3.3.2: Number of research papers per teachers in the Journals notified on UGC website during the last five years

SUMMARY OF DOCUMENTS

Sr. No	Name of the Document	Page Number (From-To)
1	Research Publications Academic Year 2018-19	02-63
2	Research Publications Academic Year 2017-18	64-89
3	Research Publications Academic Year 2016-17	90-140
4	Research Publications Academic Year 2015-16	141-188
5	Research Publications Academic Year 2014-15	189-239

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Volume No.: 11
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3D Printing Technology- A Computer Aided Design- A Review

S. D. Mankar, Chaitrali Kale, Jangam Kanchan

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Address: *S. D. Mankar, Chaitrali Kale, Jangam Kanchan*
Pravara Rural College of Pharmacy, Loni, 413736
**Corresponding Author*

DOI No: 10.5958/2349-2988.2019.00032.9

ABSTRACT:

3D printing: A layer-by-layer process to produce drug products has gained a lot of attention in recent years especially after its first FDA

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WORLD JOURNAL OF PHARMACEUTICAL RESEARCH
SJIF Impact Factor 8.074
Volume 8, Issue 7, 627-634. Review Article ISSN 2277– 7105

A PHARMACOLOGICAL REVIEW ON *FICUS RACEMOSA*

Shinde Suvarna*, Dr. Rao Priya S., Dr. Jadhav R. S. and Prof. T. P. Dukare

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DOI: 10.20959/wjpr20197-15022

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ABSTRACT

The Present study reports important secondary metabolites present in *Ficus racemosa* The *Ficus racemosa* belong to the family Moraceae , it is popularly known as Glomerata, Cluster fig tree as well as 'Udumbara' in Marathi. Various plant parts such as bark, root, leaf, fruits are used as astringent, carminative, anti-dysentery, diabetes, leucoderma, antiasthmatic, hepatoprotective, antioxidant. The powdered Bark was subjected for extraction by using ethanol. These extract were evaluated for detection of various secondary metabolites, like Glycosides, tannins, Terpenoides, Alkaloids, Flavonoids. The preliminary phytochemical screening were done using various chemical test. The study show presences of Glycosides. These secondary metabolites having role in chronic disease as well as they act as source of nutrient.[9,10]

KEYWORDS: *Ficus Racemosa*, Glycosides, Antiasthmatic, Moraceae.

INTRODUCTION[1]

The genus *Ficus* is an important group of trees which has various chemical constituents of promisive medicinal value. It is a sacred tree of Hindus and Buddhists. Four species of this genus constitute the group "Nalpamaram", namely; *F. racemosa, F. microcarpa, F.benghalensis* and *F. religiosa* (Athi, Ithi, Peral and Arayal respectively).[1] *Ficus racemosa* is also known as *F. Glomerata. Ficus racemosa* has various synonyms like Udumbara

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Journal of Drug Delivery and Therapeutics

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A Review on Phytochemical & Pharmacological Profile of *Pergularia Daemia linn.*

Raman R Chandak*[1] Nachiket S Dighe[2]

[1] Department of Pharmacognosy, Dr.Vedprakash Patil Pharmacy College, Aurangabad M.S., India

[2] Department of Pharmaceutical Sciences, Bhagwant University, Ajmer Rajasthan, India

ABSTRACT

Many indigenous Indian medicinal plants have been found to be successfully used to manage diabetes and some of them have been tested and active principles isolated. However, search for new antidiabetic drugs for effective treatment is on. The vast majority of people on this planet still rely on their traditional material medica (medicinal plants and other materials) for their everyday health care needs. It is also a fact that one quarter of all medical prescriptions are formulations based on substances derived from plants or plant-derived synthetic analogs. The herbal drug from tribal region is selected for the study which is used for diabetes andliver diseases. *Pergularia daemia* (Asclepiadaceae) is a perennial herb growing widely along the road sides of India. It has been used in folk medicine for the treatment of Dibetis mellitus &liver disorders. It is widely distributed in the tropical and sub tropicalregions of the world. Various phytochemical including terpenoid, flavonoids, sterols and cardenolids have been isolated andidentified from the various parts of the plant (leaves, stems, shoots, roots, seeds and fruits whole plant). *P. daemia* widely used by various tribal communities in Western Ghats of India for the treatment of variety of ailments, while predominantly the roots of theplant have been used to treat liver disease and jaundice.The present review article aims towards medicinal Pharmacological potential, Bioactive remedies, Phytochemical profile and other important aspects of *P. daemia*.

Keywords: Ethnobotanical uses, *Pergularia daemia*, Pharmacological Profile, Phytochemical Profile

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Introduction

The plant drug research appears to be complementary to the ongoing synthetic research. World Health Organization in its technical report appears to be on promotion for development of traditional system of medicine[1]. The greatest disadvantage in the presently available potent synthetic drug lies in their side effect, toxicity and reappearance of symptoms after discontinuation. *Pergularia daemia* (Forsk.) Chiov (Apocyanaceae), commonly known as utaran (Hindi), Dustapuchettu (Telugu), Uttamarani (Sanskrit) is a slender, hispid, fetid smelling laticiferous twiner found in the plains throughout the hot parts of India. *P. daemia* is said to have more magical application than medical application as it posses diverse healing potential for a wide range of illnesses. Some of the Folklore people use this plant to treat jaundice as laxative, anti-pyretic intestinal functions. The root is useful in treating leprosy, mental disorders, anemia and piles.

The roots of *Pergularia daemia* have been used to treat inflammation and pain and to reduce the fever by the folklore people of Salem, Dharmapuri and Coimbatore district, Tamilnadu state, India. Both plants are widely distributed to the Southern parts of India. *P.daemia* (Asclepiadaceae) is known as "Veliparuthi." in Tamil, "Uttaravaruni" in Sanskrit and "Utranajutuka" in Hindi. *C.caruudas* belonging to the family of Apocynaceae is commonly known as Christ's thorn or Bengal Currant, 'Kalakke' in Tamil [1]. Traditionally the plant *P.daemia* is used as anthelmintic, laxative, antipyretic and expectorant, and is also used to treat infantile diarrhoea and malarial intermittent fevers [2-4]. Latex of this plant is used for toothache [5]. Stem bark of this plant is remedy for cold [6] and

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Open Access — Review Article

An Overview of Fast Dissolving Oral Film

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ABSTRACT

Taste-masking techniques are applied to mask or overcome the bitter or unpleasant taste of active pharmaceutical ingredients/drugs to achieve patient acceptability and compliance. Oral administration of bitter or unpleasant tasting drugs is often the biggest barrier for patient groups, such as pediatrics and geriatrics[1–3]. Unless the active ingredient is tasteless or does not have any unpleasant taste, taste-masking plays a key role in the success of a final solid oral dosage form. The efficiency of taste-masking is often a key determinant for the success of specialized dosage forms like orally disintegrating tablets and films, and chewable tablets[4]. The mechanisms of taste-masking techniques often rely on two major approaches: the first is to add sweeteners, flavors, and effervescent agents to mask the unpleasant taste, and the second is to avoid the contact of bitter/unpleasant drugs with taste buds. In the past few years, significant progress has been made in the area of taste-masking by applying novel strategies and techniques, such as hot-melt extrusion and microencapsulation.[5,6] The following presents an overview and current status of the industrial approaches and platforms used for taste-masking in oral dosage forms.[7,1,8] Many pharmaceutical companies are switching their products from tablets to fast dissolving oral thin films (OTFs).[9,7] Films have all the advantages of tablets (precise dosage, easy administration) and those of liquid dosage forms (easy swallowing, rapid bioavailability). Statistics have shown that four out of five patients prefer orally disintegrating dosage forms over conventional solid oral dosages forms. Pediatric, geriatric, bedridden, emetic patients and those with Central Nervous System disorders, have difficulty in swallowing or chewing solid dosage forms.[7,8] Many of these patients are non-compliant in administering solid dosage forms due to fear of choking.[9] OTFs when placed on the tip or the floor of the tongue are instantly wet by saliva. This technology provides a good platform for patent non- infringing product development and for increasing the patent life-cycle of the existing products.[10–12]

Keywords: Fast Dissolving oral film, Tablet, Taste Masking Technique

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1. INTRODUCTION

Taste masking:-

Taste-masking techniques often go hand in hand with the formulation technology. In short, they need to be mutually compatible. For example, coated particles obtained after fluid-bed coating should be able to withstand the tablet compression process used for the final dosage form (tablet) manufacturing.[1,2,3]

The commonly used industrial techniques/methods of taste-masking include organoleptic methods, polymer coating, hot-melt extrusion, microencapsulation, complexation, and spray-drying.[1,2,3]

The Experience of Flavor

Meaning of the flavor is the potential to dissolved molecules and ions to the body. Human detects taste with flavor receptor cells which are onion formed organs known as flavor buds. Every flavor bud has a pore that opens out to surface of the tongue allowing molecules and ions taken into the mouth to attain the receptor cells.[13]

Table 1: herbal flavors.[14]

Juice	Raspberry
Extracts	Liquorices
Spirits	Lemon and Orange
Syrups	Blackcurrant
Tinctures	Ginger
Aromatic waters	Anise and cinnamon
Aromatic oils	Peppermint and Lemon

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Development and Validation of Analytical Method for Simultaneous Estimation of Formoterol Fumarate Dihydrate and Fluticasone Propionate from Bulk and Dry Powder Inhaler Formulation

Prof. Godge Rahul K*, Miss. Satpute Soniya S., Prof. Sagar Magar M.

Department of Pharmaceutical Chemistry, Pravara Rural College of Pharmacy, Loni tal. Rahata dist. Ahemadnagar, India

ABSTRACT

A method was developed and validated for analysis of Formoterol Fumarate and Fluticasone Propionate in dry powder inhaler formulations. Separation was achieved on a HiQ Sil C18HS, 250×4.6 mm, 5 µm column using a mobile phase consisting of Acetonitrile: 0.01 M Ammonium Dihydrogen Phosphate solution (80:20 %v/v) at a flow rate of 1ml/min PDA detection at 215.9 nm. This method is validated according to ICH guidelines, which include linearity, precision, accuracy, specificity, robustness. The result obtained were within the acceptance criteria as per ICH guidelines.

Keywords: formoterol fumarate dihydrate, fluticasone propionate, buffer, HPLC.

Article Info: Received 25 April 2019; Review Completed 27 May 2019; Accepted 31 May 2019; Available online 15 June 2019

Cite this article as:

Godge RK, Satpute SS, Magar SM, Development and Validation of Analytical Method for Simultaneous Estimation of Formoterol Fumarate Dihydrate and Fluticasone Propionate from Bulk and Dry Powder Inhaler Formulation, Journal of Drug Delivery and Therapeutics. 2019; 9(3-s):212-222 http://dx.doi.org/10.22270/jddt.v9i3-s.2827

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INTRODUCTION

Ultraviolet-Visible Absorption Spectroscopy:

This deals with the absorption of electromagnetic radiation in the wavelength region of 160 to 780 nm. UV absorption spectroscopy deals with absorption of light by a sample in the Ultra Violet (UV) region (190 - 380 nm), while Visible region absorption spectroscopy (colorimetric) deals with absorption of light by a sample in the Visible region (380 - 780nm). Absorption of UV – Visible light causes promotion of a valence electron from bonding to antibonding orbitals. There are 4 types of transitions observed in UV visible spectroscopy, $\sigma \rightarrow \sigma^*$, $\pi \rightarrow \pi^*$, $n \rightarrow \sigma^*$, and $n \rightarrow \pi^*$. It is not always necessary that the excitation of the electron take place from bonding orbital to anti-bonding orbital when the compound is exposed to UV visible light. The relation between the excitation coefficient and transition probability is given as;

$$E_{max} = 0.87 \times 10^{20} p \times a$$

Where,

E_{max} = excitation coefficient.

p = transition probability with values from 0 to 1.

a = target area of the absorbing system (Chromophore).

High Performance Liquid Chromatography

The Principle of Chromatographic Separation:

By classical definition, chromatography is a separation process that is achieved by distributing the substances to be separated between a moving phase and a stationary phase. Those substances distributed preferentially in the moving phase pass through the chromatographic system faster than those that are distributed preferentially in the stationary phase. As a consequence the substances are eluted from the column in reverse order of their distribution coefficient with respect to the stationary phase.

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Development and Validation of RP-HPLC Method for Estimation of Etizolam in Bulk

Shubhangi L. Harde*, Ravindra B. Laware, Mahesh H. Kolhe

Department of Pharmaceutical Quality assurance, Pravara Rural College of Pharmacy, Pravaranagar, Tal – Rahata, Dist – Ahmednagar, India

ABSTRACT

The present research work describes a simple, accurate, precise, effective, stability indicating, RP-HPLC method for estimation of Etizolam in there tablet dosage form. A Reverse phase high performance chromatographic method was developed for estimation of Etizolam dosage. The separation was achieved by Centeasil C18 (250mm× 4.6ID, Particle size: 5 micron) and methanol: water in the proportion of 30:70v/v as mobile phase, at a flow rate 0.8ml/min. Detection was carried out at 242nm. For RP-HPLC method results of the validation indicate that the method was linear in the range of 100-600 µg/ml for Etizolam. The % recoveries for Etizolam obtained in the accuracy study were 99.77-99.51% respectively. The LOD for Etizolam were found to be 0.787 µg/ml. LOQ for Etizolam found to be 2.382 µ/ml. Developed methods were found to be accurate, precise, rapid and stability indicating for estimation of Etizolam.

Keywords: Etizolam, RP-HPLC, tablet dosage form

Article Info: Received 19 May 2019; Review Completed 26 June 2019; Accepted 30 June 2019; Available online 15 July 2019

Cite this article as:

Harde SL, Laware RB, Kolhe MH, Development and Validation of RP-HPLC Method for Estimation of Etizolam in Bulk, Journal of Drug Delivery and Therapeutics. 2019; 9(4):335-340 http://dx.doi.org/10.22270/jddt.v9i4.3057

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1. INTRODUCTION

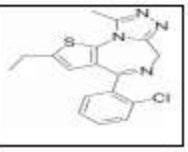
1.1 Drug Profile

Etizolam (ETI) belongs to an original chemical class of diazepines, namely thienotriazolodiazepines with antianxiety activity and chemically it is 4-(2-chlorophenyl)-2-ethyl-9-methyl-6H-thieno [3,2-f] [1,2,4] triazolo -[4,3-a] [1,4]diazepines 1)[1,2]. ECS is official in IP'10 and ET1is official in JP XV [3,4].

Literature survey also reports few HPLC [5] methods for estimation of Etizolam (ETI) individually or in combination with other drugs. Present work describes rapid, simple, sensitive, accurate and reproducible stability indicating method.

IUPAC Name :	4-(2-chlorophenyl)-2-ethyl-9-methyl-6H-thieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepine.
Molecular Formula:	C17H15ClN4S [8]
Molecular weight:	342.854 g/mol
Appearance :	White powder
Melting Point :	145-148°C
Boiling Point :	545.3±60
Category :	Amnesic, anxiolytic, anticonvulsant, hypnotic, sedative and skeletal muscle relaxant.[6]
Solubility :	No soluble in water. Soluble in acid water and in polyethylene glycol and ethanol.

Structure :



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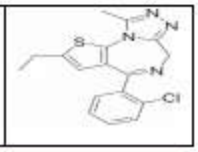
Molecular Formula:	C17H15ClN4S [8]
Molecular weight:	342.854 g/mol
Appearance :	White powder
Melting Point :	145-148°C
Boiling Point :	545.3±60
Category :	Amnesic, anxiolytic, anticonvulsant, hypnotic, sedative and skeletal muscle relaxant.[6]
Solubility :	No soluble in water. Soluble in acid water and in polyethylene glycol and ethanol.

Structure :



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Development and Validation of RP-HPLC Method for Simultaneous Estimation of Emtricitabine and Tenofovir Disoproxil Fumarate in Bulk and Tablet Dosage Form

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ABSTRACT

An analytical method was developed for estimation of Emtricitabine and Tenofovir Disoproxil Fumarate in drug product by using High performance liquid chromatography. The chromatographic separation was performed on column Cosmosil C18 (250mm x 4.6ID, Particle size 5micron) using methanol: water (70:30 v/v) as mobile phase with flow rate of 0.8 ml/min. The detection was carried by using UV-200-M detector at 266 nm wavelength. The retention times of Emtricitabine and Tenofovir Disoproxil Fumarate were found to be 2.661 and 3.479 min respectively. Run time was observed as 5.54 min and 7.05 min respectively for Emtricitabine and Tenofovir Disoproxil Fumarate. Validation was performed as per ICH guidelines which include accuracy, precision, linearity, specificity, LOD, LOQ, ruggedness and robustness all of these were found within standard range as per ICH norms. Preparation of mobile phase is very simple & does not require lengthy procedure. Proposed method was found to be accurate and specific for routine quality control of pharmaceutical dosage form like bulk and tablet dosage form.

Keywords: Emtricitabine, Tenofovir Disoproxil Fumarate, HPLC, Validation.

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INTRODUCTION

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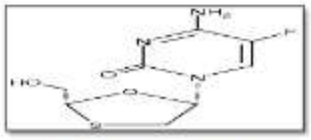

Figure No.1: structure of Emtricitabine

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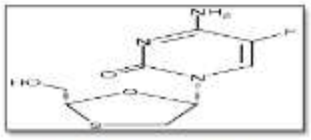

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INTRODUCTION

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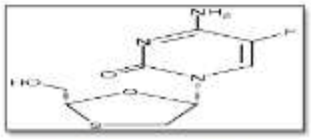

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Development and Validation of RP-HPLC Method for the Simultaneous Estimation of Tenofovir Alafenamide Fumarate and Emtricitabine in Bulk and Tablet Dosage Form

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Department of Quality Assurance, Pravara Rural College of Pharmacy, Pravaranagar, Savitribai Phule Pune University, Tal-Rahata, Dist-Ahmednagar, Pune, Maharashtra, India

ABSTRACT

New Analytical method was developed for the estimation of Emtricitabine and Tenofovir Alafenamide Fumarate in drug product by liquid chromatography. The chromatographic separation was achieved on Cosmosil C18 column (250mmx4.6ID, 5µm) at ambient temperature. The separation achieved employing a mobile phase consists of Methanol:water(80:20v/v). The flow rate was 0.8ml/ minute and ultra violet detector at 252nm. The average retention time for Emtricitabine and Tenofovir Alafenamide Fumarate found to be 4.277min and 5.293min. The proposed method was validated for selectivity, precision, linearity and accuracy. All validation parameters were within the acceptable range. The assay methods were found to be linear from 50-50µg/ml for Emtricitabine and 15-75µg/ml for Tenofovir Alafenamide Fumarate.

Keywords: Emtricitabine and Tenofovir Alafenamide Fumarate, HPLC, Methanol and validation.

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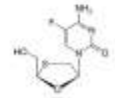
INTRODUCTION

Drug Profile

Emtricitabine: Emtricitabine (2'-deoxy-5-fluoro3'thiacytidine, FTC), with trade name Emtriva is a nucleoside reverse transcriptase inhibitor (NRTI) for the prevention and treatment of HIV infection in adult



Structure of emtricitabine

IUPAC Name: 4-amino-5-fluoro-1-[(2R, 5S)-2(hydroxymethyl)-1, 3-oxathiolan-5-yl)-1, 2dihydropyrimidin-2-one

Molecular formula: $C_8H_{10}FN_3O_3S$

Molecular Weight: 247.248 g/mol.

Solubility: Soluble in ACN, Water, and Methanol.

Pka: 14.29.

Mechanism of action: Emtricitabine works by inhibiting reverse transcriptase, the enzyme that copies HIV RNA into new viral DNA. Emtricitabine is a synthetic nucleoside analogue of cytidine. It is phosphorylated by cellular enzymes to form emtricitabine 5'-triphosphate, which is responsible for the inhibition of HIV-1 reverse transcriptase. It competes with the natural substrate deoxycytidine 5'triphosphate and incorporates into nascent viral DNA, resulting in early chain termination. Therefore emtricitabine inhibits the activity of HIV-1 reverse transcriptase (RT) both by competing with the natural substrate deoxycytidine 5'-triphosphate and by its incorporation into viral DNA. By inhibiting HIV-1 reverse transcriptase, emtricitabine can help to lower the amount of HIV, or "viral load", in a patient's body and can indirectly increase the number of immune system cells (called T cells or CD4+ T-cells). Both of these changes are associated with healthier immune systems and decreased likelihood of serious

Tenofovir Alafenamide Fumarate: Tenofovir alafenamide fumarate (INN/USAN; trade name Vemlidy) is a nucleotide

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Development and Validation of Stability Indicating RP-HPLC Method for Estimation of Alogliptin and Metformin HCl Drug from Bulk and Pharmaceutical Dosage Form

Atul R. Andhale*, R.K. Godage[1], A.S. Dighe[2]

Department of Pharmaceutical Chemistry, Pravara Rural College of Pharmacy, Pravaranagar, Tal. Rahata, Dist. A. Nagar, India

ABSTRACT

The objective of the current study was to develop a simple, accurate, precise and rapid RP-HPLC method with subsequently validate as per ICH guidelines for the determination of Alogliptin benzoate and Metformin hydrochloride using mobile phase [mixture of Phosphate buffer - pH-3.6 and acetonitrile in the ratio of 65:35] as the solvent. The proposed method involves the measurement of Retention time at selected analytical wavelength. 235.0 nm was selected as the analytical wavelength. The retention time of ALO and MET was found to be 5.025 and 2.838 respectively. The linearity of the proposed method was investigated in the range of 1-5 µg/ml (r = 0.9906) for ALO and 10-50µg/ml (r = 0.9999) for MET respectively. The method was statistically validated for its linearity, accuracy and precision. Both inter-day and intra-day variation was found to be showing less % RSD (Relative Standard Deviation) value indicating high grade of precision of the method.

Keywords: RP-HPLC METHOD, Alogliptin benzoate, Metformin hydrochloride, Validation.

