when uric acid causes inflammation (pain, redness, swelling, and heat) in a joint. stocks of glory lily, *G. superba*boiled with *Sesamum*oil is applied twice a day on the joints, affe reduces pain.[10]

The objective of the present study was to design and evaluate transdermal polymeric matrix films of l containing methanolic extract of *Gloriosa superb Linn.* root use as anti-inflammatory to avoid the metabolism and improve the therapeutic efficacy of the drug.

Materials and methods

Material

The Gloriosa superba roots were collected from supplier Indian jadibooti, Delhi. Root sample was au Sunita Garg, former chief scientist, Head, RHMD, CSIR-NISCAIR, Delhi. Polymer and plas purchased by SD fine chemicals, india. Magnetic stirrer, hot air oven, waiging balance and franz c used in this study.

DESIGN AND OPTIMIZATION OF CLOZAPINE PRONIOSOMES USING DESIGN OF EXPERIMENTS

Pinal Patel[1*], Dr. Khushbu S. Patel[2], Kaxita Patel[3], Bhumika Limbachiya[4]

Abstract:
Clozapine is atypical antipsychotic agent used in treatment of patients with schizophrenia, it is available in oral route, Clozapine is class II drug having a poor bioavability (27%), hence the aim of present study to develop proniosomes of Clozapine for brain targeted delivery through olfactory pathway. Proniosomes drug delivery system is a promising drug delivery system derived from Niosomes, Proniosomes proves to be potential carriers for efficient drug delivery for Lipophilic and amphphillc drug using oral route, nasal route, transdermal route and other route. 3-factor and 3 levels box Behnken design used to explain multiple regression analysis and counter plot response. The independent variable selected were Cholesterol, Span 60 and Sonication time; dependent variables % entrapment efficiency, particle size analysis and PDI value. Based on Box-Behnken design 17 runs trials studied and optimized. The formulation evaluate for Scanning electron Microscopy (SEM), FT-IR Study, DSC Study, Particle size analysis. From DOE the derived polynomial equation and counter plots give support to predicating the values of selected independent variable for the preparation of the optimum formulation with required properties

Keywords: Clozapine, Proniosomes, Box –Behnken, Brain targeted delivery, Cholesterol

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PRONIOSOMAL CLOZAPINE TABLET: FORMULATION, EVALUATION OF PRONIOSOMAL TABLET AND RELEASE STUDY OF TABLETS

Pinal Patel[1*], Dr. Khushbu S. Patel[2]

Abstract:

Novel drug delivery system have emerged various route of administration, among all the drug delivery system oral drug delivery system is most favourable drug delivery system. A lipid base drug delivery system is one of positive approach for Poorly water soluble drugs. Based on Lipid vesicular system like liposomes, proniosomes and niosomes have been developed. Aim of present study to developed Clozapine based proniosomes to enhance solubility and bioavaibility of the drug. Clozapine loaded Proniosomes prepared by the slurry method using different ration of Cholesterol: span 60 and Mannitol as a carrier. Respectively were continuously compressed into tablet using direct compression method. Proniosomes was evaluating for Particles size, Micromertic properties, entrapment efficiency, and dissolution. Proniosomal tablets showed improve dissolution characteristics over the plain tablets which were improve dissolution behaviour. The Transformation of crystalline form to the amorphous was represented by the solid state characteristics.

KeyWords: Clozapine, Proniosomes, Tablets, Cholesterol, slurry method, Mannitol, Dissolution

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Research Article

Topical Delivery of Eberconazole Nitrate Loaded Microemulsion: Formulation, Design and Evaluation

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Microemulsion, Eberconazole nitrate, Central composite design, Topical delivery.

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ABSTRACT

The objective of the present research work was to develop a microemulsion for the transdermal delivery of eberconazole nitrate (EBZ). Initially, oil, surfactant and co-surfactant were selected based on their solubility and emulsification study. A pseudoternary phase diagram was constructed to optimize the surfactant-co surfactant (S_{mix}) ratio. Eberconazole nitrate (EBZ) loaded microemulsion was optimized using central composite design (CCD) with amount of Capmul MCM (X_1), tween 80 (X_2) and transcutol (X_3) as independent variables along with the cumulative amount of drug release (Q_{24}) (Y_1), flux (Jss) (Y_2) and lag time (t_L) (Y_3) as dependent variables. Drug release study of all the design batches showed successfully increased permeation of drug which might be due to the compositional characteristics of ME. The globule size of the optimized batch of EBZ loaded ME (153.6 nm) confirms the micrometer size of the formulation. Zeta potential and polydispersity index (PDI) of the optimized batch was found to be -30.5 mV and 0.253, respectively, proving stability and uniform distribution of dispersed systems. The optimized batch of MEs has a pH value of 6.96 ± 0.21, indicating no chance of skin irritation. Further morphological and structural examination of the optimized batch of EBZ loaded ME was done by transmission electron microscope (TEM) and images illustrated the spherical micelles with size range of 100 to 200 nm which evidently may support the high absorption and results into the enhancement of drug permeation which may increase the therapeutic effect, decrease the dose frequency and improving the patience compliance for topical drug delivery.

INTRODUCTION

The pharmaceutical industry's topical drug delivery system has grown to represent a significant class. Even though this path was already found, new discoveries in this area continue to be made. Topical dosage forms are designed to conveniently distribute medications to a specific area of skin.[1] The primary benefit of a topical administration system is its capacity to administer medications more precisely to a particular spot. It enables the use of medications with a brief biological half-life and a restricted therapeutic window to lengthen the duration of effect. About 40% of new chemical entities have low water solubility, which poses a significant challenge to contemporary drug delivery systems and causes poor absorption, poor bioavailability, and other problems. Skin is a natural barrier for topical drug administration, making it challenging. To overcome such limitation, novel drug delivery systems like microemulsion, nanoparticles and vesicular systems are currently being castoff by investigators to expedite drug transportation through the skin.[2]

A microemulsion is anticipated to pass through the stratum corneum when applied to the skin, altering both the lipid and polar routes in its structure.[3] In the case of topical distribution, microemulsion improves the drug's skin transport due to its greater penetration rate as a result of the surfactant's appearance and lipophilicity.[4]

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Relevant conflicts of interest/financial disclosures: The authors declare that the research was conducted in the absence of any commercial or financial relationships that could be construed as a potential conflict of interest.

