Vidarbha Youth Welfare Society's

INSTITUTE OF PHARMACEUTICAL EDUCATION AND RESEARCH

Borgaon (Meghe), Wardha (M.S.)

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SEARCH FOR NEW DRUGS

SYNTHESIS AND ANTI-INFLAMMATORY ACTIVITY OF 2-{[5-(2-CHLOROPHENYL)-4H-1,2,4-TRIAZOL-3-YL]SULFANYL}-1-(SUBSTITUTED PHENYL)ETHANONES

N. A. Karande[1,*] and L. G. Rathi[1]

Original article submitted May 12, 2016.

With the aim of obtaining potential anti-inflammatory compounds, 2-{[5-(2-chlorophenyl)-4*H*-1,2,4-triazol-3-yl]sulfanyl}-1-(phenyl)ethanone and a series of its derivatives were synthesized, purified by flash chromatography, and characterised by spectral and elemental analysis. All the new synthesized compounds were evaluated for their anti-inflammatory activity using carrageenan-induced paw edema test on Wistar albino rats. The results suggest that 1-(4-fluorophenyl)-2-{[5-(2-chlorophenyl)-4H-1,2,4-triazol-3-yl]sulfanyl}ethanone **7l** exhibit remarkable activity when compared with indomethacin even in the absence of carboxyl group in its structure, which reduces the possibility of gastric irritation.

Keywords: 5-(2-chlorophenyl)-4H-[1,2,4]triazole-3-thiol; 2-bromo-1-(substituted phenyl)ethanone; anti-inflammatory activity.

INTRODUCTION

Non-steroidal anti-inflammatory drugs (NSAIDs) are used in the treatment of pain, inflammation, fever, and a number of arthritic diseases such as rheumatoid arthritis and osteoarthritis [1, 2]. However, their therapeutic use is often limited by common side effects, such as gastrointestinal (GI) hemorrhage, perforation, and ulceration [3, 4]. The incidence of clinically significant GI side effects due to NSAIDs is high (30%) and causes some patients to abandon NSAID therapy [5]. GI damage from NSAID is generally attributed to two factors: (i) local irritation by the carboxylic acid moiety that is common to most NSAIDs (topical effect) and (ii) decreased tissue prostaglandin production, which undermines the physiological role of cytoprotective prostaglandins in maintaining GI health and homeostasis [6, 7].

Hence in spite of abundance of NSAIDs in the market, an ideal agent is still a dream and the search continues to develop new drugs that have potent anti-inflammatory activity with minimum side effects. Recent studies revealed that compounds containing a 1,2,4-triazole skeleton exhibited wide range of biological activities including anti-inflammatory [8, 9], antimicrobial [10, 11], antitubercular [12, 13], antioxidant [14], and anticancer [15]. In the light of these, we have attempted to synthesize new anti-inflammatory drugs not possessing carboxylic groups.

EXPERIMENTAL PART

General

All the reagents and chemicals were procured from commercial sources (SD Fine Chemicals, India; Aldrich, United States; Merck, Germany) and used without any purification. Solvents were freshly distilled and used. Melting points were determined on a digital melting point apparatus DBK 10 MPA 03. All the reactions were monitored by thin-layer chromatography (TLC) performed on 20 × 20 cm aluminium sheets precoated with silica gel 60 F_{254}. The infrared spectra were recorded on a Shimadzu 8400S FT-IR spectrometer using KBr optics. The ^{1}H NMR and ^{13}CNMR spectra were recorded in DMSO-d_6 on a Bruker Avance II 400-MHz spectrometer at frequencies of 400 MHz and 100 MHz, respectively. Mass spectra were recorded on Waters Q-TOF Micromass LC-MS mass spectrometer. Elemental analyses were carried out using Perkin Elmer Series II CHNS/O analyzer and found within ± 0.4% of theoretical values. Flash

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Original Article

Synthesis of Chitosan-Graft- HPβCD Copolymer by Novel One Pot Technique and its Application for Solubility Enhancement of Efavirenz

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ABSTRACT

Objective: To enhance solubility of efavirenz by using chitosan-graft-HPβCD copolymer synthesized by novel one pot technique. **Methodology:** Poor aqueous solubility and limited bioavailability is the major problem for more than 40% NEC (new chemical entities). Efavirenz (EFV), widely used non nucleoside reverse transcriptase inhibitor (NNRTI) belongs to BCS class II drug is having very poor intrinsic water solubility and limited oral bioavailability. Chitosan –graft-HPβCD (CS-g-HPβCD) copolymer was synthesized by tosylation of HPβCD followed by grafting on chitosan (CS) backbone. CS-g-HPβCD was prepared by varying CS: HPβCD weight ratios (1:1, 1:2, 1:3 and 1:4). The copolymer was characterized by FT-IR, NMR and DSC. The molecular weight of grafted copolymer was determined and copolymer was further evaluated for its solubility enhancement capability. **Result:** Tosylated HPβCD was grafted on CS backbone by simple one pot synthesis method. FT-IR, NMR and DSC results avowed the synthesis of grafted copolymer. The molecular weight of grafted polymer was greater than molecular weight of CS also support confirmation of HPβCD grafting on CS. The copolymer was found to tremendously enhance aqueous solubility of EFV (380 times the solubility of drug in water). These results conclusively demonstrated synthesis of grafted copolymer possessing good potential in the solubility enhancement of the poorly water soluble drug like EFV. **Conclusion:** Grafted copolymer is an excellent strategy to conquer the solubility issues of hydrophobic drugs like EFV owing to solubility enhancement capability and mucoadhesivity.

Key words: Chitosan, Chitosan-g-HPβCD, Efavirenz, Hydroxypropyl-β-cyclodextrin, Grafting, Solubility enhancement.

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INTRODUCTION

There has been growing interest in studies on graft co-polymerization of polysaccharide chitosan (CS) to introduce novel functions into this biopolymer. CS, a deacetylated derivative from chitin allows specific chemical modifications since it has primary amine group at the C-2 position and primary alcoholic group at the C-6 position of its monomeric units.[1-3] Graft modification improves CS water solubility and bioactivities such as antibacterial, antioxidant,[4,5] chelation,[6] and complexation properties[7] while maintaining its mucoadhesive and biocompatible properties.

Cyclodextrin (CD) grafted or cross linked with CS are now being developed into 'smart' systems for modifying drug release behavior, solubility and efficient targeted drug delivery especially for hydrophobic drugs. Cyclodextrin consists of (α-1,4)-linked α-D- glucopyranose units with lipophillic central cavity and hydrophilic outer surface. The hydroxyl functions are oriented to the cone exterior with the primary hydroxyl groups at narrow edge (6th position) and the secondary at the wider edge (2nd and 3rd position). Recently, studies on the functionalization or modifications of CDs at the secondary side to alter its properties have gained interest.[8]

To date, various approaches have been proposed to link cyclodextrin to chitosan using different methods of preparation. As reported by Prabaharan and Mano,[9] tosylated β-CD was linked to chitosan at the 2-position of CD. Chen and Wang,[10] modified iodine release with chitosan-β-cyclodextrin-iodine complex. Lu Lu and co-workers[11] conjugated β-CD to CS through click chemistry to synthesize copolymer chitosan-*graft-β-cyclodextrin*. They utilized chitosan-*graft-β-cyclodextrin and carboxymethyl chitosan for formulation of* Doxorubicin hydrochloride nanoparticles. Asteria Luzardo-Alvarez[12] developed hydrophobically modified chitosan containing β-CD by tosylation which was used to obtain crosslinked microparticles. Poor solubility of CD-g-CS in water was improved by introduction of glycidyltrimethyl ammonium chloride as a quaternizing agent while this novel quaternized CD-g-CS (QCD-g-CS) showed higher antimicrobial activity.[13] Inclusion complex of QCD-g-CS derivatives when studied with Eugenol expressed excellent mucoadhesion and higher antimicrobial activity.[14] Present study is focused towards grafting of highly water soluble Hydroxypropyl-β-cyclodextrin (HPβCD) on CS backbone in order to obtain a copolymer having free water solubility, high yield and having capability to modify CS with hydrophobic cavities of HPβCD for better inclusion complex formation with chemical entities having low water solubility while maintaining mucosal adhesivity, permeability and biocompatibility properties of CS.

Efavirenz (EFV), first line non-nucleoside reverse transcriptase inhibitors (NNRTI) is used as a model drug. It belongs to BCS class II category having high lipophilicity (log P = 5.4), poor intrinsic water solubility (4μg/ml), limited oral bioavailability (40– 50%), with high intra and inter-individual variability of 19-24% and 55-58% respectively.[15,16]

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Famotidine microspheres reconstituted with floating *in situ* gel for stomach-specific delivery: Preparation and characterization

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ABSTRACT

Considering the benefits of stomach-specific delivery of H_2-antagonists, the objective of the present investigation was to develop and characterize a floating *in situ* gel containing famotidine–chitosan (FM-CS) microspheres. FM-CS microspheres were prepared using the water-in-oil emulsion technique, with glutaraldehyde as the cross-linking agent. The microspheres were examined for drug loading, entrapment efficiency, particle size, water uptake, *in vitro* mucoadhesion, *in vitro* drug release, differential scanning calorimetry and surface morphology. The selected microsphere formulations, F3 (2% w/v CS, DD 81%) and F6 (2% w/v CS, DD 91%), were reconstituted with *in situ* gel developed using gellan gum. The formulations that were prepared were evaluated for *in vitro* gelation, *in vitro* floating behavior, viscosity, and *in vitro* release characteristics. The *in vitro* experiments suggested that the formulation G5 (Microsphere formulation F6 reconstituted with *in situ* gel containing 0.5% w/v gellan gum) remained buoyant and released drug in a sustained manner over 12 h. Thus, formulation G5 can deliver famotidine in a controlled and constant manner over 12 h and can be used for successful stomach-specific delivery of famotidine.

1. Introduction

Numerous oral drug delivery systems have been developed in the past few decades to release drugs over precise time intervals in a controlled manner. The real challenge in the development of an oral controlled-release drug delivery system is not to just sustain the drug release but to also extend the presence of the dosage form within the gastrointestinal tract (GIT) until all the drug is completely released in the required period of time [1,2]. Gastroretentive drug delivery is an approach in which the gastric residence time is extended, thereby achieving site-specific drug release in the upper GIT for a local or systemic effect [3]. Floating drug delivery systems [4], expanding systems [5], and bioadhesive systems [6] are among the various gastroretention approaches.

It is well known that microspheres are suited for use as drug carriers for local therapy in topical [7], oral [8], and colon [9] drug delivery. Drugs encapsulated in them are released in a sustained and controlled manner. The incorporation of diclofenac–sodium chitosan (CS) microspheres in a hydrogel drug delivery system for rectal administration was reported by El-Leithy et al. [10].

Recently, the use of *in situ* gelling liquids as vehicles in oral stomach-specific delivery of drugs has been investigated. An *in situ* gelling system for controlled delivery of ranitidine in the upper part of the GIT using sodium alginate and calcium carbonate was investigated by Ganapati et al. [11]. A floating *in situ* gelling system of clarithromycin as a potential system for treating gastric ulcers associated with *Helicobacter pylori* was developed by Rajinikanth et al. [12].

Famotidine (FM) is a histamine H_2-receptor antagonist. It is widely prescribed for the treatment of gastric ulcers, duodenal ulcers, the Zollinger-Ellison syndrome, and gastroesophageal reflux disease. A dose of 40 mg daily by the oral route at bedtime for 4–8 weeks is generally prescribed in the management of benign gastric and duodenal ulcers. In gastroesophageal reflux disease, the preferred dose is 20 mg by the oral route twice daily for 6–12 weeks. FM is partly absorbed from the GI tract. The low bioavailability (40–45%) and short biological half-life (2.5–3.5 h) of FM after oral administration are advantages in the development of a sustained release formulation [13,14]. Local delivery of FM increases bioavailability at the stomach wall receptor site and improves the efficacy of the drug in reducing acid secretion [15,16]. One of the major limitations associated with mucoadhesive

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Development of taste-masked solid dispersion of Tizanidine hydrochloride by the salting-out solvent evaporation technique and *in vivo* taste evaluation

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The aim of this investigation was to find a way to mask the bitter taste of Tizanidine hydrochloride (TH), a centrally acting α_2-adrenergic agonist. Solid dispersions (S1–S8) were prepared using different ratios of methyl cellulose (MC):d-sorbitol by the salting-out solvent evaporation technique. S8 containing MC:d-sorbitol 2:3 and TH 5% w/w of MC released 4.35 ± 0.16% of drug in simulated salivary fluid (SSF) after 120 seconds (< threshold bitterness concentration, i.e 20 μg/mL). It was then formulated into rapid disintegrating tablets (RDTs) using diluents Avicel PH 105, spray-dried mannitol and dextrate, and superdisintegrant crosspovidone. F4 containing Avicel PH 105 and spray-dried mannitol in a 1:1 ratio gave rapid disintegration (25 ± 3 seconds), a pleasant taste, a smooth feeling and rapid drug release in acid buffer pH 1.2 (95.26 ± 0.64% in 15 minutes). Salting-out and subsequent hydrophobic chain interaction in MC molecules during formation of solid dispersion caused by sorbitol prevented MC from dissolving rapidly in SSF and masked the taste of TH.

Keywords: Methyl cellulose, d-sorbitol, taste masking, rapid disintegrating tablet.

Introduction

Bitter-tasting drugs are difficult and unpleasant for patients to swallow, leading to poor adherance and decreased therapeutic efficacy. The taste of oral medicine is one of the most vital factors affecting this adherence[1]. As scores of active pharmaceutical ingredients exhibit an unpleasant taste, taste-masking becomes essentially important. Taste is largely perceived due to the interaction of dissolved molecules with different receptors located within the gustatory cells on the tongue. The mechanisms of signal transduction after binding of the substance can be different depending on the taste of the substance[2].

There are a variety of techniques available to mask the bitter taste of drugs, such as coating or encapsulation; chemical derivatisation to alter drug solubility; complexation with ion-exchange resins; solid dispersion; and by using sweeteners and flavours, or bitter taste blocker substances.

Coating is the most frequently employed technique for taste masking. Hydrophobic polymers, lipids or hydrophilic polymers are either used alone or in combination as coating materials. Taste masked famotidine v

a combination of water-soluble polymer like polyvinylpyrrolidone and insoluble polymer like cellulose acetate as the coating material[3]. Ibuprofen was taste masked by using a combination of hydroxyl propyl methyl cellulose (HPMC) and ethyl cellulose as coating material[4]. Cetrizine was coated by fluidised bed coating using Eudragit® RL30-D to mask its bitter taste[5].

Complexation with ion exchange resin[6] and cyclodextrin (CD)[7] has also been used to mask unpleasant tastes. Taste masking of famotidine was achieved by ternary complexation approach using drug, β-CD and a hydrophilic polymer HPMC[8].