Article Info: Received 21 May 2019; Review Completed 28 June 2019; Accepted 07 July 2019; Available online 15 July 2019

Cite this article as:

Andhale AR, Godage RK, Dighe AS. Development and Validation of Stability Indicating RP-HPLC Method for Estimation of Alogliptin and Metformin HCl Drug from Bulk and Pharmaceutical Dosage Form. Journal of Drug Delivery and Therapeutics. 2019; 9(4):504-509 http://dx.doi.org/10.22270/jddt.v9i4.3093

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INTRODUCTION:

GLP-1 and glucose-dependent insulinotropic polypeptide (also known as gastric inhibitory peptide) belong to the incretin class of gastrointestinal hormones. Incretins stimulate a decrease in blood glucose levels by causing increased postprandial insulin release from the beta cells of the pancreas[1]. GLP-1 also suppresses glucagon secretion and exhibits other glucoregulatory actions after secretion in the gut.[2] DPP-4 is an enzyme that rapidly degrades, and thereby inactivates, both GLP-1 and gastric inhibitory peptide. DPP-4 inhibitors prolong the endogenous plasma levels and hence the activity of both of these key hormones.[3] Alogliptin, a potent and highly selective DPP-4 inhibitor, is the fourth DPP-4 inhibitor to be introduced in Canada following the approval of sitagliptin, saxagliptin, and Alogliptin.

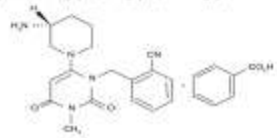

Fig 1: Structure of Alogliptin

Metformin is a biguanide oral hypoglycemic primarily for treating type 2 diabetes mellitus (T2D). Evidence suggests that, in addition to improving glycemic control, metformin is associated with improved all-cause and cardiovascular mortality,4 and decreased risk of some cancers (eg, breast cancer).4 Despite the potential benefits, since metformin was introduced in the United States in the mid-1990s, clinicians have been advised to exercise caution in prescribing the drug to individuals with certain comorbidities due to perceived risks of serious side effects including LA. Lactic acidosis (LA) is defined as blood lactate concentration >45mg/dl (5.0mEq/L), decreased blood pH, and electrolyte disturbances with an increased anion gap.

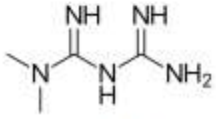

Fig 2: Structure of Metformin

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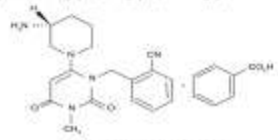

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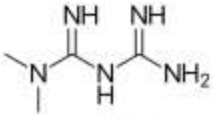

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Development and validation of stability indicating RP-HPLC method for estimation of Brexpiprazole from bulk and tablet form

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Pravara Rural College of Pharmacy, Pravaranagar, Tal-Rahata, Dist-Ahmednagar, India

ABSTRACT

A sensitive, selective, rapid, precise, and economic stability indicating Reverse Phase High Performance Liquid Chromatographic (RP-HPLC) method were developed for the quantification of Brexpiprazole in bulk and pharmaceutical dosage form was performed on Shimadzu Model HPLC 3000 series, using a mixture methanol and water (90:10, v/v) with OPA as mobile phase with a flow rate of 0.9 mL/min. Detection was carried at 215nm. The retention time of Brexpiprazole was 5.099min. Linearity was observed over the concentration range of 10-50 µg/mL [R2 = 0.9989] with regression equation y = 71185x-402587.The Accuracy study was performed % recovery of Brexpiprazole. The % recovery was found to be 50%=100.13%,100%=99.58%,150%=99.84%,(WLT 96% and WHT 102%). The Relative standard deviation values for intraday precision and Intraday precision were found to be less than 2% i.e. 0.25% and 0.40% respectively. Brexpiprazole was subjected to stress conditions (acidic, alkaline, oxidation and thermal degradation) and validated as per ICH guidelines. The validated method can be applied to perform long-term and accelerated stability studies of Brexpiprazole formulations.

Keywords: Brexpiprazole, Isocratic elution, Reverted-phase HPLC, Stability-indicating, Validation

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1. INTRODUCTION:

Brexpiprazole is an antipsychotic medication. It works by changing the actions of chemicals in the brain. Brexpiprazole is used to treat the symptoms of schizophrenia. It is also used together with other medications to treat major depressive disorder in adults. Brexpiprazole is a novel D2 dopamine and serotonin 1A partial agonist, called serotonin-dopamine activity modulator (SDAM), and a potent antagonist of serotonin 2A receptors, noradrenergic alpha 1B and 2C receptors. Brexpiprazole is chemically designated as 7-[4-[4-(1-benzothiophen-4-yl) piperazin-1-yl]butoxy]-1,2-dihydroquinolin-2-one. Its molecular formula is C25H27N3O2S, and its molecular weight is 433.57. Brexpiprazole is a white-to-off white powder.

Literature survey revealed that Brexpiprazole was determined by UV-Visible spectroscopy and HPLC. In the present study, the authors have proposed simple validated spectrophotometric methods for the determination of Brexpiprazole in pharmaceutical dosage forms. At present, the authors have developed stability indicating RP-HPLC method for the determination of Brexpiprazole.[1-3]

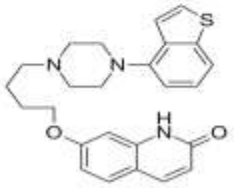

Fig No:1 Structure of Brexpiprazole.

2. MATERIAL AND METHOD:

2.1. Equipments

HPLC System:

The method was performed on Shimadzu Model HPLC 3000 series. With colum Grace C8 (250mm x 4.6 i.d., particle size: 5 micron). UV-3000-M Detector has been used for detection

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Open Access Research Article

Development and validation of stability indicating RP-HPLC method for estimation of Brexpiprazole from bulk and tablet form

Mrs. Bhawar H.S*, Mr Thote Sanket, Prof. G. S. Shinde

Pravara Rural College of Pharmacy, Pravaranagar, Tal-Rahata, Dist-Ahmednagar, India

ABSTRACT

A sensitive, selective, rapid, precise, and economic stability indicating Reverse Phase High Performance Liquid Chromatographic (RP-HPLC) method were developed for the quantification of Brexpiprazole in bulk and pharmaceutical dosage form was performed on Shimadzu Model HPLC 3000 series, using a mixture methanol and water (90:10, v/v) with OPA as mobile phase with a flow rate of 0.9 mL/min. Detection was carried at 215nm. The retention time of Brexpiprazole was 5.099min. Linearity was observed over the concentration range of 10-50 µg/mL [R2 = 0.9989] with regression equation y = 71185x-402587.The Accuracy study was performed % recovery of Brexpiprazole. The % recovery was found to be 50%=100.13%,100%=99.58%,150%=99.84%,(WLT 96% and WHT 102%). The Relative standard deviation values for intraday precision and Intraday precision were found to be less than 2% i.e. 0.25% and 0.40% respectively. Brexpiprazole was subjected to stress conditions (acidic, alkaline, oxidation and thermal degradation) and validated as per ICH guidelines. The validated method can be applied to perform long-term and accelerated stability studies of Brexpiprazole formulations.

Keywords: Brexpiprazole, Isocratic elution, Reverted-phase HPLC, Stability-indicating, Validation

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http://dx.doi.org/10.22270/jddt.v9i4.2940

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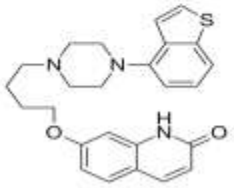

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Development and Validation of Stability Indicating RP-HPLC Method for Estimation of Lorcaserin Hydrochloride in Bulk and Tablet Dosage Form

Hemlata S. Bhawar*, Chetan C. Kedari, Sagar D. Magar

Department of Pharmaceutical Chemistry, Pravara Rural College of Pharmacy, Loni, Tal-Rahata, Dist-Ahmednagar, MS (413736)

ABSTRACT

In the current study a simple, precise, sensitive and accurate reversed phase liquid chromatography method was developed for the analysis and estimation of Lorcaserin HCL in bulk and tablet dosage form. The present study of Lorcaserin HCL was achieved by using Cosmosil C18 (250mm×4.6ID, Particle Size: 5 Micron) Column with mobile phase Methanol:10mM KH2PO4 Buffer (70:30) pH-3 at a flow rate 0.8ml/min with UV detection at 222nm. The retention time for Lorcaserin HCL was found to be 5.108 min. In Linearity the correlation coefficient (R^2) for Lorcaserin HCL was found to be 0.999% slope is 42871 and intercept was found to be 21966 which are well within the acceptance criteria. The mean percent recovery for Lorcaserin HCL at three different levels for 50%, 100%, and 150% was found to be 100.65%, 98.84% and 100.34%. The %RSD (NMT 2%), in precision study interday (RSD is 0.26%) and intraday (RSD is 0.29%) are found. Forced degradation experiments was carried out by exposing standard form of Lorcaserin HCL for Acid-base hydrolytic, Oxidative, photolytic and thermal stress conditions. The method has been validated by System suitability parameters, Linearity, Accuracy and Percent recovery, Precision, Ruggedness, Robustness, LOD and LOQ.

Keywords: Lorcaserin hydrochloride, RP-HPLC, Validation.

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Bhawar HS, Kedari CC, Magar SD Development and Validation of Stability Indicating RP-HPLC Method for Estimation of Lorcaserin Hydrochloride in Bulk and Tablet Dosage Form, Journal of Drug Delivery and Therapeutics. 2019; 9(4):245-250 http://dx.doi.org/10.22270/jddt.v9i4.3036

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INTRODUCTION:

Lorcaserin Hydrochloride is highly selective $(5HT)_{2C}$ receptor agonist, is used for the treatment of obesity. It has been shown to reduce body weight and it is targeting the $(5HT)_{2C}$ receptor may alter body weight by regulating satiety. Lorcaserin is chemically derivative of benzazepine [1].

Lorcaserin is also evaluated for its ability to interact with number of other human GPCRs and neurotransmitter transporters [2]. The chemical name is (5R)-7-chloro-5-methyl-2, 3, 4, 5-tetrahydro-1H-3 benzazepine hydrochloride [3]. Lorcaserin is approved by many countries as a 10-mg tablet for BID dosing and it is indicated for long term weight management in adults with obesity [4]. In clinical trials 47.5% subjects who received 10-mg Lorcaserin once at daily they lost 5% or more of their body weight [5]. In introduction of stability indicating study, it is determine stability of drug substance which may affect purity potency and safety [6]. The values between 5% to 20% degradation of the drug substance considered as reasonable and acceptable generally for validation of chromatographic assays [7]. Different methods were described in the literature for the determination of Lorcaserin HCL in tablet and in API form. The techniques include validated UPLC MS/MS Assay for rapid determination of Lorcaserin in Plasma and brain tissue samples [8], Liquid chromatographic separation and thermodynamic investigation of Lorcaserin HCL enantiomers on Immobilized amylase based chiral stationary phase [9], development and validation of a HPLC-based bioanalytical method for Lorcaserin using solid phase extraction and application to a pharmacokinetic Study in rats [10] and content determination of Lorcaserin HCL by HPLC [11].

However, there is no stability indicating RP-HPLC method reported for estimation of Lorcaserin HCL. The aim of this work was to develop and validate RP-HPLC stability indicating method for estimation and determination of Lorcaserin HCL in bulk and pharmaceutical dosage form.

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Development and Validation of Stability indicating RP- HPLC method for Simultaneous Estimation of Sofosbuvir and Ledipasvir in Bulk Tablet Dosage Form

S.D. Mankar*, S.B. Bhawar, P.R. Dalavi

Department of Quality Assurance Technique, Pravara Rural College of Pharmacy, Pravaranagar, Tal-Rahata, Dist-Ahmednagar, India

ABSTRACT

The present research work describes a simple, accurate, precise, effective, Stability indicating RP-HPLC method for simultaneous estimation of Sofosbuvir and Ledipasvir in their tablet dosage form. A reverse phase high performance chromatographic method was developed for simultaneous estimation of Sofosbuvir and Ledipasvir their combined dosage. The separation was achieved by Inertsil ODS C18 column (150X4.6mm, 5µm) column, and ACN: 0.1% TFA in the proportion of 30:70 %v/v as mobile phase, at a flow rate of 1 ml/min. Detection was carried out at 265 nm. For RP-HPLC method results of the validation indicate that the method was linear in the range of 100-600µg/ml for Sofosbuvir and 22.5-135µg/ml for Ledipasvir. The % recoveries for Sofosbuvir and Ledipasvir obtained in the accuracy study were 99.92-100.31% and 99.84-100.55% respectively. The LOD for Sofosbuvir and Ledipasvir were found to be 0.395µg/ml and 0.132µg/ml respectively. LOQ for Sofosbuvir and Ledipasvir were found to be 1.197µg/ml and 0.401µg/ml respectively. Force degradation study also done and method is stability indicating. Developed methods were found to be accurate, precise, rapid and stability indicating for simultaneous estimation of Sofosbuvir and Ledipasvir.

Keywords: RP-HPLC, Sofosbuvir, Ledipasvir, ACN, TFA.

Article Info: Received 07 May 2019; Review Completed 06 June 2019; Accepted 09 June 2019; Available online 15 June 2019

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Mankar SD, Bhawar SB, Dalavi PR, Development and Validation of Stability indicating RP- HPLC method for Simultaneous Estimation of Sofosbuvir and Ledipasvir in Bulk Tablet Dosage Form, Journal of Drug Delivery and Therapeutics. 2019; 9(3-s):588-589 http://dx.doi.org/10.22270/jddt.v9i3-s.2891

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INTRODUCTION

1.1 SOFOSBUVIR

propan-2-yl (2S)-2-[[[(2R,3R,4R,5R)-5-(2,4-dioxopyrimidin-1-yl)-4-fluoro-3-hydroxy-4-methyloxolan-2-yl]methoxy-phenoxyphosphoryl]amino]propanoate.[1] It is indicated for the treatment of chronic HCV genotypes 1, 4, 5, and 6 in adults and also indicated for the treatment of chronic HCV in patients co-infected with HIV. [2] Slightly soluble in water pH 1.2-7.7, freely soluble in ethanol and acetone, soluble in 2-propanol and insoluble in heptanes.[3]

Mol. formula: $C_{22}H_{29}FN_3O_9P$ **Mol. weight:** 529.4525242 gm/mol.

Structure:

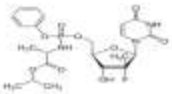

Figure 1: Chemical structure of Sofosbuvir

Mechanism of action: Sofosbuvir is a direct-acting antiviral agent against the hepatitis C virus. The HCV polymerase NS5B protein is an RNA-dependent RNA polymerase (RdRp). It is the essential initiating and catalytic subunit of this replication complex and is critical for the viral replication cycle. There is no human homolog for HCV NS5B RdRp. Sofosbuvir is a monophosphorylated pyrimidine nucleotide prodrug that undergoes intracellular metabolism to form the pharmacologically active uridine analog triphosphate [GS-461203]. GS-461203 competes with natural nucleotides for incorporation (by HCV NS5B) into the nascent RNA strand during replication of the viral genome.GS-461203 differs from endogenous pyrimidine nucleotides in that it has been modified at the 2' position with the addition of a methyl and a fluoro functional group. Incorporation of GS-461203 into nascent RNA strongly reduces the efficiency of further RNA elongation by RdRp, resulting in premature termination of RNA synthesis. The stopping of viral replication leads to a rapid decline of HCV viral load and clearing of HCV levels in the body.[4-5]

1.2. LEDIPASVIR

The chemical name is methyl [(2S)-1-[(6S)-6-[4-[9,9-

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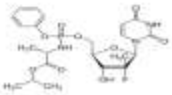

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Formulation and evaluation of Lornoxicam loaded Lyotropic liquid crystalline gel

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[2] HOD, Department of Pharmaceutics, Pravara rural college of Pharmacy, Loni 413736 Tal: Rahata Dist: Ahmednagar. Maharashtra, India.

ABSTRACT

GIT irritation is prominent limitation with the use of Non-steroidal anti-inflammatory drugs (NSAID's). There is rising interest in designing formulations which will deliver the drug at the site of action as topical gels, to avoid GIT irritation and other systemic side effects. Liquid Crystal phase has emerged as a novel material for the preparation of topical drug delivery system. In present study the attempt is made to prepare Lornoxicam loaded lyotropic liquid crystalline gel using glycerol monooleate. Glycerol monooleate is biocompatible, bioadhesive, penetration enhancer and controls release agent. It also promotes ceramide extraction and enhancement of lipid fluidity in the stratum corneum region of the skin. Five formulations of lornoxicam were prepared and evaluated for parameters like drug content, viscosity, spreadability, Extrudability *In-vitro* drug release along with in vivo study. *In-Vitro* and *Ex-Vivo* drug release kinetics showed that there was 72.85% and 77.98% drug release within 48 hrs. Skin irritation test suggested that prepared formulation was safe for human use. In-Vivo evaluation of this formulation was done by carrageenan induced rat paw edema anti-inflammatory model.

Keywords: Lornoxicam, GMO, Lyotropic liquid crystal, Anti-Inflammatory, Topical drug delivery

Article Info: Received 10 Sep 2019; Review Completed 21 Oct 2019; Accepted 28 Oct 2019; Available online 15 Nov 2019

Cite this article as:

Thorat KR, Laware RB, Formulation and evaluation of Lornoxicam loaded Lyotropic liquid crystalline gel, Journal of Drug Delivery and Therapeutics. 2019; 9(6): 116-125 http://dx.doi.org/10.22270/jddt.v9i6.3702

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INTRODUCTION:

NSAIDs are the best choice to be administered through Topical drug delivery system in the management of diseases like, Osteoarthritis, rheumatoid Arthritis, and similar inflammatory disorders.[1]

Oral administration of NSAID shows side effects like Nausea, Vomiting, Heartburn, Gastric Ulceration, Epigastria. These drawbacks can be overcome by developing sustained release non-steroidal anti-inflammatory topical gel which is able to provide constant drug concentration at the site of administration. Hence attempt is to formulate a Liquid Crystalline gel (LCG) of Lornoxicam. Glycerol monooleate is used to formulate the LCG. [2] GMO is a mixture of the glycerides of oleic acid and other fatty acids, consisting mainly of the monooleate. GMO offer various Advantages like [3] Biocompatibility, Bioadhesiveness, Penetration Enhancer, Non Toxic, Non Irritant. Pluronic F 127 is used as a stabilizer. The prepared gel is having enhanced moisturizing ability. Moisture content of liquid crystalline system is retained for a long time. It promoted the ceramide extraction and enhancement of lipid fluidity in the stratum corneum region of the skin. [4] These gels are appropriate candidates for sustained release because the drug diffusion is reduced by a factor of 10 to 1000. [5] Clinical evidence indicates that topical gel is a safe and effective treatment option for use in the management of skin related disease. [6]

Topical Drug Delivery System :

Topical drug administration is a localized drug delivery system anywhere in the body through ophthalmic, rectal, vaginal and skin as topical routes. [7]

this avoids first pass effect, GIT irritation, with increased patient compliance and ease of application [8, 9] The only major disadvantage associated is that it may cause allergic reaction to the skin, skin irritation, dermatitis[10]

MATERIALS & METHODS :

Materials:

Drug Lornoxicam was obtained as a gift sample from Macleods pharmaceuticals, Andheri, Campul Glyceryl

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Formulation and Evaluation of Polyherbal Ointment for Wound Healing and Antimicrobial Activity

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ABSTRACT

Herbal therapy and herbal drugs predominance in traditional medicine as well as in alternative medicine practiced in the developed world. Among the various indications where traditional herbal medicines are used, skin related disorders is ranked top. Thus, the main objective of the present study is to formulate and evaluate a polyherbal ointment for wound healing and antimicrobial activity. Ointments were formulated using hydroalcoholic extracts (maceration extraction) of *Piper nigrum* and *Curcuma longa* were evaluated for its physicochemical property, wound healing and antimicrobial activity. Ointments were prepared using different concentrations of the extracts such as 2%, 4% w/w by fusion method using emulsifying ointment as base. Formulations were tested for its physicochemical properties like pH, spreadability, extrudability and viscosity and gave satisfactory results. The prepared formulations were also stable at various temperatures. Further, extract were evaluated for its antimicrobial activity against *Staphylococcus aureus*, *Pseudomonas aeruginosa*, *Bacillus subtilis* and *Proteus vulgaris* by paper disc diffusion method. All the extract showed predominant activity against selected species. Formulations were also evaluated for wound healing activity. Hence an attempt was made to formulate a polyherbal ointment, and to evaluate for its physical parameter, the formulated ointment was compared with the standard ointment (povidone iodine). Overall result of this study reveals that this is an effective polyherbal ointment.

Keywords: *Piper nigrum*, *Curcuma longa*, Wound healing activity, Antimicrobial activity.

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1. INTRODUCTION:

There are many causes for the increased use of the herbal medicines. These may scale from the appeal of products from 'nature' and the understanding that such product are 'steady' (or at least 'safer' than conventional medicines, which are often contemptuously referred to as 'drugs'), to more complex reasons related to the theoretical views and religious beliefs of individuals.[1]

The emphasis on use of medicinal plants had either to be placed on the treatment rather than prevention of diseases. Over 90% of traditional medicine remedies contain medicinal plants, the medicinal plants that have been implicated with preventive measures in diseases control strategies.[2]

The 16th and 17th centuries were golden era of herbal medicines. The more and more plants incorporated during 18th and 19th centuries in America. After that, scientists started making synthesizing plant compounds by their own.[3]

The wound may be defined as a loss or breaking of cellular and anatomical or functional continuity of living tissues. Wound healing is a biological process that is initiated by trauma and often terminated by scar formation. Thus healing is essentially a survival mechanism and represents an attempt to maintain normal anatomical structure and function.[4]

The word antimicrobial was derived from the Greek words anti (against), mikros (little) and bios (life) and refers to all agents that act against microbial organisms. The introduction of antimicrobial agents into general clinical use represents one of the land- Mark medical advances of modern medicine.[5]

Pharmaceutical semisolid preparations include ointments, pastes, cream, emulsions, gels, and rigid foams. Their cutaneous property is the ability to cling to the surface of application for reasonable duration before they are washed

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Formulation Development and Evaluation of Leaf Extract of *Ficus benghalensis* for Antidiabetic Activity

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ABSTRACT

Herbal products are known for their inherent property i.e. comparatively safe and economic. In present study, leaf extract of *Ficus benghalensis* was evaluated for antidiabetic activity. The aim of the research work was to formulate and evaluate capsule dosage form of ethanolic extract. Leaves of *Ficus benghalensis* collected from local area of Ahmednagar district and shade dried. Ethanolic, Hydroalcoholic and petroleum ether extracts were prepared using soxhlet apparatus. Extracts were screened for antidiabetic activity using alloxan induced diabetes in rats. Oral glucose tolerance test was measured as parameter to check antidiabetic activity. Ethanolic extract was found most effective among them. Granules were prepared using ethanolic extract and filled in capsule. Capsule were evaluated for parameters including uniformity of weight, disintegration time.