Section: Research Paper

IN VITRO EVALUATION AND CHARACTERIZATION OF THE NANOPARTICULATE SYSTEM OF NOVEL TAXANE DERIVATIVE

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Abstract

A brand-new taxane derivative called cabaditaxel (CTX) has been used to treat metastatic prostate cancer that is safe to treat with docetaxel. However, CTX exhibits poor physicochemical properties like low water solubility and disintegration, which are common in chemotherapeutic agents. The multidisciplinary nature of nanoscience and nanotechnology calls for a broad characterization field. In actuality, a more thorough assessment of NPs typically considers both its behavior in vivo and its application security. Regarding their use by the end patient and how they present in vivo, the plan has been detailed and evaluated. Our approach for characterizing nanoparticles in vitro provides an atypical indicator of good strength, market acceptance, and administrative acceptance. The current review includes evaluation of drug moieties, molecular size, surface potential, characterization of strong states, in vitro drug delivery studies, similarity studies, photo safety studies, thermo cycling studies, and stability of reconstitution studies. The advantage of the "atom-driven approach" is emphasized. And weakening strength studies were all performed in the shaped nanoparticle system. Regarding in vivo execution and end-client use, detailing has been demonstrated and explored. Our in-vitro examination of the nanoparticle

Investigation of Antioxidants, Antidiabetic and Antihyperlipidemic Activity of Ficus Racemosa leaves

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Abstract

The purpose of this experiment was to determine whether or not Ficus racemosa has hypoglycemic effects utilizing in-vitro methods. The purpose of this research is to examine the polyphenol content and biological activity of nanofiltered extracts of Ficus racemosa. According to HPLC-MS analysis, the two most abundant phenolic acids in both extracts were chlorogenic acid and rosmarinic acid. Although rutin and isoquercitrin make up the bulk of Ficus racemosa, the extract's primary flavonoid is luteolin.

Keywords: Ficus racemosa, Phytochemical, In-vitro, Antioxidant, Anti-diabetic

Introduction

Type 2 diabetes must be managed with a mix of lifestyle modifications and medication to prevent complications and maintain a high quality of life. Measures done to regulate blood sugar, body mass index, cardiovascular risk factors, comorbidities, and complications fall under this area. This specifically calls for a person-centered method of delivering treatment that is

Section A -Research paper

FORMULATION AND ESTIMATION OF ATOMOXETINE HCL FOR BUCCAL DRUG DELIVERY SYSTEM

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DOI:10.48047/ecb/2023.12.si4.699

Abstract

The atomoxetine hydrochloride-based administering tablet concentrated on contained tamarind seed polysaccharide, guar gum, PVP, magnesium stearate, and fine polished cellulose particles. In a short report, the energizer atomoxetine hydrochloride (atomoxetine) expanded the rate of self-destructive ideation in youngsters and teenagers with consideration deficiency/hyperactivity jumble. Gotten and considered. The lengthy delivery tablets contained tamarind seed polysaccharide in addition to guar gum, PVP, magnesium stearate, and MCC. Guar gum and tamarind seed polysaccharide, two different drug polymers, were used to prepare auxiliary discharge grid tablets containing atomoxetine hydrochloride. Qualities such as surface pH, collapse resistance, flatness and moisture content, article homogeneity, weight change, in vitro drug distribution and strength were evaluated. Oral fixation was performed using the most

Section A-Research paper
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ISOLATION AND EVALUATION OF LEAVES OF SESBANIA SEBAIN AS A POTENTIAL ANTI-TB AGENT

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doi: 10.48047/ecb/2023.12.si4.1469

Abstract

The present study was carried out to investigate *Sesbania sesban (L) Merr* leaves pharmacognostic and phytochemical, in-vitro and in-vivo antidiabetic screening. *Sesbania sesban (L) merr* leaves was collected from local and surroundings areas in Karnataka and

IN VITRO AND IN VIVO EVALUATION OF SOME NOVEL HERBAL COMPOSITION FOR TREATMENTS OF DIABETES BY USING CURCUMIN AND CINNAMON EXTRACT

Arjun Singh[1], Anubi Badhani[2], Nidhi Nitin Chauhan[3*], Anu Sharma[4], Ashok Kumar[5], E. Siva Rami Reddy[6], Ujash kumar Shah[7], Rajeev Ranjan[8]

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Abstract:

Introduction: Diabetes mellitus is an increasingly prevalent chronic metabolic disease characterized by prolonged hyperglycaemia that leads to long-term health consequences.Currently, close to 500 million people are estimated to be suffering from diabetes mellitus (DM), with a predicted startling increase in the upcoming years.Curcumin, the active ingredient of the *Curcuma longa* plant, has received great attention over the past two decades as an antioxidant, anti-inflammatory, anti-diabetic anticancer agent.cinnamon has been used as a spice and as traditional herbal medicine for anti-inflammatory, antimicrobial, antioxidant, antitumor, cardiovascular, cholesterol-lowering, and immunomodulatory effects

Objectives: This currentresearch aims to formulate Some Novel Herbal Composition (Transdermal patch) using Curcumin and Cinnamon Extracts, Various evaluation parameters of transdermal patches like Weight variation test, Folding endurance, Thickness, Drug content study, Drug polymer interaction studies,were performedinvitro drug release studies were performed by using Franz diffusion cell. In vivo studies were performed by using Wistar albino ratsby inducing the diabetics using alloxan monohydrate

Conclusion: Transdermal patch using curcumin and extracts of cinnamon were successfully prepared suggesting a comparatively suitable option for treatment of diabetics. Based on the results it can be concluded that curcumin and cinnamon exhibited better in vivo performance in rats and further study on higher animals and on clinical research is required.

Keywords: Diabetics, Curcumin, Transdermal patch, Cinnamon,in vivo studies.

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Synthesis of Spiro-Pyrrolizine and Pyrrolidine derivative of Tryptanthrin and Evaluation of Their anti-bacterial, anti-fungal and anti-mycobacterial activities

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Abstract.