Solid dispersions using hydrophobic polymers and long-chain fatty acids have been used to accomplish taste masking of drugs. Shah and Mashru[9] masked the intensely bitter taste of artemether by solid dispersion with monoamino glycyrrhyzinate. Solid dispersions should prevent drug release in saliva in order to preclude taste perception, but it is desirable to have rapid drug release as soon as the drug reaches the gastrointestinal tract because low dissolution can cause low bioavailability. However, solid dispersions with

leads to a slow release of the drugs

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ORIGINAL ARTICLE

Improvement in Ocular Bioavailability and Prolonged Delivery of Tobramycin Sulfate Following Topical Ophthalmic Administration of Drug-Loaded Mucoadhesive Microparticles Incorporated in Thermosensitive *In Situ* Gel

Shagufta Khan, Sonali Warade, and Dilesh J. Singhavi

Abstract

Purpose: Conventional topical delivery in hyperacute bacterial conjunctivitis and endophthalmitis is associated with low drug bioavailability due to rapid precorneal clearance. Hence, in the present investigation, an attempt has been made to enhance ocular bioavailability of tobramycin sulfate by formulating drug-loaded microparticles dispersed in thermosensitive *in situ* gel.

Methods: Microparticles prepared by emulsion–ionic gelation technique were characterized for drug loading, entrapment efficiency, particle size, surface morphology, and *in vitro* drug release. Consequently microparticles (F2 prepared with 1.5%w/v chitosan, 0.2%w/v tripolyphosphate, and drug, 30%w/w of polymer) with high drug loading and encapsulation efficiency were dispersed in thermosensitive *in situ* gel containing poloxamer 407 and varying percentage of chitosan. *In situ* gel containing drug-loaded microparticles were evaluated for gelation temperature, rheological behavior, mucoadhesive strength, *in vitro* drug release, *in vitro* permeation, ocular irritation, and bioavailability in aqueous humor of rabbits.

Results: Formulation containing 17%w/v poloxamer 407 and 0.5%w/v chitosan (P2) gelled at 32°C ± 1.5°C gave pseudoplastic behavior. *In vitro* permeability of tobramycin from the formulation P2 was found 2-folds greater than eye drops. It also gave significantly higher aqueous humor concentration of tobramycin compared with eye drops with no signs of ocular irritation.

Conclusion: Thus, the formulation possesses high potential for treating ocular infections.

Keywords: chitosan, mucoadhesive, poloxamer, *in vitro* permeability, aqueous humor, ionic gelation

Introduction

TOPICAL ADMINISTRATION OF conventional dosage forms, such as eye drops results in very poor bioavailability due to blinking, reflex tearing, dilution, nasolacrimal drainage etc.[1,2]

Several drug delivery systems, such as hydrogels, micelles, microparticles, nanoparticles, nanoemulsions, and implants have been developed to produce sustained release with greater bioavailability of drugs and reduced side effects. Zhang et al.[3] formulated nanoparticles of rapamycin using poly(e-caprolactone)-poly(ethylene glycol)-poly(e-caprolactone) block copolymer to achieve sustained releas

Ammar et al.[4] observed superior pharmacodynamic a tivity of dorzolamide when nanoemulsion loaded with t drug was dispersed in poloxamer 407 and poloxamer 188 containing *in situ* gel. However, the foremost concern with colloidal drug delivery systems is low drug entrapment efficiency. Above and beyond the problem of drug entrapment percentage, retention of these particles in the conjunctival pouch is a crucial consideration. As a consequence of very small size (10–1,000 nm), their clearance through the nasolacrimal duct is similar to ophthalmic solutions.[5]

Microparticles are attractive carriers which can encapsulate the drug and can provide sustained release of drug for prolonged time at the target site. Choy et al. formulated mu- c acid) and the surface on kinetics

Institute of Pharmaceutical Education and Research, Wardha,

RESEARCH ARTICLE

Evaluation of protective role of N-methyl-D-aspartate receptor antagonist against Parkinson's model(s) in rat

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ABSTRACT

Aim: The objectives of the present research were ssto observe the effect of N-methyl-D-aspartate (NMDA) receptor antagonist on Parkinson model(s) in rats and to compare the efficacy of NMDA receptor antagonist with standard antiparkinson drug. **Materials and Methods:** Albino rats were divided into five experimental groups of six each. 24 animals except normal and acute dose of haloperidol (1 mg/kg) were administered intraperitoneally for induction of catalepsy. Six animals received saline 0.9% NaCl and served as normal saline. On the 20th day, catalepsy was evaluated by reported instruments. Levodopa (150mg/kg) was used as standard drug and kynurenic acid (50 mg/kg and 100 mg/kg) was used as test drug. Different instrument utilized in the study was catalepsy bar test, actophotometer, and rotarod. **Results and Discussions:** The results showed that acute administration of kynurenic acid (50 mg/kg and 100 mg/kg) significantly reduced catalepsy, grooming and significantly increased motor coordination, locomotor activity. **Conclusion:** It was concluded from the study that kynurenic acid ameliorates the symptoms of Parkinson's disease in rats.

Key words: Actophotometer, catalepsy bar test, haloperidol, kynurenic acid, N-methyl-D-aspartate receptor antagonist, Parkinson's disease, rotarod

INTRODUCTION

Parkinson's disease (PD) is a common neurodegenerative disorder affecting patients in large numbers throughout the world.[1] The first description of PD was given by James Parkinson in early 19th century [2] An estimated 10 million people in the world (i.e. approximately 0.3% of the world population) and 1% of those above 60 years are found to be affected with PD.[3] PD is the second most common neurodegenerative disorder of aging and the most common movement disorder. Characterized clinically by resting tremor, bradykinesia, rigidity, and postural instability.[4] The pathological hallmark of the illness is a relatively selective degeneration of the neuromelanin-pigmented dopaminergic neurons of the substantia nigra pars compacta coupled with the formation of intracytoplasmic protein aggregates known as Lewy bodies.[5,6] Progressive loss of dopaminergic neurons is a feature of normal aging; however, symptoms of PD coincide with excessive loss (70–80%) of these neurons.[7] Without treatment, PD progresses over 5–10 years to a rigid, akinetic state in which patients are incapable of caring for themselves.[8,9] Speech impairment has been reported in 60–80% of the PD patients which reaches up to 100% in the later stages.[10] Memory disturbances and dementia are known to occur in later stages of PD. Patients with early PD can have subtle disturbances in neuropsychological testing.[11] The prevalence of depression in PD patients ranges from 7.7 to 76%.[12,13]

The patient suffering from PD constitute a heavy burden on the society as well as healthcare system.[14] Therefore, there is an ever-increasing need for effective pharmacotherapy and recent research efforts have come up with novel therapeutic agents in the treatment of neurodegenerative diseases. Among

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STABILITY INDICATING ASSAY METHODS FOR SIMULTANEOUS ESTIMATION OF AMOXICILLIN TRIHYDRATE AND CLOXACILLIN SODIUM IN COMBINED CAPSULE DOSAGE FORM BY UV -SPECTROPHOTOMETRIC METHOD

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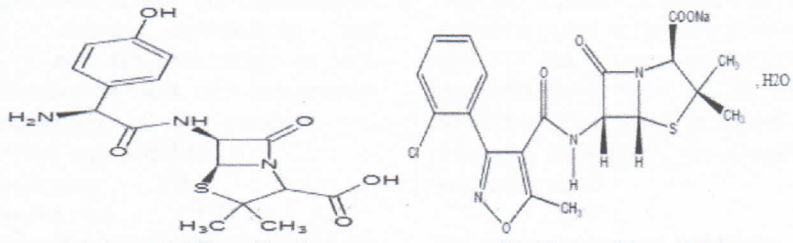
ABSTRACT
Two simple, accurate, rapid, precise, and economical methods were developed and validated for the estimation of amoxicillin trihydrate and cloxacillin sodium in capsule dosage form. Method A is simultaneous equation method, wherein wavelengths selected for amoxicillin trihydrate is 246.4 nm, and cloxacillin sodium is 217 nm. Method B is absorbance ratio method wherein wavelength selected for isobestic point 238.8 nm. In both methods Amoxicillin trihydrate and cloxacillin sodium followed the linearity concentration range 5-30 µg/ml for both. Standard calibration curve for amoxicillin trihydrate and cloxacillin sodium with correlation coefficient (r^2) value is 0.999 for amoxicillin trihydrate and 0.995 for cloxacillin sodium in method A, whereas 0.998 for amoxicillin trihydrate and 0.996 for cloxacillin sodium in method B. The proposed methods were validated according to ICH guidelines in terms of linearity, accuracy, precision, LOD and LOQ. Percentage assay was found in the range 99.66-100.22 %. In precision % RSD was found to be < 2% for both. The mean percentage recovery was found to be in the range 98.35-100.43 %. LOD and LOQ values were 0.216 µg/ml and 0.657 µg/ml for amoxicillin trihydrate and 0.086 µg/ml and 0.260 µg/ml for cloxacillin sodium in method-I, whereas 0.132 µg/ml and 0.402 µg/ml for amoxicillin trihydrate and 0.160 µg/ml and 0.487 µg/ml for cloxacillin sodium in method-II respectively. Degradation studies were carried under condition of acid, base, neutral hydrolysis, oxidative, photolysis, and thermal degradation.

KEYWORDS: Amoxicillin trihydrate, cloxacillin sodium, simultaneous equation method, absorbance ratio method, UV spectroscopy, stability indicating assay method.

INTRODUCTION
Amoxicillin trihydrate (AMO) is a broad spectrum semi-synthetic anntibiotic. It is effective against a wide range of Gram-negative bacteria and Gram positive bacteria. It acts by inhibiting synthesis of bacterial cell wall. Chemically AMO (2S,5R,6R)-6-{[2R)-2-Amino-2-(4-hydroxyphenyl)acetyl]amino}-3,3-dimethyl-7-oxo-4-thia-1-azabicycloheptane-2-carboxylic acid.[1,2]

Cloxacillin sodium (CLO) is semisynthetic antibiotic used against staphylococci that produce beta-lactamase. It is less active against pnG sensitive organism. Chemically CLO (2S,5R,6R)-{[3-(2-chlorophenyl)-5-methyl-oxazole-4-carbonyl]amino}-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid.[2] Structure of AMO and CLO are shown in figure 1.



(a) Amoxicillin trihydrate

Fig. 1: Chemical structure

(Dr. R. O. Ganjiwale)
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Opinion

Thiazolotriazole: An Emerging Novel Bridge Heterocycle with Medicinal Value

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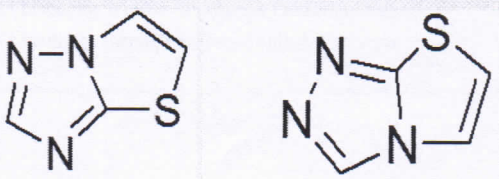
Opinion

In lieu of more than a century, heterocycles have constituted one of the prevalent areas of research in organic chemistry. Heterocycles play an imperative part in biochemical processes since the flank groups of the most emblematic and vital parts of living cells, DNA as well as RNA are based on aromatic heterocycles. Amid nearly 20 million chemical compounds acknowledged by the end of the second millennium, more than two-third is completely or moderately aromatic and almost half are heterocyclic. The occurrence of heterocycles in all kind of organic compounds of curiosity in biology, pharmacology, optics, electronics, material sciences and so on are very well notorious. Flanked by sulphur and nitrogen having heterocyclic compounds have conserved the curiosity of researchers over decades of historical development of organic compounds. The occurrence of heteroatoms results in substantial vicissitudes in the cyclic molecular structure owing to the obtainability of unshared pair of electrons and the alteration in electronegativity amongst heteroatoms and carbon. As a result nitrogen and sulphur heterocycles show physiochemical features and reactivity relatively different from the parent aromatic hydrocarbons [1].

In recent times copious attention has been schemed to the synthesis of thiazolo-1,2,4-triazoles owed to the veracity that they have a broad spectrum of biological activities such as antimicrobial, analgesic, anti-inflammatory, antipyretic, anticancer, and vasodilatory. Sulphur having heterocycles represent an important group of compounds that are favourable for use in practical applications. On the other hand the electronic structure of sulphur instils sulphurous organic compounds, through chemical reactivity beyond those of the corresponding oxygen or nitrogen holding analogues [2]. The curiosity in the [5,5]-fused bicycle as thiazolotriazoles for use in pharmaceutical products makes these gallows extremely expedient building block for organic chemistry. Such spinoffs have found applications in oncology, infectiology or neurodegenerative diseases (Figure 1).

Thiazoles are the members of azole heterocycles thru bigger pi-electron delocalization than the subsequent oxazoles and have so loftier aromaticity. This aromaticity is demonstrated through the chemical shift of the ring protons in proton NMR spectroscopy analysis flanked by 7.27 and 8.77ppm, noticeably viewing a prevailing diamagnetic ring current. The accounted pi-electron density directs C5 as the focal place for electrophilic replacement, and C2 as the place for nucleophilic substitution [3]. 1,2,4-Triazole is a distinct pair of isomeric chemical compounds with molecular formula $C_2H_3N_3$, which can be prepared through the Einhorn-Brunner reaction or the Pellizzari reaction. Encouraged from therapeutic importance of thiazole and triazole as a part of research in the area, it is reflection of interest to combine these two vital rings together.



a. thiazolo[3,2-b][1,2,4]triazole b. thiazolo[2,3-c][1,2,4]triazole

Figure 1: Two isomers of thiazolo-1,2,4-triazole.

Conversely, the synthetic tools for retrieving highly functionalized thiazolotriazoles are very restricted and merely few functionalization approaches are described in the literature. In order to access to novel families of thiazolo[3,2-b][1,2,4]triazoles, there is consequently marvellous curiosity in developing efficient synthetic methodologies. In order to introduce a varied range of functional groups, a promising answer is to treasure an effective substitute to selectively functionalize thiazolo[3,2-b][1,2,4] triazoles at the C-2 position [4].

Thiazolo[3,2-b]-1,2,4-triazoles can be prepared thru different ways where the vital techniques are alkylation of 3(5)-mercapto-1,2,4-triazoles with phenacyl halides; chloroacetic acid or 1,2-dihaloethane; cyclization of 3-allyl-1,2,4-triazole with iodine; reactions of 3,5-dibromo-1-(thiiran-2-ylmethyl)-1,2,4-triazole

NEUROPROTECTIVE EFFICACY OF SWARNA BHASMA ON SLEEP DEPRIVED INDUCED COGNITIVE IMPAIRMENT IN RATS

Khan A.Y.[a*], Sheikh A. A.[b], Tenpe C. R.[a] Patole A. M.[a], Biyani K. R.[b]

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ABSTRACT

The present investigation was carried out to evaluate neuroprotective efficacy of Swarna bhasma on cognitive impairment induced by sleep deprivation in rats. Radial arm maze and elevated plus maze methods were used for behavioural testing in rats. The male albino rats were divided into five experimental groups as normal, sleep deprived control, galantamine and two test groups of Swarna bhasma. All the animals except normal were kept on daily 8 to 9 hrs sleep restriction for the induction of cognitive impairment. The cognitive performances were checked on the 7th, 14th and 20th day. Treatment with Swarna bhasma reversed the performances by improved spatial memory, increased correct arm entries, decreased number of errors, time to complete task and decreased in transfer latency. Estimation of biochemical parameters in blood plasma of treated groups with Swarna bhasma showed to be more potent antioxidant and reverts the sleep deprived induced cognitive deficits in rats.