Keywords: *Ficus benghalensis*, Ethanolic extract, Antidiabetic activity

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Laware RB, Pulate SP, Dighe SB, Bhawar SB, Formulation Development and Evaluation of Leaf Extract of *Ficus benghalensis* for Antidiabetic Activity. Journal of Drug Delivery and Therapeutics. 2019; 9(3-s):611-614
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1. INTRODUCTION

Ficus benghalensis is an indigenous plant belonging to family moraceae. It is commonly known as banyan tree or bargad or bar.[1] It is reported to have antidiabetic activity.[2] Many diseases that are caused due to genetically disorders and one of this is diabetes Mellitus. Diabetes is a disorder of metabolism (the way our bodies use digested food for growth and energy). After digestion, the glucose passes into blood stream where it is available for body cells to use for growth and energy. Glucose gets into the cells in presence of insulin, a hormone produced by the pancreas.[3] Diabetes is not a single disease it's group of heterogeneous syndromes such as heart attack, stroke and peripheral vascular disease. [4]There are more than 125 million people with diabetes in the world today and this number is expected to approach 220 million. It is also estimated that there are 30-33 million diabetics in India now, and every fourth diabetics in the world today is an Indian. Indians are genetically more susceptible to diabetes and WHO predicts the number of diabetes in India would group to 80 million by 2030. The lack of documentation and stringent quality control are the key of obstacles, have hindered the acceptance of the alternative medicines in developed countries. In recent times, many studies have been carried out in the search of a proper plant drug that could be effective in diabetes mellitus.[5]

2. MATERIAL AND METHODS

2.1 Collection of plant material

Leaves of *Ficus benghalensis* were collected from the local area of Ahmednagar district in Maharashtra.

2.2 Extraction process

Leaves of *Ficus benghalensis* were collected, then pulverized in electrical grinder. About 140 gm of powdered leaves were used for extraction, powder was passed through 120 mesh sieve to remove fine powder and coarse powder and coarse powder was used for extraction. [6] Three different solvents were used for extraction namely; Petroleum ether, Ethanol and Hydroalcoholic (7:3).

Technique: Soxhlet apparatus

The powdered leaves of *Ficus benghalensis* were extracted with solvent for removal of coloring matter by defatting process using continuous soxhlet extraction method. After complete defatting the defatted powder were condensed with solvent for 30 hrs. Extraction temperature was maintained at 50° c. The extract was filtered and

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Isolation of Phytochemical and Evaluation of Antiasthmatic Potency of *Ficus racemosa*

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The Present study reports important secondary metabolites present in *Ficus racemosa*. The *Ficus racemosa* belong to the family Moraceae, it is popularly known as Ghoomarra, Cluster fig tree as well as 'Umbaracha' in Marathi. Various plant parts such as bark, root, leaf, fruits are used as astringent, carminative, anti-dysentery, diabetes, leucoderma, antiarthritic, hepatoprotective, antioxidant. The powdered Bark was subjected for extraction by using ethanol. These extract were evaluated for detection of various secondary metabolites, like Steroids, Glycosides, Tannins, Terpenoids, Alkaloids, Flavonoids. This work evaluated the stem bark of this plant for its Phytochemical and Antiasthmatic activity.

Keywords: *Ficus racemosa*, Steroids, Antiasthmatic, Moraceae

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INTRODUCTION

Medicinal plants are of great importance in the field of medicine and cure of diseases. Practical experience and several modern research studies have shown that therapy using plant is better than using synthetic chemicals. There is still large number of medicinal plant in which all active constituents have not yet been investigated even though their medicinal effect is established by folklore and traditional system of medicine[1].

The present definition of asthma is a chronic inflammatory di ease of the airways with reversible type of airway obstruction, either spontaneously or with therapy.

Asthma is a complex disease characterised by bronchial hyperresponsiveness, inflammation, mucus production and intermittent airway obstruction[2].

In susceptible individual, inflammation causes recurrent episodes of wheezing, breathlessness (shortness of breath), chest tightness & coughing, particularly at night or early in the morning, otherwise after exposure to an allergen, cold air, exercise and when emotional[3].

MATERIAL AND METHODS

Collection : Fresh sample of bark of *Ficus racemosa* were collected from Ahmednagar district, Loni, cleaned and dried at room temperature in shade, away from direct sunlight and coarsely powdered in grinder and powder material was passed through 120 mesh to remove fine powders and coarse powder was used for extractions.

Authentication: Mr. C.R. Jadhav, Botanists, Botanical Survey of India, Koregaon Road, Pune, authenticated plant by comparing morphological features and a sample voucher specimen of plant was deposited for future reference (BSI/WRC/IDEN.CER./2019/03).

Extraction: The bark of *Ficus racemosa* was collected and dried in the shade. Then the dried material is pulverized in grinder. The powdered material was passed through 120 mesh sieve to remove fine powder and coarse powder was used for extraction[4].

Animals: Male albino mice (Swiss strain) weighing 25-28 g were housed under standard laboratory conditions, in groups of six each. The animal had free access to food and water. The ethical committee of the institute approved the protocol of the study.

Drugs and Chemicals: The following drugs and chemicals were used. Drugs: Clonidine (Unichem, India) and Chlorbutiraxine maleate purchased from commercial source.

Chemicals: Ethanol AR, tween 80 AR.

Antiasthmatic activity:

Species & Strain: Mice

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Shinde Suvarna, Department of Pharmacognosy, Pravara Rural college of Pharmacy, Pravaranagar, Tal- Rahata Dist-Ahmednagar, India

INTRODUCTION

Medicinal plants are of great importance in the field of medicine and cure of diseases. Practical experience and several modern research studies have shown that therapy using plant is better than using synthetic chemicals. There is still large number of medicinal plant in which all active constituents have not yet been investigated even though their medicinal effect is established by folklore and traditional system of medicine[1].

The present definition of asthma is a chronic inflammatory di ease of the airways with reversible type of airway obstruction, either spontaneously or with therapy.

Asthma is a complex disease characterised by bronchial hyperresponsiveness, inflammation, mucus production and intermittent airway obstruction[2].

In susceptible individual, inflammation causes recurrent episodes of wheezing, breathlessness (shortness of breath), chest tightness & coughing, particularly at night or early in the morning, otherwise after exposure to an allergen, cold air, exercise and when emotional[3].

MATERIAL AND METHODS

Collection : Fresh sample of bark of *Ficus racemosa* were collected from Ahmednagar district, Loni, cleaned and dried at room temperature in shade, away from direct sunlight and coarsely powdered in grinder and powder material was passed through 120 mesh to remove fine powders and coarse powder was used for extractions.

Authentication: Mr. C.R. Jadhav, Botanists, Botanical Survey of India, Koregaon Road, Pune, authenticated plant by comparing morphological features and a sample voucher specimen of plant was deposited for future reference (BSI/WRC/IDEN.CER./2019/03).

Extraction: The bark of *Ficus racemosa* was collected and dried in the shade. Then the dried material is pulverized in grinder. The powdered material was passed through 120 mesh sieve to remove fine powder and course powder was used for extraction[4].

Animals: Male albino mice (Swiss strain) weighing 25-28 g were housed under standard laboratory conditions, in groups of six each. The animal had free access to food and water. The ethical committee of the institute approved the protocol of the study.

Drugs and Chemicals: The following drugs and chemicals were used. Drugs: Clonidine (Unichem, India) and Chlorbutiraxine maleate purchased from commercial source.

Chemicals: Ethanol AR, tween 80 AR.

Antiasthmatic activity:

Species & Strain: Mice

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Mr G S Shinde

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Quantitative Estimation and Validation of Chlorthlidone and Azilsartan Medoximil in Bulk and Tablet Dosage Form by using RP-HPLC

Nachiket S. Dighe*, Somnath K.Thorat, Ganesh S Shinde, Kavita V Dhamak

Department of Pharmaceutical Chemistry,Pravara Rural College of Pharmacy, Pravaranagar,Tal-Rahata, Dist-Ahmednagar-413736, India

ABSTRACT

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Keywords: Azilsartan and chlorthalidone, RP-HPLC, Stability Indicating.

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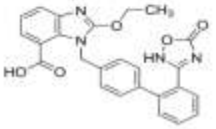

Fig. 1 Structure of Azilsartan Medoxomil

Pharmacokinetics: Azilsartan medoxomil is quickly absorbed from the gut, independently of food intake. Maximal blood plasma concentrations are reached after one to three hours. The liver enzyme CYP2C9 is involved in the formation of the two main metabolites, which are pharmacologically inactive; they are the *O*-dealkylationand decarboxylation products of azilsartan.

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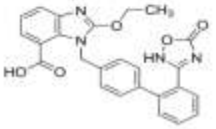

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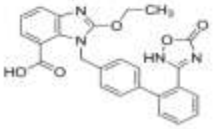

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RESEARCH ARTICLE

Quantitative Estimation and Validation of Dapagliflozin and Metformin Hydrochloride in Pharmaceutical Dosage form by RP-HPLC

Nachiket S Dighe[1]*, Priyanka R Varade[1], Ganesh S Shinde[1], Priya S Rao[2]
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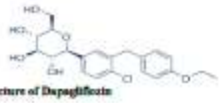

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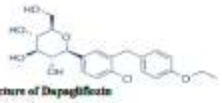

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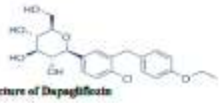

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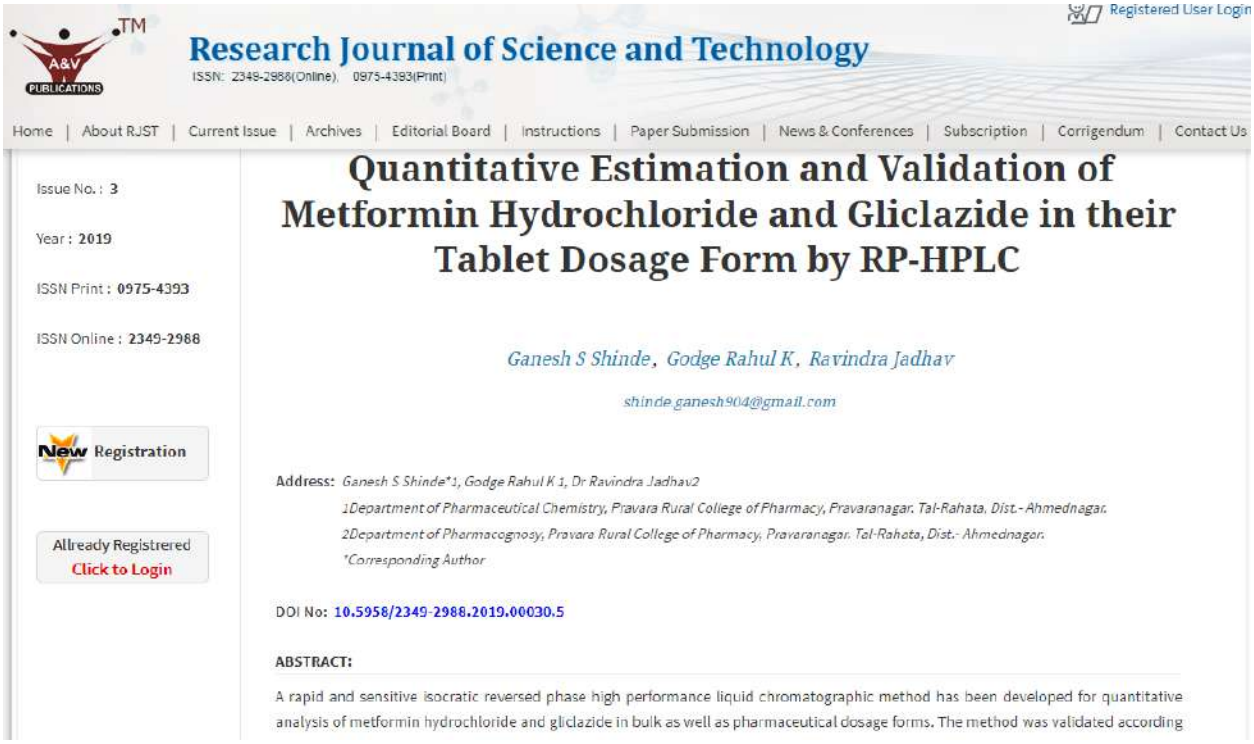

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Quantitative Estimation and Validation of Metformin Hydrochloride and Gliclazide in their Tablet Dosage Form by RP-HPLC

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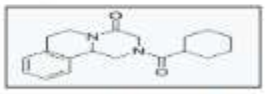

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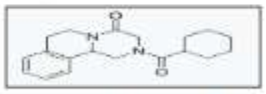

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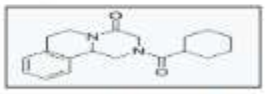

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RESEARCH ARTICLE

Simultaneous Estimation and Validation of Canagliflozin and Metformin Hydrochloride in Bulk and Pharmaceutical Dosage Form by using RP-HPLC

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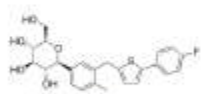

Fig 1: Structure of Canagliflozin.

Canagliflozin acts by inhibiting the SGLT2 which accounts for more than 90% of renal glucose reabsorption.[1]Hence the efficacy of this drug also is dependent upon the amount of glucose which is filtered through the glomeruli and enters the tubular lumen and therefore shows maximal effect in patients with uncontrolled T2DM.[2]Apart from bringing down the blood glucose levels, it has many other beneficial actions like reduction of the glycosylated hemoglobin levels due to the better control of blood glucose levels. It additionally improved the sensitivity of liver to insulin by reducing the blood glucose levels thereby reducing the glucose production from liver. This reduces the general gluco-toxic state of the body in patients with T2DM and helps in bringing down the serum insulin levels. Since the calories are lost from the body in the form of glucose in urine of the patients taking this drug,

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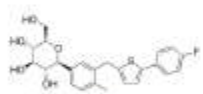

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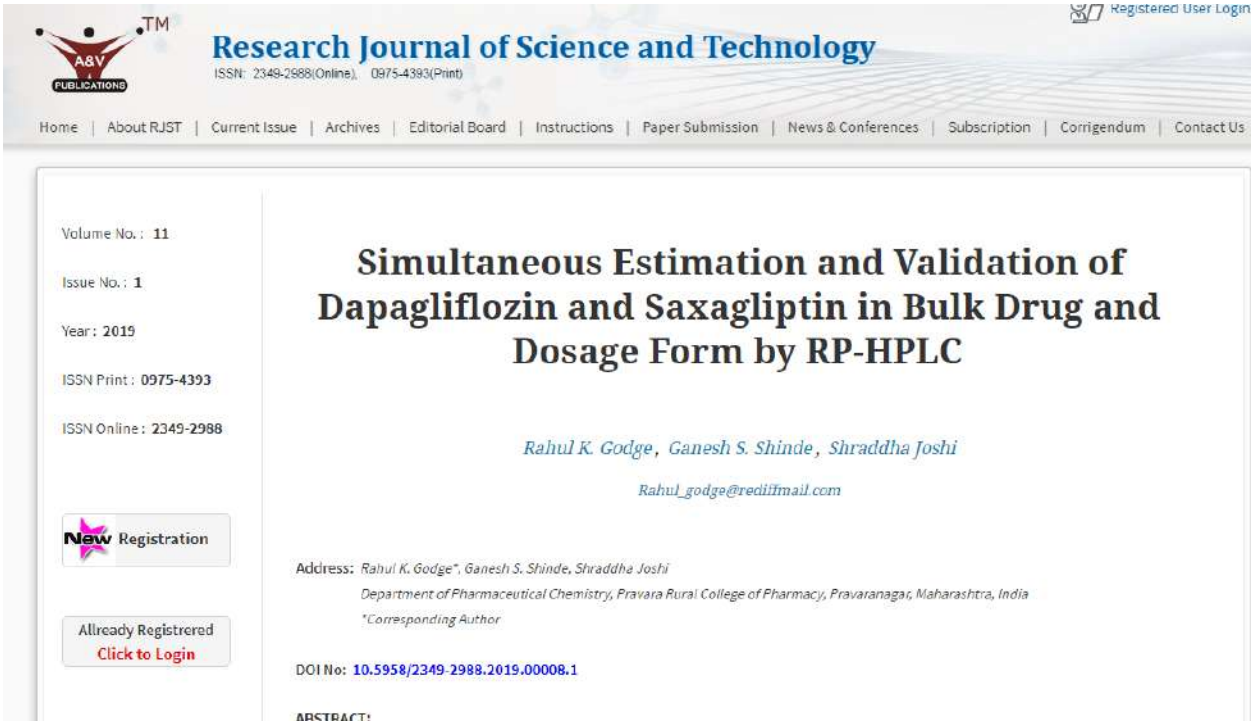

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Simultaneous Estimation and Validation of Dapagliflozin and Saxagliptin in Bulk Drug and Dosage Form by RP-HPLC

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The Reverse Phase High performance liquid chromatography Method is one of the most sophisticated tool of the analysis. The RP-HPLC method was developed for the simultaneous estimation of metformin and empagliflozinin bulk and Pharmaceutical dosage form. The Potassium Dihydrogenphosphate buffer was pH 3.0 and the mobile phase was optimized with consists of Methanol: Potassium Dihydrogenphosphate buffer(PH3) mixed in the ratio of 60:30 % v/v. Cosmosil C_{18} Column (250mm x 4.6mm, Particle Size: 5micron) was used as stationary phase and retention time of Metformin HCL and Empagliflozin was found to be 5.2 min and 6.5 min respectively. The detection was carried out using UV-3000-M detector at 227nm. The solutions were chromatographed at a constant flow rate of 0.8 ml/min. the linearity range of metformin and empagliflozin were found to be from 40-200 µg/ml of metformin and 1-5µg/ml of empagliflozin. corelation coefficient value(R2)were found to be0.9991 and0.9988 for Metformin HCL and Empagliflozin . The % Recovery was found to be 100.04% and 100.06% for Metformin HCL and Empagliflozin respectively. The relative standard deviation for intra day and Intra day was found to be less than 2%. The rubustness was found to be satisfactory within the range . Limit of detection was found to be 0.247µg/ml for Metformin HCL and 0.051µg/ml for empagliflozin and Limit of quantitation was found to be 0.751µg/ml for Metformin HCL and 0.157µg/ml for empagliflozin. The degradation of drug was determined under acidic , alkaline, peroxide ,photolytic and thermal conditions .The results obtained on the validation parameters met ICH requirements .It inferred the method found to be simple, accurate, precise and linear hence it can be employed for routine laboratory analysis with high degree of accuracy and precision.

KEY WORDS: Metformin HCl , Empagliflozin, RP-HPLC , Force degradation

INTRODUCTION:

Diabetes and its most abnormalities constitute a major health problem in the modern society.[1] Diabetes is a chronic , metabolic disease characterized by elevated level of blood glucose. The most common is type 2 diabetes , usually in adults, which occurs when the body became resistance to insulin or doesn't make enough insulin.[2]

Metformin (MET) is chemically named as 4 1- carbamimidamido-N, N-dimethylmethanimidamide . Its molecular formula is $C_4H_{11}N5$ and its molecular weight is 129.16364 g/mol. it is as shown in Fig. 1.[3] It is used as a biguanide antihyperglycemic agent used for treating non-insulin dependent diabetes mellitus (NIDDM) . It improves glycemic control by decreasing hepatic glucose production, decreasing glucose absorption, and increasing insulin-

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RESEARCH ARTICLE

Simultaneous Estimation ,Validation and Force Degradation Study of Metformin Hydrochloride and Empagliflozin by RP-HPLC Method

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Open Access Review Article

Solid Dispersion as Strategy to Improve the Solubility of Poorly Water Soluble Drugs and their Utilization and Consideration during Formulation Development

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ABSTRACT

Solid dispersions are most promising system to increase the solubility of poorly water soluble drugs. By using reduction in drug particle size in required specification, and due to that improving drug instability, bioavailability may be reduce need. Solid dispersion generally presented as amorphous products, mainly obtained by two major different methods, melting and solvent evaporation. Now days, surfactants have been included to stabilize the formulations, thus avoiding drug recrystallization and generating their solubility. New manufacturing processes to obtain solid dispersions have also been developed to reduce the drawbacks of the initial process. In this review, it is intended to discuss the consideration during formulation development also role of hydrophobic polymer for solubility enhancement various strategy to inhibit the recrystallization.

Keywords: Solid dispersion, recrystallization, solubility, bioavailability, dissolution rate, hydrophobic polymer.

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Introduction

Generally there are various routes for administration of drugs but most of time oral route is preferential for the administration of drug because of the patient convenience. Also taking a medicine by swallowing the drug which is easy for the patient. However in oral route various obstacles are get involve i.e. low absorption of poorly water soluble drug that directly affect the bioavailability of drug.[1]

Solid dispersion is the technique which is used to establish or to increase the solubility and improve the bioavailability of the drug which eventually increases the rate of dissolution in the aqueous media. In an amorphous solid dispersion (ASD), the solubility of the drug substance is improved by disrupting its crystalline lattice to produce a higher energy amorphous form. Compared with other enabling solubilization approaches, an ASD can hold a much higher dose for low-solubility active pharmaceutical ingredients (APIs). ASDs improve bioavailability by maintaining supersaturation in the gastrointestinal (GI) tract. While supersaturation is sustained, the absorption of a compound can be maximized to be greater than that of a saturated solution.[2] In addition, the solubility differences amongst crystalline physical forms (e.g., polymorphs) are eliminated because they are converted to the amorphous form. This is predominantly advantageous for compounds in the research stage when the form is not clearly distinct. ASDs are usually well tolerated in animal disease models and are acceptable to toxicologists as their polymer carriers are derived from GRAS (generally regarded as safe) excipients. Commonly used excipients for forming ASDs are cellulose derivatives such as hydroxypropyl methylcellulose

(HPMC), hypromellose acetate succinate (HPMCAS), hydroxypropyl methylcellulose phthalate (HPMCP), hydroxy ethyl cellulose, hydroxypropyl cellulose (HPC), cellulose acetate phthalate (CAP), methyl cellulose, carboxymethyl cellulose, ethyl cellulose, carboxymethyl ethyl cellulose, chitosan, cyclodextrin and derivatives, lactose, polosiomers, polyvinylpyrrolidone (PVP), polyvinylpyrrolidone-vinyl acetate copolymer (PVP/VA 64), polyvinyl acetate phthalate (PVAP), polymethacrylates (Eudragit E, L, S, FS), and polyethylene glycols (PEG) derivatives.These polymers are biologically inert and minimally absorbed.[3]

Most of the research of solid dispersion involves the class 2 drugs i.e. having poorly water soluble and highly permeable

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A research on formulation and evaluation chewing gum of Simvastatin

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ABSTRACT

In the present era, many research and technological advancements are made in the field of oral drug delivery as it is highly accepted amongst patient. In this research the formulation of antihyperlipidemic chewing gum of simvastatin using water-insoluble gum base water-soluble other portion containing drug as well as excipients like taste masking agent sorbitol which use as a coating agent in this formulation. The primary and important requirement in the formulation of simvastatin chewing gum is the gum base, which gives it gummy texture for chewing action and as a drug vehicle. This gum is isolated from the natural sources like a sapodilla moniker tree (Chiku) which fully grow in the Maharashtra region. This gum base has a property as like other gum bases which are present in nature. For the improvement in the stability of that gum base, which is converted in the dry form by drying and adding filler as talc and emulsifier such lecithin which was freshly collected from egg yolk. By drying this mixture further it converts in a directly compressible gum base powder, which possesses all-important flow property which requires for direct compression. Direct compression is one of the best methods as compared to the molding method in the formulation of chewing gum. For direct compression in directly compressible gum require other additional excipients like antiadherant, anti-caking agent, lubricant, antioxidant, flavor, and sweetening, the coating agent (sorbitol). For evaluation of formulated chewing gum, all parameter is same as like tablet except in-vitro drug release performance. For this purpose, the disintegration apparatus was modified in such way that it continuously compress or crush the chewing gum as like our mastication activity in the mouth, resulting in releases of the drug in the salivary fluid and absorbance were calculate on UV-visible spectra. In this also study the effect of stroke & distance between jaws which gives the valuable information about drug release performance in various ages patient.