Multicomponent reaction of 2-(8-bromo-12-oxoindolo[2,1-b]quinazolin-6(12H)-ylidene) malononitrile and azomethine ylides formation from substituted aldehyde and α- amino acids (Proline and Sarcosine) to gives series of 8-bromo-12-oxo-3'-subtituted phenyl-5',6',7',7a'-tetrahydro-1'H,3'H,12H-spiro[indolo[2,1-b] quinazoline-6,2'-pyrrolizine]-1',1'-dicarbonitrile and 8-bromo-1'-methyl-12-oxo-2'-subtituted phenyl-12H-spiro[indolo[2,1-b]quinazoline-6,3'-pyrrolidine] -4',4'-dicarbonitrile in a quantitative yield. These compounds were screened for their anti-bacterial, anti- fungal and anti- mycobacterial activities. Best results were found in 8-bromo-3'-(4-fluorophenyl)-12-oxo-5',6',7',7a'-tetrahydro-1'H,3'H,12H-spiro[indolo[2,1-b] quinazoline -6,2'-pyrrolizine]-1',1'-dicarbonitrile and 8-bromo-2'-(4-fluorophenyl)-1'-methyl-12-oxo-12H-spiro[indolo[2,1-b]quinazoline-6,3'-pyrrolidine]-4',4'-dicarbonitrile with minimum inhibitory concentration (MIC) of 0.6 μg/ml against MTB.

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Research Article

Discovery of Anti-Breast Cancer Thiophene Sulfonamide Derivatives: Design, Synthesis, Molecular Docking against EGFR, MM-PBSA, MD Simulations, ADME/Tox, and in vitro Studies

Ashish K. Patel, Dr. Ujashkumar A Shah, Dr. Jigar Y. Soni, Ahmed M. Metwaly, Eslam B. Elkaeed, Ibrahim H. Eissa, Divya M. Teli, Purvesh R. Patel, Bhavin H. Patel, Dr. Nikunj Valand, Dr. Manish B. Patel ✉

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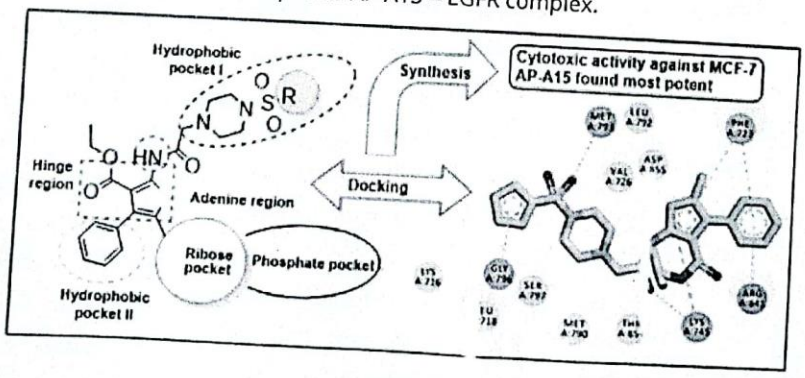
Graphical Abstract

Fifteen substituted thiophene derivatives were synthesized, characterization by various spectroscopic methods and screened for anticancer activity against MCF-7 cell line by CCK-8 assay. Compound AP–A15 found most activity against MCF-7 cell line. *In silico* molecular docking studies, MD Simulations confirmed the perfect binding of compound AP-A15 – EGFR complex.



Abstract

With aim of developing the crucial pharmacophoric properties of the reported EGFR inhibitors (EGFRIs), a series of thiophene compounds having ethyl 5-methylthiophene-3-carboxylate core were designed. The designed compounds were subjected to molecular docking studies that indicated the potentialities of compounds AP–A8, A9, A13 and A15

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DEVELOPMENT AND VALIDATION OF UV SPECTROPHOTOMETRIC METHOD FOR SIMULTANEOUS ESTIMATION OF FLUCONAZOLE AND QUERCETIN FROM PHARMACEUTICAL FORMULATION

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ABSTRACT

The aim of present investigation is to establish simple, precise, economical, rapid, and accurate first order (D^1) UV-Spectrophotometric method for simultaneous estimation of Fluconazole (FCZ) and Quercetin (QCT) from developed mucoadhesive In-situ vaginal gel formulation. The method was developed in Simulated Vaginal Fluid (SVF) at two different pH, normal vaginal pH (4.31) and in Infected vaginal pH (7.00). In developed fist order UV-spectrophotometric method, FCZ was quantify at detection wavelength, 232.03 nm, and 268.03 nm in SVF, pH 4.31 and pH 7.00, respectively. While QCT can easily quantified at same detection wavelength, 400 nm in both SVF, pH 4.31 and pH 7.00. The method was also validated as per ICHQ2(R1) guidelines. The scope of developed method includes quantification of FCZ and QCT from its developed mucoadhesive In-situ vaginal gel formulation and identification of drug released profile of both analytes in both normal vaginal pH (4.31) and infected vaginal pH (7.00).

Keywords: Simultaneous estimation, Fluconazole (FCZ), Quercetin (QCT), First order (D^1) UV-Spectrophotometric method, ICH Q2(R1) Guideline

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Original Article

Validated Reverse-Phase HPLC Method for Quantification of Fluconazole and Quercetin in Pharmaceutical Formulation

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ABSTRACT:
Objectives: Fluconazole (FCZ) and Quercetin (QCT) in pharmaceutical dosage form were simultaneously quantified using a sensitive, accurate, robust, and précised Reverse Phase-HPLC Method. **Materials and Methods:** RP-HPLC method was used to get the separation of FCZ and QCT using Imtakt® Unison-US-C_{18} column having a size of the particle, 5 µm (150 mm x 4.6 mm) operating at a 1.3 mL/min flow rate with an optimized mobile phase having Methanol-Water-Trifluoroacetic acid (TFA) in the proportion of 50:50:1%, v/v/v, respectively. The quantification of FCZ and QCT was done at 258 nm wavelength. **Results and Discussions:** In the developed method FCZ was retained at a retention time of 1.896 min, while QCT was retained at a retention time of 5.637 min. The proposed method separates FCZ and QCT with a resolution of 13.261. The validation of the method was done with reference to the guideline of ICH Q2(R1). FCZ and QCT showed linearity at 4–20 µg/mL, and 1–5 µg/mL, respectively. The % RSD for the precision study was less than 2 and recovery was in the range of 98.69% to 102.14% for both drugs. In the robustness study, the proposed method has less than 2 % RSD. **Conclusion:** The Method can be used to quantify Fluconazole and Quercetin simultaneously in a newly developed thermosensitive in-situ mucoadhesive vaginal gel formulation.