Keywords: Swarna bhasma, radial arm maze, elevated plus maze, glutathione, lipid peroxidation

INTRODUCTION

Cognition is a group of mental processes that includes attention, memory, producing and understanding language, learning, reasoning, problem solving and decision making. Cognition usually refers to an information processing view of an individual's psychological functions. Cognition can be defined as the processes an organism uses to organize information[1]. Cognitive dysfunction is a major health problem in the 21st century[2]. Sleep deprivation has been suggested to be a contributor to cognitive deficits observed in apnea patients[3]. Sleep deprivation disrupts vital biological processes that are necessary for cognitive ability and physical health, but the physiological changes that underlie these outward effects are largely unknown. The course of prolonged sleep deprivation has a syndromic nature and eventuates in a life-threatening state[4]. Sleep deprivation may impact memory by interfering with neuroplasticity, as measured by long term potentiation in the hippocampus. This reduced plasticity may be the root cause of impairments in both working memory among humans and spatial memory among rats[5]. Sleep deprivation may additionally impact memory by reducing the proliferation of cells in the hippocampus[6]. Sleep deprivation has also been associated with decreased overall membrane excitability of neurons in the brain. Activation of these membranes is critical for the formation of memories[7]. Sleep deprivation is associated with adverse effects on the immune, cardiovascular and endocrine systems and with impaired moral judgments and decision making. Moreover, it has been proposed that during sleep uridine and glutathione may facilitate the oxidative detoxification of the brain by potentiating GABAergic transmission and inhibiting glutamatergic transmission, respectively[9]. Consistent with these hypotheses, brain energy metabolism which relies almost completely on mitochondrial respiration, is higher in wakefulness than in non rapid eye movement sleep[10]. Swarna Bhasma has anticateleptic, antianxiety, antioxidant, anti-inflammatory, antiarthritis antidepressant and analgesic activity[8]. The present investigation was carried out to evaluate the neuroprotective efficacy of Swarna bhasma on sleep deprived induced cognitive impairment in rats.

MATERIALS AND METHODS

Procurement of experimental animals

The male albino rats (Wistar strain) of age 8-12 weeks and weighing 180-200 gm were procured from animal house of the Institute of Pharmaceutical Education and Research (IPER) Wardha. The animals were housed in

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Original Research Article

EVALUATION OF *ANANAS COMOSUS* FRUIT FOR ANTIULCER POTENTIALS ON EXPERIMENTAL ANIMALS

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Abstract: *Ananas comosus*(L.) Merr., commonly known as pineapple popular fruits across the glove and also popular folk medicine of India, especially of North-East India for the treatment of organ toxicity. To justify the scientific basis in traditional uses as gastro-protective agent, the aqueous (AEAC) and ethanol (EEAC) extracts of *A. comosus* ripe fruits was evaluated for Antiulcer activity using ethanol induced ulcer and Pylorus ligation model in albino rats. The extracts of ripe fruits of *Ananas comosus* shows significant (**p<0.01 and***P<0.001) ulcer-protective activity in dose dependent manner. The ulcer index was significantly reduces in EEAC (**p<0.01) and AEAC (***P<0.001) treated groups when compared with ulcer control group. The pH, free acidity & total acidity level were increased in ulcer control animals when compared with normal control animals and in Pylorus ligation model elevated pH, free acidity & total acidity levels were significantly reduced in EEAC (***p<0.01) and AEAC (***P<0.001) treated groups when compared with ulcer control group. The aqueous extracts were found to be most potent. The published literature shows the presence of tannins, triterpene and flavonoids in aqueous and ethanolic extracts. These observations established the ulcer-protective effect of *A. comosus* and justified the traditional claim. The gastro-protective activities may be attributed to the presence of flavonoids and tannins.

Key Words: *Ananas comosus, Anti-Ulcer,* flavonoids and tannins.

Introduction: Herbal medicine, also called botanical medicine or phytomedicine, refers to the use of a plant's seeds, berries, roots, leaves, bark, or flowers for medicinal purposes. People worldwide have been using herbal medicine for the treatment, control and management of a variety of ailments since prehistoric times. There is ample archeological evidence to support the fact that primitive man used plant and herbs for medicinal purposes. For instance, pollen analysis of numerous plants found in the grave the Neanderthal man buried 60 000 years ago in Iraq, indicated that the plants buried with the

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Anti-diarrhoeal activity of leaves of *Averrhoa carambola* Linn.

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Abstract

Objective: This study screens the aqueous and ethanolic extracts of *Averrhoa carambola* Linn for antidiarrhoeal activity in Castor oil induced diarrhea model and Prostaglandin induced diarrhea model, Gastro-intestinal motility test model in mice.
Materials and methods: The plant material of *Averrhoa carambola* Linn was extracted by using various solvents like petroleum ether, chloroform, ethanol, and water by using soxhlet apparatus. The extracts were screened for antidiarrhoeal activity by different *in vivo* assay methods. The acute toxicity of *Averrhoa carambola* Linn was determined in albino mice of either sex weighing from 20 - 25g according to the Organization for Economic Co-operation and Development (OECD) guidelines for Testing of Chemicals number 420 (OECD, 2001). The study was initiated with a sighting study aimed to determine the dose for the acute toxicity study.
Results: Acute oral toxicity study indicated that ethanolic extract of *Averrhoa carambola* (EEAC) and aqueous extract of *Averrhoa carambola* (AEAC) was safe up to a dose of 2000 mg/kg body weight of mice. Results of antidiarrhoeal activity study revealed that the extracts of *Averrhoa carambola* Linn leaves showed significant anti-diarrhoeal activity in a dose-dependent manner when compared to control.
Conclusion: Results indicated a possible role of the EEAC & AEAC in the prevention & treatment of diarrhea. The phenolic/flavonoid contents of EEAC & AEAC having antioxidant potential might be responsible for the antidiarrhoeal property of *Averrhoa carambola* leaves.
Keywords: *Averrhoa carambola*, diarrhea, antidiarrhoeal activity; gastrointestinal motility; flavonoids.

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1. Introduction

Diarrhea may be defined in terms of stool frequency, consistency, volume, or weight [1]. Patient's conceptions of diarrhea often focus around stool consistency. Indeed, fecal consistency is determined by the water holding capacity of the stool (that is, the amount of non-bound "free" water) and this perhaps best defines the concept of diarrhea. However, quantification of this in clinical practice may prove difficult and so other criteria, such as the passage of more than three stools per day or stool weight, provide alternative means of definition. A stool weight of 200 g/day is often regarded as the upper limit of normal but this can be misleading as stool weights vary greatly and "normal" stool volumes can exceed this value, particularly when non-Western diets are encountered. Conversely, distal colonic pathology may not increase stool weight above 200 g/day. A pragmatic definition incorporates these elements: diarrhea is the abnormal passage of loose or liquid stools more than three times daily and/or a volume of stool greater than 200 g/day.

Acute, watery diarrhea is usually caused by a virus (viral gastroenteritis) [2]. Medications such as antibiotics and drugs that contain magnesium products are also common offenders. Recent dietary changes can also lead to acute diarrhea. These including intake of coffee, tea, colas, dietetic foods, gums or mints that contain poorly absorbable sugars. Acute bloody diarrhea suggests a bacterial cause like Campylobacter, Salmonella or Shigella [3]. Traveling to developing areas of the world can result in exposure to bacterial pathogens common in certain areas. Eating contaminated foods such as ground beef or fresh fruit can

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Intranasal dolutegravir sodium loaded nanoparticles of hydroxypropyl-beta-cyclodextrin for brain delivery in Neuro-AIDS

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ABSTRACT

Dolutegravir sodium (DTG) loaded nanoparticles (NPs) were prepared by cross-linking Hydroxypropyl-β-cyclodextrin (HPβCD) with diphenyl carbonate to enhance its CNS uptake via intranasal delivery. NPs were characterized for various parameters like size, drug loading, in vitro release, safety and CNS uptake and optimized using quadratic response surface methodology (RSM) employing 2-factor, 5-level circumscribed central composite design. The batch of NPs containing DTG:HPβCD; 1:4.16 was considered optimum as uniform small NPs (size: 81 ± 3 nm, PDI 0.378 ± 0.04) with high drug loading (14.9 ± 1.4%) and entrapment efficiency (77 ± 3.35%). NPs produced sustained drug release (89.51 ± 0.56% in 6 h) by Fickian diffusion mechanism, they provided 2.54 folds greater permeability of DTG compared to free drug and were non-toxic to L929 cell line. Significantly higher concentration of DTG in the CSF (24.89 ± 4.56μg/mL) and higher CSF:Plasma ratio(1.64) was achieved from intranasal NPs as compared to the reported DTG concentration in the CSF (18 ng/mL) and CSF:Plasma ratio (0.11–0.66) following oral administration of tablet. High brain drug transport percentage (83.47%) from intranasal NPs confirms nose to brain transport of the drug. Gamma scintigraphy studies in rats revealed enhanced CNS uptake of drug from NPs. These results suggest that the present investigation hold promise for management of Neuro-AIDS.

1. Introduction

Human immunodeficiency virus (HIV) invades the CNS in the early phase of infection, Neuro-AIDS is a major upcoming issue amongst long-term seropositive survivors and acquired immune deficiency syndrome (AIDS) patients as a consequence of insufficient antiretrovirals (ARVs) CNS concentration. Persistent proliferation of HIV in the absence of enough ARV causes difficulty in controlling the viral burden within the CNS making a potential latent viral reservoir with the capacity to supply virus in low/undetectable viremia [1,2]. The insufficient ARVs concentration may be attributed to their poor absorption, low oral bioavailability, p-gp efflux and high protein binding [3,4]. Nanomedicines are being developed to combat various CNS barriers viz., blood-brain and blood-CSF barrier, efflux pumps and metabolic enzymes which restricts the ARV delivery across the CNS [5–7]. Intranasal delivery offers potential possibility of enhanced drug delivery to the CNS [8,9]. Intranasally administered drugs may reach the CNS via olfactory, trigeminal or systemic pathway [10–12]. There are several reports about enhanced CNS drug delivery through these pathways on intranasal delivery. Chiappetta et al., successfully delivered efavirenz to the brain intranasally using micelles [13]. Various researchers have successfully delivered ARV by intranasal route for the treatment of Neuro-AIDS. Didanosine-chitosan nanoparticles with increased drug delivery to the CNS has been formulated by Abeer M. Al-Ghananeem and coworkers [14]. Barbi et al. [15] prepared zidovudine chitosan nanoparticles for intranasal delivery, while Dalpiaz A. and coworkers have conjugated zidovudine to ursodeoxycholic acid to produce pro-drug which was loaded in the chitosan chloride microparticles and evaluated for CNS delivery. They reported enhanced delivery of the drug in the CSF in rats [16], Mahajan et al. [17] developed saquinavir mesylate nanoemulsion with enhanced CNS bioavailability. Previously we have reported enhanced solubility of efavirenz using Chitosan-grafted- HPβCD (CS-g-HPβCD) as a copolymer synthesized in our laboratory [18], further it was utilized as a carrier for the preparation of efavirenz NPs with enhanced CNS uptake [19,20].

Dolutegravir sodium (DTG), is a second generation integrase strand transfer inhibitor, prevents integration of HIV viral DNA into host DNA which is essential step in viral replication. HIV-1 integrase is a viral protein composed of three domains that performs the role of cutting and joining viral DNA into the host genome. Viral DNA strand transfer

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Semisolid-filled Capsules of Carvedilol for Improving Dissolution Behaviour

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The present investigation was aimed at enhancing the dissolution properties of carvedilol, a poorly water-soluble drug using a combination of solid dispersion and semisolid-filled capsule. The use of lauroyl polyoxyl-6 glycerides as a carrier in a semisolid base to improve the dissolution behaviour of carvedilol was investigated. Solid dispersions containing carvedilol were prepared and percent drug content was assessed. *In vitro* dissolution studies, Fourier-transform infrared spectroscopy, differential scanning calorimetry and scanning electron microscopy were used to characterize solid dispersions. Semisolid-filled capsules of carvedilol were prepared using different bases, and their percent drug content and *in vitro* dissolution behaviour were studied. The optimized solid dispersion containing 3 parts of poloxamer and 0.2 part of Plasdone K90 with respect to 1 part of carvedilol was incorporated into an optimized semisolid base containing 20 parts of lauroyl polyoxyl-6 glycerides with respect to 1 part of carvedilol. The combination of solid dispersions and semisolid-filled capsule produced a significant increase in the rate of release of the drug. The differential scanning calorimetry thermogram of the optimized semisolid formulation did not show carvedilol peak, which suggested that carvedilol had dissolved in the base in the presence of lauroyl polyoxyl-6 glycerides. The dissolution of carvedilol was improved and the lag time of drug release was reduced in the semisolid-filled capsules in which lauroyl polyoxyl-6 glycerides was used as a carrier.

Key words: Dissolution, carvedilol, lauroyl polyoxyl-6 glycerides, semisolid-filled capsules

Most of the active pharmaceutical ingredients discovered recently through screening and combinatorial chemistry are poorly water-soluble drugs[1,2]. The therapeutic efficacy of an orally administered drug mainly depends on its solubility, dissolution and absorption[3,4]. One of the major challenges in drug development lies in enhancing the solubility, dissolution and bioavailability of poorly water-soluble drugs[5]. Various approaches that have been used to improve the dissolution behaviour of such drugs involve the use of salt formation[6], self-emulsifying drug delivery systems[7], inclusion complexes[8], co-crystallization[9], solid dispersions (SD)[10] and semisolid matrix filled capsules (SSCs)[11]. SD techniques, of all these approaches, have been used most to enhance the solubility of water-insoluble drugs. SSCs are being used more recently because of several benefits such as reduced weight variations, content uniformity, enhanced stability and improved dissolution of poorly water-soluble drugs[12].

