DEVELOPMENT AND VALIDATION OF CHEMOMETRIC-ASSISTED UV-SPECTROPHOTOMETRIC METHOD FOR EPIGALLOCATECHIN GALLATE AND CURCUMIN IN TABLET FORMULATION

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ABSTRACT

A chemometric method, Partial Least Square, was applied for the simultaneous estimation of epigallocatechin gallate and curcumin in tablet formulation. Twenty five mixed sample solutions were prepared for chemometric calibration as training set and sixteen mixed solution for validation set using Full Factorial Design. The absorbance data matrix was obtained by measuring absorbance at 20 different wavelengths in the range of 220 to 410 nm ($\Delta\lambda$ = 10 nm). The developed calibration data was used to test tablet formulation containing epigallocatechin gallate and curcumin. The developed methods were validated using RMSECV and RMSEP. The chemometric calculations were performed using Minitab 16.1.1 and Microsoft Excel 2010. The method is also more accurate and precise than conventional UV methods.

Keywords: Chemometrics, Epigallocatechin gallate, Curcumin, Spectrophotometric.

INTRODUCTION

Colorectal carcinoma is the third most common cancer in the world, and current therapeutics have only modest efficacy due to high metastasis and recurrence rate[1]. Different sources suggest (-)-epigallocatechin gallate (EGCG) to be the most plentiful catechin present in tea. EGCG shows beneficial health effects including anti-inflammatory[2,3] and anti-tumorigenesis activity[4]. The preventive actions of EGCG on signaling pathways controlling COX-2 expression have been observed. EGCG suppressed the ERK1/2 and Akt pathways in colon cancer cells[5].

Curcumin (CURC) is a principal curcuminoid (polyphenol) obtained from plant *Curcuma longa*. Curcumin is known for its anticancer, anti-inflammatory, antibacterial and antioxidant activities[6-8].

The combination of curcumin and EGCG markedly reduced tumor growth and angiogenesis in the colorectal carcinoma PDX mouse model, and the combined anti-angiogenic effect was better than that of curcumin or EGCG alone[1]. Partial least-squares (PLS) is a powerful multivariate statistical tool that has been successfully applied to the quantitative pharmaceutical analysis by using ultraviolet, near infrared, fluorometric, Fourier-transform-infrared-attenuated total reflectance and polarographic methods[9-11].

Literature review suggests that there are many methods available for estimation of curcumin[12-15] and EGCG[16-18] individually as well as for curcumin in combination[19-23] with other drugs.

MATERIAL AND METHODS

Reagents and Materials

EGCG and CURC bulk powder were kindly gifted by Kann Phytochemicals Pvt Ltd., Haryana, India and Arjuna Natural Extracts, Kerala, India, respectively. Methanol (AR Grade, S. D. Fine Chemicals Ltd., Mumbai, India) was used in the study. Whatman filter paper no. 41 was used.

Instrumentation

A Shimadzu model 1700 (Japan) double beam UV/Visible spectrophotometer with spectral width of 2 nm, wavelength accuracy of 0.5 nm and a pair of 10 mm matched quartz cell was used to measure absorbance of all the solutions. Spectra were automatically obtained by UV-Probe system software UV probe version 2.33. A Reptech electronic weighing analytical balance based on EMFC technology and Toshcon ultrasonic bath (Toshniwal process instrument Pvt ltd.) were used in the

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Nanoparticles laden *In situ* gel for sustained drug release after topical ocular administration

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ABSTRACT

Owing to biological barriers of eye, topically administered drugs through conventional eye drops have very low ocular bioavailability and especially water-soluble drugs possess even low. Henceforth, development of novel drug delivery systems such as nanoparticles becomes unmet need. The aim of current study is to formulate water-soluble drug (Moxifloxacin) loaded nanoparticles laden *in situ* gel demonstrating sustained drug release, possessing efficacy against bacterial keratitis and being tolerant to eye. Formulation was optimized by statistical design of experiments, 3-level 2-factor Factorial design. Temperature induced gelation of the formulation was confirmed by its rheological behaviour, Thixotropic at physiological conditions while Newtonian at ambient temperature. *In vitro* diffusion study exhibited sustained drug release following Korsmeyer–Peppas model. *Ex vivo* efficacy study in caprine keratitis model revealed significant reduction in bacterial load, 4-logs CFU/ml in infected corneas. Histopathology of corneas confirmed reduced bacterial load and showed recovery in corneal epithelium. HET-CAM demonstrated that developed formulation is non-irritant and safe at provided dosage to cornea. It can be concluded that optimized Moxifloxacin loaded nanoparticles laden *in situ* gel which is transformed from solution to viscous gel in the eye sustain the drug release, possess anti-bacterial efficacy, is ocular tolerant and would further enhance ocular bioavailability.