Keywords: Simultaneous estimation, Fluconazole (FCZ), Quercetin (QCT), RP-HPLC, ICH Q2(R1) Guideline.

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INTRODUCTION

Vulvovaginal Candidiasis (VVC), often called vaginal thrush, is a gynaecological disorder caused by Candida albicans overgrowth in the vagina.[1,2] Candida albicans, is a pathogenic fungus that caused VVC in over 85% of cases.[3]

Fluconazole (FCZ) (Figure 1) is a widely prescribed first-line medicine that belongs to the azole chemical class. It is widely prescribed in the treatment of VVC and other mucosal Candida infections due to its excellent absorption with few side effects.[4-7] FCZ was successful in treating VVC in 71% of patients. In isolates of Candida albicans that are FCZ sensitive, the cure success rate might be as high as 90.6%. On the other hand, it was observed that the failure rate might be as high as 100% in Candida albicans isolates that were resistant to FCZ. It was also found that FCZ resistance to Candida albicans was 10-20% in VVC patients.[8,9]

The MDR (Multiple drug-resistant) species of Candida albicans have emerged as a result of excessive FCZ clinical usage.[10-12] Importantly, these multiple-drug-resistant strains are genetically similar to drug-sensitive bacteria and exist at higher frequencies. Thus, FCZ-resistant Candida albicans isolated in VVC treatment require innovative drugs alone or in combination with FCZ.

Quercetin (QCT) (Figure 2), a flavonoid, exhibits weak antifungal action and is responsible for preventing clinical Candida albicans biofilms and FCZ-resistant isolates sensitization.[13,14]

After QCT and FCZ treatments, the fungal loading decreased, and mucosal epithelial cell inflammation was considerably reduced, suggesting that FCZ and QCT may be a synergistic combination for treating resistant Candida albicans infections.[15]

The literature review reveals that there is no published data related to formulation and analytical methods for FCZ and QCT in their combined dosage form. Previously, an attempt was made in the laboratory for the formulation of thermosensitive In-situ vaginal gel formulation that comprised FCZ and QCT as synergistic combinations for the treatment of Vulvovaginal Candidiasis.

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RESEARCH Open Access

Box–Behnken design-assisted optimization of RP-HPLC method for the estimation of evogliptin tartrate by analytical quality by design

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Abstract

Background A quality by design approach can potentially lead to a more robust/rugged method development due to emphasis on the risk assessment and management. By carefully understanding the step-by-step procedure for analytical QbD-based optimization parameters, such as analytical target profile and critical quality attributes (CQAs), was assessed. The present study describes the simple, rapid, sensitive and cost-effective RP-HPLC method development and validation for the estimation of evogliptin tartrate in pharmaceutical dosage form.

Results The factor screening studies were performed using Box–Behnken design by three key components of the RP-HPLC method (mobile phase, pH and flow rate). The chromatographic conditions were optimized with the Design Expert software trial version 13.0. The optimal chromatographic separation was achieved having water C18 column (250 mm × 4.6 mm, 5 µ) and using mobile phase as a methanol and phosphate buffer (pH 4.5) 60:40% v/v with a flow rate 1.0 ml/min and UV detection at 267 nm. The Box–Behnken experimental design describes the interrelationship of mobile phase, pH and flow rate at three different levels, and responses of retention time and tailing factor were observed with response surface plot and statistical data. The developed method was validated as per recommended ICH guidelines which revealed the high degree of linear, precise, accurate, sensitive and robust method over the existing RP-HPLC method for evogliptin tartrate.

Conclusion The developed QbD-based method helped in generating a design space and operating space with knowledge of all method performance characteristics, and RP-HPLC method takes less time and can be used in the industry for routine quality control of bulk and marketed formulation of evogliptin tartrate.

Keywords Analytical quality by design (AQbD), Box–Behnken, Design of experimental (DOE), Evogliptin tartrate (EVO), Method validation, RP-HPLC

Background

Evogliptin tartrate is a potent orally bioavailable and selective class of DPP-IV (dipeptidyl phosphate-IV) inhibitors with anti-diabetic class. In clinical practice, gliptins have proved to be safe and effective oral drugs reducing glucose level in type-2 diabetes patients [1–3]. EVO is a DPP-IV inhibitors that reduce degradation of endogenous glucagon-like peptide 1 (GLP-1) to increase insulin secretion and decrease glucagon. Evogliptin tartrate is effective in improving glycosylated haemoglobin (HbA1c) and fasting plasma glucose without inducing hypoglycaemia events [4–7].

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nature portfolio

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Geraniol reverses obesity by improving conversion of WAT to BAT in high fat diet induced obese rats by inhibiting HMGCoA reductase

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Affiliations

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Abstract

Objectives: Present report evaluates the protective effect of geraniol on high fat diet (HFD) induced obesity in rats and also determines the molecular mechanism of it.

Methods: Rats were induced with obesity with administration of HFD for four weeks and geraniol 200 and 400 mg/kg p.o. was administered for the next four week in the respective groups. Blood glucose and oral glucose tolerance test (OGTT), lipid profile was estimated in the geraniol treated HFD induced obesity in rats. Moreover, docking study was performed to determine the specific mechanism of geraniol by targeting HMG-CoE A reductase (in silico).

Results: There was significant increase in body weight and amelioration in altered serum glucose and lipid profile were observed in the geraniol treated group than negative control group. Weight of organs and adipose tissue isolated from different regions of the body was reduced in geraniol treated group than negative control. Moreover, geraniol interact with HMG-CoA reductase having binding energy -5.13.

Conclusions: In conclusion, data of the report reveals that geraniol reduces obesity by promoting the conversion of white adipose tissue (WAT) to brown adipose tissue (BAT), as it interacts with HMG-CoA reductase in HFD induced obesity in rats.