The major disadvantage of the use of a single approach to enhance dissolution is that in emergencies such as heart attacks, myocardial infarctions and asthma, the requirement of dissolution enhancement of a poorly water-soluble drug to achieve therapeutic concentration within a short period might not be achieved[11]. Any delay in achieving therapeutic concentration due to poor dissolution would result in a delayed onset of action and might worsen the emergency situation. Thus increasing the aqueous solubility and dissolution behaviour of the drug is of therapeutic importance. A combination of approaches and material attributes might provide results in terms of dissolution. Selecting a suitable material with the desirable attributes in relation to solubility enhancement is more vital in shaping a drug delivery device. Lauroyl polyoxyl-6 glycerides (LP6G) is a transesterified product of a C12-18 glyceride and

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Original Research Article

Forskolin Ameliorates Scopolamine Induced Memory Impairment in Rats

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Abstract

Objective: The present investigation was aimed to assess the effect of Forskolin (FL) against cognitive impairment produced by scopolamine in rats using various behavioral models such as Y-maze, Novel object recognition test (NORT) and some biochemical markers of Alzheimer's disease. ***Methods:*** Rats were assigned to six different groups, each group consisting of six animals. The normal animals received distilled water, 10 ml/kg per orally (p. o.), FL (250, 500,750 µg/kg, p. o.) was administered once daily for two weeks. One the last day of treatment, 90 min. post- administration of the last dose of forskolin, amnesia was produced in rats by administration of scopolamine (3 mg/kg) intraperitonealy (i.p.). Then rats were trained to Y-maze and NORT protocol. Short term memory behavioral responses were recorded after 90 min of training session (retention memory) and 24 h after training (long term memory). Donepazil (3 mg/kg, p. o.) was used as a standard and was administered for 14 days to positive control groups. Biochemical parameters such as reduced glutathione (GSH), Lipid Peroxidation (MDA) and acetylcholinesterase activity were analyzed. ***Results:*** Administration of different doses of FL (250, 500,750 µg/kg) once daily for two weeks significantly improved the learning ability and the retention of learned memory in Y-Maze and NORT. Moreover, pre-treatment with FL significantly restored increased lipid peroxidation; normalized glutathione and increased acetylcholinesterase activity. ***Conclusion:*** Forskolin enhances cognitive performances of rats against memory impairment by forskolin. Antioxidant activity, mainly inhibition of reactive oxygen species generation by natural diterpenoid compound forskolin advocates its therapeutic efficacy in treating neurodegenerative diseases.

Keywords: Dementia, Forskolin, Scopolamine, Alzheimer's disease.

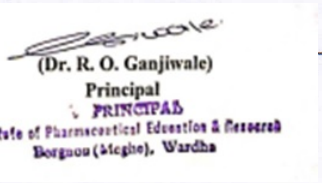
INTRODUCTION

One of the devastating forms of mental illness associated with several factors, marked by intricate, and by assorted mental illness is Alzheimer's disease (AD). The condition is broadly visualized in elderly, and characterized by memory loss and many impairedcognitive functions [1]. "Dementia" multidimensionally encompassesa variety of diseases and conditions that develop when nerve cells collapse structurally and functionally [2]. AD produces an impairment of cognitive abilities that is steep in onset but irreversible in progression. Clinically, AD is initialized by short term memory loss, contrary to the distant memories which are preserved relatively well. Progressively degeration is marked by impairment of cognitive abilities, namely; ability to calculate, exercise visuospatial skills, and, ideomotor apraxia [3].

Many scientific studies suggest that diterpenes and diterpenes rich food have enhancing effect on memory and cognition in animals as well as humans & shows neuroprotective effects against AD [4].

Diterpene reverses the β-amyloid (Aβ)-induced reduction of acetylcholine release from hippocampal brain slices, suggesting potential improvements in learning and memory deteriorated by Aβ. Diterpenes have been known to possess anti-fungal, anti-inflammatory [5], anti-cancer [6], antiviral [7] and antioxidant effects [8].

FL is crystalline solid having off white color, a natural product of diterpenes class. Forskolin (also known by another name coleonol) is obtained from Indian Coleus plant (*Coleus forskohlii*). Powdered root weighing 0.1kg of Indian Coleus plant gives 500 mg of FL residue [9]. This plant and related species have been used traditionally in Brazil, Africa, India and other parts of Asia [10-12]. FL is reported to possess antioxidant property [13].



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Research Article

Protective Effect of Ellagic Acid on Testosterone-induced Alopecia in Rats

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Abstract

Background and Objective: Alopecia or hair loss is a common dermatological problem that transcends demographic, economic, racial, gender and age barriers. There are a number of factors that affect hair growth. Amongst them, the key factors that affect hair growth are growth hormones and cytokines which are produced by the body. Ellagic Acid (EA) is a polyphenol found in a certain fruits and nuts like; grapes, pomegranate, walnuts, cranberries, raspberries, strawberries, *Morinda citrifolia* and *Terminalia chebula*. It is one of the most promising chemopreventive agents. The present study was carried out to evaluate the effect of EA on hair growth promoting activity in rats. **Materials and Methods:** The EA was studied for hair growth promoting activity in rats. Testosterone (T) administered sub-cutaneously (s.c.) for 21 days used to induce androgenetic alopecia (AGA). Minoxidil solution was applied topically served as standard. Body weight, histological parameter and hormonal parameter were estimated on post-induction day and at the end of the treatment day to observed hair growth property of EA. **Results:** The administration of testosterone leads to an increase in body weight, muscle tightness and hair loss. The EA was able to exert re-growth of hair by bringing back parameters to normal and improvement in the quantification of hair growth in rats. **Conclusion:** The EA showed a gainful outcome in testosterone-induced androgenetic alopecia in male rats. Its effects were comparable to the standard drug Minoxidil solution which is most widely used for hair growth in patients with androgenetic alopecia.

Key words: Androgenetic alopecia, hair loss, ellagic acid, minoxidil

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Competing Interest: The authors have declared that no competing interest exists.

Data Availability: All relevant data are within the paper and its supporting

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Synthesis and Anti-inflammatory Activity of Some 2-(4-Chlorophenyl)-6-(substituted phenyl)-thiazolo[3,2-b][1,2,4]triazoles

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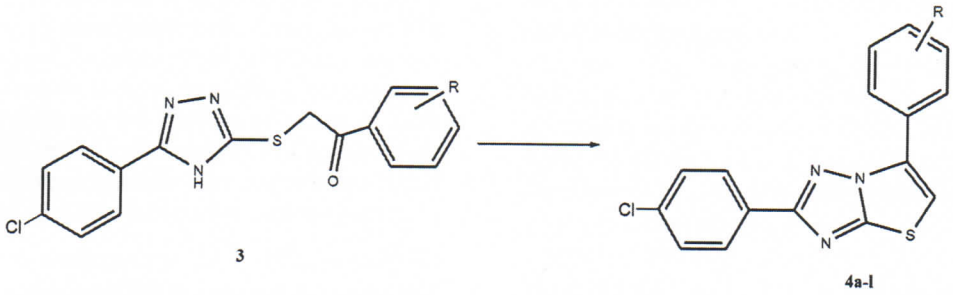
ABSTRACT The reaction of 5-(4-chlorophenyl)-4*H*-1,2,4-triazole-3-thiol **(1)** with different 2-bromo-1-(substituted phenyl)ethanones **(2)** in the presence of base gave 2-{[5-(4-chlorophenyl)-4*H*-1,2,4-triazole-3-yl] sulfanyl}-1-(substituted phenyl)ethanones **(3)** which on cyclization in the presence of polyphosphoric acid yielded a series of fused heterocycles, namely 2-(4-chlorophenyl)-6-(substituted phenyl)-thiazolo[3,2-b [1,2,4] triazoles **(4a-l)**. The structures of all the synthesized compounds were characterized with Fourier-transform infrared, ^{1}H and ^{13}C nuclear magnetic resonance, time-of-flight mass spectrometry electrospray + data, and elemental (C, H, N, S) analysis. Compounds **4a-l** were tested for anti-inflammatory activity.



KEYWORDS Anti-inflammatory activity, Thiazoles, Triazoles, Thiazolotriazoles.

INTRODUCTION

Nonsteroidal anti-inflammatory drugs (NSAIDs) are exploited in the discourse of pain, inflammation, fever, and a numeral of arthritic diseases such as rheumatoid arthritis as well as osteoarthritis.[1-2] Conversely, their curative practices are frequently restricted through regular side effects, specified as gastrointestinal (GI) bleed, and perforation along with ulceration.[3-4] The event of clinically operative GI side reflection referable to NSAIDs is soaring (30%) and induces some patients to concede NSAID treatment.[5] Consequently in spite of copiousness of NSAIDs in the market, a superlative agent is still a vision and there is a need to develop novel drugs that have strong anti-inflammatory activity with least side effects. All such evils lift the edge and make the striking attention of medicinal apothecary in the sighting and evolution of new lead structures.

Thiazoles are an eminent mark of heterocyclic compounds and retrived in numerous effective biologically active molecules such as nizatidine, sulfathiazole, ritonavir, meloxicam, bleomycine, fentiazac, and tiazofurin.[6] Thiazole framework is an indispensable pharmacophore and its yoke by means of other rings could provide different biologically energetic compounds. Thiazole restrain compounds revealed a wide stroll of biological assets such as anti-inflammatory,[7] antimicrobial,[8] antitumor,[9] anticonvulsant,[10] cardiotonic,[11]

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Research Article

Neuro-protective effect of Suramin against aluminum-induced cognitive dysfunction in rats

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Abstract

Suramin is N-methyl-D-aspartate (NMDA) receptor antagonist which protects against the glutamate excitotoxicity and oxidative stress. Aluminum is a potent neurotoxin involved in the initiation and progression of various cognitive disorders like Alzheimer's disease (AD). Chronic aluminum exposure induces glutamate excitotoxicity, oxidative stress and increases amyloid beta levels *in vivo*. Therefore, the present study was designed to explore the possible role of suramin against aluminum mediating cognitive dysfunction in rats. Aluminum chloride (100 mg/kg, i.p.) was given to rats daily for 30 days to induce cognitive dysfunction. Suramin (25, 50 and 100 mg/kg, i.v.) and physostigmine (0.50 mg/ kg i.p.) was given for 30 days along with aluminium treatment. On the 31st day of the study, various behavioral tests (radial arm maze and elevated plus maze task paradigms) were done to evaluate cognitive tasks. According to the study chronic aluminum chloride administration resulted in poor retention of memory in radial arm maze and elevated plus maze task paradigms. Chronic administration of suramin significantly improved memory retention tasks in aluminum-treated rats. The study advocates a cognitive enhancing effect of suramin against aluminum-induced cognitive dysfunction.

Keywords: Alzheimer's disease, Excitotoxicity, Suramin, physostigmine.

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1. Introduction

The disturbances of the intracellular ionic homeostasis after activation of channel-associated membrane receptors by the excitatory neurotransmitters represents a principle event that triggers excitotoxic cell death of neurons. Excitotoxicity plays an important role in a number of neuropathological patterns and is regarded as a key player in neurodegeneration during ischemia, trauma, and chronic neurological disorders [1]. Therefore, the search for therapeutic drugs, which prevent or attenuate ion-dependent excitotoxicity, has an important value for a range of neurological disorders.

Suramin (Sur) is a polyanionic chemotherapeutic agent, which has been used for a long time in the therapy of Rhodesian trypanosomiasis[2].

Currently, this drug has been clinically tested for a variety of human cancers. The mechanism of therapeutic activity of suramin is poorly understood. Interference with growth factor receptor function and induction of lysosomal storage defects may contribute to the cytostatic and antineoplastic activity of the drug. In addition to the interference with the activity of several lysosomal enzymes, suramin is able to inhibit protein kinase C and topoisomerase II the enzymes that are critically involved in the control of cell growth and proliferation [3-7]. Besides antineoplastic, suramin has also a marked antiviral activity capable of inhibiting reverse transcriptase of a number of retroviruses [8]. Recently, the antagonistic effect of suramin has been extended to the g-aminobutyric acid (GABA), and glutamate receptor channels. Suramin has also been suggested for its antioxidant effect and neuroprotective effect against

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REVIEW ARTICLE

A Review on Huntington's disease

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ABSTRACT:
Huntington's disease (HD) could be a fatal genetic disease characterised by triad clinical symptoms of chorea, emotional distress, and psychological feature decline. Huntington malady (HD) could be a rare neurodegenerative disorder of the central system characterised by unwanted choreatic movements, behavioural and psychiatrical disturbances and dementedness. Prevalence within the population is calculable at 1/10,000-1/20,000. Mean age at onset of symptoms is 30-50 years. In some cases, symptoms begin before the age of twenty years with behavior disturbances and learning difficulties in class (Juvenile Huntington's disease; JHD). The classic sign is chorea that delicately spreads to any or all muscles. There are a unit presently no malady modifying treatments so accessory and symptomatic management is that the mainstay of treatment. In recent years there are vital advances in understanding each the cellular pathology and also the macroscopical structural brain changes that occur because the malady progresses. Within the last decade there has been an outsized growth in potential therapeutic targets and clinical trials, maybe the foremost promising of those area unit. The rising therapies geared toward lowering levels of mutant huntingtin. Antisense oligonucleotide medical aid is one such approach with clinical trials presently afoot, this could bring United States of America one step nearer to treating and doubtless preventing this devastating condition.

KEYWORDS: Huntington's disease, Huntington's chorea, neuro-degeneration, genetics, CAG.

1. INTRODUCTION:
The first description by Waters, of a patient with what we have a tendency to currently decision Huntington's chorea, dates from 1842. However, it absolutely was not till 1872, once the lecture and outline of the sickness by Saint George Huntington, that it became referred to as Huntington's chorea. It's a neurodegenerative disorder passing among families from generation to generation with onset in time of life and characterised by unwanted choreatic movements, behavioural and medicine disturbances and dementedness. Huntington sickness (HD) is associate chromosome dominant genetic condition that may have an effect on movement and knowledge and is progressive and fatal. It results from factortic mutations involving trinucleotide repeats of the huntingtin gene, that encodes the huntingtin supermolecule. The defective factor codes the blueprint for a supermolecule known as huntingtin.

This protein's traditional perform isn't however known, however scientists have known its defective type because the reason for Huntington's sickness.

HD is associate chromosome dominant illness, which suggests it affects males and females with equal chance. every kid of Associate in Nursing affected individual has an equivalent five hundredth probability of inheritable the abnormal huntingtin cistron, and so developing the illness sooner or later. Inheriting a traditional huntingtin cistron from the unaffected parent doesn't stop or counteract the disease-causing effects of the abnormal cistron.

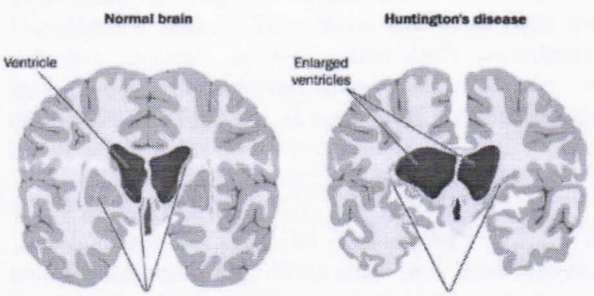

Fig. 1: Image showing difference in the normal brain and one with Huntington's Disease.[4]

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ROLE OF EHRETIA LAEVIS ROXB IN WOUND HEALING ACTIVITY – A REVIEW

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ABSTRACT

The *Ehretia laevis* Roxb is a rare Indian medicinal plant and member of Boraginaceae family. The *Ehretia laevis* Roxb is high valued medicinal plant and becoming rare in the state of Maharashtra. It has a religious importance among Hindus. Many kind of *Ehretia* genus are termed as the anti-inflammatory, antidiabetic, and antibacterial activity. It is considered as small sapling due to its 12 m height. Plants are having more ability of biosynthesis of variety of organic compounds called as secondary metabolites, which are generally unique and more complex structures. Many secondary metabolites have been found to possess interesting pharmacological and therapeutic values and have applications such as pharmaceuticals, insecticides, dyes, colors, sweeteners, in cosmetics as flavors and fragrances. The treatments for various diseases are reported in Ayurvedic system of medicine. Medicinally important natural products are of immense use. In Wardha district of Maharashtra India, One such folk tribal herbal plant *Ehretia laevis* was found to be very effective in wound healing. All parts of this plant are used for different curative purposes.