Keywords— *Buccal delivery; Increased released, Hypertension, Stress*

1. INTRODUCTION

Definition of chewing gum: "Medicated chewing gum is solid, single dose preparation that has to be chewed and not swallowed; chewing gum contains one or more active ingredient that is released by chewing".

It is well-known fact that the right drug delivery system is critical to the success of a pharmaceutical product. Pharmacological active agents or drugs are formulated into a variety of dosage forms like tablets, capsules, injectables, inhalers, ointments etc considering physicochemical properties, pharmacokinetic and pharmacodynamic parameters and biopharmaceutical aspects of drugs[1] In addition to its confectionary role, chewing gum (CG) also has proven value as a delivery vehicle for pharmaceutical and nutraceutical ingredients.

A novel drug delivery system creates additional patient benefits that will add new competitive advantages for a drug and thus increase revenue. The oral route is the most preferred route amongst the patient and clinicians due to the various advantages it offers.

One of the reasons that the oral route achieved such popularity may be in part attributed to its ease of administration. Medicated chewing gum (MCG) is the gum base incorporating drugs [1]

Chewing gum is being used worldwide since ancient times after man experienced the pleasure of chewing a variety of substance. One thousand years ago the mayan Indians chewed tree resin from the sapodilla tree in order to clean their teeth and freshen their breath. Shortage of natural gum bases during World War II enhanced the development of the synthetic gum bases that are used today [10]. Chewing gum can be used as a convenient modified release drug delivery system. Medicated chewing gums are currently

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A REVIEW ON BIOLOGICAL POTENTIAL OF CURCUMA LONGA AND PIPER NIGRUM

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ABSTRACT

People are using herbal medicine from centuries for safety, efficacy, cultural acceptability and lesser effects. It is due to increase of awareness and knowledge about plants. Plant and plant product utilized with varying success to cure and prevent diseases throughout history. Therapeutically interesting and important drugs can be developed from plant sources which are used in traditional system of medicine is based on empirical knowledge of observation and experience over millenia and more than 5000 plants are used in different ethic communities in India. Curcuma longa and Piper nigrum are indigenous medicinal plants. In which Curcuma longa and Piper nigrum have large biodiversity in India. The present communication constitutes a review on biological potential of Curcuma longa and Piper nigrum used in Indian traditional medicine. These plants are known to contain various active principles of therapeutic values and to possess biological activity against number of diseases.

KEYWORDS: Curcuma longa, Piper nigrum, curcumin, piperine, traditional uses, Pharmacological action.

INTRODUCTION

God has gifted us with this beautiful nature which contain resourceful wild life. Herbal plants have a great growth potential in global market.[1] According to an estimate, 80% of the world's population relied upon plants for their medication.[2] Turmeric, fresh rhizomes of plant known as Curcuma longa Linn, belonging to family Zingiberaceae. Curcuma is about 70 species of rhizomatous herbs distributed in south east Asia, India, China, Italy, Malaysia. Commercially C. longa, C. amada, C. angustifolia, C. cacsia, C. zedoaria are important.[3] The

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ABSTRACT

People are using herbal medicine from centuries for safety, efficacy, cultural acceptability and lesser effects. It is due to increase of awareness and knowledge about plants. Plant and plant product utilized with varying success to cure and prevent diseases throughout history. Therapeutically interesting and important drugs can be developed from plant sources which are used in traditional system of medicine is based on empirical knowledge of observation and experience over millenia and more than 5000 plants are used in different ethic communities in India. Curcuma longa and Piper nigrum are indigenous medicinal plants. In which Curcuma longa and Piper nigrum have large biodiversity in India. The present communication constitutes a review on biological potential of Curcuma longa and Piper nigrum used in Indian traditional medicine. These plants are known to contain various active principles of therapeutic values and to possess biological activity against number of diseases.

KEYWORDS: Curcuma longa, Piper nigrum, curcumin, piperine, traditional uses, Pharmacological action.

INTRODUCTION

God has gifted us with this beautiful nature which contain resourceful wild life. Herbal plants have a great growth potential in global market.[1] According to an estimate, 80% of the world's population relied upon plants for their medication.[2] Turmeric, fresh rhizomes of plant known as Curcuma longa Linn, belonging to family Zingiberaceae. Curcuma is about 70 species of rhizomatous herbs distributed in south east Asia, India, China, Italy, Malaysia. Commercially C. longa, C. amada, C. angustifolia, C. cacsia, C. zedoaria are important.[3] The

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A REVIEW ON BIOLOGICAL POTENTIAL OF FICUS BENGALENSIS

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ABSTRACT

Ficus bengalensis, a genus of family moraceae is a tropical, deciduous, evergreen tree with more than 800 species and about 40 genera of the mulberry family. *Ficus bengalensis* is known as common name bargad and cultivated as a garden tree or spiritual tree. The leaves of *Ficus bengalensis* used as ulcer protective, leprosy and fever, inflammation (Ayurvedic). The milky juice is aphrodisiac, tonic, vulnerary, maturant also useful in piles, diseases of the nose, gonorrhea. In unani the aerial root is styptic, syphilis, biliousness, dysentery and inflammation of liver. A lot of pharmacological work has been scientifically carried out on various parts of *Ficus bengalensis* but some other traditionally important therapeutically uses are also remaining to prove till now scientifically as analgesic, antipyretic, anti-ulcerogenic, inflammatory bowel, antimicrobial, antidiabetic activity etc. The various chemical constituents present in *Ficus bengalensis* are bengalenosides, flavonoids and leucocyanidin glycoside.

KEYWORDS: *Ficus bengalensis*, Bargad, Bengalenosides, Flavonoids.

INTRODUCTION

Ficus bengalensis is an indigenous plant belonging to family moraceae. It is commonly known as banyan tree or bargad or bar.[1] It is reported to have antidiabetic activity.[2] There are more than 800 species and 2000 varieties of ficus genus, most of which are native to old world tropics. It is a large ever green tree distributed all over India from sub Himalayan region to the deciduous forest of Deccan and south India.[3] It grows up to 30 meters with spreading branches and many aerial roots.[4] The external features of the bark are 12-18 mm thick, grey, closely adhered ashy white, light bluish-green or grey patches, slightly curve,

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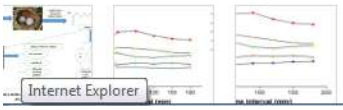

ELSEVIER

Journal of Ethnopharmacology
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Antiallergic and antihistaminic actions of *Ceasalpinia bonducella* seeds: Possible role in treatment of asthma

Sunayana Vikhe, Sunil Nirmal

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Abstract

Ethnopharmacological relevance

Seed kernel of the plant *Ceasalpinia bonducella* Linn (Caesalpiniaceae) are used

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Research Article

Pharmacognostic and Phytochemical Evaluation of *Tamarindus indica* Linn. Leaves.

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ABSTRACT

Tamarindus indica Linn (Caesalpiniaceae) is a tropical evergreen tree, extensively used as traditional medicine in all countries. *T. indica is* commonly found in fertile areas throughout the Africa and Southern Asia. Even though this plant has gained scientific importance recently, there is a need for the pharmacognostic standardization. Hence, in the present work the leaf of the plant were subjected to various microscopical and physical evaluations. In the microscopical studies, the different cell structures and arrangements were studied and in physical evaluation the ash values and extractive values were studied. Pharmacognostic standardization of leaves of *T. indica* is necessary as it is highly potent commercially. The present study established macro and microscopic characteristics, physicochemical values and phytochemical screening of leaves of *T. indica*. The various pharmacognostic constants were obtained which could help in the development of a suitable monograph for the plant.

KEYWORDS

Tamarindus indica, Caesalpiniaceae, Pharmacognosy, Leaf constant, Proximal analysis, Phytochemistry.

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Open Access Review Article

SOLUBILITY ENHANCEMENT OF POOR WATER SOLUBLE DRUGS BY SOLID DISPERSION: A REVIEW

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ABSTRACT

The solubility behavior of drugs remains one of the most exigent aspects in formulation development. With the advent of combinatorial chemistry and high throughput screening, the number of poorly water soluble compounds has dramatically increased. Among all the newly discovered chemical entities, about 60–65% drugs fail to reach market due to their poor water solubility. Because of solubility problem, bioavailability of drugs gets affected and hence solubility enhancement becomes necessary. Solid dispersions have attracted considerable interest as an efficient means of improving the dissolution rate and hence the bioavailability of drugs. Therefore, the application of this technique proves to be an important strategem for pharmaceutical companies. However, the in - depth knowledge of the solid dispersion is desired for the scale up of formulation, from laboratory scale to industrial scale. There are various methods available to improve the solubility of the new drug in which solid dispersion emerged promising. A Solid dispersion generally composed of two components- the drug and the polymer matrix. Hence, this approach is expected to form a basis for the commercialization of many poorly water-soluble and water-insoluble drugs in their solid-dispersion formulations in the near future. This article reviews the various preparation techniques, carriers used, advantages and limitations of solid dispersions and compiles some of the recent advances.

Keywords: Bioavailability, Solid Dispersion, Hydrophilic carriers, Polyethylene glycol.

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INTRODUCTION

Solubility is a significant physicochemical factor affecting absorption of drug and its therapeutic effectiveness. Formulation development would lead to be failure if drug having poor aqueous solubility. The venture to improve the solubility and dissolution of hydrophobic drugs remain one of the difficult tasks in drug development. Several methods have been introduced to triumph over this problem.[1, 2]

Various methods to increase the solubility of drugs are available such as solid dispersion, self emulsifying drug delivery, Liquesolid techniques etc, in which drug in solution state or dissolved drug is adsorbed over insoluble carriers.[3-6]

Model list of Essential Medicines of the World Health Organization (WHO) has assigned BCS (Biopharmaceutics Classification System) classification on the basis of data available in the public domain. Out of 130 orally administered drugs on the WHO list, 61 could be classified with certainty.

84% of these drugs belong to class I (highly soluble, highly permeable)

17% to class II (poorly soluble, highly permeable)

39% to class III (highly soluble, poorly permeable) and

10% to class IV (poorly soluble, poorly permeable)

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Original Article

SYNTHESIS OF NOVEL HETEROCYCLIC QUINOLONE COMPOUND FOR ANTI -TUBERCULAR ACTIVITY

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ABSTRACT

In last few decades, though significant progress has been made in the treatment and control strategies of tubercular infections by introducing new diagnostic and monitoring tools and combination therapy, it still continues to be severe problem. The need of study was only because of there are many drugs in market to treat infection but most of the drugs are showing resistance because of the same it is difficult to treat the infection. In this study we chosen quinolone nucleus for study and over it. Thus with the aim of developing novel molecule with improved potency for treating Mycobacterium tuberculosis H37Rv strain infections and with decreased probability of developing drug resistance. **Methodology:** The synthesis of Quinolone derivatives, starting from substituted anilins and ethyl acetoacetate, by conventional organic reaction and results of investigations of their anti-mycobacterial activity. **Results:** MICs of the synthesized compounds are compared with existing drugs Cytotoxicity. The substituted quinolones are synthesized by taking mixture of 7-substituted-2-(3-chloro-2-oxopropyl) quinolin-4(1H)-one and different secondary amines. Many compounds have shown promising activity while some were inactive. **Conclusion:** It was found that Compound A_1, A_4, B_1, B_2 have shown promising anti tubercular activity whereas compound A_2, A_3,B_3,B_4 were showing moderate anti tubercular activity against std. Streptomycin.

KEYWORDS: Quinolone derivative; Well diffusion method; Spectral analysis; Elemental analysis.

INTRODUCTION

Microbial infections remain the major cause of death over the world. Emergence of multi-drug resistant to different infectious organisms like *M. Tuberculosis* made the condition most alarming.[1-2] Tuberculosis, MTB, or TB is a deadly infectious disease caused by various strains of mycobacteria; usually Mycobacterium tuberculosis. According to World Health Organization (WHO) TB is a global pandemic, which has become an important world-wide public health menace with one-third of the world's population infected by the TB bacillus. Most infections do not have symptoms, known as latent tuberculosis and about one in ten latent infections eventually progresses to active disease which, if left untreated, kills more than 50% of those so infected. People with weak immune systems (those with HIV/AIDS, those receiving immunosuppressive drugs and chemotherapy) are at a greater risk for developing TB disease. There is currently a growing concern about the progress and spread of multidrug and extensively drug resistant tuberculosis (MDR/XDR -TB), which has the potential to paralyze TB care schemes. The focal theme of this thesis is the exploration of new strategies in the field of modern drug discovery for the development of new drugs, which are capable of overcoming MDR/XDR-TB. The present work was aimed to synthesized new compound and evaluate it for antitubercular activity. Therefore, there is an urgent demand for a new class of antimicrobial agent with a different mode of action and it led medicinal chemists to explore a wide variety of chemical structures.

Quinoline was first isolated from coal tar in 1834, it was also recognized as pyrolytic degradation product of cinchonamine, an alkaloid closely related to quinine[3-6]. The name quinoline was derived from quina, a Spanish version of a local South American name for the bark of quinine-containing cinchona Species. Several Synthetic anti-malarial drugs are based on the quinoline nucleus, Chloroquine is an example. Several antibiotics like fluro-quinolones now in clinical use were 4-quinolone-based antibiotics.[7-10] Quinoline is a color-

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Review Article
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A REVIEW ON TUBERCULOSIS: PREVENTION AND DIAGNOSIS

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ABSTRACT

Tuberculosis is a leading killer of young adults worldwide and the global scourge of multi-drug resistant tuberculosis is reaching epidemic proportions. It is endemic in most developing countries and resurgent in developed and developing countries with high rates of human immunodeficiency virus infection. This article reviews the current situation in terms of drug delivery approaches for tuberculosis chemotherapy. A number of novel implant-, microparticulate-, and various other carrier-based drug delivery systems incorporating the principal anti-tuberculosis agents have been fabricated that either target the site of tuberculosis infection or reduce the dosing frequency with the aim of improving patient outcomes. These developments in drug delivery represent attractive options with significant merit, however, there is a requisite to manufacture an oral system, which directly addresses issues of unacceptable rifampicin bioavailability in fixed-dose combinations. This is fostered by the need to deliver medications to patients more efficiently and with fewer side effects, especially in developing countries. The fabrication of a polymeric once-daily oral multiparticulate fixed-dose combination of the principal anti-tuberculosis drugs, which attains segregated delivery of rifampicin and isoniazid for improved rifampicin bioavailability, could be a step in the right direction in addressing issues of treatment failure due to patient non-compliance.

KEYWORDS: Tuberculosis, Antibiotics, Resistance.

INTRODUCTION

Tuberculosis (TB) is an infectious disease caused by bacteria whose scientific name is Mycobacterium tuberculosis. It was first isolated in 1882 by a German physician named Robert Koch who received the Nobel Prize for this discovery. TB most commonly affects the lungs but also can involve almost any organ of the body. Many years ago, this disease was referred to as "consumption" because without effective treatment, these patients often would waste away. Today, of course, tuberculosis usually can be treated successfully with antibiotics.

There is also a group of organisms referred to as atypical tuberculosis. These involve other types of bacteria that are in the *Mycobacterium* family. Often, these organisms do not cause disease and are referred to as "colonizers" because they simply live alongside other bacteria in our bodies without causing damage. At times, these bacteria can cause an infection that is sometimes clinically like typical tuberculosis. When these atypical mycobacteria cause infection, they are often very difficult to cure. Often, drug therapy for these organisms must be administered for one and a half to two years and requires multiple medications. One third of the world's population is thought to have been infected with M. tuberculosis, and new infections occur at a rate of about one per second. In 2007 there were an estimated 13.7 million chronic active cases, and in 2010 there were 8.8 million new cases, and 1.5 million deaths, mostly in developing countries. The absolute number of tuberculosis cases has been decreasing since 2006 and new cases since 2002. In addition, more people in the developing world contract tuberculosis because their immune systems are more likely to be compromised due to higher rates of AIDS. The distribution of tuberculosis is not uniform across the globe; about 80% of the population in many Asian and African countries test positive in tuberculin tests, while only 5–10% of the U.S. population test positive.

Signs and Symptoms

Main symptoms of variants and stages of tuberculosis with many symptoms overlapping with other variants, while others are more (but not entirely) specific for certain variants. Multiple variants may be present simultaneously. Only about 5–10% of those without HIV, infected with tuberculosis develop active disease during their lifetime. In contrast 30% of those co-infected with HIV develop active disease. Tuberculosis may infect any part of the body but most commonly occurs in the lungs (known as pulmonary tuberculosis). Extra-pulmonary TB is when tuberculosis occurs outside of the lungs and may co-exist with pulmonary TB. General symptoms such as fever, chills, night sweats, appetite loss, weight loss, fatigue, and finger clubbing may also occur.

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Pharmacological Study

Comparative evaluation of antidiabetic potential of partially purified bioactive fractions from four medicinal plants in alloxan-induced diabetic rats

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Abstract

Background: *Syzygium cumini, Terminalia chebula, Trigonella foenum graecum* and *Salvadora persica* are medicinally important plants well known for their pharmacological activities. **Aim:** The aim of this study is to compare the antidiabetic potential of partially purified bioactive fractions isolated from four targeted medicinal plants in diabetic rats. **Methods:** Alloxan was administered (125 mg/kg, IP) in albino Wistar rats to produce diabetes. The partially purified bioactive fractions, namely *S. cumini* tannin fraction (ScTF), *T. foenum graecum* (Fenugreek) saponin fraction (TgSF), *T. chebula* flavonoid fraction (TcFF) and *S. persica* flavonoid fraction (SpFF), were administered to diabetic rats with the dose of 500 mg/kg, per oral (PO) and the effect of the fractions on body weight, liver glycogen and serum glucose were studied up to 15 days. **Results:** The results have indicated that diabetic rats treated with fractions showed a statistically significant ($P < 0.05$) decrease in serum glucose and increase in body weight and liver glycogen. Among ScTF, TgSF, TcFF and SpFF possesses better hypoglycemic activity in all models. **Conclusion:** The present investigation reveals that flavonoid isolated from *S. persica* is useful in the management of diabetes mellitus because of ability to regulate glucose level and reduce related complications.

Keywords: Alloxan, Antidiabetic activity, *Salvadora persica, Syzygium cumini, Terminalia chebula*

Introduction

Natural products have been used since ancient times in the traditional system of medicine for the treatment of diabetes.[1] These secondary metabolites include a large and diverse group of substances that serve as a source of most of the active ingredients of medicines.[2] Plant-derived secondary metabolites have long been the basis of drug discovery and drug development, as combinatorial chemistry presents an opportunity for the rational design of lead compounds to target specific molecules.[3,4] Numerous studies have validated the traditional use of antidiabetic medicinal plants by investigating the biologically active compound in the extracts which is being able to reduce postprandial blood sugar level. Numerous phytochemicals including alkaloids, phenol, polyphenols, terpenoids, flavonoids and tannins present in the active plant extract, are thought to be accountable not only for their antidiabetic activity but also for various pharmacological activities.[5] For example, tannins extracted from *S. cumini* Skeels bark have been reported to exert gastroprotective and anti-ulcerogenic effects on HCl/ethanol induced gastric mucosal injury in Sprague-Dawley rats.[6] Uemura *et al.* demonstrated that diosgenin, a phytosteroid sapogenin, isolated from fenugreek improved hepatic steatosis and hyperlipidemia by suppressing the mRNA expression of lipogenic genes in the liver of obese diabetic mice.[7] Srivastav *et al.* found that a flavonoid-rich extract of *T. chebula* ameliorates contraceptive efficacy in male albino rats.[8] Flavonoids identified in *S. persica* are useful in the prevention of arteriosclerosis, cancer, diabetes, neurodegenerative diseases as well as possess anti-inflammatory, antimutagenic, anticancer, antioxidant,

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Pharmacological Study

Comparative evaluation of antidiabetic potential of partially purified bioactive fractions from four medicinal plants in alloxan-induced diabetic rats

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MedCrave

Journal of Analytical & Pharmaceutical Research

Development and Validation of RP-HPLC Method for the Identification of Process Related Impurities of Zolmitriptan

Abstract

The study was focused toward synthesis, characterization and quantification of 3-Ethyl-indole impurity in Zolmitriptan formulations by Reverse Phase High Performance Liquid Chromatography method. The synthesis of a process related impurity of Zolmitriptan was successfully carried out by Fischer indole procedure. The impurity was purified by column chromatography. Characterization was done by UV, ^{1}H-NMR, ^{13}C-NMR and GC-MS. Based on the spectral data, the structure of impurity was characterized as 3-Ethyl-indole. An efficient isocratic RP-HPLC was developed and validated according to ICH guidelines with respect to specificity, accuracy, linearity and precision. The validated HPLC method was used for detection and quantitation of 3-Ethyl-indole, a process related impurity of Zolmitriptan, from Zolmitriptan tablet formulations. The above method was found to be specific, accurate, precise, rugged and robust and can be used for routine analysis.