Figures

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Development and Optimization of Macitenan Loaded Self-Micro Emulsifying Tablets

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KEYWORDS

Macitentan, SMEDDS, Quality by Design, Solidification of SMEDDS, Tablet

ABSTRACT:

Macitentan is an orally administered endothelin receptor antagonists used for the treatment of pulmonary arterial hypertension. As it is fall under BCS class 2 medicine, its solubility and oral bioavailability are poor. Here, a self micro-emulsifying drug delivery system (SMEDDS) was developed to improve its solubility and drug dissolution. The objective of our investigation was to formulate a self micro-emulsifying drug delivery system (SMEDDS) of Macitentan using minimized quantity of oil, surfactant and co-surfactant that could improve its solubility, stability, and dissolution. The composition of optimized Liquid SMEDDS formulation by BBD, consists of Capmul PG 8® as oil, Acrysol EL135® as surfactant and Propylene Glycol as cosurfactant, containing 100 mg of Macitentan showing mean droplet size (140.52 nm), rate of self-emulsification (53 sec) and Polydispersibility index (0.394). This optimized liquid SMEDDS is converted into the tablet dosage form using solid carrier technique. Macitentan SMEDDS tablet is optimized by 32 factorial designs, has desired hardness, disintegration time and dissolution rate more than 95% within 30 min.

1. INTRODUCTION

Approximately one third of the drugs emerging from drug discovery programs are poorly water soluble, presenting the pharmaceutical scientist with several problems when developing formulations for such active pharmaceutical ingredients (API). Most of the conventional oral dosage forms are poorly water-soluble drugs. In usual solid oral drugs are mean to pass through the gastrointestinal tract which means the drug must dissolve in the GI fluids before it can be absorbed. Thus, their rate and extent of absorption is largely dependent on the rate of dissolution.[1]

Oral route has always been preferred and has dominated over other routes of administration due to its convenience, non-invasiveness, and cost effectiveness thus it become necessary that drug should have some aqueous as well as some lipid solubility for better absorption through this route.

Approximately 40% of new chemical entities exhibit poor aqueous solubility is often poor candidates for development of formulation. These drugs are classified as class 2 drugs according to Biopharmaceutical classification system (BCS), drugs with poor aqueous solubility a high permeability.

Different formulation approaches like micronization, solid dispersion and complexation with cyclodextrins have been used but they have some disadvantages.[2] The problem with micronization is chemical/thermal stability; many drugs may degrade and lose bioactivity when they are micronized by conventional method. For solid dispersion the amount of carriers used is often large, and thus if the dose of active ingredient is high, the tablets or capsules formed will be large in volume and difficult to swallow.

Moreover, since the carriers used are usually expensive and freeze-drying or spray-drying method requires facilities and processes, leading to high production cost. Though traditional solvent method can be adopted instead, it is difficult to deal with co-precipitates with high viscosity. Complexation with cyclodextrin techniques is not applicable for drug substances which are not soluble in both aqueous and organic solvents.[3]

Use of lipid materials has been increased in the design of drug delivery systems due to its accepted nature and improving biopharmaceutical profile of the drug. Self-micro emulsifying drug delivery system (SMEDDS) is one of the most famous and commercially viable approaches. Comparative to emulsion similar products,

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Research article

Formulation and optimization of Ambrisentan self-micro emulsifying drug delivery system (SMEDDS) tablet by wet granulation

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Nisarg Bhatt, Hitesh Patel, 2023. Formulation and optimization of Ambrisentan self-micro emulsifying drug delivery system (SMEDDS) tablet by wet granulation. Journal of medical pharmaceutical and allied sciences, V 12 - I 5, Pages - 6110 – 6117. Doi: https://doi.org/10.55522/jmpas.V12I5.5673.

ABSTRACT

Ambrisentan is an orally administered endothelin receptor antagonists used for the treatment of pulmonary hypertension. As it is fall under BCS class 2 medicine, its solubility, stability and oral bio availability are poor. Here, a self-micro-emulsifying drug delivery system (SMEDDS) was developed to improve its solubility and drug dissolution. The objective of our investigation was to formulate a self-micro-emulsifying drug delivery system (SMEDDS) of Ambrisentan using minimized quantity of oil, surfactant and co-surfactant that could improve its solubility, stability, and dissolution. The composition of optimized formulation consists of Maisine CC as oil, Acrysol EL 135 as surfactant and Capryol PGMC as cosurfactant, containing 200 mg of Ambrisentan showing mean droplet size (155.12 nm), rate of self-emulsification (56 sec) and Polydispersibility index (0.331). This optimized liquid SMEDDS is used as a liquid component in wet granulation and prepare tablet of Ambrisentan having 5 mg strength. A prepared tablet is evaluated further for tablet evaluation tests and dissolution. This research results in good dissolution and stability.

Keywords: Ambrisentan, SMEDDS, Factorial Design, Wet granulation, SMEDDS-Tablet

INTRODUCTION

SMEDDS, which stands for Self-Emulsifying Drug Delivery System, is a specialized formulation approach used in the field of pharmaceuticals and drug delivery. It is designed to enhance the solubility and bioavailability of poorly water-soluble drugs, making it easier for the body to absorb and utilize them effectively. This technology is particularly valuable for drugs with low solubility, as they often have limited therapeutic efficacy when administered in traditional dosage forms [1].

The key concept behind SMEDDS is the creation of a stable, isotropic (uniformly dispersed) mixture of a lipophilic drug, lipids, surfactants, and co-surfactants. When this mixture encounters gastrointestinal fluids, it spontaneously forms fine oil-in-water emulsions or microemulsions. These emulsified drug particles have a significantly larger surface area than the original drug, which facilitates faster and more efficient drug absorption in the gastrointestinal tract [2,3].

In summary, SMEDDS is a promising drug delivery system that addresses the challenge of delivering poorly water-soluble drugs. Its ability to enhance solubility, promote absorption, and provide formulation flexibility makes it a valuable tool in pharmaceutical development, potentially leading to more effective and efficient drug therapies [4].

Ambrisentan is a medication used to treat pulmonary arterial hypertension (PAH). PAH is a rare but serious condition characterized by high blood pressure in the arteries of the lungs, which can lead to heart failure and other complications. Ambrisentan belongs to a class of drugs known as endothelin receptor antagonists (ERAs) and is specifically classified as a selective endothelin-A (ET-A) receptor antagonist [5].