KEYWORDS: Wound Healing activity, *Ehretia laevis* Roxb, Joint pain, Fracture, Khanduchakka.

1. INTRODUCTION

Plants are recognized in the pharmaceutical industry for their broad structural variety as well as their extensive range of pharmacological activities. The biologically active compounds present in plants are called phytochemicals. These phytochemicals are resulting from various fragments of plants such as leaves, flowers, seeds

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REVIEW ARTICLE

A Review on Carpal Tunnel Syndrome

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ABSTRACT:
Carpal Tunnel Syndrome (CTS) is the utmost common compressive central mono-neuropathy seen in medical practice, accounting for 90% of all neuropathies. Carpal tunnel syndrome presents in 3.8% of the overall population, with a higher prevalence among women. There are many risk factors related to CTS, including both medical and non-medical factors. The pathophysiologic mechanisms involved in the median nerve compression and traction are thought to be complicated, and up to now don't seem to be totally understood. This review aimed to provide an overview of the pathophysiology of median nerve neuropathy in the carpal tunnel, and subsequent development of CTS along with their diagnostic tools and treatment.

KEYWORDS: Neuropathy, Carpal Tunnel, Median Nerve, Diagnosis, Treatment.

INTRODUCTION:
Carpal Tunnel Syndrome (CTS) is the utmost common compressive central mono-neuropathy seen in medical practice. Clinical symptoms comprise of numbness, tingling, burning, and/or pain associated with local compression of the median nerve at the wrist, subsequently resulting in mechanical compression or local ischemia[1-6]. The median nerve controls sensations to the palm side of the thumb and fingers (except for the little finger), along with impulses to some muscles in the hand that move the thumb and fingers. The carpal tunnel-a fine passageway of ligament and bones at the base of the wrist-contains the median nerve and tendons. Several factors can cause CTS, including the anatomy of wrist, certain health problems and congestion of hand. Proper treatment typically can relieve the symptoms and reestablish normal function of the hand[7].

BACKGROUND:
Carpal Tunnel Syndrome, initially studied by Paget in 1954, CTS is a common entrapment neuropathy, disturbing about 3.8% of the population[8-9]. An entrapment neuropathy is a type of neuropathy caused due to pressure inside anatomical structures that are not stretchy.

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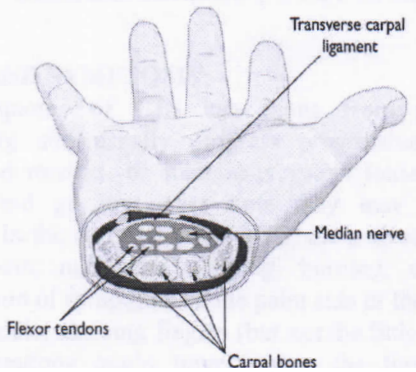
Sustained or high pressure in the carpal tunnel obstructs microcirculation in the median nerve, leading to decreased action potentials, demyelination in the nerve and axonal degeneration. CTS is outlined as a symptomatic compression pathology of the median nerve in the wrist[10].

ANATOMY:
Median nerve is formed by the unification of the lateral and medial roots which initiate from the lateral and medial cord of the brachial plexus, respectively (on the anterior surface of the axillary artery). It runs down the anteromedial part of the arm in the medial bicipital groove first lateral to the brachial artery, then in the middle of the upper arm the median nerve crosses the artery in anterior and lies on its medial side. Then it passes over the cubital fossa, deep to the bicipital aponeurosis and medial to the brachial artery.



Fig

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Evaluation of ethanolic and aqueous extract of *Clitoria ternatea* for antimicrobial activity

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In the recent years, there has been an emerge and spread of infectious diseases and also developing resistance to the antibiotics, so there is a great concern to find potentially effective, safer natural alternative for the infectious diseases. The present study was focused on the antimicrobial activity of ethanol and aqueous extract of *Clitoria ternatea* root. The *in-vitro* antimicrobial study was carried out against bateriea viz. *Staphylococcus aureus*, *Escherichia coli, Pseudomonas aeruginosa* and fungus viz. *Aspergilus niger, Candida albicans* by the cup-plate method. The extracts of *Clitoria ternatea* roots were subjected to preliminary phytochemical screening for detection of chemical constituents present in them. Preliminary phytochemical screening study revealed the presence of alkaloids, glycosides, tannins, phenol and flavonoids. The highest potential was observed in the ethanol extract of *Clitoria ternatea* roots. This study suggested that solvent polarity determined the phenol and flavonoid content significantly, hence affecting the antimicrobial activity. This plant extract which proved to be potentially effective can be used as a natural alternative for preventives to control infectious diseases causing due to the tested microorganisms.

Keywords: Antibacterial activity, Antifungal activity, Aqueous extract, *Clitoria ternatea*, Ethanol extract, Phytochemical screening

IPC code; Int. cl. (2015.01)- A61K 36/00, A61K 36/48, A61K 125/00, A61P 31/00, A61P 31/04, A61P 31/10,

Introduction

It has been noted that in the recent years there is increasing the incidence of infectious diseases and on the other hand, due to rapid development of resistance in a large number of bacteria along with undesired side effects of certain antibiotics created massive clinical problems thus management of infectious diseases becomes quite complicated[1]. According to the World Health Organization, 80% of the population in the world depend upon traditional remedies which includes plant extracts or the active constituent in plant[2]. Many plants which have been used in various infectious diseases because of the presence of phytochemicals synthesized in a wide variety of secondary metabolites which includes alkaloids, flavonoids, phenolic compounds, tannins which have *in vitro* antimicrobial action. So these phytochemicals can be used in treating various infectious diseases like urinary tract infection, gastric disorders, respiratory infections, and cutaneous infection which are most common in human population[3].

Thus due to fast increase in the infectious diseases along with multidrug resistance and undesirable side effects of synthetic antibiotics, there is an increase in demand of medicinal plants with adequate bacterial efficacy can be used against many infectious diseases[4].

Clitoria ternatea L. (Fabaceae) known as *Aparajita* or Butterfly pea, in south asia, is a well-known plant in the Indian traditional system of medicine and distributed throughout India[5]. The roots are used as a powerful laxative liver tonic. The roots are bitter, refrigerant, intellect promoting, diuretic, anthelmintic, tonic and are useful in dementia, hemicranias, burning sensation, leprosy, inflammation, leucoderma, bronchitis, asthma, pulmonary tuberculosis and fever. The plant is used for neuropharmacological ontent[6,7],

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Comparison of UV-spectrophotometric and RP-HPLC methods for estimation of deflazacort in solid dosage form

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Key words:
Deflazacort, UV, HPLC, derivative spectroscopy, AUC method, validation.

ABSTRACT

Deflazacort is a glucocorticoid used as an anti-inflammatory and immunosuppressant drug. This drug is not official in any pharmacopeia. The objective of this study was to develop, validate, and compare spectrophotometric [absorptivity value method, derivative spectroscopy method, and area under curve (AUC) method] and high-performance *liquid chromatography* (HPLC) methods for the determination of deflazacort in the pharmaceutical dosage form (tablets). The Method A is simple absorptivity value method and is established on the determination of deflazacort in ethanol and water at 247 nm. The Method B is a derivative spectrophotometric method and engrosses the measurement of deflazacort using the zero-order- and first-order derivative technique at 276.5 nm as maxima and 228.2 nm as minima. Method C is an AUC method. This method entails the computation of the incorporated value of absorbance with context to the wavelength between two selected wavelengths 230.2 and 264.4 nm, respectively. Method D is by HPLC, which was carried out using C_{18} column, mobile phase consisting of acetonitrile:methanol:phosphate buffer pH 7.0 (90:5:5 v/v/v) with flow rate 1 ml/minute and detection done at 247 nm, which provide a sharp peak with a short retention time of 4.025 minutes. The advantage of this HPLC method can be observed from its attributes, such as asymmetry (1.1732), column efficiency (718610.6), and standard deviation (0.5929868), which indicated that the developed system has better eluting characteristics than the previously developed method. However, the limit of detection is marginally lower than that of the previous method. Since, the method is not available in any pharmacopeia for the routine analysis of deflazacort, the novel developed spectroscopic and RP-HPLC methods may be highly useful for the industries manufacturing and maintaining the quality aspects of this drug.

INTRODUCTION

Deflazacort (Fig. 1) is an oxazoline derivative of the well-known drug prednisolone that is chemically 11β, 21- dihydroxy-2' methyl-5'βH-pregna-1, 4-dieno [17, 16-d] oxazole 3, 20 dione 21-acetate. It produces pronounced anti-inflammatory as well as immunosuppressive activity (Markham and Bryson, 1995). It prevents the release of some chemical mediators which produces immunological responses as well as allergic responses, thereby resulting in inflammatory conditions

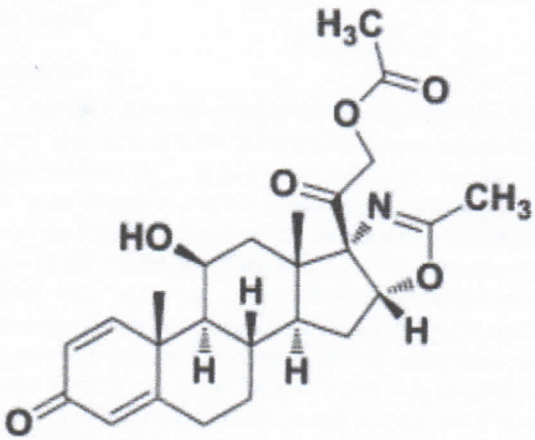

Figure 1. Chemical Structure of Deflazacort.

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Development and Validation of Stability Indicating RP-HPLC method for Teneligliptin Hydrobromide Hydrate

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ABSTRACT

Teneligliptin hydrobromide hydrate is a new FDA approved drug for treatment of Diabetes Mellitus. Very few methods have been reported for its identified degradation products and their effects on human. A simple, rapid, precise and accurate stability indicating RP-HPLC method was developed and validated for identification of Teneligliptin hydrobromide hydrate and its degradants on Kromacil C18 column using pH 5.5 phosphate buffer and methanol (75:25v/v) as a mobile phase in isocratic mode of elution at a flow rate 1.2 ml/min. The column effluents were monitored by a variable wavelength UV detector at 270 nm. The method was validated as per ICH guidelines. Forced degradation studies of Teneligliptin hydrobromide hydrate were carried out under acidic, basic, neutral, peroxide, photo and thermal conditions. Degradation was observed in basic and neutral stress samples, but not in acid, peroxide, photo and thermal stress samples.

Keyword: Teneligliptin hydrobromide hydrate, RP-HPLC, validation, stability, degradation

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SYNTHESIS CYTOTOXIC AND ANTIMICROBIAL EVALUATION OF SOME NOVEL BENZOXAZOLE ANALOGUES

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Keywords:

Benzoxazole, Schiff base, *Allium cepa* root model, Antimicrobial activity, Cyclophosphamide

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ABSTRACT: Benzoxazole and its analogues play an important role as therapeutic agents and exhibit good cytotoxic as well as antimicrobial activity. In the present study, benzoxazole analogues have been prepared by synthetic route; their spectroscopic evaluation was carried out, and then the synthesized compounds were screened for their cytotoxic activity by *Allium cepa* root model as well as antimicrobial activity by cup plate method. The synthesis and cytotoxic activity of a novel series of Schiff's base was carried out by reacting the amino group of the 4-Benzoxazol-2-yl-phenylamine with different aromatic/ heteroaromatic aldehydes in the presence of polyphosphoric acid to give 4-(1,3-Benzoxazol-2-yl)phenyl]-1-(Substituted phenyl) methanimine (4a-4h) also Diazotization reaction of (4-Benzoxazol-2-yl phenyl) with β napthol gave 2-((4-(benzo [d]oxazole-2-yl)phenyl) diazenyl) naphthalene-2-ol (4i). The cytotoxic and antimicrobial activities of the synthesized compounds were determined by using the onion root model and cup plate method, respectively. The activity data of compounds was compared with standard drugs Cefixime for antibacterial activity and miconazole for antifungal was used as a standard, and cyclophosphamide was used as a standard for Cytotoxic activity.

INTRODUCTION: Medicinal chemistry as practiced encompasses both definitions but finding the biochemical pathways through which drugs exert their beneficial effects has become an important activity of the medicinal chemist [1]. The discipline of medicinal chemistry is devoted to the discovery and development of new agents for treating diseases.

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Most of this activity is directed to new natural or synthetic organic compounds. Inorganic compounds continue to be important in therapy, *e.g.*, trace elements in nutritional therapy, antacids, and radiopharmaceuticals, but organic molecules with increasingly specific pharmacological activities are clearly dominant. The development of organic compounds has grown beyond traditional synthetic methods [2].

Heterocyclic compounds are acquiring more importance in recent years as these can be found in a large number of compounds that display biological activities [3-6]. Heterocyclic compounds occupy central position in organic chemistry, and considerable attention has been focused on their

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Highlights on Synthetic, Natural, and Hybrid Cholinesterase Inhibitors for Effective Treatment of Alzheimer's Disease: A Review

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ABSTRACT

Alzheimer's disease (AD) is a progressive age-related neurodegenerative disorder and is the most common form of dementia among the elderly (65 years). The main pathological hallmarks of AD are the accumulation of amyloid plaques, or senile plaques, containing extracellular deposits of amyloid-β peptide (Aβ) and the presence of intraneuronal neurofibrillary tangles (NFTs), which result from hyperphosphorylated tau-protein. While preparing this review article, a huge collection of published literature from diverse pharmaceutical databases, life science databases, and medical databases such as PubMed, ScienceDirect, Google Scholar, etc. were explored and the literature was classified duly. The article focuses on various cholinesterase inhibitors obtained from diverse sources or categories such as established synthetic inhibitors (Physostigmine, Tacrine, Donepezil, Rivastigmine, Galantamine, and Metrifonate), novel synthetic inhibitors (Phenserine, Tolserine, Tesofensine, and Esolerine), natural inhibitors (Huperzine A, Neferine, Galangin, and Cardanol), synthetic hybrid derivatives (Donepezil and AP2238; Donepezil-Tacrine Hybrid; Tacrine-Ferulic acid Hybrid and Beta Carboline Derivatives; and Tacrine-8-hydroxyquinoline Hybrid), and new experimental synthetic analogues (Phenyl-5,6-dimethoxy-1-oxo-2,3-dihydro-1H-2-indenylmethanone and N-alkyl-7-methoxytacrine). This interesting piece of literature may serve as a readymade reference text material (particularly molecular-weight inhibitors) to the enthusiastic researchers (pharmacologists and medicinal chemists) working delicately in the area of AD. This study will positively open novel opportunities for research and futuristic pharmacotherapeutic application perceptive.