Keywords: Zolmitriptan; Fischer indole; Column chromatography; Isocratic; Rugged; Robust; Specificity; Accuracy; Linearity; Precision

Research Article

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Abbreviations: NMR: Nuclear Magnetic Resonance; HPLC: High Performance Liquid Chromatography; RP-HPLC: Reverse Phase High Performance Liquid Chromatography; HPTLC: High Performance Thin Liquid Chromatography; TLC: Thin Liquid Chromatography; API's: Active Pharmaceutical Ingredient's; LOD: Limit of Detection; LOQ: Limit of Quantitation; FTIR: Fourier Transform Infra Red spectroscopy

Introduction

In Pharmaceutical World, an impurity is considered as any other organic materials, besides the drug substances, or ingredients, arises out of synthesis or unwanted chemicals that remains with Active Pharmaceutical Ingredient's (API's). The impurity may be developed either during formulation or upon aging of both API's and formulations. Presence of impurities in trace quantity in drug substance or drug product is inevitable. Therefore, their level should be controlled and monitored. They reinforce or diminish the pharmacological efficacy of the Active Pharmaceutical Ingredient's [1].

ICH defines impurities profile of a drug materials is "A description of the identified and unidentified impurities, present in a new drug substance." For Pharmaceutical products, impurities are defined as "substance in the product that are not the API itself or the excipient used to manufacture it " i.e. impurities are unwanted chemical that remains within the formulation or API in small amounts which can influence Quality, Safety and Efficacy, thereby causing serious health hazards [2].

Qualification of the impurities is the process of acquiring and evaluating data that establishes biological safety of an individual impurity; thus, revealing the need and scope of impurity profiling of drugs in pharmaceutical research. Identification of impurities is done by a variety of Chromatographic and Spectroscopic techniques, either alone or in combination with other techniques [3-5]. There are different methods for detecting and characterizing impurities with TLC, HPTLC, and HPLC etc. Conventional Liquid Chromatography, particularly, HPLC has been exploited widely in field of impurity profiling; the wide range of detectors, and stationary phases along with its sensitivity and cost effective separation have attributed to its varied applications. Various regulatory authorities like ICH, USFDA, Canadian Drug and Health Agency are emphasizing on the purity requirements and the identification of impurities in Active Pharmaceutical Ingredient's (API's) [6-8]. According to ICH guidelines on impurities in new drug products, identification of impurities below the 0.1% level is not considered to be necessary, unless potential impurities are expected to be unusually potent or toxic. According to ICH, the maximum daily dose qualification threshold is considered as follows; a 2g/day 0.1% or 1 mg per day intake (whichever is lower) a 2g/day 0.05% [9-12].

Materials and Methods

Materials reagents and chemicals

Butanaldehyde, silica gel, hydrochloric acid etc. were purchased from Merck Chemicals Pvt. Ltd. Nasik, MS, India are of AR grade. Methanol, benzene of AR grade and the acetonitrile, methanol and water of HPLC grade were purchased from Merck Chemicals Pvt. Ltd. Nasik, MS, India. The Zolmitriptan tablet formulations of different batches were purchased from local market of Kopargaon.

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EFFECT OF *GYNANDROPSIS PENTAPHYLLA* LEAVES ON MILK INDUCED EOSINOPHILIA AND LEUCOCYTOSIS IN MICE

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ABSTRACT

Gynandropsis pentaphylla syn. *Cleome pentaphylla*, (Capparadiaceae) is commonly known as 'Pandharitilvan and Safedhulhul'. *G. pentaphylla* is a annual, erect, branched plant Various parts of the plant are reported as rubefacient, counter-irritant, anthelmintic, in neuralgia, headache and otalgia. Terpenes, β-carotene, sterols, fatty acids, flavonoids, glycosides and alkaloids were reported from various part of plant. The seeds are anthelmintic and rubefacient and used internally for expulsion of round worms and externally as counter irritant and in headache. The seeds and leaves of *G. pentaphylla* have immunosupressent, anthelmintic, antifungal and antimicrobial activity. Objective of present work is to evaluate different extracts of *G.pentaphylla* for preliminary phytochemical screening and for milk induced eosinophilia and leucocytosis in mice. Different extract shows presence of alkaloids, tannins, flavonoids and Saponins. All the extracts were found to be significantly effective against eosinophilia and leucocytosis in mice. The aqueous and methanolic extracts shows prominent inhibition when compare with standard drug dexamethasone.

KEYWORDS: *Gynandropsis pentaphylla*, asthma, leucocytosis, milk, eosinophilia.

INTRODUCTION

Gynandropsis pentaphylla Linn (Capparadiaceae) is also known as *Cleome pentaphylla*. *G. gynandra* is commonly known as Pandharitilan or Safedhulhul'. It is an erect, rather showy, glandular, pubescent, annual shrub, 1-3 feet high, commonly found in waste places in Tropical Countries and in warmer parts of the India.[1,2]

Evaluation Isolation and Characterization of Chemical constituents from *C. bonducella* L. seed

Sunayana Vikhe*, Sunil Nirmal.

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Abstract:

The objective of the present work is to study the different Pharmacognostic parameters of the seeds of C. bonducella and to isolate and characterize the chemical constituents from the seeds that are responsible for the activity. In pharmacognostic study of seeds of C. bonducella, macroscopy, microscopy, powder characteristic, and physical parameters were studied. Column chromatography of active extract: Structure elucidation of active fraction was done to isolate and characterize various chemical constituents. The alcohol soluble extractive value was found to be greater than water soluble extractive value. Petroleum ether extract showed the presence of steroids and terpenes. Ethanol extract showed positive test for flavonoids, alkaloids, glycosides, and tannins. By GC-MS analysis of saponified matter of petroleum ether extract contains fatty acid viz. hexadecanoic acid and 9-methyl-8-tridecen-2-ol, acetate. The unsaponified matter contains colour pigments namely lycoxanthin and carotene.

Keywords: *Caesalpinia bonducella*, seeds, extract, Thin layer Chromatography, GC-MS.

Introduction:

In present study *Caesalpinia bonducella* used as a drug candidate derived from Kingdom: Plantae, Order: Febales, Family: Caesalpiniaceae, Genus: Caesalpinia, Species: *C. bonducella;* Part used: Seeds of *C. bonducella* linn. Commonly known as Kakachika, Karanja. Seed Used in the treatment of intermittent fever, asthma, colic. Also used as antiperiodic, in dyspepsia, dentrifice, filariasis [Nadkarni, K.M., 1986; Kirtikar, K.R., Basu, B.D., 1987; Anonymous, 1992]. The seed kernel of plant *C. bonducella* mainly contains bonducin [Elizabeth, M. Williamson], natin [Anonymous, 1996] and sulphur compounds [Ghatak NG, 1934]. The seed also contains fatty acids [Elizabeth, M. Williamson]. The root mainly shows the presence of diosgenin as chemical constituent [Elizabeth, M. Williamson, 2002]. The leaf also contains proteins [Elizabeth, M. Williamson].The fruit contains saponins viz, saponin C and saponin D [Puri HS, 1980].

2. Materials and methods:

2.1: Plant Material

Mature seeds of *C. bonducella* was collected and authenticated by Dr. T. Chakraborty, Joint Director, Botanical Survey of India (Voucher number: BSI/CAEB7PRAK).

2.2 Pharmacognostic evaluation:

2.2.1 Macroscopic evaluation:

Different parameters were studied in macroscopic evaluation of *C.bonducella* seeds that are color, odor, size and shape [Khandelwal, K.R., 2005].

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EVALUATION OF ANTIASTHMATIC ACTIVITY OF *FERONIA ELEPHANTUM* BARK LINN

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INTRODUCTION

Feronia Elephantum
Syn-LimoniaAcidissima L

Kingdom	Plantae
Division	Embryophyta
Subdivision	Angiospermae
Class	Dicotyledoneae
Subclass	Archichlamydeae
Order	Plantae
Family	Rutaceae
Genus	Feronia
Species	Feroniaelephantum

Synonmys

- Limoniaacidissima
- Feronialimonia
- Hesperethusacrenulata
- Schinuslimonia

Description: The wood-apple, FeronialimoniaSwingle (syns.*F. elephantum* Correa; Limoniaacidissima L.; Schinuslimonia L.)is the only species of its genus, in the family Rutaceae. Besides wood-apple, it may be called elephant apple, monkey fruit, curd fruit, kathbel and other dialectal names in India. In Malaya it is gelinggas or belinggai; in Thailand, ma-khwit; in Cambodia, kramoang; in Laos, ma-fit. In French, it is pomme d' elephant, pomme de bois, or citron des mots.

Objective: The main objective is to screen antiasthmatic herbal drug from medicinal plant which is potent and non toxic. The asthma is disease of respiratory tract, average 180000 deaths occur annually according to survey of W.H.O. Thus considering severity of asthma the main objective of the present study.

Extraction methodology: Hot continuous extraction (Soxhalation)-The use of commercially soxhlet extractor is a convenient way to prepare crude plant extracts .This procedure is used mainly with pure solvent. The soxhlet process is useful where exhaustive sequential extraction with series of solvent of increasing polarity is desired.

Procedure: Successive solvent extractions of *Feronia elephantum bark* by using petroleum ether, ethyl acetate, methanol and ethanol as a solvent was done in soxhlet apparatus.

Pharmacological activity: The pharmacological activity was assessed by following models

i. Milk Induced Leuckocytosis in Mice: This model was used to evaluate the protective effect of bark of *F. elephantum* extract against milk-induced leukocytosis. Subcutaneous injection of milk in dose of 4 ml/kg, produced a significant ($p < 0.0001$) increase in the leukocyte count after 24 hr of its administration. Mice pre-treated with petroleum ether, ethanol methanol ethyl acetate extract *F. elephantum* bark of have exhibited significant difference in total leukocytes before and after drug treatment. Petroleum ether extract of *F. elephantum*

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Articles

RAPID | IMPACT

Inventi:pep/21861/17

EXTRACTION AND EVALUATION OF ANTHELMINTIC ACTIVITY OF FICUS RACEMOSA LEAF EXTRACTS

02-Mar-2017 *Research Article* *April - June 2017*

Sunayana Vikhe, Sunil Nirmal*

The crude extracts of Ficus racemosa (Moraceae) were evaluated for anthelmintic activity using adult earthworms; the leaf extract of Ficus racemosa exhibited a dose-dependent inhibition of spontaneous motility (paralysis) and evoked responses to pin-prick. With higher doses (60 mg/ml of petroleum ether extract), the effects were comparable with that of albendazole. However, there was no final recovery in the case of worms treated with petroleum ether extract in contrast to albendazole with which the paralysis was reversible and the worms recovered completely within 5 h. The result shows that among the various extracts, the petroleum ether extract possess significant anthelmintic activity and can be used as wormicidal.

How to Cite this Article

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PHARMACOGNOSTIC AND PRELIMINARY PHYTOCHEMICAL SCREENING OF LEAVES OF *GYNANDROPSIS GYNANDRA.*

Ujwala S. Dube*[1,2] and Sunil A. Nirmal[3]

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ABSTRACT

Gynandropsis gynandra syn. *G. pentaphylla*, (Capparadiaceae) is commonly known as 'Pandhartilvan'. Various parts of the plant are reported as rubefacient, counter-irritant, anthelmintic, in neuralgia, headache and otalgia. Terpenes, β-carotene, sterols, fatty acids, flavonoids, glycosides and alkaloids were reported from various part of plant. Objective of present work is to standardize the leaves by morphology, microscopy, leaf constants and various physical constants. The Morphology, microscopy and leaf constants viz. stomatal number, stomatal index, vein islet number, veinlet termination number and palisade ratio and various physical constants viz. ash values, extractive values and moisture content by loss on drying were performed. Microscopically leaf shows dorsiventral nature, large number of glandular trichomes on both epidermis, vascular bundles were present in 3-5 groups and anomocytic type of stomata are observed. Total ash, acid insoluble ash and water soluble ash were found to be 19% w/w, 2.5% w/w and 5.5% w/w respectively. Water soluble and alcohol soluble extractive values were found to be 15.2% w/w and 7.2% w/w respectively and moisture content was found to be 9.88% w/w. Various leaf constants were found as, stomatal number (upper-9.6-11.4 and lower-15.2-17), Stomatal index (upper- 13.8%-16.41% and lower-25%-26.67%), vein islet number (9-12), vein let termination number (6-8) and palisade ratio (5-6.5).

KEYWORDS: *Gynandropsis gynandra*, microscopy, Leaf Constants.

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Pharmacognostic, phytochemical and pharmacological study of *Martynia annua* Leaves" (Family: Martyniaceae)

SS Bansode, SA Nirmal and RS Jadhav

Abstract
Martynia annua (Devil's claw, Bichu), is a medicinal plant of the family of Martyniaceae, widely used for the treatment of epilepsy, tuberculosis, inflammation, etc. The petroleum ether, chloroform, and methanol extracts of *Martynia annua* leaves were evaluated for analgesic effect in albino wistar rat using Eddy's Hot Plate Method and Hot water Tail Immersion test method. Analgesic activity of the extract was compared with the standard drug pentazocine 25 mg/kg. The extracts show significant analgesic activity at 2000 mg/kg. It was also observed that the methanol extracts exhibits greater analgesic activity as compared to petroleum ether and chloroform extract of the plant of *Martynia annua*.

Keywords: *Martynia annua*, Analgesic Activity, Hot Plate Method, Tail Immersion test method.

Introduction
Ayurveda, the ancient Indian therapeutic measure is renowned as one of the major systems of alternative and complementary medicine. As other herbal systems, greater parts of its medicaments are based on indigenous herbals. Plants are one of the most important sources of medicines. India is known as the "Emporium of Medicinal plants" due to availability of several thousands of medicinal plants in the different bioclimatic zones anti-inflammatory diseases including rheumatoid arthritis are still one of the main health problems of the world's population. Several modern drugs are used to treat these disorders but, their prolonged use may cause severe adverse side effects, the most common being gastrointestinal bleeding and peptic ulcers. Consequently, there is a need to develop new anti-inflammatory agents with minimum side effects. The use of natural remedies for the treatment of inflammatory and painful conditions has a long history starting with Ayurvedic treatment and extending to the European and other systems of traditional medicines. Plant drugs are known to play a vital role in management of inflammatory diseases. [8, 9]

Martynia annua Linn. Is commonly known as Ayurveda kaakatundikaa belongs to family Martyniaceae. It small herb found in throughout India and it is native of Mexico. In Ayurveda, the plant is known as kakatundika, which is being used in Indian traditional medicines for epilepsy, inflammation and tuberculosis. The leaves and fruits are biologically active part of this plant. The leaves of the *Martynia annua* are edible and used as antiepileptic and antiseptic, applied locally to tuberculous glands of the neck, the juice of the leaves as a gargle for sore throat and the leaf paste for wounds of domestic animals [12].

It is herbaceous, stout, erect, branched, clammy pubescent, annual plant growing to a height of 90 – 120 cm. Found throughout India, in waste places, rubbish heaps and along road sides. Flowers contain cyanidin-3-galactoside whilst p-hydroxy benzoic acid, sinapic acid; and gentisic acide are present in Flowers. The leaves also contain chlorogenic acid, and fatty acids (such as palmitic acid, stearic acid and arachidic acid), P-hydroxy benzoic acid, sinapic acid and fatty acids such as palmitic acid and stearic acid present in leaves. The seed also contain Arachidic acid, Linoleic acid, Malvalic acid, Oleic acid Palmitic acid, Stearic acid, Apigenin, Apigenin-7-O-beta- D- glucuronide. The fruit is considered alexiteric and useful in inflammations while ash of fruit mixed with coconut oil applied on burns. The fruits of *Martynia annua* used as local sedative and also used as antidote to scorpion stings to venomous bites and stings. Seed oil applied on abscesses and for treating itching and skin affections. The Ayurvedic Pharmacopoeia of India recommended the seed of *Martynia annua* for arresting of graying of hair [13].

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QSAR and Anti-Depressant Studies of Some Novel Phenothiazine Derivatives

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ABSTRACT

Antidepressants are the drugs used to treat depression thereby elevates mood and modifies the behavior. The main aims in the development of new antidepressants were greater efficacy, absence of side effects, lack of toxicity in over dose and earlier onset of action. In this study, a series of novel substituted phenothiazine was synthesized and evaluated for antidepressant activity by using or forced swim test (FST). Our synthetic route started from substituted aniline which was reacted with cyclohexanone. The later compound with sulfur powder and iodine led to the formation of the title compounds. Total 12 phenothiazine derivatives, A_1 to A_{12} were tested for antidepressant activity by using or forced swim test (FST). All the synthesized compounds were subjected to antidepressant activity study on Sprague-Dawley rats by despair swim test. Imipramine was used as standard control. The results showed that all the compounds showed antidepressant activity. Among them two compounds, A_5 and A_6 showed significant antidepressant activity comparing with standard control imipramine.

Introduction

Depression is a serious medical issue characterized by a variety of debilitating symptoms, such as persistent sadness and anxiety, chronic fatigue, feelings of worthlessness, disturbances in cognitive functioning and thoughts and attempts of suicide (Ray *et al.*, 2014). Depression has been determined to be the leading cause of disability and the 4th leading contributor to the global burden of disease and is characterized by relapse, recurrence and chronicity (Joanna *et al.*, 2013). Antidepressants are the drugs used to treat depression thereby elevates mood and modifies the behavior. Half a century ago, antidepressants were discovered by serendipity (Benoit Petit *et al.*, 2005). Current treatments for depression either fail to produce recovery or induce unwanted side effects. So there is still a large unmet clinical need (Vincent *et al.*, 2010; Baghai *et al.*, 2006; Slattery *et al.*, 2004). The main aims in the development of new antidepressants were greater efficacy, absence of side effects, lack of toxicity in over dose and earlier onset of action (Eleni, 1997). Elaborate research work has been carried out in the past and continuing in the present to synthesize new

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QUANTITATIVE ESTIMATION AND VALIDATION OF ATENOLOL AND AMLODIPINE BESYLATE BY ABSORBANCE RATIO (Q) METHOD.

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ABSTRACT

The simple, rapid, accurate, precise, cost effective, and reproducible UV spectroscopic method have been developed for the simultaneous estimation of atenolol and amlodipine besylate in bulk and combined tablet dosage form. Atenolol and amlodipine have absorption maxima at 224 and 238.2 nm respectively. Beer's law obeyed in concentration range of 2-24 µg/ml and 2-14 µg/ml for Atenolol (ATN) and Amlodipin (AMN) respectively. The method of Q analysis is based on measurement of absorptivity at 224 nm and at isosbestic point 232.2 nm. The recovery studies from tablet are indicative of accuracy of method and are found in between 99.05-101.16% at three different levels of standard additions. Precision studies showed satisfactory results. A novel approach to use 0.1N HCL as solvent is proved to be beneficial with respect to cost, stability and avoidance of organic solvent.

KEYWORDS: Atenolol, Amlodipine Besylate, 0.1 N HCL, Q Method.

INTRODUCTION

Atenolol (ATN) is chemically 4-(2-hydroxy-3-(isopropyl aminopropoxy)-phenyl acetamide, is beta-blocker seem to be equally effective as an antihypertensive, anti-anginal and anti- arrhythmic drug. It is widely used cardiovascular drug in combination with Amlodipine.[1] Beta blockers are also called beta-adrenergic blocking agents. This means that atenolol blocks the action of the stress hormone epinephrine, which is also known as adrenaline. Epinephrine increases the body's heart rate, raises blood pressure, and affects the body's immune system response.

Literature survey reveals that various analytical methods have been reported for the assay of atenolol and amlodipine besylate in pure form and in pharmaceutical formulations. Non aqueous titration method is specified in Indian Pharmacopoeia for the assay of atenolol. While British Pharmacopoeia described liquid chromatography method for the assay of amlodipine besylate. Atenolol and amlodipine with other combination such as Q-analysis method[2], H-Point standard addition method.Area under curve and Absorbance ratio method Simultaneous equation method, simple spectroscopic technique.

An attempt was made to develop simple, accurate, precise, reproducible, economic and organic solvent free method for simultaneous estimation of both these drugs in combined dosage form.

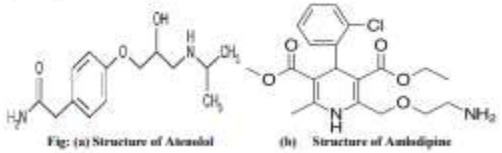

Fig: (a) Structure of Atenolol **(b) Structure of Amlodipine**

MATERIAL AND METHODS

Spectrophotoscopic studies were carried out using Shimadzu UV- Visible spectrophotometer, model-1700 (Japan). Pure samples of amlodipine besylate and atenolol were obtained from Micro labs. Ltd. Banglore and Cipla Ltd, Mumbai (M.S.) respectively. The marketed combination of atenolol and amlodipine that is Amlopress AT 50 tablet (Cipla Ltd.).

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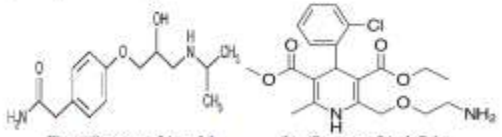

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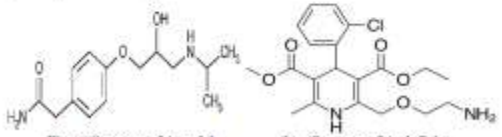

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Solvent Free Synthesis and Biological Evaluation of 1, 5-Benzodiazepine

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Abstract

Number of substituted 1, 5-benzodiazepines are known for their biological importance like anti-bacterial, antifungal, anticancer, and anti-inflammatory activity. The present investigation is carried for the synthesis of certain substituted 1, 5-benzodiazepines and carried out their sedative activity. The title compounds have been synthesized from OPD reacts with substituted aryl aldehydes to give substituted 1, 5-benzodiazepines. The newly synthesized compounds have been characterized by IR, III NMR and CHN analysis. Selected compounds are screened for sedative activity *in vivo* using spontaneous locomotor activity model. Few of them exhibited promising activity.

Keywords: 1, 5-Benzodiazepines; Pharmacological screening; Sedative activity; Spontaneous locomotor activity; IR, III NMR; CHN analysis

Introduction

Antidepressants are drugs used for the treatment of major depressive disorder and other conditions, including dysthymia, anxiety disorders, obsessive compulsive disorder, eating disorders, chronic pain, neuropathic pain and, in some cases, dysmenorrhea, migraine, attention-deficit hyperactivity disorder (ADHD), addiction, dependence, and sleep disorders. They may be prescribed alone or in combination with other medications. The most important classes of antidepressants are the selective serotonin reuptake inhibitors (SSRIs), serotonin-norepinephrine reuptake inhibitors (SNRIs), tricyclic antidepressants (TCAs), monoamine oxidase inhibitors (MAOIs), reversible monoamine oxidase A inhibitors (rMAO-A inhibitors), tetracyclic antidepressants (TeCAs), and noradrenergic and specific serotonergic antidepressant (NaSSAs). St John's wort is also used in the treatment of depression. The history of benzodiazepines (BDZ's) dates back to 1955 when chlordiazepoxide (Librium) [1] was accidentally discovered by Australian scientist Dr. Leo Sternbach and was made available in the market by Hoffman-La Roche which also marketed diazepin [2]. Diazepines and benzodiazepines are the important seven membered heterocyclic ring systems widely commended for their physiological activities. These BDZ's are categorized as either short-, intermediate- or long-acting drugs. The Short-acting compounds act for less than a few hours and have a few residual effects. Intermediate acting compounds have an effect for 6-10 hour and have mild residual effects.