Ambrisentan works by blocking the effects of endothelin, a substance in the body that causes blood vessels to narrow. By selectively inhibiting the endothelin-A receptors, Ambrisentan relaxes

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"ANALYSIS OF MATABOLITES OF ADRENERGIC BRONCHODILATORS AND ITS APPLICATION TO IN VITRO METABOLISM BY LC-MS"

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ABSTRACT

A UPLC-MS method for the quantification of the levalbuterol is described, in addition to its application to the in vitro study of metabolism in rat liver microsomes. Protein precipitation extraction was used to extract the sample from microsome samples and the separation was performed on a C18 protected with a guard column of the same type using Water: Acetonitrile with 0.1% Formic acid as the mobile phase, at a flow rate of 0.3mlmin−1. The detection was carried out at 276 nm. The method proved to be linear in the range of 2.5-30 ngml−1, with quantification Precision and accuracy, demonstrated by within-day and between-day assays, were lower than 15%. The metabolic study demonstrated that metabolism found two metabolites formed in the incubation mixture of liver microsomes and sample with NADPH, which are identified by LC-MS.

Keywords: In vitro metabolism, LC-MS, liver microsomes, levalbuterol

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Original Article

Design, Optimization and Characterization of Newer Herbal Tablet Containing *Momordica dioica* Extract

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ABSTRACT

Background: *Momordica dioica* (MD) is called the teasle gourd, spiny gourd, and kakrol. Among the important phytochemicals present in MD include alkaloids, flavonoids, phenolics, tannins, saponins, steroids, glycosides, and terpenes. These compounds have a high potential to cure many illnesses. Herbal plant extracts exhibit mucilage, high viscosity, and limited flowability qualities. It is challenging to create the herbal formulation because of these characteristics. Herbal medications may be one of the safest options with the fewest adverse effects. **Objectives:** Formulation and Optimization of a novel herbal tablet containing *Momordica dioica* extract by applying 3^2 factorial designs for the improvement of hardness and *In vitro* drug release. **Materials and Methods:** The tablets were made using Sodium Starch Glycolate (SSG) as a super disintegrant and Polyvinylpyrrolidone-K30 (PVP-K30) as a binder. The study was designed to optimize the formulation, and the model that resulted from that experiment was validated. Design Expert software 11 used a 3-level 2 factorial (3^2) design. Several pre- and post-compression characteristics were evaluated to determine the formulation's quality. **Results:** Formulated tablet batches B1 to B9 were tested for various parameters. It was discovered that the values ranged from 3.2 to 3.6 kg/cm² in hardness, 5.9 to 6.2 mm in thickness, 735-765 mg in weight variation, 18 to 27 sec to wet the tablet, 16 to 19 min to disintegrate, 0.25 to 0.51% in friability, and 98 to 101% in drug content. The best drug release and hardness were achieved with PVP K 30 (6%) and SSG (6%). A promising batch was found as batch B5. **Conclusion:** The findings suggest that PVP-K30 and SSG are the two best excipients when making herbal tablets.

Keywords: *Momordica dioica*, Wet granulation, Polyvinylpyrrolidone, Sodium Starch Glycolate, Immediate-release herbal tablet.

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INTRODUCTION

Herbal components are employed in many of the conventional treatments today. Almost 25% of American prescription medications contain at least one active component derived from a plant. Some are created using plant extracts, while others are manufactured to resemble organic plant substances. Chemical-based pharmaceuticals are prone to unfavorable side effects. Specific chemical substances that don't occur naturally in the body tend to be rejected by the body. While phytopharmaceuticals seldom or never cause adverse effects, it is crucial to be aware that there is a chance that other prescription medications will interact chemically with them.[1] Early records of herbal medicines in India and China go back 5,000 years, demonstrating the significance of plants in the healthcare system.[2] Similarly, India's herbal remedies are a crucial part of its tradition. People in several nations still rely on herbal remedies to treat their health problems.[3] Ayurveda, Yoga, Naturopathy, Unani, Siddha, and Homeopathy are some of the time-tested ancient medical systems of India that are still helpful to people today. WHO research estimates that 80% of the world's population relies on plant-based medical systems for their essential medical care. 80% of the basic components required to make traditional medicines come from medicinal plants. The usage of these medications correctly and the ongoing availability of pure raw ingredients are key factors influencing their effectiveness.[4]

Herbal extracts are made from plants that have a substantial impact on human nutrition and have a great deal of promise to treat a variety of ailments.[5] There is an increasing demand for phytochemicals from herbal extracts such as phenolics, vitamins, and phytosterols owing to their essential roles in oxidative stress, anti-cancer effect, anti-aging properties, anti-inflammatory effect, etc. Therefore, the use of herbal extracts as a food supplement or

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Pharmacognostic Evaluation of *Momordica dioica* Fruit

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ABSTRACT: The purpose of this study was to determine the pharmacognostic profile of *Momordica dioica* (MD) fruit in accordance with World Health Organization recommendations to ensure the purity, safety, and efficacy of this medicinal plant. The macroscopic and microscopic properties of the focus plant were examined as standardization parameters. Preliminary phytochemical screening was performed on petroleum ether and methanol extracts. The extracts were also utilized to analyze total phenol and flavonoid levels as well as a Thin Layer Chromatography analysis. The fruit of plants displayed helpful diagnostic traits in terms of shape, size, color, odor, surface properties, and microscopic pictures. The results showed that the amounts of total ash, acid-insoluble ash, and water-soluble ash were 7.4 ± 0.1% w/w, 2.3 ± 0.1% w/w, and 5.2 ± 0.1% w/w, respectively. The extractive values of ethanol, water, and ether were determined to be 17.8 ± 0.3% w/w, 20.5 ± 0.5% w/w, and 4.1 ± 0.2% w/w, respectively. The loss on drying was 10.23 ± 0.72% w/w, and the foreign matter was 1.0 ± 0.8% w/w. Glycosides, carbohydrates, phenolic substances, flavonoids, alkaloids, terpenoids, proteins, saponins, lipids, steroids, and tannins were all found during the phytochemical screening. The total flavonoid concentration in the methanol extract of MD was discovered to be 125 mg/g of quercetin equivalent, while the total phenol content was determined to be 64 mg/g of gallic acid equivalent. Charantin was detected in methanol extract at R_f 0.45, and a violet spot emerged when compared to the marker. The information obtained from this study will be useful for the authentication of MD fruit and quality control. It would be beneficial to establish pharmacopoeial standards using qualitative and quantitative microscopic features.

Keywords: *Momordica dioica*, Macroscopy, Microscopy, Preliminary physiochemical screening, Physicochemical parameters.