Key Words: Alzheimer's, Natural, Hybrid, Synthetic, Inhibitor, Cholinesterase's

INTRODUCTION

Alzheimer's disease (AD) is a progressive age-related neurodegenerative disorder and is the most common form of dementia among the elderly.[1] It is generally diagnosed in individuals over the age of 65 years.[2] The main pathological hallmarks of AD are the accumulation of amyloid plaques, or senile plaques, containing extracellular deposits of the amyloid-β peptide (Aβ) and the presence of intraneuronal neurofibrillary tangles (NFTs), which result from hyperphosphorylated tau-protein.[3] Secondary, pathological hallmark of AD is the oxidation of lipids, proteins, and nucleic acids in neurons.[4] The aetiology of AD is still unknown, but several factors have been suggested that appear to reduce the incidence of the disease.[5]

Three main approaches have been taken:

1. The first involves the reestablishment of neurotransmitters levels, with the inhibition of cholinesterases such as acetylcholinesterase (AChE), butyrylcholinesterase (BChE), and monoamine oxidase (MAO) enzymes.[6]
2. The second one concerns neuroprotection where oxidative stress is considered to be an early event in the pathological cascade for the disease, suggesting the potential use of antioxidants to limit the effects of free radicals on nerve cells.[7]
3. The third approach deals with specific aspects related to AD, including the decrease in the production or aggregation of Aβ peptide, and inhibition of γ and β-secretase enzymes which play a critical role in the amyloidogenic and tau protein pathways, among others. Intracellular NFT is made up of paired microtubule-associated protein tau helical filaments, which

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Investigation of Pectin–Hydroxypropyl Methylcellulose-Coated Floating Beads for Pulsatile Release of Piroxicam

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ABSTRACT

Objectives: The present study was aimed at preparing pectin–hydroxypropyl methylcellulose-coated floating beads for pulsatile release of piroxicam in the treatment of early morning inflammation.

Materials and Methods: Piroxicam-loaded beads were prepared from sodium alginate and hydroxypropyl methylcellulose (HPMC) in different concentrations of calcium carbonate using the ionotropic gelation method. In order to avoid the drug release in the upper part of the gastrointestinal tract, the beads were coated with a pectin–HPMC layer using the dip coating method. Size analysis and encapsulation efficiency, drug loading, *in vitro* release, swelling behaviour and surface morphology studies of the beads were carried out.

Results: The *In vitro* release study revealed that the pectin–HPMC coating of the beads prevented the release of the drug in an acidic medium and provided pulsed release of the drug after a lag time. Formulation CF4 (containing calcium carbonate in the ratio 3:4 with respect to sodium alginate) exhibited a pulsed release of 95.55% at the end of 7 hours in phosphate buffer, which was after the desired lag time of 6 hours.

Discussion and Conclusion: The study revealed that optimized floating pulsatile beads coated with pectin–HPMC can efficiently retain the piroxicam in an acidic medium and that there is a pulsed release in an alkaline medium after a lag time. It also showed that prepared beads can potentially be used for chronotherapeutic treatment of the disease associated with early morning inflammation.

Key words: Beads, Floating, Hydroxypropyl methylcellulose, Pectin, Pulsatile

Running Title: Floating Pulsatile Beads for Piroxicam

INTRODUCTION

Drug delivery systems based on circadian variations is gaining much attention.[1] Several diseases treated by chronotherapeutics, such as asthma, hypertension, arthritis and peptic ulcers, require an instantaneous and complete release of a drug after a scheduled time for effective action. Pulsatile drug delivery systems are developed to deliver drugs at the right time, in the right amount and at the right site of action and thus improve the patient compliance.[2] Time-controlled and site-specific drug delivery systems must be programmed such that they can be administered at bedtime and the drugs are released rapidly when the symptoms worsen. Pulsatile drug delivery systems have short residence times in the stomach though they release drugs after certain lag times. Different approaches have been developed to improve the retention time and bioavailability of drugs in the gastrointestinal tract (GIT), such as intragastric floating formulations, magnetic formulations and super porous hydrogel gel for have various advantages over monolithic-t

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ORIGINAL ARTICLE

Preparation of *Sterculia foetida*-pullulan-Based Semi-interpenetrating Polymer Network Gastroretentive Microspheres of Amoxicillin Trihydrate and Optimization by Response Surface Methodology

Sterculia foetida-pullulan Esaslı Yarı İç İçe Geçmeli Polimer Ağı Gastroretentif Amoksisilin Trihidrat Mikrosferlerinin Hazırlanması ve Yanıt Yüzey Metodolojisi ile Optimizasyonu

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ABSTRACT

Objectives: In this study, a novel *Sterculia foetida* and pullulan-based semi-interpenetrating polymer network gastroretentive microsphere formulation was prepared using the emulsion crosslinking method and optimized by central composite design.

Materials and Methods: The effects of the amounts of glutaraldehyde, *S. foetida*, and pullulan on the percent drug entrapment efficiency (EE), percent mucoadhesion at 12 h, and percent *in vitro* drug release at 12 h were optimized. The microspheres were characterized using scanning electron microscopy, fourier transform infrared spectroscopy, and differential scanning calorimetry.

Results: The formulation containing 4% v/v glutaraldehyde, 8.28% w/v pullulan, and 2.14% w/v *S. foetida* had 88.75±1.18% EE, 80.43±1.2% drug release at 12 h, and 81.73±1.50% mucoadhesion at 12 h, which was considered optimum and was used in an *in vivo* radiographic study.

Conclusion: Semi-interpenetrating polymer network microspheres loaded with amoxicillin trihydrate were successfully prepared using *S. foetida* and pullulan. The prolonged retention of microspheres in the stomach with sustained drug release could effectively act against *Helicobacter pylori* reservoirs in the stomach and improve the therapeutic effect of amoxicillin trihydrate against *H. pylori*.

Key words: *Sterculia foetida*, pullulan, semi-interpenetrating polymeric network, central composite design, *in vivo* radiographic study

ÖZ

Amaç: Bu araştırmada, yeni bir *Sterculia foetida* ve pullulan bazlı yarı iç polimer polimer ağ gastroretentif mikrosfer formülasyonu, emülsiyon çapraz bağlama yöntemiyle hazırlanmış ve merkezi kompozit tasarım ile optimize edilmiştir.

Gereç ve Yöntemler: Glutaraldehit, *S. foetida* ve pullulan miktarlarının ilaç tutma etkinliği yüzdesi (DEE), 12 saatte yüzde mukoadezyon ve 12 saatte *in vitro* ilaç salım yüzdesi üzerindeki etkileri optimize edilmiştir. Mikro küreler ayrıca taramalı elektron mikroskobu, fourier dönüşümlü kızılötesi spektroskopisi ve diferansiyel tarama kalorimetrisi ile de tanımlanmıştır.

Bulgular: %4 h/h gluteraldehit, %8,28 a/h pullulan ve %2,14 a/h *S. foetida* içeren formülasyon, %88,75±1,18 EE sahip olarak bulunmuştur. On iki saat içinde %80,43±1,2 ilaç salımı ve 12 saat içinde %81,73±1,50 mukoza yapışması vermiştir ki bu optimum olarak kabul edilmiş ve *in vivo* radyografik çalışma için kullanılmıştır.

Sonuç: Çalışmadan amoksisilin trihidrat yüklü yüklü iç içe geçen polimer ağ mikrosferlerinin *S. foetida* ve pullulan zamkı kullanılarak başarıyla hazırlandığı sonucuna varılmıştır. Mide içerisinde sürekli ilaç salımı ile mikroküreleri uzun süre tutulması, midedeki *Helicobacter pylori* rezervuarına etkili bir şekilde davranabilir ve amoksisilin trihidratın *H. pylori*'ye karşı terapötik etkinliğini artırabilir.

Anahtar kelimeler: *Sterculia foetida*, pullulan, yarı iç içe geçen polimerik ağ, merkezi kompozit tasarım, *in vivo* radyografik inceleme

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RESEARCH ARTICLE

Improvement in Aqueous Solubility of Cilnidipine by Amorphous Solid Dispersion, Its Formulation into Interpenetrating Polymer Network Microparticles and Optimization by Box-Behnken Design

Amit KUHIKAR*, Shagufta KHAN**, Komal KHARABE***, Dilesh SINGHAVI****, Girish DAHIKAR*****

Improvement in Aqueous Solubility of Cilnidipine by Amorphous Solid Dispersion, Its Formulation into Interpenetrating Polymer Network Microparticles and Optimization by Box-Behnken Design

SUMMARY

Cilnidipine(CPN), a Biopharmaceutics Classification System class II drug, has dissolution rate-limited bioavailability and a very short half-life (20.4 min). Thus, there is a need to improve the solubility and prolong the drug release so that the therapeutic concentration of CPN could be maintained for a prolonged time. Therefore, the present investigation was aimed to improve the solubility of CPN by preparing amorphous solid dispersion (ASD) and sustain its release by incorporating CPN loaded ASD (CPNASD) in interpenetrating polymer network (IPN) microparticles. ASD was prepared using Solutol HS 15 and Gelucire®50/13. Solutol HS 15 provided a better effect by increasing 84.09 folds solubility of CPNASD in water as compared to the free CPN, therefore it was used in the formulation of IPN microparticles. Characterization of ASD by differential scanning calorimetry (DSC) and X-ray diffraction (XRD) confirmed a decrease in the crystallinity of CPN. IPN microparticles loaded with CPNASD were prepared by varying chitosan concentrations, polyvinyl alcohol (PVA), and mass-ratio of chitosan:TPP and optimized by Box-Behnken Design. The constraints on the responses were maximum drug entrapment efficiency and sustained drug release with more than 80% drug release in 12 h. IPN microparticles with composition, chitosan 50mg, PVA 74.99mg (Volume of aqueous phase; 10 ml, Volume of organic phase; 50 ml) and chitosan:TPP 2.52 was the predicted optimized condition by the software and IPN with this composition provided high % entrapment efficiency (83.87±0.85) and sustained release (83.29±0.55) for 12 h. Solutol HS 15 was successful in providing a massive increase in solubility of CPN, and a uniform sustained release was achieved by loading CPNASD in IPN microparticles.

Key Words: *Cilnidipine, Solid dispersion, Solutol HS 15, Interpenetrating polymer network microparticles, Chitosan, Polyvinyl alcohol.*

Silnidipin'in Amorf Katı Dispersiyonu ile Sulu Çözünürlüğünün İyileştirilmesi, Polimer Ağ Mikropartikülleri ile İçiçe Geçerek Formülasyonu ve Box-Behnken Tasarımıyla Optimizasyonu

ÖZ

Bir Biopharmaceutics Classification System sınıf II ilacı olan Silnidipin (CPN), çözünme oranıyla sınırlı biyoyararlanıma ve çok kısa bir yarılanma ömrüne (20.4 dakika) sahiptir. O yüzden CPN'nin terapötik konsantrasyonunun uzun bir süre korunabilmesi için çözünürlüğün iyileştirilmesine ve ilaç salımının uzatılmasına ihtiyaç duyulmaktadır. Bu nedenle, halihazırdaki araştırma, amorf katı dispersiyon (ASD) hazırlayarak CPN'nin çözünürlüğünü iyileştirmeyi ve CPN yüklü ASD'yi (CPNASD) iç içe geçen polimer ağı (IPN) mikropartiküllerine dahil ederek salımını sürdürmeyi amaçlamaktadır. ASD, Solutol HS 15 ve Gelucire ®50/13 kullanılarak hazırlanmıştır. Solutol HS 15, CPNASD'nin suda çözünürlüğünü serbest CPN'ye kıyasla 84.09 kat artırarak daha iyi etki sağlamıştır, bu nedenle IPN mikropartiküllerinin formülasyonunda kullanılmıştır. ASD'nin diferansiyel taramalı kalorimetri (DSC) ve X-ışını kırınımı (XRD) ile karakterizasyonu CPN'nin kristalliğinde azalmayı doğrulamıştır. CPNASD ile yüklenmiş IPN mikropartikülleri, farklı konsantrasyonlarda kitosan, polivinil alkol (PVA) ve kitosan TPP kütle oranıyla hazırlanmıştır: ve Box-Behnken Tasarımıyla ile optimize edilmiştir. Tasarımda cevaplar, maksimum ilaç tutma etkinliği ve 12 saatte %80'den fazla ilaç salımından daha fazla sürekli ilaç salımı ile sınırlandırılmıştır. Yazılım tarafından öngörülen optimize edilmiş kitosan içeren IPN mikropartiküllerinin bileşimi: kitosan 50mg, PVA 74.99mg (Sulu faz hacmi; 10 ml, Organik faz hacmi; 50 ml) ve kitosan : TPP oranı 2.52'dir. Bu bileşimle IPN, yüksek % enkapsülasyon etkinliği (83.87 ± % 0.85) ve 12 saat boyunca sürekli salım (83.29 ± 0.55) sağlamıştır. Solutol HS 15, CPN'nin çözünürlüğünde büyük bir artış sağlamıştır ve CPNASD'nin IPN mikropartiküllerine yüklenmesiyle üniform bir sürekli salım sağlanmıştır.

Anahtar Kelimeler: *Silnidipin, Katı dağılım, Solutol HS 15, İç içe geçen polimer ağ mikropartikülleri, Kitosan, Polivinil alkol.*

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HERBAL IMMUNITY ENHANCER AGAINST COVID-19 INFECTION

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Abstract:

As coronavirus comanly knowns as a COVID-19 has communities around the world, many people have wondered whether there are steps they can take to stay healthy. COVID-19 is usually caused by a virus to which most probably the people with low immunity response are being affected. Being the essence of Ayurvedic medicines, Indian medicinal plants manifest miraculous effects in curing a vast range of diseases and disorders among humans and can be better called "elixirs of life." Plant-based foods increased intestinal beneficial bacteria which are helpful and makeup up 85% of the immune system. By the use of plenty of water, minerals like magnesium and Zinc, micronutrients, herbs, food rich in vitamins C, D, and E, and a better lifestyle one can promote health and can overcome this infection. Currently, there is much-growing interest in the use of these medicinal plants as modulators of the complex immune system.

Keywords: COVID-19, Plant-based foods, Immune system, Ayurvedic medicines

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ORIGINAL RESEARCH PAPER

A validated high-performance thin-layer chromatography method for quantification of echioidin from *Andrographis echioides* plant

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Abstract
A simple, reliable and reproducible high-performance thin-layer chromatography (HPTLC) method was established for determining echioidin in *Andrographis echioides* (L.) Nees (AE) plant. Echioidin isolated and identified from AE whole plant in the laboratory was used to develop the proposed HPTLC method. The method was validated in terms of linearity, limits of detection and quantification, precision, accuracy and robustness parameters. Aluminum-backed HPTLC plates precoated with silica gel $60F_{254}$ were used as the stationary phase and chloroform-methanol (85:15, *V/V*) as the mobile phase. Densitometric scanning was performed using CAMAG TLC Scanner 3 at 266 nm with deuterium lamp. The linear regression analysis data for the calibration plots of echioidin showed good linearity relationship with $r^2 = 0.9996$, in the concentration range of 200–1000 ng spot^{-1}. The mean ± SD values of the slope and intercept were 7.7662 ± 0.079, 218.76 ± 26.62. The stability study suggested that echioidin solution in methanol was stable within 24 h at room temperature. The average percentage recoveries of echioidin from the methanolic extracts of AE aerial parts and roots were 98.18 ± 0.28 and 98.99 ± 0.93, respectively, with % *w/w* content 6.07 ± 0.11 and 4.49 ± 0.07 on dry weight basis. The limits of detection and quantification were found to be 11.31 and 34.27 ng, respectively.