1. Introduction

There are five types of biological barriers of eye in topical ocular drug delivery systems (a) drug dilution on precorneal tear film (b) systemic drug absorption (c) lacrimation (d) aqueous humour drainage and (e) corneal diffusion barrier. Due to these barriers very low concentration of the drug reaches the target site [1–6]. Water soluble drugs are even more rapidly drained from the site of action when administered topically as conventional eye drops and ocular bioavailability further decreases [7–10]. In efforts to increase ocular bioavailability, novel drug delivery systems have been developed [11]. Nanotechnology has an edge over conventional eye drops for accommodating large drug loads, for site specific targeted delivery, to promote sustained and controlled drug release and to increase bioavailability at specified target [12]. Nanoparticles tailored for ophthalmic administration provide better penetration, extended ocular residence time so they can decrease dosage frequency [13–15].

In situ gel is one of the promising ocular drug deliveries which are instilled in liquid form and shift to the gel phase once gets in touch with cornea of the eye [16,17]. *In situ* gel possess significant dual advantages over other drug delivery systems [18]. The liquid state provides benefits such as reproducibility, ease of administration, and simple manufacturing process. The gel state is advantageous regarding sustained drug release, mucoadhesion and enhanced ocular retention time. This is how *in situ* gel provides high ocular bioavailability. *In situ* gel is developed loading drugs for the diseases of anterior segment of the eye such as glaucoma, cataracts, dry eye, uveitis and ocular microbial infections including conjunctivitis and keratitis [19]. Few of the formulations are commercially available and other are under clinical trials [18]. Major mechanisms of *in situ* gel include pH triggered, ion triggered and thermosensitive [20].

Recently, new drug delivery system such as Nanoparticles laden *in situ* gel has shown a significant improvement in ocular bioavailability of the drug [21]. Hydrocortisone loaded PLGA nanoparticles suspended in thermosensitive *in situ* gel was prepared for ocular delivery [22]. pH sensitive *in situ* gel containing Sparfloxacin loaded PLGA nanoparticles

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Original Article

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In silico screening of natural compounds to identify lead as interleukin 17A receptor blockers as antihypertensive agents

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ABSTRACT

Objectives: Nature gives disease and nature gives the medicine. Natural products have exhibited paramount sources of novel drugs. Due to this, natural products have gained a dominant role in drug design and discovery. Interleukin 17 (IL-17) is a potent pro-inflammatory cytokine produced by activated memory T cells. Recent studies have created a vast amount of interest in the IL-17A as it is a key novel marker for the new potential therapeutic target for antihypertensive treatment. **Materials and Methods:** The X-ray crystallographic proteins of novel antihypertensive target IL-17A receptor blocker PDB ID 5hi3 were selected as receptors. The research has been carried out using computer-aided drug design to identify natural compounds using virtual screening to establish as a novel lead compound for a novel target of antihypertensive by inhibition IL-17A receptor blocker. **Results:** Out of 151 natural compounds, our research finding has put natural compound gamma (γ)-oryzanol which is a lead compound for developing novel IL-17A receptor blocker as antihypertensive agents. **Conclusions:** Therefore, the findings of this study may help researchers to identify new molecules or design of new molecules which can specifically be used as novel target IL-17A receptor blocker as antihypertensive agents after lead optimization.

Keywords: Antihypertensive, gamma (γ)-oryzanol, interleukin 17A blockers, virtual screening