Citation: Nachiket SD, Atul KW, Deepak SM. Solvent Free Synthesis and Biological Evaluation Of 1, 5-Benzodiazepine. Nano Sci Nano Technol. 2017;11(1):112.

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SYNTHESIS OF TRIAZOLE SUBSTITUTED PHENOTHAZINE DERIVATIVE FOR THEIR ANTIMICROBIAL AND ANTI-INFLAMMATORY ACTIVITY

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ABSTRACT
The synthesis, structure and biological activity of phenothiazine derivative. The triazole substituted phenothiazine has been of keen interest biological activity such as antimicrobial, anti inflammatory. The antimicrobial activity of synthesized compound had been done by using cup plate agar diffusion method and anti inflammatory activity of synthesized compound had been done by using carrageenan induced Rat hind paw method.

KEYWORDS: Antimicrobial activity, Anti-inflammatory activity, carrageenan induced Rat hind paw method, cup plate agar diffusion method.

INTRODUCTION

Inflammation- This is the complex biological response of vascular tissue to harmful stimuli such as pathogen damaged cells, irritants, Inflammation is protective by the organism to remove the injurious stimuli as well as initiate the healing process for the tissue.

Antimicrobial- Initially the term chemotherapeutics agent was restricted to synthesis compound but now since many antibiotic and there analogues have been synthesized this criterion has become irrelevant, both synthetically and microbiologically produced [1-3]

MATERIALS AND METHODS

Reagents: All the chemicals were purchased from Merck research chemicals pvt. Ltd. all are of synthesis grade.

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UV-VISIBLE SPECTROPHOTOMETRIC METHOD DEVELOPMENT AND VALIDATION OF ASSAY OF ATENOLOL TABLET FORMULATION

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ABSTRACT

A simple, sensitive, specific and validated UV method has been developed for the quantitative determination of Atenolol in pure and tablet dosage form. The λmax was found to be 226 nm for assay. The linearity was found in concentration range of 0-150µg/ml. The correlation coefficient was found 0.999. The regression equation was found as y = 0.004x+0.007. The method was validated for linearity, accuracy, precision and System suitability. The LOD and LOQ for estimation of Atenolol were found as 2.088 &6.329 respectively. Recovery of Atenolol was found to be 99.12%.

KEYWORDS: Atenolol, UV Spectrophotometry, Validation, Beer's law.

INTRODUCTION

UV-Visible spectrophotometry is one of the most frequently employed technique in pharmaceutical analysis. It involves measuring the amount of ultraviolet or visible radiation absorbed by a substance in solution. Instrument which measure the ratio, or function of ratio, of the intensity of two beams of light in the U.V-Visible region are called Ultraviolet-Visible spectrophotometers. In qualitative analysis, organic compounds can be identified by use of spectrophotometer, if any recorded data is available and quantitative spectrophotometric analysis is used to ascertain the quantity of molecular species absorbing the radiation.[1]

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ABSTRACT

A simple, sensitive, specific and validated UV method has been developed for the quantitative determination of Atenolol in pure and tablet dosage form. The λmax was found to be 226 nm for assay. The linearity was found in concentration range of 0-150µg/ml. The correlation coefficient was found 0.999. The regression equation was found as y = 0.004x+0.007. The method was validated for linearity, accuracy, precision and System suitability. The LOD and LOQ for estimation of Atenolol were found as 2.088 &6.329 respectively. Recovery of Atenolol was found to be 99.12%.

KEYWORDS: Atenolol, UV Spectrophotometry, Validation, Beer's law.

INTRODUCTION

UV-Visible spectrophotometry is one of the most frequently employed technique in pharmaceutical analysis. It involves measuring the amount of ultraviolet or visible radiation absorbed by a substance in solution. Instrument which measure the ratio, or function of ratio, of the intensity of two beams of light in the U.V-Visible region are called Ultraviolet-Visible spectrophotometers. In qualitative analysis, organic compounds can be identified by use of spectrophotometer, if any recorded data is available and quantitative spectrophotometric analysis is used to ascertain the quantity of molecular species absorbing the radiation.[1]

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Journal of Analytical & Pharmaceutical Research

Development and Validation of RP-HPLC Method for the Identification of Process Related Impurities of Zolmitriptan

Abstract

The study was focused toward synthesis, characterization and quantification of 3-Ethyl-indole impurity in Zolmitriptan formulations by Reverse Phase High Performance Liquid Chromatography method. The synthesis of a process related impurity of Zolmitriptan was successfully carried out by Fischer indole procedure. The impurity was purified by column chromatography. Characterization was done by IR, ¹H NMR, ¹³C-NMR and GC-MS. Based on the spectral data, the structure of impurity was characterized as 3-Ethyl-indole. An efficient isocratic RP-HPLC was developed and validated according to ICH guidelines with respect to specificity, accuracy, linearity and precision. The validated HPLC method was used for detection and quantification of 3-Ethyl-indole, a process related impurity of Zolmitriptan from Zolmitriptan tablet formulations. The above method was found to be specific, accurate, precise, rugged and robust and can be used for routine analysis.

Keywords: Zolmitriptan; Fischer indole; Column chromatography; Isocratic; Rugged; Robust; Specificity; Accuracy; Linearity; Precision

Research Article

Volume 4 Issue 1 - 2017

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Abbreviations: NMR: Nuclear Magnetic Resonance; HPLC: High Performance Liquid Chromatography; RP-HPLC: Reverse Phase High Performance Liquid Chromatography; HPTLC: High Performance Thin Liquid Chromatography; TLC: Thin Liquid Chromatography; API's: Active Pharmaceutical Ingredient's; LOD: Limit of Detection; LOQ: Limit of Quantitation; FTIR: Fourier Transform Infra Red spectroscopy

Introduction

In Pharmaceutical World, an impurity is considered as any other organic materials, besides the drug substances, or ingredients, arises out of synthesis or unwanted chemicals that remains with Active Pharmaceutical Ingredient's (API's). The impurity may be developed either during formulation or upon aging of both API's and formulations. Presence of impurities in trace quantity in drug substance or drug product is inevitable. Therefore, their level should be controlled and monitored. They reinforce or diminish the pharmacological efficacy of the Active Pharmaceutical Ingredient's [1].

ICH defines impurities profile of a drug materials is "A description of the identified and unidentified impurities, present in a new drug substance." For Pharmaceutical products, impurities are defined as "substance in the product that are not the API itself or the excipient used to manufacture it " i.e. impurities are unwanted chemical that remains within the formulation or API in small amounts which can influence Quality, Safety and Efficacy, thereby causing serious health hazards [2].

Qualification of the impurities is the process of acquiring and evaluating data that establishes biological safety of an individual impurity; thus, revealing the need and scope of impurity profiling of drugs in pharmaceutical research. Identification of impurities is done by a variety of Chromatographic and Spectroscopic techniques, either alone or in combination with other techniques [3-5]. There are different methods for detecting and characterizing impurities with TLC, HPTLC, and HPLC etc. Conventional Liquid Chromatography, particularly, HPLC has been exploited widely in field of impurity profiling; the wide range of detectors, and stationary phases along with its sensitivity and cost effective separation have attributed to its varied applications. Various regulatory authorities like ICH, USFDA, Canadian Drug and Health Agency are emphasizing on the purity requirements and the identification of impurities in Active Pharmaceutical Ingredient's (API's) [6-8]. According to ICH guidelines on impurities in new drug products, identification of impurities below the 0.1% level is not considered to be necessary, unless potential impurities are expected to be unusually potent or toxic. According to ICH, the maximum daily dose qualification threshold is considered as follows; ≤ 2g/day 0.1% or 1 mg per day intake (whichever is lower) ≥ 2g/day 0.05% [9-12].

Materials and Methods

Materials reagents and chemicals

Butanaldehyde, silica gel, hydrochloric acid etc. were purchased from Merck Chemicals Pvt. Ltd. Nasik, MS, India are of AR grade. Methanol, benzene of AR grade and the acetonitrile, methanol and water of HPLC grade were purchased from Merck Chemicals Pvt. Ltd. Nasik, MS, India. The Zolmitriptan tablet formulations of different batches were purchased from local market of Kopargaon.

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A VALIDATED RP-HPLC METHOD FOR ESTIMATION OF GUAIFENESIN IN BULK DOSAGE FORM

Hajare Pranit Pandurang*, Laware Ravindra Bhimraj, Bhusal Ramesh Dattatraya, Magar Manisha Mhasu.
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Abstract:

A simple and reproducible method was developed for Guaifenesin by Reverse Phase High Performance Liquid Chromatography (RP-HPLC). Guaifenesinwas separated on Grasco C18 (4.6ID x 250mm, Particle size: 5 micron), using ortho phosphoric acid buffer with pH of 3.0 at the UV detection of 223 nm. Isocratic elution of methanol and water was used as a mobile phase with various ratios and flow rates, eventually 80:20 v/v methanol and water was being set with the flow rate of 0.8mL/min. The statistical validation parameters such as linearity, accuracy, precision, LOD, LOQ, Robustness, system suitability were checked, further the limit of detection and limit of quantification of Guaifenesin concentrations were found to be 37.98µg/ml, and 173.51µg/ml.

Keywords: *Guaifenesin, Methanol, Development, RP-HPLC, Validation.*

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Keywords: *Guaifenesin, Methanol, Development, RP-HPLC, Validation.*

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Analgesic and anti-inflammatory activity of β-sitosterol isolated from leaves of *Oxalis corniculata*

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Abstract

Oxalis corniculata Linn. (Oxalidaceae) is one of the important medicinal plants used traditionally for the treatment of fever, pain and inflammation. To validate folk use of *Oxalis corniculata* as analgesic and anti-inflammatory remedy. The leaves of *Oxalis corniculata* was used for successive extraction with increasing polarity solvents. Petroleum ether extract was selected for activity guided fractionation to isolate β-sitosterol due to its better efficacy than other extracts. Analgesic activity was done by hot plate test and acetic acid-induced writhings, while anti-inflammatory activity by carrageenan-induced paw edema method. All the extracts were screened at the dose of 100 mg/kg, i.p. and isolated β-sitosterol was screened at the doses of 5, 10 and 20 mg/kg, i.p. Naloxone (1 mg/kg, s.c.) was used to understand the mechanism of nociception. In hot plate test, Petroleum ether extract was found most active with reaction time of 8.4 ± 0.4 sec after 60 min while isolated β-sitosterol at dose of 20 mg/kg showed 11.1 ± 0.3 sec after 90 min. The number of writings in 30 min was compared with paracetamol. Petroleum ether extract and β-sitosterol (20 mg/kg) showed 43.14 ± 1.9 and 34.21 ± 1.4 writhings respectively. Isolated β-sitosterol (20 mg/kg) inhibited rat paw edema to 0.32± 0.06 ml after 120 min. Naloxone reversed antinociceptive effects of extracts and isolated β-sitosterol. It can be concluded that isolated β-sitosterol is responsible for analgesic and anti-inflammatory activity of *Oxalis corniculata* leaves and it works through central mechanism.

Keywords: *Oxalis corniculata* Linn, Analgesic, Anti-inflammatory, β-sitosterol.

1. Introduction

Many plants conveniently available in India are used in traditional folklore medicine for the treatment of fever, pain and inflammation. The plant selected for present studies is *Oxalis corniculata* (Oxalidaceae), very common weed found throughout warmer parts of India. [1] The whole plant traditionally used for anti-inflammatory and antidyventric properties [2] Soup of Indian sorrel is used in diarrhea. [3,4] Its anti-inflammatory [5] and wound healing [6] property has been reported in 1977 and 2004. Also it has antimicrobial [7] and a smooth muscle relaxant property. [8] It was also reported that plant has hypoglycemic, antipsychotic, nervous system stimulant and have chronotropic and inotropic effect. Chemical characterization showed the presence of niacin, vitamin C, β-carotene, glyoxylic acid, oxalic acid, pyruvic acid, vitexin and isovitexin, vitexin-2-O-beta-D-glucopyranoside, neutral lipids, glycolipids, phospholipids, fatty acids, saturated (C10-C14) acids, alpha and beta tocopherols. [9] Phytochemical investigations of *Oxalis corniculata* have revealed the presence of tannins, palmitic acid, a mixture of 8 oleic, linoleic, linolenic and stearic acids. Methanolic and ethanolic extracts of this plant show the presence of carbohydrate, glycosides, phytosterols, phenolic compounds, flavonoids, proteins (12.5%), amino acids and volatile oil. It also showed the presence of calcium, fiber and tannin. Leaves contain tartaric acid and citric acids, calcium oxalate, flavones (acacetin and 7,4'- diOMe apigenin), glycoflavones (4'-OMe vitexin, 4'- OMeiso-vitexin and 3',4'-diOMe orientin), flavonols (3',4'-diOMe quercetin) and phenolic acids such as p-hydroxybenzoic, vanillic and syringic acids . This herb is well known to have an acid taste due to the high content of oxalate in its leaves and stems.[10]

Present study was designed to evaluate analgesic and anti-inflammatory potential of various leaf extract of *Oxalis*

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Construction of Ternary Phase Diagram for Three Component System [Oil-Water-Surfactant]

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Keywords: Oil, Water, Surfactant, Phase Rule, Ternary Phase Diagram

ABSTRACT

A ternary graph, triangle plot is a barycentric plot on three variables which sum to a constant. It graphically depicts the ratios of the three variables as positions in an equilateral triangle. It is used in physical chemistry and other physical sciences to show the compositions of systems composed of three species. In a ternary plot, the proportions of the three variables a, b, and c must sum to some constant, K. Usually, this constant is represented as 100%. Because $a + b + c = K$ for all substances being graphed, any one variable is not independent of the others, so only two variables must be known to find a sample's point on the graph, for instance, c must be equal to $K - a - b$. Because the three proportions cannot vary independently - there are only two degrees of freedom - it is possible to graph the intersection of all three variables in only two dimensions. It is sometimes necessary to know the mutual solubilities of liquids in a two-phase system. For example, you may need to know how much water is dissolved in oil with which it is in contact, and also the amount of the oil that is in the aqueous phase. In this experiment, you will consider a three-component mixture (oil-water-surfactant at 37 ^{0}C) and construct the corresponding ternary phase diagram. In this experiment, we investigate the behavior of a system of three liquids oil-water-surfactant. Surfactant is miscible with both oil and water, but oil and water are quite insoluble in each other. Every point on a ternary plot represents a different composition of the three components. According to the phase rule, a single phase in a three-component system may possess four degrees of freedom. $F = C - P + 2$ F = degree of freedom; C = component; P = phase. These are temperature, pressure and the compositions of two of the three components. Because of the difficulty in graphically so many variables, temperature and pressure are generally held constant. This is the same special form of the phase rule that applies to two component systems of constant pressure only.

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Design, Synthesis and Anti-Depressant Activity of Some Novel Coumarin Derivatives

Abstract

The present research work deals with the synthesis, characterization and to evaluate the synthesized compound for antidepressant activity of a series of coumarin derivatives. Basic coumarin is prepared by Perkin reaction, which further reacted with aryl thiourea by cyclization reaction leads to produce 3-[2-(phenylamino)thiazol-4-yl]-2H-chromen-2-one. Totally twelve compounds were synthesized by conventional method and their purity was determined by TLC and they were characterized by IR and NMR spectroscopic methods. Antidepressant activity of all the synthesized compounds was evaluated by the quiet swim test by using Sprague Dawley Rats. Standard drug Imipramine was used as the control. In the despair swim test, all the synthesized derivatives showed antidepressant activity. Among them three Compounds [A_1, A_5 and A_6] showed significant antidepressant activity comparing with control drug imipramine and some compound shows mild antidepressant activity. These results are useful for the further investigation in the future.

Keywords: Antidepressant activity; Coumarin; Despair swim test; Perkin reaction; Sprague dawley rat

Research Article

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Introduction

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The forced swim test is a rodent behavioral test used for evaluation of antidepressant drugs, antidepressant efficacy of new compounds, and experimental manipulations that are aimed at reducing or preventing depressive-like states. Decrease in the duration of immobility which denotes the antidepressant activity majorly [4].

There are many coumarin and its analogs are very important chemical synthons of pharmacological acceptations and pharmaceutical use. They possess a variety of biological activities including antibacterial [5], anti-clotting and anti-thrombotic, anti-inflammatory, anti-carcinogenic [6], anti-HIV activities, hepatoprotective, antidepressant and antiplatelet aggregation activities [7]. Coumarin shows antidepressant activity by various mechanisms such as MAO inhibitors, triple reuptake inhibitor [8]. But there are much further investigation in future may be done for coumarin as antidepressant.

Materials and Methods

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Synthesis of 3-Acetyl-2H-Chromen-2-one: A mixture of salicylaldehyde (0.5mol,) and ethyl acetoacetate (0.5mol,) was

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Design, Synthesis and Anti-Depressant Activity of Some Novel Coumarin Derivatives

Abstract

The present research work deals with the synthesis, characterization and to evaluate the synthesized compound for antidepressant activity of a series of coumarin derivatives. Basic coumarin is prepared by Perkin reaction, which further reacted with aryl thiourea by cyclization reaction leads to produce 3-[2-(phenylamino)thiazol-4-yl]-2H-chromen-2-one. Totally twelve compounds were synthesized by conventional method and their purity was determined by TLC and they were characterized by IR and NMR spectroscopic methods. Antidepressant activity of all the synthesized compounds was evaluated by the pair swim test by using Sprague Dawley Rats. Standard drug Imipramine was used as the control. In the despair swim test, all the synthesized derivatives showed antidepressant activity. Among them three Compounds [A_1, A_5 and A_6] showed significant antidepressant activity comparing with control drug imipramine and some compound shows mild antidepressant activity. These results are useful for the further investigation in the future.

Keywords: Antidepressant activity; Coumarin; Despair swim test; Perkin reaction; Sprague dawley rat

Research Article

Volume 2 Issue 4 - 2016

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"DESIGN, SYNTHESIS AND EVALUATION OF ANTI-DEPRESSANT ACTIVITY OF SOME NEW DERIVATVES OF PHENOTHIAZINE"

Nachiket S. Dighe*[1], Sanket K. Tambe[1], R B Saudagaur[2] and Amol S Dighe[1]

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ABSTRACT
This study was aimed at the synthesis of fused Phenothiazine derivatives containing heterocyclic moiety.The synthesized compounds were tested for their preliminary tests, physical constants, TLC, IR, ^{1}H-NMR Spectra and CHN analysis confirmed the structures of the final compounds.Antidepressant activity of all the synthesized compounds was evaluated by despair swim test by using *Sprague Dawley Rats*. Standard drug Imipramine was used as the control.In the despair swim test, all the synthesized derivatives showed antidepressant activity. Among them three Compounds **(A_7, A_8, and A_{10})** showed significant antidepressant activity comparing with control drug imipramine. These results are useful for the further investigation in the future.

KEYWORDS: Antidepressant activities, Despair swim test, Phenothiazine and *Sprague Dawley Rats*.

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Depression is a common but serious illness.[1] It is common among women and people with otherchronic conditions. Left untreated, depression may disrupt work, family, and personal life.[2] According to World Health Organisation (WHO) it is one of the top 10 cause of morbidity and mortality. Even after vast research in this field, the therapeutic remedy remains unsatisfactory.[3] This is because the only 65% of the depressive patient responds to the current anti-depressant therapeutics[4] and to achieve clinical benefit it takes several weeks which could be a reason to worry as depression tends to increase the risk of suicide in advanced stage.[5] Elaborate research work has been carried out in the past and continuing in the present to synthesize new compounds to meet this depression. The forced swim test (behavioral despair test) in the rat is widely used for the initial screening of antidepressants. This test has good predictive validity and allows rapid and economical detection of substances with potential antidepressant like activity.

MATERIALS AND METHODS

CHEMISTRY: All chemical used in this studies were supplied by E. Merck and Aldrich Chemical Co. All the reactions were monitored by TLC using silica gel G. The melting point determinations were done by using in open

SYNTHESIS OF PHENOTHIAZINEDERIVATIVES

Step 1] General procedure for the preparation of 7, 8 or 9 substituted aniline Benzoic acid derivatives

Equimolar amount of substituted aniline was added to a chloro benzoic acid in 20 mL of DMF and 0.1 percent of potassium hydroxide solution and the reaction mixture was heated under refluxed at about 80°C temperature, for 2 h. TLC indicated the end of reaction. The mixture was cooled by addition of a water/ice mixture. The solid was filtered in excellent yield. **(I)**

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Equimolar amount of 7, 8 or 9 substituted Anilino Benzoic acid was added to a solution of sulfur powder and iodine in 5 mL of ethanol. Reaction mixture was heated under reflux with stirring for about 2 h and poured into ice/water mixture. The precipitate was filtered and washed with cold water. **(II)**

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DEVELOPMENT AND VALIDATION OF RP-HPLC METHOD FOR ESTIMATION OF TRAMADOL HCL IN BULK DOSAGE FORM

Ravindra Bhimraj Laware*, Hajare Pranit Pandurang, Aher Mahendra Ratan

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ABSTRACT
A simple and reproducible method was developed for Tramadol HCl by Reverse Phase High Performance Liquid Chromatography (RP-HPLC). Tramadol HCL was separated on Greece C18 (4.6ID x 250mm, Particle size: 5 micron, using ortho phosphoric acid buffer pH 3.0 at wavelength of 225 nm using UV detector. Isocratic elution of methanol and water was used as a mobile phase with various ratios and flow rates, eventually 80:20 v/v methanol and water was being set with the flow rate of 1mL/min. The statistical validation parameters such as linearity, accuracy, precision,LOD, LOQ, Robustness, system suitability were checked, further the limit of detection and limit of quantification of Tramadol HCL concentrations were found to be 55.55ng/mL and 168.33ng/mL.

KEYWORDS: RP HPLC, Tramadol HCl, methanol, validation.