Keywords *Andrographis echioides* · High-performance thin-layer chromatography (HPTLC) validation · Echioidin · ^{1}H and ^{13}C nuclear magnetic resonance (NMR)

1 Introduction

Andrographis is a genus of 40 plant species of the *Acanthaceae* family, some species of which are noted in the indigenous systems of medicine. *Andrographis echioides* (L.) Nees (AE), synonymous to *Indoneesiella echioides* (L.) Sreemadh. or *Justicia echioides* L., commonly known as false waterwillow, grows up to 45 cm height like weed in cultivated fields, roadsides, river banks, etc., under mainly shaded places in warmer parts of India and Sri Lanka [1, 2]. AE is an erect and hispid annual herb with characteristic hairy leaves and stems. In the folklore, it has been mentioned to treat fever, wounds and cuts and possesses diuretic properties [2]. It is also intensely bitter in taste, acts as a powerful bitter tonic and used largely as a substitute of *Andrographis paniculata* [3]. In the traditional systems of Indian medicine, some of *Andrographis* species are known to treat dyspepsia, influenza, dysentery, malaria and respiratory infections [4–6]. The aqueous extract of AE leaves was used to synthesize silver nanoparticles which displayed inhibition of proliferation of human breast adenocarcinoma cancer cell line (MCF-7) and antibacterial effects [7]. In experimental animals, AE showed analgesic, anti-inflammatory and antipyretic activities [8]. Moreover, flavonoids of AE are reported to possess anti-inflammatory activities by inhibiting NO and iNOS in the in vitro assays [9].

Rangaswamy and *Subbarao* (1951) [10] first examined AE plant in view to isolate and identify andrographolide; however, no crystalline bitter principle analogous to andrographolide could be obtained. AE has been reported to contain a new chalcone glucoside, androechin, a flavone glucoside, echioidinin-5-O-β-D-glucoside [11]; echioidinin, i.e., 5,2′-dihydroxy-7-methoxy flavone [12, 13] and its glucoside echioidin [13, 14] and a new flavanone, dihydroechioidinin

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A REVIEW ON HERBAL NANOEMULGEL FOR THE TREATMENT OF ACNE VULGARIS

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ABSTRACT

Acne affects 9.4% of the global population and it is the eighth-most prevalent disease worldwide. It is a chronic inflammatory disease of the pilosebaceous unit and observed in both sexes and nearly all races. It generally occurs during puberty, but variable healing periods. There is an unknown etiological factor, except genetic tendency. The side effects of cosmetics, foods, and drinks are also discussed. There are various herbs having antimicrobial, inflammation-modulating, anti-comedogenic, and antioxidant activity useful for the treatment of acne. Nanoemulgel influences better skin penetration and gives a controlled and sustained novel delivery system. Topical herbal nanoemulsion-based gel preparations have to give various benefits over conventional formulations.

KEYWORDS: Acne vulgaris, Herbal medicines, Nanoemulgel.

INTRODUCTION

Acne vulgaris (AV) is a common chronic skin disorder involving blockage and/or inflammation of pilosebaceous units (hair follicles and their accompanying sebaceous gland) and it is one of the most prevalent human disease.[1]

It is characterized by different areas of scaly red skin (Seborrhea), pinheads (Papules), blackheads and whiteheads (Comedones), large papules (Nodules), and sometimes scarring (pimples). In acne, the skin changes due to changes in pilosebaceous unit skin structures including hair follicles and their associated sebaceous glands. These changes usually require androgen stimulation.[2] Increase in body androg

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A REVIEW ON NANOEMULGEL FOR THE TREATMENT OF PSORIASIS

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ABSTRACT

Psoriasis affects 2-5% of the global population. It is a chronic inflammatory skin disease with a strong genetic predisposition and autoimmune disease. Psoriasis can be mild-moderate or severe depending on the area affected of the skin. On the basis of severity of disease, location and type of psoriasis, treatment approaches can vary treatment includes topical, systemic and phototherapy. As conventional drug delivery approaches face various disadvantages, therefore, a need for better novel drug delivery system is required. Topical nanoemulgel is a convenient drug delivery system. As it increases skin permeability and also helps in controlled release of the drug. The review paper focuses on nanoemulgel for aspects of psoriasis and the novel treatment which can help in tacking the disease.

INTRODUCTION

Psoriasis is one of the most common chronic immune-mediated inflammatory erythematosquamous dermatoses, prevalent among 2-5% of the world population. it is characterized by keratinocytes hyperproliferation, of inflammatory leukocytes in the epidermis and dermis. The clinical feature of the visible disfiguration of erythematous skin lesion covered with white silvery scales at the skin surface often inflicts upon patient through the psychological burden and decreased quality of life.[1]

The most evident pathogenetic change leading to psoriasis is an alteration in the cell kinetics of keratinocytes i.e., abnormal differentiation and hyperproliferation of keratinocytes. Keratinocytes are cells in the epidermis that produce keratin, a "protein that helps to protect the skin and underlying tissues from heat, microbes, and chemicals." Patients with psoriasis

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Research article

Analytical quality-by-design (AQBD) approach for the development and validation of RP-HPLC method for the estimation of lamotrigine in bulk and tablet formulation

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ABSTRACT

The current analytical exploration illustrated developing a reversed-phase high-performance liquid chromatography (RP-HPLC) technique and consequent substantiation for analyzing lamotrigine (LAM) active pharmaceutical ingredient (API) using a Quality-by-design (QbD) approach (Central Composite Design), in bulk product as well as in the tablet formulations. In this experiment, based on systematic scouting, four key components (*viz.*, mobile phase, column, flow-rate, and wavelength) were studied by the RP-HPLC method. 13 experimental runs were done with acetonitrile (ACN) (40-60% v/v) having flow-rate in the range 0.8 mL/min to 1.2 mL/min. The proposed analytical method was thoroughly corroborated in terms of ruggedness linearity, robustness, accuracy, and precision in accordance with ICH guideline Q2A and ICH guideline Q2B. Under the optimum chromatographic environment; Intersil C_8 column of 250 mm length, 4.6 mm (i.d.); 20 µL injection volume; and mobile phase ACN: Methanol (60:40 v/v), a retention time of 2.542 min was noticed at 220 nm detection wavelength. The method was found to be extremely reproducible, accurate, linear, precise, robust, and economically adequate to execute the estimation. The intended analytical technique was thoroughly assessed through statistical tools and could be an imperative concern for the habitual scrutiny of LAM in bulk products and its formulation.

Keywords: Quality by Design, RP-HPLC, Lamotrigine, Central Composite Design, Estimation, Validation

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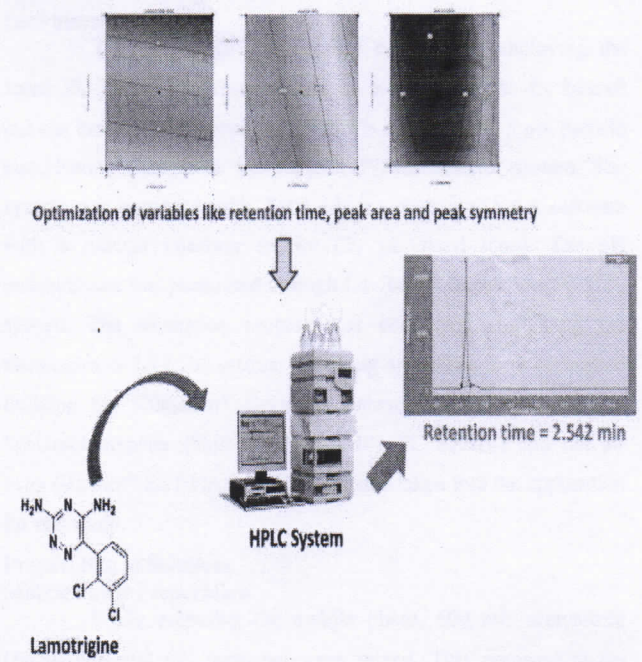
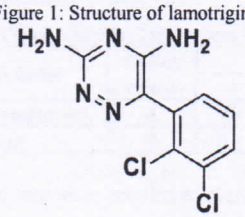
GRAPHICAL ABSTRACT



INTRODUCTION

Lamotrigine (LAM), 6-(2,3-dichlorophenyl)-1,2,4-triazine-3,5-diamine (Figure 1) is a sodium channel blocking phenyltriazine class of anti-epileptic drug recommended along with phenytoin, carbamazepine, etc. for treating the tonic-clonic seizures conditions as well as for generalized seizures.[1] It is also employed as a mood stabilizer in treating the bipolar disorder type-I and is approved by USFDA for its application in several countries.[2]

Figure 1: Structure of lamotrigine



The analyses of LAM utilizing sophisticated instrumental methods such as derivative spectrophotometric,[3] Gas Chromatography (GC),[4] High Performance-Thin Layer

Preparation and *in-vitro* / *in-vivo* Characterization of Transdermal Amphiphilogel Loaded with Biodegradable Polymeric Submicron Carriers of Meloxicam for Treatment of Inflammation

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[2]Dr. Babasaheb Ambedkar Marathwada University, Aurangabad, Maharashtra, INDIA.

ABSTRACT

Aim: The main purpose for this study was to develop and evaluate amphiphilogels loaded with meloxicam-submicron particles considering the benefits of the transdermal route of administration of anti-inflammatory drugs (nonsteroidal). **Materials and Methods:** Glyceryl monostearate (7%, 9% and 11% w/w) and sorbiton monostearate (span 60; 21%, 23% and 25% w/w) amphiphilogels containing meloxicam-submicron particles equivalent to 0.5% w/w drug were formulated. Then these were evaluated through rheological, *in-vitro* permeation, *in-vitro* release, pharmacokinetics, pharmacodynamics and skin irritation studies. The rodents were chosen as subjects to conduct the pharmacokinetics study of meloxicam via oral administration and transdermally as solutions and gels, respectively. **Results:** It was observed that the C_{max} value of drug obtained from meloxicam solution and a marketable piroxicam gel formulation were radically lower than that obtained from an amphiphilogel (FM4, containing 7% w/w glyceryl monostearate). It was also observed that when applied transdermally, the bioavailability of meloxicam from FM4 was higher ($n = 3$, $p < 0.001$) than 2.5 times the bioavailability of meloxicam from a solution which was orally administered. The anti-inflammatory property of FM4 was comparatively much greater than the commercially available formulations in carrageenan-induced edema in rat's paw. **Conclusion:** It can be concluded that the amphiphilogels loaded with meloxicam-submicron particles was found to be a safe and efficient drug delivery system for enhanced transdermal delivery of meloxicam.

Key words: Transdermal, Submicron carriers, Ampiphilogel, Bioavailability, Anti-inflammatory.

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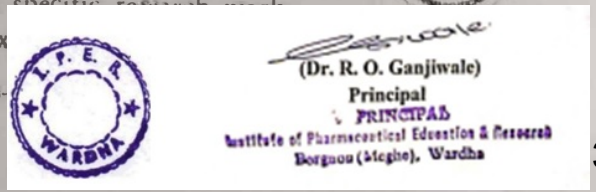
INTRODUCTION

For attaining local or systemic effects, a non-invasive and useful route of drug administration is facilitated by the transdermal route.[1] A dosage form should be non-irritant, should be tolerable to patients and should have required permeability so that it can be administered transdermally. Over the last 10 years, the advancement of novel controlled drug delivery systems is given significant attention and this is expected to help in longer duration drug release that is more acceptable to patients.

For transdermal distribution due to numerous reasons, biodegradable nanoparticles are considered as attractive carriers as these have advantages over-conventional drug-delivery products.[2] A successful delivery system is developed by the researchers; this is mainly applied topically and is made up of chitosan (CS)–tripolyphosphate nanoparticles containing aciclovir. This in turn exhibited better chemical stability.[3]

As proposed by a specific research mech- warfarin-ß-cyclodex



polymers

MDPI

Article

The Unique Carboxymethyl Fenugreek Gum Gel Loaded Itraconazole Self-Emulsifying Nanovesicles for Topical Onychomycosis Treatment

Ali Alqahtani [1], Bhavana Raut [2], Shagufta Khan [2,*], Jamal Moideen Muthu Mohamed [3], Adel Al Fatease [4], Taha Alqahtani [1], Ali Alamri [4], Fazil Ahmad [5] and Venkatesan Krishnaraju [1]

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Abstract: The novel itraconazole (ITZ) nail penetration enhancing self-emulsifying nanovesicles (ITZ-nPEVs) loaded in carboxymethyl fenugreek gum (CMFG) gel circumvent the systemic onychomycosis treatment. The ITZ-nPEVs were prepared by the thin film hydration technique, and the particle size (PS), zeta potential (ZP), drug content (DC), entrapment efficiency (% EE), deformity index (DI), viscosity, morphology, and physical stability of the ITZ-nPEVs were measured. In terms of nail hydration, transungual drug absorption, and antifungal efficacy against *Candida albicans*, the chosen ITZ-nPEVs, nPEV-loaded CMFG (CMFG-ITZ-nPEVs) gel, and the commercialized Itrostred gel were compared. The ITZ-nPEVs showed spherical structure with high DC, % EE, low PS and PDI and positive ZP of ITZ ranging from 95.36 to 93.89 mg/5 mL and 95.36–96.94%, 196.55–252.5 nm, 0.092–0.49, and +11.1 to +22.5 mV, respectively. Compared to the Itrostred gel, the novel ITZ-nPEVs exhibited hydration enhancement factor for 24 h (HE24) of 1.53 and 1.39 drug uptake enhancement factor into nail clippings. Moreover, zone of inhibitions for ITZ-nPEVs (27.0 ± 0.25 mm) and CMFG-ITZ-nPEVs (33.2 ± 0.09 mm) against *Candida albicans* were significantly greater than that of Itrostred gel (22.9 ± 0.44 mm). For clinical investigation on onychomycotic patients, a nail penetration enhancer containing ITZ-nPEV-loaded CMFG gel presents a highly promising approach.

Keywords: itraconazole; onychomycosis; self-emulsifying nanovesicles; transungual; anti-fungal

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1. Introduction

Onychomycosis is a fungal infection that affects both the fingernail and toenail. The documented negative effects of antifungal medication, as well as the restricted blood circulation to the afflicted nails, have impeded systemic therapy of onychomycosis. Approximately 19% of the global population is affected by the fungal infection of the human nail, which is known as onychomycosis or tinea unguium [1]. *Trichophyton rubrum*, followed by *Trichophyton mentagrophtes* var; interdigitale, are the anthropophilic dermatophytes that cause this illness. Non-dermatophytes molds, such as *Scopulariopsis brevicaulis* and *Aspergillus* spp., can be main and secondary pathogens in onychomycosis. Yeast, like *Candida albicans* and *Candida parapsilosis*, is the third cause of nail fungal infection [2].

Onychomycosis causes thickening and discoloration of nail. The nail becomes brittle and begins to break or complet ops [3].