INTRODUCTION

Cardiac disease and heart stroke data from the American Heart Association show that in recent total death, 17 million and every year.[1] Blood pressure (BP) control is the main challenge for the health-care system. As it is evident that many antihypertensive classes are currently available in market but these drugs have many serious side effects, drug-drug contraindication and having low potency. Therefore, there is an urgent need to develop newer antihypertensive drugs with improved potency along with fewer side effects.[2] Many natural plants have been used as hypotensive effects.[3] According to The World Health Organization, world's 80% of people primarily use traditional medicine of plant origin.[4] Approximately 25% of synthetic allopathic medicine lead analogs derived on plants base. However, herbal Ayurvedic medicine used to develop novel drug design to potent and effective lead molecules for antihypertensive treatments.[5] The term interleukin derives from two words such as "*inter*" and "*leukin*," "*inter*" means of contact, and "*leukin*" means leukocytes. The function of the immune system depends on a large part on interleukins is a group of cytokines they secreted proteins and signaling molecules. Interleukin 17 (IL-17) is a potent pro-inflammatory cytokine produced by activated memory T cells. The role of inflammatory mediators such as T cells and cytokines is well established in the treatment of hypertension.[6,7] The IL-17A was thought to represent a distinct signaling system that appears to have been highly conserved across vertebrate evolution.[8] The IL-17A is a key novel marker for the new potential therapeutic target for antihypertensive treatment [Figure 1].[9,10]

An essential component is inflammation in pathophysiology for arterial hypertension activity. Arterial hypertension is not

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USAGE OF NSAIDs AND ITS DRUG INTERACTION WITH OTHER CONCURRENTLY PRESCRIBED DRUGS IN ELDERLY PATIENTS

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ABSTRACT
As the population ages, care of older patients is becoming increasingly important. With age comes an increased incidence of chronic disease and disabilities, often accompanied by pain. Pain control is fundamental to maintaining quality of life, and NSAIDs are commonly prescribed for control of pain and inflammation in elderly patients**.** It is a highly effective drug class for pain and inflammation; however, NSAIDs are known for multiple adverse effects, including GI bleeding, cardiovascular side effects, and NSAID induced nephrotoxicity. The presence of polypharmacy is more frequent among those who reported diseases of the circulatory system, endocrine, nutritional and metabolic diseases and diseases of the digestive tract which are more common in elderly population and also increases the chances of drug interaction. Self- medication is highly prevalent in elderly people who are unaware of risks involved. However, self-medication may leads to unwanted consequences due to interactions with the prescribed drug therapy for chronic diseases. Knowledge on the part of doctors as well as patients about self-medication practices would help in reducing the chances of any untoward consequences. It is the duty of pharmacist to provide these types of knowledge to doctors as well as patient.

KEYWORDS: Non steroidal anti-inflammatory drugs, Cyclooxygenase, Prescription pattern, Polypharmacy, Drug interaction, Self medication.

INTRODUCTION
Felix Hoffman discovered acetylsalicylic acid in 1897 and the first non-steroidal anti- inflammatory drug (NSAID) was determined. NSAIDs are the frequently used drugs on account of their anti-pyretic, anti-inflammatory, and analgesic properties.[1] They are one of the most commonly prescribed classes of medications for pain and inflammation control and are also available as over the counter. They account for approximately 5-10% of all medications prescribed each year.[2] Due to their lenient availability, more than 30 million people throughout world use NSAIDs every day.[1] However, they have wide range of side effects.[1] Their chronic use is associated with a well known spectrum of side effects, in particular those involving the gastrointestinal system, cardiovascular system as well as renal system. Certain cyclooxygenase (COX)-2-selective drugs rofecoxib and valdecoxib were withdrawn from the market because of their cardiovascular toxicity.[3]

Before twenty year, it was presented that the mechanism of action of NSAIDs was through their inhibition of prostaglandin biosynthesis. After that, it has been accepted that these drugs work by inhibition of the enzyme COX. Now we know that COX has at least two different isoform. One is constitutive isoform, COX-1, and another is inducible isoform, COX-2.[4] Activation of first one leads to the production of prostacyclin. When prostacylcin released from endothelium it acts as antithrombogenic agent and when released by the gastric mucosa it acts as cytoprotective agent. The later one is induced by inflammatory mediators in migratory and other cells. Hence It is suggest that the anti-inflammatory actions of NSAIDs are due to inhibition of COX-2, and the undesirable effects, such as irritation of the gastrointestinal mucosa, are due to inhibition of COX-1.[4]

A Brazilian study in elderly patients indicated a mean drug consumption of two to four drugs per person per day.[5] The elderly consume a disproportionate amount of prescription and nonprescription medications. The increase in drug consumption among the elderly population might be due to the prevalence of chronic diseases, the physiology of aging,

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Research Article

Exploringnovelendothelinreceptorblockeras anti-hypertensive agents identified from a natural drugs library using induced fit docking and biological assay