INTRODUCTION

Tramadol (marketed as Ultram and as generics) is anopioidpain medication used to treat moderate to moderately severe pain. When taken as an immediate-release oral formulation, the onset of pain relief usually occurs within about an hour.[1] It has two different mechanisms. First, it binds to the μ-opioid receptor. Second, it inhibits the reuptake of serotonin and norepinephrine.[2] Its use in pregnancy is generally advised against as it may cause some reversible withdrawal effects in the newborn.[3] A small prospective study in France found that, while there was an increased risk of miscarriages, there were no major malformations reported in the newborn. There is an increased risk of opioid-related adverse effects such as respiratory depression, falls, cognitive impairment and sedation.Tramadol acts as a μ-opioid receptoragonist.[4][5] serotonin reuptake inhibitor and releasing agent.[6] norepinephrine reuptake inhibitor, NMDA receptorantagonist.[7] 5-HT2C receptor antagonist.[8] (α7)5nicotinic acetylcholine receptor antagonist.[9] TRPV1 receptor agonist.[10] and M1 and M3muscarinic acetylcholine receptor antagonist. In literature several analytical techniques like colorimetric4,

MATERIALS AND METHODS

All reagents used were of analytical-reagent grade. Water purification systems, reverse osmosis andultra pure water (Nanopure Human Corporation, Korea), sonicator (Digital citizen ultra sonic cleaner) for degassing of HPLC grade Methanol and ortho phosphoric acid 88% (S.D. FineChem Limited, Mumbai, India) and pure Tramadol drug.

The RP-HPLC system composed of HPLC Binary Gradient System of HPLC 3000 series instant pilot software: HPLC Workstation and certified for pharmaceutical QA/QC. It constitutes Detector: UV-3000-M (Single Wavelength) Pump: P-3000-M Reciprocating (40MPa) Column: Greece C18 (4.6ID x 250mm, Particle size: 5 micron). It is most flexible configuration for the maximum in gradient and low flow rate accuracy and precision, high-speed, multi-wavelength and full spectral UV-visible detection for peak purity analysis and spectral confirmation.Thechromatographic and integrated data were recorded in computer system.Two solvents were used, solvent-A containing methanol filtered through 0.22μm filter paper and solvent-B containing ultra pure

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DEVELOPMENT AND VALIDATION OF TLC DENSITOMETRIC METHOD FOR THE SIMULTANEOUS ESTIMATION OF ASPIRIN AND TICLOPIDINE HYDROCHLORIDE IN TABLET DOSAGE FORM

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Abstract:

Accurate, specific, precise and robust TLC densitometric method has been developed for simultaneous estimation of Aspirin (ASP) and Ticlopidine hydrochloride (TIC) in tablet dosage form. The chromatographic separation was performed on precoated silica gel TLC 60 F254 plates using n-Hexane: Ethyl acetate: Methanol (6.5:1.5:2 v/ v/v) as mobile phase. This system was found to give compact bands for Aspirin and Ticlopidine hydrochloride (RF values 0.52 ± 0.05and 0.75 ± 0.05 respectively). Densitometric analysis of Aspirin and Ticlopidine hydrochloride were performed at 239 nm. Regression analysis data for the calibration plots were indicative of good linear relationships between response and concentration over the range 1000-5000 ng/band for Aspirin and 500-2500 ng/band for Ticlopidine hydrochloride. The method was validated as per ICH guidelines for accuracy, precision, LOD, LOQ and robustness

Keywords: *Aspirin (ASP), Ticlopidine hydrochloride (TIC)*

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DEVELOPMENT AND VALIDATION OF TLC DENSITOMETRIC METHOD FOR THE SIMULTANEOUS ESTIMATION OF ASPIRIN AND TICLOPIDINE HYDROCHLORIDE IN TABLET DOSAGE FORM

Bhusal Ramesh Dattatraya*, Kolhe Mahesh Hari, Laware Ravindra Bhimraj, Hajare Pranit Pandurang.

Department of Pharmaceutics, Pravara Rural College of Pharmacy, Loni, Pravaranagar, Tal-Rahata, Dist- Ahmednagar, Maharashtra

Abstract:

Accurate, specific, precise and robust TLC densitometric method has been developed for simultaneous estimation of Aspirin (ASP) and Ticlopidine hydrochloride (TIC) in tablet dosage form. The chromatographic separation was performed on precoated silica gel TLC 60 F254 plates using n-Hexane: Ethyl acetate: Methanol (6.5:1.5:2 v/ v/v) as mobile phase. This system was found to give compact bands for Aspirin and Ticlopidine hydrochloride (RF values 0.52 ± 0.05and 0.75 ± 0.05 respectively). Densitometric analysis of Aspirin and Ticlopidine hydrochloride were performed at 239 nm. Regression analysis data for the calibration plots were indicative of good linear relationships between response and concentration over the range 1000-5000 ng/band for Aspirin and 500-2500 ng/band for Ticlopidine hydrochloride. The method was validated as per ICH guidelines for accuracy, precision, LOD, LOQ and robustness

Keywords: *Aspirin (ASP), Ticlopidine hydrochloride (TIC)*

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21-May-2016 *Research Article* *July - September 2016*

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ENHANCEMENT OF DISSOLUTION RATE OF CLASS II DRUG (IRBESARTAN): A SOLID DISPERSION BY USING PLURONIC F108.

Suhas Siddheshwar*, Someshwar Mankar, Sunil Nirmal, Ravindra Laware

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ABSTRACT

Irbesartan is poorly water-soluble drug. The objective of the research was to increase the solubility and dissolution rate of drug by formulating a solid dispersion with Pluronic polymer F108 using hot melt method. The dissolution profiles of developed formulations were studied. Drug-polymer interactions were also investigated using differential scanning calorimetry (DSC) and Fourier transform infrared spectroscopy (FTIR). For the preparation of Irbesartan fast-dissolve tablets, a 1:3 solid dispersion with Pluronic F108 was used with Crospovidone as disintegrants and Microcrystalline cellulose as diluent. Also studied various physical parameters along with drug released. The results showed that a dispersion of the drug in polymer considerably enhanced the dissolution rate. The drug-to-carrier ratio is the controlling factor for dissolution improvement. FTIR spectra show no chemical incompatibility between the drug and Pluronic polymers F108. FTIR and DSC data indicate that Irbesartan was in the amorphous form, which explains the faster dissolution rate of the drug from its solid dispersions. In the optimization study, different analysis showed that an optimum concentration of disintegrants are required for obtaining rapidly dissolving tablets.

KEYWORDS: Irbesartan, Solid Dispersion, Fast dissolving tablets.

MATERIALS AND METHODS

Irbesartan was received as a gift sample from Unichem Laboratories Ltd, Gaziabad. Microcrystalline cellulose was procured from Wockhardt Limited, Aurangabad (Make: BASF Chemicals).

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EVALUATION OF ANTIHISTAMINIC POTENCY AND PHYTOCHEMICAL SCERRNINGOF FICUS GLOMERATA BARK

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ABSTRACT
The Antihistaminic potency of Ficus Glomerata was evaluated by clonidine and haloperidol induced catalepsy in mice. the plant material collected from Ahmednagar district in month of July, shade dried and powder prepared. The successive solvent extraction done by using soxhlet apparatus by petroleum ether and methanol as solvent. Plants that having curing properties are known as medicinal plants or herbs. Herbs have been used in treating human diseases for thousands of years by tribal communities and ancient civilizations. They may be used directly as such, or in other extracted forms for their natural chemical constituents they may also be used as constituents in different forms of medicine. Medicinal plants are not only a major source for the traditional medicine & herbal industry but also provide livelihood and health security to a large segment of Indian population. The methanolic extract of Ficus Glomerata bark shows significant Antihistaminic potency as compare to petroleum ether extract and standard drug chlorpheniramine maleate in clonidine and haloperidol induced catalepsy.

KEYWORDS: Ficus Glomerata, chlorpheniramine.

INTRODUCTION

Ficus racemosa Linn

The genus Ficus constitutes an important group of trees with immense medicinal value. It is a sacred tree of Hindus and Buddhists. Among the varied number of species, the most important ones are the four trees that constitute the group "Nalpamaram", namely, F. racemosa, F.microcarpa, F.benghalensis and F. religiosa (Athi, Ithi, Peral and Arayal respectively).

Gular fig, Cluster fig or Country fig, which is considered sacred, has golden coloured exudates and black bark. This is native to Australia, South-East Asia and the Indian subcontinent. It is unusual in this plant that its figs grow on or close to the tree trunk. It is one of the herbs mentioned in all ancient scriptures of Ayurveda. It has various synonyms like yajnanga, yajniya, yajnayoga, yajnyaasra etc. suggesting its use in ritual sacrifice. The plant grows all over India in many forests and hills. It is frequently found around the water streams and is also cultivated.

Scientific Classification
Kingdom: Plantae.
Division: Magnoliophyta.
Class: Magnoliopsida.
Order: Rosales.
Family: Moraceae.
Genus: Ficus.
Species: F. racemosa.
Synonyms: Ficus glomerata Roxb.
Common names: Udumbara, Gular fig, Cluster fig, Country fig, Cluster Fig Tree, Goolar Fig.

Leaves are ovate, ovate-lanceolate or elliptic, sub acute, entire and petiolate and are shed by December and replenished by January and April, when the tree becomes bare for a short period. It is seen dwelling in areas up to 1200 m altitude on hilltop. This requires well-drained, medium to heavy soils for its successful cultivation and comes up in all kinds of soils except in water logged and clay soil. The plant is propagated by using cuttings of stem and root suckers. Seeds can also be used for propagation. The flowers are pollinated by very small wasps. It has evergreen leaves, if it is close to a water source. Otherwise it sheds its leaves in January. Figs have been traditionally used by children to play. Thin sticks can be joined by inserting them in goolar figs to make interesting shapes.

In the traditional system of medicine, the plant is used for various health problems and diseases. Therefore, the aim of this paper is to present an overview of pharmacognostical, traditional, phtyochemical and pharmacological investigations carried out on this plant.

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Research Article

EVALUATION OF *LEUCAS ASPERA* WHOLE PLANT EXTRACTS FOR DIURETIC AND LAXATIVE PROPERTY

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ABSTRACT

Objective: This study was undertaken to investigate diuretic and laxative potency of *Leucas aspera* whole plant.

Methods: The dried whole plant (leaves, stems, and flowers) material was subjected to extraction by continuous hot percolation method. In evaluation of diuretic activity male albino rats were used as the experimental animals. The first group of animals, serving as control, received normal saline (25 ml/kg, post-operative); the second group received furosemide (10 mg/kg, post-operative) in saline. Other groups received doses of extract (200-400 mg/kg) in normal saline. The parameters determined were total urine volume, the concentration of Na^+(sodium), K^+(potassium), and Cl^- (chloride) in the urine. Na^+ and K^+ concentrations were determined by flame photometer, and Cl^- concentration was estimated by titrimetric method. Laxative activity was also studied using male albino rats. The animal groups were administered orally either with vehicle (1% Tween-80 solution in normal saline, 25 ml/kg), reference standard drug, agar-agar (300 mg/kg, post-operative) in saline or doses of extract (200-400 mg/kg). After 8 h of drug treatment, the feces were collected and weighed.

Results: This study revealed that *L. aspera* whole plant extracts possesses significant diuretic and laxative activity in comparison with the standard drugs. The activity may be due to the chemical constituent present in the plant parts. The further studies may be taken up to isolate these active constituents.

Conclusion: *L. aspera* whole plant possesses diuretic and laxative property since it contains a variety of phytoconstituents.

Keywords: *Leucas aspera*, Diuretics activity, Lipchitz method, Laxative activity, Furosemide, Agar-agar.

INTRODUCTION

Leucas aspera belonging to the family labiate is well-known for its wide medicinal applications (Fig. 1). It is used traditionally as anti-inflammatory, stimulant, in the treatment of jaundice, cough, asthma, conjunctivitis, diabetes, malaria, skin diseases, snakebite, toothache, and wound healing. *L. aspera* is scientifically evaluated for anti-inflammatory activity, analgesic activity, cobra venom induced mortality in mice, anti-parasitic activity, antibacterial activity against *M. pyrogenes*, *V. cuvesi*, and *Escherichia coli*. It is toxic to the filarial vector mosquito, antinociceptive, antioxidant and cytotoxic activity [1-10].

The plants of *L. aspera* revealed the presence of triterpenoids, oleanolic acid, ursolic acid, and 3-sitosterol [11,12]. Aerial parts are reported to contain nicotine, sterols, two new alkaloids (α-sitosterol and β-sitosterol), reducing sugars (galactose), and glucoside [13]. This study was undertaken to evaluate the diuretic and laxative property of *L. aspera* whole plant extracts.

METHODS

Collection and authentication of plant material

L. aspera Family Labiate plants were collected from local areas around the Nashik, Maharashtra, India. The plant material was authenticated by Dr. B. B. Autade, Head Department of Biotechnology, College of through sieve No. 60 and packed in soxhlet apparatus. Extraction was carried out using petroleum ether, ethyl acetate and ethanol as solvents in succession. Extracts were concentrated to dryness under reduced pressure and controlled temperature using flash evaporator. All the extracts were calculated for their extractive values (Table 1).

Preliminary phytochemical investigation

Preliminary phytochemical analysis was carried out to find out nature of chemical constituents present in the extracts. Qualitative chemical test was carried out for all the extracts. It revealed the presence of carbohydrates, proteins, steroids, alkaloids, saponins, tannins, glycosides, and amino acids (Table 2). Phytochemical screening of the extract was carried out according to the standard methods.

Animals used

Male Swiss albino mice weighing 20-25 g and Wistar albino rats weighing 120-150 g were used for acute toxicity study and evaluation of pharmacological studies, respectively. The animals were housed in polypropylene cages and maintained under standard environmental conditions: 25±2°C, 12:12 hr light: Dark cycle and 45-55% humidity, with free access to food and water *ad libitum*. The Institutional Animals Ethics Committee approved all the experimental protocols with permission Letter Vide No. PRCOP/AEC/2015-16/11 dated 20.11.2015.

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Research Article

EVALUATION OF *LEUCAS ASPERA* WHOLE PLANT EXTRACTS FOR DIURETIC AND LAXATIVE PROPERTY

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Received: 17 March 2016, Revised and Accepted: 24 March 2016

ABSTRACT

Objective: This study was undertaken to investigate diuretic and laxative potency of *Leucas aspera* whole plant.

Methods: The dried whole plant (leaves, stems, and flowers) material was subjected to extraction by continuous hot percolation method. In evaluation of diuretic activity male albino rats were used as the experimental animals. The first group of animals, serving as control, received normal saline (25 ml/kg, post-operative); the second group received furosemide (10 mg/kg, post-operative) in saline. Other groups received doses of extract (200-400 mg/kg) in normal saline. The parameters determined were total urine volume, the concentration of Na^+(sodium), K^+(potassium), and Cl^- (chloride) in the urine. Na^+ and K^+ concentrations were determined by flame photometer, and Cl^- concentration was estimated by titrimetric method. Laxative activity was also studied using male albino rats. The animal groups were administered orally either with vehicle (1% Tween-80 solution in normal saline, 25 ml/kg), reference standard drug, agar-agar (300 mg/kg, post-operative) in saline or doses of extract (200-400 mg/kg). After 8 h of drug treatment, the feces were collected and weighed.

Results: This study revealed that *L. aspera* whole plant extracts possesses significant diuretic and laxative activity in comparison with the standard drugs. The activity may be due to the chemical constituent present in the plant parts. The further studies may be taken up to isolate these active constituents.

Conclusion: *L. aspera* whole plant possesses diuretic and laxative property since it contains a variety of phytoconstituents.

Keywords: *Leucas aspera*, Diuretics activity, Lipchitz method, Laxative activity, Furosemide, Agar-agar.

INTRODUCTION

Leucas aspera belonging to the family labiate is well-known for its wide medicinal applications (Fig. 1). It is used traditionally as anti-inflammatory, stimulant, in the treatment of jaundice, cough, asthma, conjunctivitis, diabetes, malaria, skin diseases, snakebite, toothache, and wound healing. *L. aspera* is scientifically evaluated for anti-inflammatory activity, analgesic activity, cobra venom induced mortality in mice, anti-parasitic activity, antibacterial activity against *M. pyrogenes*, *V. cuvesi*, and *Escherichia coli*. It is toxic to the filarial vector mosquito, antinociceptive, antioxidant and cytotoxic activity [1-10].

The plants of *L. aspera* revealed the presence of triterpenoids, oleanolic acid, ursolic acid, and 3-sitosterol [11,12]. Aerial parts are reported to contain nicotine, sterols, two new alkaloids (α-sitosterol and β-sitosterol), reducing sugars (galactose), and glucoside [13]. This study was undertaken to evaluate the diuretic and laxative property of *L. aspera* whole plant extracts.

METHODS

Collection and authentication of plant material

L. aspera Family Labiate plants were collected from local areas around the Nashik, Maharashtra, India. The plant material was authenticated by Dr. R. B. Autade, Head Department of Biotechnology, College of through sieve No. 60 and packed in soxhlet apparatus. Extraction was carried out using petroleum ether, ethyl acetate and ethanol as solvents in succession. Extracts were concentrated to dryness under reduced pressure and controlled temperature using flash evaporator. All the extracts were calculated for their extractive values (Table 1).

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Research Article

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EVALUATION OF NEEM- ARTEMETHER COMBINATION FOR ANTIMALARIAL ACTIVITY IN *PLASMODIUM BERGHEI* INFECTED MICE

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ABSTRACT

Studies have shown that neem possess antimalarial activity and a new combination therapy with of artemisinin derivatives and neem is unique. Neem leaf extract was prepared by Continuous hot extraction method and evaluated for antimalarial activity. The mice survival and % parasitaemia were studied in *Plasmodium berghei (P. berghei)* infected albino mice treated with neem leaf extract and combination of neem extract with artemether. The mean survival time in mice infected with *P. berghei* was compared after treatment with neem extract and combination of neem-artemether. Oral administration of neem leaf extract prolonged the survival of *P. berghei* infected mice. The survival rate in mice treated with neem leaf extract (5mg/day) and artemether (1000 µg) was found 80% after 40 days post infection and they recovered with no detectable parasitemia. Administration of neem artemether combination reduced the parasitaemia in mice more effectively compared to that in mice treated with a single drug. A single dose of 1000 µg of artemether in combination with neem gives complete protection in *P. berghei* infected mice. Suppressive action exerted by combination was superior to that of administration of single drug at the same dose.

KEYWORDS: artemether, mice survival, neem leaf extract, % parasitemia.

INTRODUCTION

The increase in prevalence of multidrug resistance malaria dramatically illustrates the continuous need for new antimalarial agents. One possible approach is the identification of new antimalarial drug candidates in plants, empirically used to treat malaria. Artemisinin (qinghaosu) derivatives have all been used in combination with other antimalarial drugs for the treatment of malaria. Artemisinin derivatives are eliminated rapidly and has a short half life. When given in combination with a longer half-life "partner" antimalarial drug allows a reduction in the duration of treatment, while at the same time enhancing efficacy and reducing the likelihood of resistance development[1,2].

Azadirachta indica (A. indica), is one of the most promising medicinal plants, having a wide spectrum of biological activity. Every part of the neem tree has been known to possess a wide range of pharmacological properties. Neem has been extensively used in Ayurveda, Unani and Homeopathic medicine. The Sanskrit name of the neem tree is 'Arishtha' meaning 'reliever of sickness'. The importance of the Neem tree has been recognized by the US National Academy of Sciences, which published a report in 1992 entitled 'Neem-a tree for solving global problems'[11]. It was showed that nimbidin, azadirachtin and gedunin present in neem possess antimalarial activity[20]. An active ingredient azodin A from Neem leaves was isolated, which was found toxic to causative strains of malaria. Components of the alcoholic extracts of leaves and seeds are effective against both chloroquine-resistant and sensitive strains of malarial parasite[21]. The antimalarial potential of neem in combination with artimisinin derivative has been explored with major conclusion that combination is more effective[19].

MATERIALS AND METHODS

Animals

Inbred albino rats were obtained from the animal house of Pravara Medical College, Pravaranagar. The research was conducted in accordance with standard institutional guidance given by the Institutional Animal Ethics Committee (IAEC). The Labs used for the purpose was approval by Committee for the purpose of control and supervision of experiments on animals, Ministry of social justice and empowerment, Govt. Of India (Registration No. -448/01/c/CPCSEA).

Collection of plants, processing and their extraction

The leaves of azadirachta indica was collected from ahmednagar district. The leaves of plant were dried

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Review Article **Open Access**

Medicinal Chemistry of Tyrosine Kinase Inhibitor Drug: A Review

Amol S Dighe*[1], Sagar D. Magar[1], Nachiket S. Dighe[2]

Department of Pharmaceutical Chemistry, Pravara Rural College of Pharmacy, Pravaranagar, Loni, Maharashtra, India.

ABSTRACT

Tyrosine kinases are a part of many cell functions, including cell signaling, growth, and division. These enzymes may be too active or found at high levels in some types of cancer cells, and blocking them may help keep cancer cells from growing. Some tyrosine kinase inhibitors are used to treat cancer. They are a type of targeted therapy. Numerous TKIs aiming at various tyrosine kinases have been generated by the originators of these compounds and proven to be effective anti-tumor agents and anti-leukemic agents. Dasatinib, Erlotinib, Gefitinib, Imatinib, Lapatinib, Sorafenib, Sunitinib drugs approved by the FDA in 2016, appears to be on its way to the standard of care in regards to TKIs.

Keywords: Tyrosine kinases, Dasatinib, Erlotinib, Gefitinib, Imatinib

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PAPER-QR CODE

Citation: Amol S. Dighe, *et al.* Medicinal Chemistry of Tyrosine Kinase Inhibitor Drug: A Review. *Int. J. Chem. Pharm. Sci.*, 2016, 4(11): 439-444

1. Introduction

A substance that blocks the action of enzymes called tyrosine kinases. Tyrosine kinases are a part of many cell functions, including cell signaling, growth, and division. These enzymes may be too active or found at high levels in some types of cancer cells, and blocking them may help keep cancer cells from growing. Some tyrosine kinase inhibitors are used to treat cancer. They are a type of targeted therapy. A tyrosine kinase inhibitor (TKI) is a pharmaceutical drug that inhibits tyrosine kinases. Tyrosine kinases are enzymes responsible for the activation of many proteins by signal transduction cascades. The proteins are activated by adding a phosphate group to the protein (phosphorylation), a step that TKIs inhibit. TKIs are typically used as anticancer drugs. For example, they have

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Nanochemistry: Recent Advances and Future Perspectives: A Review

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ABSTRACT

Nanoscience and nanotechnology represent one of the main directions of natural science of the twenty-first century and are being actively and rapidly developed. Nanoscience deals with the search and description of fundamental phenomena, relationships, and properties typical of small-scale particles of the nanometer size. Nanotechnology implements the achievements of nanoscience in new processes, materials, and devices. In nanoscience and nanotechnology, the fundamental and applied problems are intertwined, and the latest achievements of theoretical and experimental physics, chemistry, biology, material science, and technology are used. Nanoscience is a multi-branch direction of natural science that combines the features typical of living organisms and the inorganic world. Nanochemistry forms an important part of nanotechnology, because a lot of processes and syntheses of new materials start from atoms, molecules, clusters, nanoparticles.