INTRODUCTION

Traditional medicinal herbs are still used in various cultures all over the world for their basic healthcare requirements, despite recent advancements in modern medicine. Due to their efficiency, low cost, and ease of availability, medicinal plants have traditionally been used as a form of therapy in many traditional medical systems. Unfortunately, the lack of standards for drug authenticity makes crude medications of natural origin vulnerable to adulteration and substitution. In consequence, this will have an impact on the pharmaceuticals' strength, excellence, and purity. A Pharmacognostic investigation must be carried out to ensure the validity of herbal medicine (Dash *et al.*, 2021). Herbal medicines have been utilized for many years to treat a variety of illnesses. Many human illnesses have been treated with herbs, which are widely available, and have a lower risk of side effects (Swapna *et al.*, 2020; Sharma *et al.*, 2021). In many nations, where 35% of medicines contain natural components, the use of therapeutic plants is growing (Rakh and Chaudhari 2010). The World Health Organization, better known as the WHO, has established specific standards for the assurance of safety, and strong quality control profiles, and also outlines the requirements for standardizing herbs, herbal products, and other forms of healthcare (Deb *et al.*, 2014).

Momordica dioica is a perennial, dioecious cucurbitaceous climbing creeper. Kankoda, kakrol, spiny gourd, teasle gourd, akakara, bodakakara, kakor, kantola, golbandra, parora, kheksa, dharkarela, and batkarila are some of its other names (Jha *et al.*, 2019; Ameen *et al.*, 2022). It is found on the Deccan Plateau and in central India, where it is indigenous to Asia. In addition to Bangladesh, Nepal, Myanmar, China, and Pakistan, it is also dispersed outside of India

Design, synthesis and biological activities of Dispiroimidazolidine-Pyrrolizine/pyrrolidine derivatives via 3 component 1,3-Dipolar cyclo -addition reaction.

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PSQI (Pittsburgh Sleep Quality Index) is used to Evaluate Sleep Quality inPatients with Neuropathic Pain

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Investigational Pregabalin Effect on Sleep in Patients with Neuropathic Pain and Sleep Maintenance Disturbance: A Multicentric Study

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Abstract

Objective: *Our goal is to research how Pregabalin affects sleep maintenance in a group of neuropathic pain patients.* ***Method and Material:*** *A cross-sectional study including a sample of 600 patients was carried out. Patients with a neuropathic pain diagnosis were selected from three hospitals in Gandhinagar. After a medical professional diagnosed the patients with neuropathic pain, they were given a detailed description of the study's approach and asked for their informed consent. Subjects who are open to participating in the study and meet the inclusion and exclusion requirements. The demographic baseline evaluation and PSQI score were completed during Visit-01. After Visit-01, patients began receiving Pregabalin. After approximately 30 days from the date of enrolment, the patient was being monitored. The PSQI score was evaluated 30 days later. The Independent Ethics Committee (IEC) approved the PSQI Questioner and Informed Consent form after reviewing them. SPSS was used to statistically analyze the data ($p < 0.05$).* ***Results:*** *To evaluate variations in the distribution of "sleep disturbances vs. Sleep maintenance" (PSQI), we looked at the PSQI by time point. By using two-tailed paired t-tests, PSQI were compared for baseline and intervention conditions. Pregabalin treatment pre- and post-treatment were shown to differ significantly.* ***Discussion:*** *Sleep disturbances are prevalent characteristics of neuropathic pain that are well-known and well-documented. Patients with Neuropathic Pain had statistically significant improvements in sleep maintenance in the current investigation.* ***Conclusion:*** *The data presented here show that Pregabalin improves sleep quality in patients being treated for neuropathic pain.*

Keywords: *Neuropathic Pain, Sleep Quality, Pittsburgh Sleep Quality Index, Pregabalin*

1. Introduction

Neuropathic pain is defined as "pain initiated or caused by a primary lesion or dysfunction in the nervous system". The two types of neuropathic pain are peripheral neuropathic pain from lesions of the peripheral nervous system and central neuropathic pain from lesions of the central nervous system. The therapy of neuropathic pain can be challenging, and like with all pain, it should be approached from a biopsychosocial perspective. There are a number of pharmacological therapies approaches that can be used as part of an all-encompassing plan to improve patients' quality of life and performance [1]. This syndrome is often defined in terms of its etiology or anatomic localization, which is the result of various different pathogenic factors. The conditions and pathophysiological states that determine the onset of neuropathic pain include viral neuropathies like post-herpetic neuralgia, HIV, and leprosy, autoimmune diseases that affect the central nervous system like multiple sclerosis and Guillain-Barre syndrome, chemotherapy-induced peripheral neuropathies, and damage to the nervous system as a result of trauma [2].

Among the symptoms and signs associated with the presence of neuropathic pain are allodynia (pain brought on by a stimulus that does not usually cause pain), hyperalgesia (an increase in the perception of pain brought on by a stimulus that causes pain), and paraesthesia (a condition that determines the perception of abnormal sensations like needle bites, tingling, itching, reduced, or even loss of

Dissolution Method development and validation for simultaneousdeterminationofNebivolol and Valsartanintablet dosage for

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ABSTRACT:

A selective, simple, and precise RP-HPLC dissolution methodfor estimating the con of Nebivolol and Valsartan in combination dosage form. This experimentalana performed on a reverse phase high performance high-performance liquid chroma (RP-HPLC)C18column(250mmx4.6mm,5µm)byusingMobilephaseAcetonitrile and dihydrogen Phosphate with buffer pH-3.0 in the ratio of (50:50) at a flow rate of and the detected at wavelength 282 nm. In line with ICHguidelines, thelinear analytical method was discovered to be in the range of 25-75 µg/mlrange for Nebi linearity of the method for Valsartan was 400-1200µg/ml. Nebivolol and Vals correlation coefficients greater than 0.990.The relative standard deviation value f precision and method was less than 2.0%. All statistical data proves validity of th andcan be usedforroutineanalysis ofpharmaceuticaldosageform.

KEYWORDS: Stability-indicatingRP-HPLC, Nebivolol and Valsartan, ICHg Dissolution and Validation.

STABILITY INDICATING RP-HPLC METHOD DEVELOPMENT FOR THE SIMULTANEOUS ESTIMATION OF NEBIVOLOL AND VALSARTAN IN PHARMACEUTICAL DOSAGE FORM.