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ABSTRACT

For millions of years, nature has provided many potent drugs for complicated diseases. Natural products have exhibited paramount sources of novel drugs and have gained a dominant role in drug design and discovery. Dual endothelin receptor blockers are established as novel anti-hypertensive agents in recent years. Therefore, an attempt has been made to discover molecules from different plant active chemical constituents against anti-hypertensive target. To discover the definite anti-hypertensive sources from natural products, in silico induced fit docking and virtual screening were implemented to obtain potential compounds, which were further identified by biological screening to check the efficiency of identified compounds with bosentan. Out of all compounds, bacoside A had a good affinity towards (Docking score; 10.7 kcal/mol) the antagonism of endothelin receptor. The docking affinity was also confirmed through biological assay. The bacoside A showed more inhibition of endothelin receptors as compared to bosentan. Therefore, our computational study suggested that bacoside A as a lead compound for further exploring more potent compounds as endothelin receptor blockers.

Keywords: Novel endothelin receptor blocker (ERB), bacoside a antihypertensive agent, ET-A/ET-B blockers, plant derivative antihypertensive agents, *in-vitro* bioassay and ADMET study

INTRODUCTION

Blood pressure (BP) control is the main challenge for the health care system. Many classes of antihypertensive drugs are available in the market, but presently few classes exhibit side effect, drug-drug contraindication, low potency so it is require to improve potency, efficacy and less side effect to develop novel antihypertensive drugs (Israili *et al.*, 2007). Many plants have been used as hypotensive effects (Duke, 2002). According to WHO (World Health Organization), world's 80% people, primarily use traditional medicinal utilization (Ekor, 2014). Approximately, 25% of synthetic allopathic medicine leads analogs derived on the plant base (Kala *et al.*, 2006). However, herbal ayurvedic medicine used to develop novel drug design to potent and effective lead molecules for antihypertensive treatments. Endothelin receptor blockers is novel targeted antihypertensive class for pulmonary arterial hypertension. Present endothelin dual

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Application of Plackett-Burman Screening Design in Optimization of Process Parameters for Formulation of Canaglifozin Nanosuspension

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ABSTRACT

In this study, we sought to improve the dissolution characteristics of a poorly water-soluble BCS class IV drug canaglifozin, by preparing nanosuspension using media milling method. A Plackett–Burman screening design was employed to screen the significant formulation and process variables. A total of 12 experiment were generated by design expert trial version 12 for screening 5 independent variables namely the amount of stabilizer in mg (X1), stirring time in hr (X2), amount of Zirconium oxide beads in gm (X3), amount of drug in mg (X4) and stirring speed in rpm (X5) while mean particle size in nm (Y1) and drug release in 10 min (Y2). were selected as the response variables. All the regression models yielded a good fit with high determination coefficient and F value. The Pareto chart depicted that all the independent variables except the amount of canaglifozin had a significant effect ($p<0.001$) on the response variables. The mathematical model for mean particle size generated from the regression analysis was given by mean particle size = +636.48889 -1.28267 amt of stabilizer(X1) -4.20417 stirring time (X2) -7.58333 amt of ZrO2 beads(X3) -0.105556 amt of drug (X4) -0.245167 stirring speed(X5) ($R = 0.9484$, F ratio = 22.07, $p<0.001$). Prepared canaglifozin nanosuspension exemplified a significant improvement ($p<0.05$) in the release as compared to pure canaglifozin and marketed tablet with the optimum formulation releasing almost 80% drug within first 10min. Optimized nanosuspension showed spherical shape with surface oriented stabilizer molecules and a mean particle diameter of 120.5 nm. There was no change in crystalline nature after formulation and it was found to be chemically stable with high drug content.

KEYWORDS: Nanosuspension, Plackett–Burman screening design, canaglifozin, media milling, stabilizer, Pareto chart, mean particle size.

Introduction

The design and formulation of a dosage form require consideration of the physical, chemical, and biological characteristics of all the drug substances and pharmaceutical ingredients to be used in its preparation. An important property of a drug substance is solubility, especially aqueous system solubility (Seedher et al., 2003). The solubility–dissolution behavior of a drug is a key factor to its oral bioavailability. An improvement in the solubility of poorly water-soluble drugs remains one of the most challenging tasks of drug development. The techniques that can generally overcome the problem of solubility are salt formation, micronization, use of surfactant, and use of prodrugs. However, all these techniques have certain limitations. Over the last ten years, nanoparticle engineering processes have been developed and reported for pharmaceutical applications (Verma et al., 2009, Muller et al., 2000).