Quantitative Phytochemical Analysis and *in vitro* Study of Antioxidant and Anti-inflammatory Activities of *Aegle marmelos* Fruit with Peel and without Peel: A Comparative Evaluation

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ABSTRACT

Background: *Aegle marmelos* is an important ethnomedicinal plant that is found commonly in India and other Asian countries. The peel of the fruit is hard and is often discarded as waste. However, a few studies have shown that even the peel has antioxidant and anti-inflammatory attributes. The aim of this research work was to perform a comparative evaluation of *Aegle marmelos* fruit extracts with the peel (whole fruit) and without the peel. Phytochemical investigations were carried out on the two extracts. **Materials and Methods:** The Folin-ciocalteu reagent method and the aluminum chloride colorimetric method were used to determine the total phenolic contents and total flavonoid contents, respectively. The marmelosin content was measured using the high-performance thin-layer chromatography technique. The antioxidant activity was determined *in-vitro* using the free-radical scavenging method, and the *in vitro* anti-inflammatory property was determined using the egg albumin denaturation method. The unpaired t-test (with Welch's correction) was used to determine *P* values. Any differences that were observed were considered significant if $P < 0.05$. **Results:** The total flavonoid content of the extracts was found to be low, while the total phenolic content was high. There were no significant differences in the antioxidant activities of the extracts. The anti-inflammatory activities and marmelosin contents of the extracts were significantly different. **Conclusion:** The extracts displayed good antioxidant and anti-inflammatory activities. The anti-inflammatory activity of the extract of the fruit without the peel was found to be greater than that of the extract of the fruit with the peel.

Key words: Phenol, Flavonoid, Marmelosin, Antioxidant, Anti-inflammatory.

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INTRODUCTION

Herbal medicines have been used throughout the world for ages for curing and preventing various diseases. Today they are used in various countries in various medicinal systems such as Ayurveda (Indian), Unani medicine, Siddha medicine (Indian), traditional Chinese medicine, Korean Oriental Medicine, and Kampo medicine (Japanese).[1] These alternative and complementary medicinal systems are gaining popularity because they are perceived to have fewer side-effects and to be more effective in the treatment of various ailments, especially chronic ones. During the COVID-19 pandemic, the demand for herbal medicines surged globally, thus marking their international acceptance.[2,3]

Aegle marmelos (L) Corr. is an Indian medicinal plant. It is an important plant in ethnomedicine and in ancient medicine. It has found uses in the treatment of diabetes, asthma, arthritis, inflammation, gastrointestinal disorders, and many other ailments.[4] The fruit of the plant, although nutritive, is used more as a medicine than as a food. The unripe or partially ripe fruit is used as a digestive, demulcent, astringent, and stomachic. The ripe fruit is sweet, aromatic, and nutritive. The fruit is utilized in the management of chronic diarrhea and dysentery.[5] It is also used to prepare a tonic for the brain and heart. The pulp is stimulant, antipyretic, and antiscorbutic. The pulp of the fruit is regularly used, while the peel and sometimes seeds are discarded. When only the pulp of the fruit is used, the waste index is higher.[6] A few studies have shown that phytoctives are present in the peel of the fruit.[7] Kushwah *et al.*[8] have reported that an extract of the peel has antioxidant and antibacterial properties. They formulated silver nanoparticles using the peel extract. The astringent property of the rind of the ripe fruit is also used in the treatment of acute dysentery.[9]

The present study aimed to carry out a quantitative phytochemical analysis and *in vitro* assessment of the antioxidant and anti-inflammatory activities of the fruit of *Aegle marmelos* with the peel and without the peel.

MATERIALS AND METHODS

Collection of Materials

Fruits of *A. marmelos* were collected during October–November 2020 from the Chitoda region, in Wardha District, Maharashtra, India. The samples were authenticated at Jamnalal Bajaj College of Science, Wardha, India [herbarium sheet no. Bot Sp 04/2020-21]. The fruits were washed with water, wiped thoroughly and cut. The pieces of fruit were separated into two groups. The peel was removed from the fruit in one group. Both groups were dried in a tray dryer at a temperature below 50°C and the fruit pieces ground using a grinder.

Marmelosin was procured from Natural Remedies (Bangalore, India). Gallic acid and rutin trihydrate were purchased from Loba Chemie Pvt Ltd (Mumbai, India). Quercetin was purchased from Chemika Biochemika Reagents, and 2,2-diphenyl-1-picrylhydrazyl (DPPH) (free radical) was procured from Research-Lab Fine Chem. Industries (Mumbai, India). The other chemicals were procured from Loba Chemie Pvt Ltd. Distilled water obtained from a distillation apparatus was used in the study.

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(REVIEW ARTICLE)

Check for updates

A review on remedies used in treatment of varicose veins and varicocele

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Abstract

Varicose vein is clinical class of the (CVD) i.e. chronic venous disease, also called as the varicosities. Varicose veins are enlarged, swollen and twisting veins often appearing blue or dark purple. When valves in the veins do not work properly, the blood does not flow effectively. The expansion of varicose veins is often caused by a weakening of valves and walls.

Generally varicose vein is found in females especially in case of pregnancy. Varicose veins generally found in lower extremity, leg and the epididymis. Epididymis is the highly convoluted duct behind the testis along which sperm passes to the vas deferens.

A varicocele is an enlargement of the veins within the loose bag of skin that holds your testicles or scrotum. A varicocele is similar to a varicose vein you might see in your leg. Varicoceles are a common cause of low sperm production and decreased sperm quality, which can cause infertility.

The aim of writing this review is to provide information about the varicose vein and varicocele the remedy to be used in its treatment and different tests available for its diagnosis.

Keywords: Varicose vein; Varicocele; Diagnostic tests; Phlebectomy; Sclerotherapy

1. Introduction

According to the CEAP (Clinical, Etiological, Anatomical and Pathological Elements), varicose vein is the clinical class of chronic venous disease [1,2].

Varicose veins are common venous disease of the lower extremity which affects more than 33% of adult's population. Varicose veins are lengthened or broaden expanded and convoluted veins. Varicocele is the mass of varicose veins in the spermatic cord.

A varicocele is an abnormal dilation and cured, flexuous, involute, mazy, sinuous, snaky, vermiculate, zigzag veins of the convoluted and like a tendril uses of a venous plexus associated with the spermatic or ovarian veins that drain the testis.

Varicocele occurs within the spermatic cord and can be palpated on physical examination. Varicose veins and varicoceles both are detected on a physical examination in the erect position.

Various allopathic, ayurvedic and homeopathic medications are available for its treatment although they can't completely cure it [3-5].

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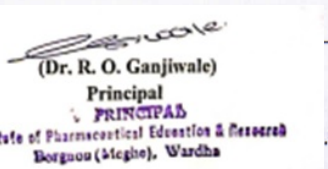

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A REVIEW ON NANOSTRUCTURED LIPID CARRIER BASED GEL FOR TOPICAL TREATMENT OF PSORIASIS

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ABSTRACT

Psoriasis is one of the common chronic immune mediated inflammatory, non-catching skin disease, having characteristic features like red patches on skin covered with thick silvery scales, small scaling spots (most commonly seen in children). The prevalent reported in various countries ranges between 0.09 and 11.43%, making psoriasis a global problem with at least 100 million individuals affected worldwide. Currently, there are many modern and alternative treatments strategies including systemic administration, phototherapy and ultraviolet radiation and biological treatment to treat psoriasis but none of them have been proven to provide complete relief to patients. Moreover, they are associated with certain side effects. In order to overcome the issues, Topical nanostructured lipid carrier based gel is a most suitable for treatment of psoriasis, as it make close contact with stratrum corneum and increase drug skin permeability and also help in controlled release of drug.

KEYWORDS: Psoriasis, Transdermal drug delivery system (TDDS), Nanostructured lipid carrier (NLC).

1. INTRODUCTION

Psoriasis is a chronic, inflammatory skin disease, characterized by raised, red scaly plaques.[1] This disease affects about 2-3% of the world-wide population, although it is more prevalent in American, Canadian, and European populations.[2] Psoriasis is also associated with several co-morbidities, suggesting that the underlying pathogenesis of the disease is more than "skin deep".[3] Psoriasis arises through chronic interactions between hyperproliferative

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Research article

Stability-Indicating HPTLC method for the determination of febuxostat in bulk and pharmaceutical formulation

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ABSTRACT

Febuxostat (FEB) is a well-known xanthine oxidase (XO) inhibitor which is preferably employed for treating hyperuricemia (extreme stages of uric acid in the human serum). In all the above, particularly, the high-performance thin layer chromatography (HPTLC) method where the retention factor (Rf) values were found to be quite varying as well as no specific degradation have been yet studied under moisture, sunlight, oxidative stress, acidic environment and alkaline conditions. The present study exclusively focuses on a much optimized stability-indicating HPTLC-based precise, accurate and specific HPTLC method for FEB detection in the existence of its degradation components using densitometric detection. The study hereby opened a new perspective in developing a novel HPTLC method for the chromatographic determination of United States Food and Drug Administration (USFDA)-approved drug FEB in bulk and tablet formulations. The method was properly authenticated by the International Council for Harmonisation of Technical Requirements for Pharmaceuticals for Human Use (ICH) guideline Q2A and guideline Q2B and therefore is found to be accurate, linear, reproducible, precise, robust and economically adequate to execute day after day custom analysis in the pharmaceutical industry scale. The investigation also unties new opportunities for the coherent optimization of HPTLC-based validated analytical methods for other drug products alone as well as simultaneously for frequently available formulations.

Keywords: Febuxostat, HPTLC, Tablet, Estimation, Stability-indicating, Validation.

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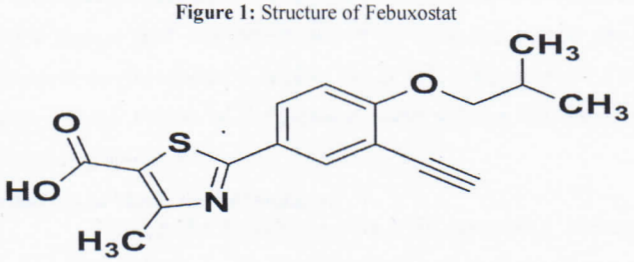
INTRODUCTION

Febuxostat (FEB), chemically known as 2-(3-cyano-4-isobutoxyphenyl)-4-methyl-1,3-thiazole-5-carboxylic acid (*Chemical Formula*: $C_{16}H_{16}N_2O_3S$; *Molecular Weight*: 316.374 g/mol) is a well-known xanthine oxidase (XO) inhibitor which is preferably employed for treating hyperuricemia (extreme stages of uric acid in the human serum) (Figure 1) [1]. It is available in the market as oral tablets (80 mg) in the brand names Adenuric® and Uloric®. It is long-term prescribed often to those patients by the medical practitioners for treating gout that cannot intake allopurinol. This drug is chemically unrelated to allopurinol as its structure does not resemble a purine or a pyrimidine and therefore is well-tolerated [2].

Figure 1: Structure of Febuxostat



FEB analyses have been reported to be analyzed through spectrophotometric method in bulk [3], simultaneous estimation with diclofenac in tablet [4], and tablet products [5]; high-performance liquid chromatography (HPLC) method in bulk [6], human plasma [7], tablet products [8], metabolites like 67M-1, 67M-2 and 67M-4 [9], simultaneous estimation with ketorolac tromethamine [10], diclofenac potassium [11], montelukast [12]; High-Performance Liquid Chromatography (HPLC) coupled techniques like HPLC-Diode-Array Detector (DAD) [13], HPLC-Mass Spectroscopy (MS) [14], HPLC-Ultraviolet (UV) [15], HPLC-Fluorescence (FL) [16]; high-performance thin-layer chromatography (HPTLC) method in human plasma [17], simultaneous estimation with diclofenac potassium [18], tablet products [19]; cathodic stripping voltammetric [20]; micellar electrokinetic chromatography [21]; ultrahigh-performance liquid chromatography (UPLC)-tandem mass spectrometry (TMS) [22]; etc.

In all the above, particularly, the HPTLC method where the R_f values were found to be quite varying as well as no specific degradation have been yet studied under moisture, sunlight, oxidative

e present study

QUALITY ASSESSMENT OF SOME MARKETED HEPATOPROTECTIVE POLYHERBAL FORMULATIONS

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(Received 20 December 2020) (Accepted 01 January 2022)

ABSTRACT

The present study was proposed for assessing the variation in quality of some hepatoprotective polyherbal products that are widely available in the market. Different brands of marketed hepatoprotective formulations were evaluated in the present investigation with respect to qualitative analysis by HPTLC, phytochemical evaluation and heavy-metal detection. Among all formulations, it was observed that formulation of Brand C showed maximum amount of phenolic content, tannin content, and flavonoid content. In HPTLC chromatograms of formulations of various brands, spots with RF values (0.46 ±0.3 and 0.86±0.3, respectively) were found, which confirmed the presence of andrographolide and phyllanthin in them. The increased risk of harmful side effects to the patients was indicated by the presence of heavy metals in some formulations above the permissible limits. The present study gives an insight into the fact that there is a requirement to prepare stricter quality control procedures and parameters for formulations for consumer safety.

Keywords: Hepatoprotective, Phytochemical, HPTLC, Heavy metal, Marketed formulation, andrographolide, phyllanthin

INTRODUCTION

As is known to all, the liver is the most dynamic and essential organ and is, required in a large number of functions, that is, the digestion and alteration of nutrients after the gastrointestinal tract absorption so that it could become an extra helpful energy form, as well as the complete elimination of various drugs, foreign materials, and numeral other substances from the body[1]. The liver is an energetic body part in the human body as it helps in performing many complicated mechanisms. It plays the crucial work of clear-up of several harmful and unwanted substances[2]. Various hepatic disorders can occur due to regular exposure of the liver to toxic elements (like drugs). If the situation worsens, hepatic failure and eventual death can occur due to liver damage[3]. Owing to the elevated numbers of adverse effects, it is opined that synthetic drugs are not safe instead of appropriate for liver diseases. Therefore, it is, required to look for another remedies[4].

There are a small number of natural plants showing superiority for curing liver diseases, and at the same time imposing only very less side effects. It is observed that about 600 herbal drugs are being marketed worldwide for hepatoprotective effects[5,6]. It is also noted that herbal products are launched in the market, in most of the countries, without conducting any proper scientific evaluation as well as without any detailed toxicological studies and mandatory safety. There is no effective machinery for regulating quality standards and manufacturing process. Consumers can easily purchase the formulations in the absence of any prescription and might not even be familiar with the possible hazards adding up with the low-grade products. It is shown by the studies on phytomedicines that purchasers have almost fewer than 50% probability of actually benefiting from what is given on the formulation label. Moreover, analyses report for ayurvedic products have distinctly observed that noteworthy variations exist between what is in the actual products and what are listed on the products label[7].

However, mainly for the prescribed use, only few formulations have been technically validated. Although some information is available about the individual formulation, the studies on their chemoprofiling and phytochemical evaluation are limited and subsequently scarce in the literature. In the light of the above mentioned circumstances, it was believed to be worthwhile to conduct

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