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ABSTRACT

The present study deals with development and validation of a simple, precise, accurate, sensitive, specific and reliable stability indicating RP-HPLC method for simultaneous estimation of Nebivolol (NE Biv) and Valsartan (VAL) in pharmaceutical dosage form. This method was developed with mobile phase containing ACN, Potassium Dihydrogen orthophosphate and buffer (0.1% v/v ortho phosphoric acid (OPA) in water, pH = 3) in the ratio of (50:50), C_{18} (250 x 4.6mm, 5µm) as a stationary phase and flow rate (1 ml/min). Detection was carried out at 282 nm in UV-2000 detector. The selected chromatographic conditions were found effectively to separate Valsartan and Nebivolol at 4.27 and 6.96 min respectively. The proposed method has been validated for precision, accuracy, robustness. Thus, the statistical analysis confirms that developed methods were successfully used for analysis of formulation and routine analysis of drugs in Quality Control laboratories.

KEYWORDS: Valsartan (VAL), Nebivolol (NE Biv), Acetonitrile (ACN), ortho phosphoric acid (OPA), RP-HPLC (Reversed phase High-performance liquid chromatography) method.

STABILITY INDICATING RP-HPLC METHOD DEVELOPMENT FOR THE SIMULTANEOUS ESTIMATION OF NEBIVOLOL AND VALSARTAN IN PHARMACEUTICAL DOSAGE FORM.

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"FORMULATION AND EVALUATION OF AYURVEDIC SHAMPOO"

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ABSTRACT

Biosaponines were extracted from individual plant and formulation was prepared with aqueous juice of hibiscus petals as base. The proportion of individual saponin extract is selected upon its foaming index. Finally olive oil and citrodora oil was added as conditioner and antidandruff respectively, formulated shampoo were also subjected for same test performed for individual plants as mentioned in formulation, it possess all evaluatory parameter which should satisfy by ideal shampoo. In future research newer herbs should carry out with new herbal base.

Key words: Biosaponins, herbal plants, shampoo

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1. INTRODUCTION

Herbal shampoo are always better than synthetic shampoo because it doesn't cause irritation to eye, drying of hair, loss of hair hence we have to use herbal shampoo. Shampoos are simply detergents. They are a different type of cleaning media than ordinary laundry or hand detergents because of their application to different types of hair. Shampoos are used to remove excess oil, dirt and skin debris from the hair known as sebum. A good shampoo will perform this function while leaving the hair manageable. These products should possess rich foaming action and rinse out easily. Various forms of shampoos are available, from clear liquids to opaque pastes.

To select detergent for using in shampoos, the following factors should be considered-

1. Safety or non-toxicity
2. Ease of distribution and lathering power
3. Luster imparted to hair
4. Ease of combing wet hair
5. Speed of drying
6. Ease of setting dry hair

Herbal shampoos and conditioners provide an all-natural organic experience. They are gentle and made with organic and herbal extracts. Herbal shampoos and conditioners tend to be pH

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Original Article

Formulation, Development and Characterization of Liposome-based Gel of Eberconazole Nitrate for Topical Delivery

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ABSTRACT

Objectives: The present investigation was aimed to develop Liposome-Based Gel (LBG) for Eberconazole nitrate. The purpose was to administer the drug at a sustained rate through skin to improve bioavailability for longer period of time. **Materials and Methods:** Thin film hydration technique was used for the preparation of EBZ loaded liposomes. Preliminary trials were conducted for the selection of type of lipid and its ratio with cholesterol along with the lipid:drug ratio. EBZ loaded liposomes was optimized by using central composite design with amount of phospholipid, cholesterol and lipid:drug ratio as independent variables along with vesicle size and %Entrapment Efficiency (%EE) as dependent variables. Each formulation was evaluated for vesicle size, polydispersity index, % entrapment efficiency, pH and zeta potential. Further, with an aim to provide enhanced patient compliance the optimized batch of EBZ loaded liposomes was transformed into Liposomal Based Gel (LBG). **Results and Conclusion:** Results of all design batches showed nano size of the liposomal vesicles with good dispersion. The optimized batch of EBZ loaded liposome showed a vesicle size of 183.4 nm and 92.4% entrapment efficiency. TEM images of the optimized liposomal formulation showed well separated vesicles with narrow size distribution. The results of *ex vivo* skin permeation study of the optimized batch of EBZ loaded LBG revealed a remarkable improvement in the dissolution as compared to its conventional formulation. All these concluded LBG as one of the suitable approaches for developing topical formulation of poorly water-soluble drugs like eberconazole nitrate.

Keywords: Liposomes, Central composite design, Liposomal based gel, Eberconazole nitrate.

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INTRODUCTION

The skin, which is the body's outermost layer, serves as a barrier against pathogens and other foreign objects entering the body as well as shielding the wearer from hazardous environmental stimuli including light, heat, and radiation. The skin's protective nature makes topical drug administration particularly hard. Hence, a number of unique ways have been adopted to boost percutaneous absorption and improve medication permeability over the skin.[1] As a result, carrier systems must be developed to improve penetrability. These innovative drug delivery systems' key tenets include localization, sustaining a release profile for a predetermined amount of time, and preserving stability at the site of action. Many formulations, including nanovesicles, liposomes, niosomes, micelles, and nanocrystals, were created for this aim. The targeted distribution of drugs to the dermal layer using liposomal formulations offers advantages for the controlled release of molecules with low permeability.[2]

Liposomes are spherical, nanoscale vesicles made up of a lipid bilayer and water molecules. As a result, a diverse range of active moieties may be encapsulated in liposomes due to their hydrophilic or hydrophobic nature. Liposomes have the advantage of being biocompatible due to their similarity to cellular membranes.[3] The liposomes are dispersed in a gel matrix to create liposomal gel, which is semisolid dosage form for external use. A medication can be dispersed well in liposomal gel owing to its highly hydrophilic Three-Dimensional (3-D) network structure. The liposome gel has the benefit of being a liposome carrier in addition to having a distinct solution-gel transition property that makes it simple to create, simple to use, and have a strong affinity for skin tissue.[4]

Eberconazole Nitrate (EBZ) is an imidazole derivative, used topically in the treatment of superficial fungal infections. EBZ, 1-(2,4-dichloro-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-1H-Imidazole nitrate, acts by inhibition of fungal lanosterol 14α-demethylase. This causes changes to its structure and

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