Nanosuspensions are submicron colloidal dispersions of pure drug particles in an outer liquid phase. Nanoparticle engineering enables poorly soluble drugs to be formulated as nanosuspensions either alone or with a combination of pharmaceutical excipients. The nanosuspension engineering processes currently used are precipitation (Kakrana et al., 2010), high-pressure homogenization (Liversidge et al., 1995a), and pearl milling (Sharma et al., 2009), either in water or in mixtures of water and water-miscible liquids or in non-aqueous media (Trotta et al., 2001). In the present study, a wet-milling technique was used to prepare nanosuspensions; an aqueous suspension was formulated with Zirconium Oxide beads as a milling medium. As the beads rotated, they flew to the grinding vial interior and impacted against the sample on the opposite grinding vial wall. The combination of frictional forces and impact forces led to a high degree of particle size reduction. Cavitation fields generated inside the chambers also contributed to particle size reduction. The main advantage of this technique is that no hazardous solvents are used (Liversidge et al., 1995b; Kayser et al., 2003).

Original Article

Mucoadhesive *in-situ* Gel Formulation for Vaginal Delivery of Tenofovir Disoproxil Fumarate

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ABSTRACT

Introduction: Tenofovir disoproxil fumarate is an anti-retroviral medicine which belongs to microbicides class being formulated for a woman instigated technique of prevention of the human immunodeficiency virus infection. The objective of the present investigation is to prepare thermosensitive mucoadhesive *in-situ* vaginal gel of Tenofovir disoproxil fumarate that can present pre-exposure prophylaxis against human immunodeficiency virus in addition to providing excellent spreading as well as coating of the vagina, forming the therapy more effectual and bring about extended effect. **Materials and Methods:** The vaginal *in-situ* gel of Tenofovir disoproxil fumarate was prepared using thermosensitive polymer poloxamer 407 and mucoadhesive polymer carbopol 934 by a cold method. It was characterized for drug-excipient compatibility, viscosity, gelation study, gelling capacity, *in-vitro* drug release study, stability study and Hen's Egg Test-Chorioallantoic Membrane assay. **Results and Discussion:** Drug excipient compatibility study displayed that there is no interaction between drug and excipients. Formulation F2 was found as the most appropriate formulation on the basis of the evaluation parameters, as it displayed the preferred properties. The work of adhesion values was used as parameters for comparison of mucoadhesive performance and it was found as 0.324 ± 0.036 N. Hen's Egg Test-Chorioallantoic Membrane test showed that the formulation is nonirritant to the vaginal mucosa. Formulation F2 was subjected to accelerated stability studies at 40°C ± 2°C /75% RH ± 5% RH for 6 months. The results showed that it stayed steady for 6 months. **Conclusion:** It can be concluded that the development of a tenofovir *in-situ* vaginal gel which may offer effective and sustained protection against human immunodeficiency virus infection.

Key words: Antiretroviral drug, Thermosensitive, Mucoadhesive, *in-situ* gel, Non-irritant.

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INTRODUCTION

The Human Immunodeficiency Virus (HIV) is a retrovirus, which causes a decline in the immune system and ultimately can cause Acquired Immunodeficiency Syndrome (AIDS). It is stated in the UN AIDS 2013 report that HIV continues to be driven by gender inequalities and pernicious societal practices that lead to a higher susceptibility of the female population acquiring AIDS.[1] The antiretroviral therapeutic agents are preferred so as to overwhelm the HIV virus, slow down the development of HIV disease as well as avoid forward transmission of HIV.[2] Tenofovir, as an antiretroviral agent, is a nucleotide analog that inhibits HIV reverse transcriptase and shows potency *in-vitro* and *in-vivo* against HIV,[3] and so, tenofovir is one of the most common antiretroviral drug molecules used for HIV treatment.[4,5] Tenofovir disoproxil fumarate, a nucleotide analog HIV-1 reverse transcriptase inhibitor is 100 times more potent in its anti-viral activity compared to its prodrug Tenofovir.[6] Tenofovir disoproxil fumarate has enhanced permeability leading to a reduction in dose requirement and currently, it is administered orally in its prodrug form. Tenofovir disoproxil fumarate is effective against a range of HIV-1 subtypes, as well as CCR5-using and

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