Keywords: Nanotechnology, Nanoscience.

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PROTAGONIST ROLE OF HERBAL MEDICAMENT IN MALIGNANT CHEMOKINESIS

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ABSTRACT

Herbal medicament is one of the most commonly used complementary and alternative therapies by people with chemokinesis. Based on a common belief, herbal medicine with the least possible side effects should be the center of attention in malignancy care; however, in many cases they have not been properly studied with reliable clinical trials in human subjects. Also, the safety of herbal anticancer compounds is discussed. There is currently no strong evidence from studies in people that herbal remedies can treat, prevent or cure cancer. In this review, it was attempted to identify the protagonist role on the use and clinical effects of herbs in malignancy care.

KEYWORDS: Malignancy, Herbs, Prevention, Safety, Chemokinesis.

1. INTRODUCTION

Malignancy is the uncontrolled growth of abnormal cells in the body which invade and destroy nearby tissue and that may metastasize to other parts of the body. Malignant cells are also called as cancerous cells. Cancer is a hyper proliferative disorder that involves transformation, dysregulation of apoptosis, proliferation, invasion, angiogenesis and metastasis. Cancers with alarming statistics, cause more than 7 million deaths per year worldwide, more than HIV/AIDS, malaria and tuberculosis combined.[1] Malignancyappears to occur when the growth of cells in the body is out of control and cells divide too quickly. Cancer can develop in almost any organ or tissue, such as the lung, colon, breast, bones, or nerve tissue. Malignancies generally arise because of mutations in the DNA of at least one cell which then behaves abnormally. There are many causes for cancers viz, chemicals like benzene, beryllium, asbestos, vinyl chloride, arsenic etc, drinking excess alcohol, genetic

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Research Article

Quantitative Estimation of Guggulsterone E & Z in Polyherbal Tablet Formulation by HPLC.

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ABSTRACT
Guggulsterone is the active constituent of *Commiphora mukul* which is the main ingredients of formulation. The formulation was found to be potent for Triton X-100 induced Hyperlipidemic model of anti-hyperlipidemic activity. In the present study an attempt has been made to develop a HPLC method for quantitative estimation of Guggulsterone in anti-hyperlipidemic polyherbal tablet formulation. The HPLC separation was performed on a C18 column (250 x 4.6 mm, 5 µ) using solvent system acetonitrile: water (45: 55) at flow rate of 2 ml/min. Detection was carried out at 242 nm. The content of guggulsterone E & Z in polyherbal formulation was found to be 0.561 mg/tablet.

KEYWORDS
Guggulsterone, *Commiphora mukul*, HPLC, polyherbal formulation, anti-hyperlipidemic.

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Pharmaceutical Sciences
RESEARCH ARTICLE .....!!!

SYNTHESIS AND BIOLOGICAL EVALUATION FOR ANTI-DEPRESSANT ACTIVITIES OF TRIAZOLE SUBSTITUTED PHENOTHIAZINE DERIVATIVES

Magar Sagar D. [*1], Dighe Nachiket S. [1], Nibe Nutan V. [1],

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KEYWORDS:

Antidepressant activities, Despair swim test, Phenothiazine, *Sprague Dawley Rats*.

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ABSTRACT

In this study, a series of triazole substituted phenothiazine derivatives was synthesized and evaluated for their antidepressant activity by forced swim test (FST).The synthesized compounds were tested for purity which was confirmed by melting point and TLC. A structure of final compounds was confirmed by CHN analysis, IR and ^{1}H-NMR. Antidepressant activity of all the synthesized compounds was evaluated by despair swim test by using *Sprague-Dawley Rats*. Standard drug Imipramine was used as the control. In the despair swim test, all the synthesized derivatives showed antidepressant activity. Among them four Compounds (A_3, A_9,A_{13}) showed significant antidepressant activity comparing with control drug imipramine. These results are useful for the further investigation in the future.

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INTERNATIONAL JOURNAL OF INSTITUTIONAL PHARMACY AND LIFE SCIENCES

Pharmaceutical Sciences **Review Article……!!!**

Received: 16-02-2016; Revised: 19-02-2016; Accepted: 20-02-2016

QUINOLONES ANTIBACTERIAL AGENT WITH BROAD SPECTRUM ACTIVITY: A REVIEW

Rahul K. Godge[1]*, Nachiket S. Dighe[1], Rahul Kunkulol[2]

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Keywords:

Quinolones, antibacterial agents, mechanism of Action

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ABSTRACT

Quinolones are broad-spectrum antibiotics (effective for both gram-negative and gram-positive bacteria) that play an important role in treatment of serious bacterial infections, especially hospital-acquired infections and others in which resistance to older antibacterial classes is suspected. It was recognized as pyretic degradation product of cinchonamine. They are colourless liquid and miscible with organic solvent and dissolve in water and also they are slightly weaker bases. This review considers the quinolones that are available currently and used widely in India (norfoxacin, ciprofloxacin, ofloxacin, levofloxacin and moxifloxacin) within their historical perspective, while trying to position them in the context of recent and possible future advances based on an understanding of their chemical structures and how these impact on activity and toxicity; resistance mechanisms (mutations in target genes, efflux pumps).

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Research Article
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RP- HPLC METHOD FOR ESTIMATION OF PSEUDOEPHEDRINE HYDROCHLORIDE IN BULK AND TABLET

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ABSTRACT

A simple, selective, rapid, precise and economical reverse phase high-pressure liquid chromatographic method has been developed for the estimation of Pseudoephidrine hydrochloride from pharmaceutical formulation by using internal standard Chlorpheniramine maleate. The method was carried out on a HiQ sil C18 W (250mm x 4.6mm) column, with a mobile phase consisting of Acetonitrile: Methanol : Phosphate buffer (45:40:15 v/v) at a flow rate of 1.5 ml/min. Detection was carried out at 265 nm. The retention time of Pseudoephidrine hydrochloride and Chlorpheniramine maleate were 2.508 and 3.300 min respectively. The developed method was validated in terms of accuracy, precision, linearity, Limit of detection, Limit of quantitation. The proposed method can be used for estimation of drug in dosage form for routine analysis.

KEYWORDS: Pseudoephedrine hydrochloride, Chlorpheniramine maleate, RP-HPLC.

INTRODUCTION

Pseudoephedrine hydrochloride is chemically 2-methylamino-1- phenyl-1-propanol hydrochloride and is official in the United States Pharmacopoeia[1], British Pharmacopoeia[2], and Indian Pharmacopoeia.[3] Pseudoephedrine hydrochloride is a white, crystalline powder and the molecular mass of Pseudoephedrine hydrochloride is 201.69 g/mol.[4] Pseudoephedrine is a decongestant that shrinks blood vessels in the nasal passages. It is used to relieve nasal congestion caused by colds, allergies, and fever. Pseudoephedrine occurs naturally as an alkaloid in certain plant species, the majority of pseudoephedrine produced for commercial use is derived from yeast fermentation of dextrose in the presence of benzaldehyde. The salts pseudoephedrine hydrochloride and pseudoephedrine sulfate are found in many over the counter preparations either as single-ingredient preparations, or more commonly in combination with antihistamines active substances including cetirizine[5] in capsule or coated tablet forms for the treatment of seasonal allergic rhinitis. Several methods such as HPLC[6-7], HPTLC[8], packed column supercritical fluid chromatography[9], and spectrophotometry[10- 11] have been reported in the literature. The present HPLC method was validated as per ICH guidelines.[12]

EXPERIMENTAL

Reagents and Chemicals

Acetonitrile (HPLC grade) and Methanol (HPLC grade) was purchased from Merck specialties pvt. Ltd. (Worli, Mumbai, India) and Water (HPLC grade) was purchased from Loba Chemie (Mumbai, India). Phosphate buffer was purchased from Sisco research Laboratories Pvt. Ltd.(Mumbai, India). All other reagents used were of HPLC grade.

Pharmaceutical formulation

Commercial tablets, each containing Pseudoephidrine hydrochloride Dose 60mg (Sudafed) was procured from the local market.

Method Development

Different mobile phases containing methanol, water, Acetonitrile, and different buffers in different proportion were tried and finally of Acetonitrile: Methanol: Phosphate buffer 45:40:15 v/v was selected as mobile phase which gave good resolution and acceptable peak parameters for Pseudoephedrine hydrochloride.

System Suitability Studies

The resolution, number of theoretical plates and peak asymmetry were calculated for the standard solutions and is as shown in Table 1. The values obtained demonstrated the suitability of the system for the analysis of these drugs in combinations. The typical chromatogram of standard solution is as shown in Fig 1.

Apparatus and chromatographic Conditions

Chromatographic separation was performed on a Jasco chromatographic system equipped with a Jasco PU-2080 plus HPLC pump, Jasco UV-2075 plus UV / VIS detector and Rheodyne injector with 20 ml loop volume. HiQ Sil. C18 (250mm x 4.6 mm i.d) was used for the

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Organic Chemistry: An Indian Journal

Research | Vol 12 Iss 6

Synthesis and Anti Convulsant Activity of Novel Oxadiazole Substituted Phenothiazine Derivatives

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Abstract

The research is directed towards the synthesis and evaluation of novel agents for the treatment of various neurological disorders. The phenothiazine nucleus has been well explored for the various biological activities in past. The oxadiazole substituted phenothiazine have been of keen interest as a drug candidate for the treatment of various neurological disorders. In view of these an attempt has been made to synthesize substituted phenothiazine and explore them for promising anti convulsant activity. The anti-convulsant activity of synthesized compounds had been done by using Strychnine induced and 4-amino pyridine induced models.

Keywords: ***Anticonvulsant activity; Strychnine induced model; Thiosemicarbazide induced model, 4-Amino pyridine induced model; Neurotoxicity screening***

Introduction

A convulsion is a medical condition where body muscles contract and relax rapidly and repeatedly, resulting in an uncontrolled shaking of the body. Because a convulsion is often a symptom of an epileptic seizure, the term convulsion is sometimes used as a synonym for seizures [1-3]. However, not all epileptic seizures lead to convulsion, and not all convulsions are caused by epileptic seizures. Convulsion is also consistent with an electric shock and improper Enriched Air scuba diving [4]. A seizure occurs when nerve cells in the brain send out sudden, excessive, uncontrolled electrical signals [5]. Everyone's brain has continuous electrical activity. When something goes wrong with this activity your child may have a seizure. Seizure can produce a variety of symptoms depending on what part of the brain is involved. Generalized and partial

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Synthesis and Anti Convulsant Activity of Novel Oxadiazole Substituted Phenothiazine Derivatives

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Research

Synthesis and Anticancer Evaluation of Thiazole Substituted Phenothiazine Derivatives

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Abstract

The current need for the development of newer chemical entities for the treatment of cancer is ever growing day by day to meet this requirement an effort had been made to synthesize phenothiazine derivatives with potential anti-cancer activity. The synthesized compounds show significant in-vitro anti-cancer activity. The concept of research involved in this is directed towards the development newer heterocyclic compounds for their anti-cancer activity. The synthesis of new series of 2-amino, 4-phenylthiazole substituted 10H-phenothiazine derivatives. The phenothiazine derivatives are from the 2-chloro benzoic acid and anilines as starting material. The synthesized compounds were tested for their preliminary tests, physical constants, TLC, IR, ^{1}H-NMR Spectra and CHN analysis confirmed the structures of the final compounds. The phenothiazine derivatives are evaluated for anticancer activity by the onion root tip assay, potato disc assay and Trypan blue Assay. The cyclophosphamide use as a standard drug. The available in vitro studies have led to the recognition that the new phenothiazine derivatives might be considered to be more effective anticancer agents

Keyword: Anticancer Activity; Onion Root Tip Assay; Potato Disc Assay; Trypan Blue Assay

Introduction

The cancer is a major public health problem worldwide and second leading to cause of death. There were an estimated 14.1 million cancer cases around were in 2012 of these 7.4 million cases were in man and 6.7 million in women [1-3]. This number is expected to increase to 24 million by 2035. Each year on February 4, the International Union against Cancer (UICC) leads a World Cancer Day in order to raise awareness of cancer prevention. In response to the urgency of the rising incidence of cancer, World Health Organization (WHO) member states approved a resolution on cancer prevention and control in 2005 at the 58th World Health Assembly in Geneva. In addition, at the World Cancer Congress in 2006 in Washington D.C. the global cancer community united behind a call for urgent action to deal with the growing worldwide cancer burden by launching the first World Cancer Declaration, which outlines the steps needed to begin to reverse the global cancer crisis by 2020 [4-6]. According to the World Health Organization, non-communicable diseases (NCDs) – such as cancer, heart disease and diabetes – claim more than 35 million lives each year and account for about 60 percent of all deaths worldwide. About 28 million, or 80 percent, of the people who die, live in low- and middle-income countries.

The 2-aminothiazoles occur widely in structures of pharmaceutical interest together with many natural products. They are used as intermediates in the synthesis of antibacterial, antifungal, anticancer and anti-inflammatory activities. Synthetic thiazoles have also been shown a wide variety of biological activity such as antitumor activity, analgesic, antimicrobial, anticonvulsant, anthelminthic and insecticidal activity. Phenothiazine is a nitrogen and sulfur containing heterocyclic ring which is having the dopamine antagonist activity. It is a basic anti-psychotic drug, but it have many activity like antidepressant, anticancer, antiviral, anti-inflammatory, antimicrobial, anticonvulsant, antipsychotic etc.

Materials and Methods

Reagents

The chemicals which are used in this study were supplied by E. Merck and LOBA Co. All the reactions were monitored by TLC using silica gel G.

Equipment's

The melting point determinations were done by using in open glass capillary using Kjeldahl flask containing liquid paraffin. IR spectra were recorded on the (JASCO) FTIR-Spectrophotometer using KBr pellets. 1HNMR spectra were recorded on BRUKER AVANCE II 400 NMR spectrometer in DMSO using tetra methyl silane (TMS) as internal reference.

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BAOJ Pharmaceutical Sciences

Nachiket S Dighe, et al., BAOJ Pharm Sci 2016, 2: 3
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Research

Synthesis and Anticancer Evaluation of Thiazole Substituted Phenothiazine Derivatives

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Abstract

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A Literature Review on Different models for Human and Vehicle Tracking

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ABSTRACT: The term Object Tracking can be introduced as a process of determining the location of moving object in consecutive video frames. Tracking an object in motion is quite challenging task. Tracking implies as a method for tracking multiple objects whose number is unknown and varies during tracking. Increasing criminal incidences as well as traffic congestion, speed violation, illegal driver behaviours and reckless driving can be sorted out with help of tracking. The first step is of developing Object Detection Model. Tracking can be carried out efficiently and effectively by separating the object of interest from other objects and background. The second step is to find a particular region in the image known as area of interest which has highest similarity with the model. The source for object tracking may either be from consecutive frame sequence inputted live or from previously stored videos. After the Co-ordinate values are determined, the object of interest is tracked by camera. The co-ordinate information is fed forward to a camera set up which automates the tracking process. The camera set up moves accordingly so as to keep the object of interest in view. The camera set up is simply a hardware system with stepper motors. Thus it is a continuous monitoring and tracking system. Here the objects of interest to be tracked are namely Human and Vehicle.

KEYWORDS: Object Detection, Frame Differencing, Background Subtraction, Morphological Operation, Object Tracking, Template Matching.

I. INTRODUCTION

There has been an increase in various crimes and mishaps such as robbery, kidnapping, bomb blasts, terrorist attacks which arises the need of Security in public areas such railway stations, air ports, banks, historical places, parking. So as to keep an eye on suspicious activities or persons there is a need of continuous surveillance. In case of emergency continuous surveillance is of prime importance so as to alert and to catch the responsible. Since the up till date monitoring systems are incapable of handling real time processing because of lower efficiency and higher costs. There is a need for smart real time video surveillance systems which can respond in prime time.

Thus there is need of Object Detection and Tracking. Now how do we know which object is to be detected and tracked. This shifts our concern to the object of interest. Basically for analysing a video there is need to detect the mobile object from one video frame to another and further recognizing it. For detecting an object its representation is of utmost importance as objects may have varied shapes, sizes, colours not pointing to their appearances. An object of interest is namely the object of concern in a video frame which is to be tracked. Up to the present date object tracking has the following extensive applications:

- Automatic Object Recognition that is determining the class of object.
- Human identification based on motion trajectory
- Detection of suspicious events automatically
- Real time Traffic monitoring
- Obstacle avoidance based on path estimation

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Review Article Open Access

Breast Cancer: A Review

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ABSTRACT

Breast cancer is cancer that develops from breast tissue. In those with distant spread of the disease, there may be bone pain, swollen lymph nodes, shortness of breath, or yellow skin. Breast cancer most commonly develops in cells from the lining of milk ducts and the lobules that supply the ducts with milk. Worldwide, breast cancer is the leading type of cancer in women, accounting for 25% of all cases. The diagnosis of breast cancer is confirmed by taking a biopsy of the concerning lump. A malignant tumor can spread to other parts of the body. A breast cancer that starts off in the lobules is known as *lobular carcinoma*, while one that develops from the ducts is called *ducal carcinoma*.

Keywords: Breast Cancer , Breast Cancer Awareness , Women's Cancer

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Challenges and opportunities in the treatment of ulcerative colitis

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Author contributions: Nirmal SA collected data about ulcerative colitis; Gangurde SS contributed to manuscript preparation; Dumbre PS contributed to manuscript preparation and editing data; Pal SC collected data about various plants used for the treatment of ulcerative colitis; Mandal SC collected data about various plants used for the treatment of ulcerative colitis.

Conflict-of-interest: All the authors do not have any conflict of interest.

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Abstract

Ulcerative colitis (UC) is an inflammatory destructive disease of the large intestine occurred usually in the rectum and lower part of the colon as well as the entire colon. Drug therapy is not the only choice for UC treatment and medical management should be as a comprehensive whole. Many synthetic drugs are available for the treatment of UC like 5-aminosalicylic acid, oral or systemic corticosteroids, immunomodulator, *etc*. but these drugs are associated with many serious side effects after long term use or have certain disadvantage or not suitable for the use in some patients. In short synthetic drugs have many disadvantages and for this reason effective and safe alternative drug treatment for the UC is the challenge. Herbal drugs are found to be very promising results of the treatment of UC and enzymatic level. Researchers explored many herbal drugs for the treatment and even many more may found effective in the treatment of UC. At this point we feel herbal medicine is the better alternative for the treatment of UC.

Key words: Ulcerative colitis; Herbal drugs; Synthetic drugs

Core tip: Ulcerative colitis (UC) is one of the diseases of gastro intestinal tract having many serious complications. Many synthetic drugs are available for the treatment of UC but they have many serious side effects after long term use. This review presents potential of traditional/

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Curcumin Metallocomplexes: Reexploring Therapeutic Potential of Curcumin

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ABSTRACT

The natural product curcumin is a polyphenolic compound extracted from the rhizome of *Curcuma longa L.* Curcumin is the principle curcuminoid present in turmeric, responsible for its bright yellow color. Curcumin is a nature's gift to mankind which has broad range of therapeutic, diagnostic and prophylactic potential. In addition to its use as a spice, flavoring and coloring agent in food, turmeric has been used in India for medicinal values for centuries. In Ayurveda, use of curcumin is well documented for the treatment of various ailments. But the applications of curcumin are curtailed by its low solubility, stability, bioavailability, rapid metabolism and short half life. This weapon can be sharpened and re explored as new age one key answer to many ailments and disorders by using it in the form of liposomal, nanoparticulate, microparticulate drug delivery and also by complexing it with metal ions, polymers, cyclodextrine and other carriers. Curcumin has ability to bind with various transition and earth metal ions to form stable complex. Complexation of curcumin with transition metals is one of the useful ways to overcome the problem related to solubility, stability and bioavailability. From several recent studies, it was observed that curcumin metallocomplexes shows greater therapeutic effects than curcumin alone.

Keywords: Curcumin, curcumin metal complex, stability, bioavailability.

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Original Article

CURCUMIN-ZN-ARTEMETHER COMBINATION THERAPY FOR *PLASMODIUM BERGHEI* INFECTED MICE

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ABSTRACT

Objective: Studies have shown that a new combination therapy with artemisinin derivatives and curcumin is unique, with potential advantages over known Artemisinin Combination Therapy (ACT). The problems of poor solubility, stability and bioavailability of curcumin can be overcome by preparing curcumin metal complex. In present study curcumin-Zn complex was prepared and evaluated for antimalarial activity in combination with artemether.

Methods: Curcumin Zn complex was prepared using zinc sulfate. The mice survival and % parasitemia were studied in Plasmodium *berghei (P. berghei)* infected albino mice treated with curcumin, curcumin-Zn complex and combination of curcumin-Zn with artemether.

Results: The mean survival time in mice infected with *P. berghei* was compared after treatment with curcumin, curcumin-Zn, artemether and combination of curcumin-Zn-artemether. Oral administration of curcumin-Zn-artemether prolonged the survival of *P. berghei* infected mice. All the mice were treated with Curcumin-Zn (5 mg/day) artemether (1000 µg) survived for more than 40 d and recovered with no detectable parasitemia. Administration of curcumin-Zn artemether combination reduced the parasitemia in mice more effectively compared to that in mice treated with a single drug.

Conclusion: In vivo antimalarial activity of curcumin-Zn complex was found superior to curcumin. A single dose of 1000 µg of artemether in combination with curcumin-Zn gives complete protection in *P. berghei* infected mice. Such suppressive action was superior to that of administration of the single drug at the same dose. This may reduce the chances of drug resistance.

Keywords: Curcumin-Zn complex, Artemether, Mice survival, % parasitemia.

INTRODUCTION

Resistance to first line drugs to treat malaria is the prime problem in controlling it. Parasitic resistance to chloroquine and then to others was first noticed in the 1979 and has since spreading all over the world. Chloroquine-resistance is associated with reduced sensitivity to other drugs such as quinine and amodiaquine. Immediate measures are needed to replace antimalarial drugs which are rapidly becoming ineffective with newer, cheaper and effective antimalarial [1].

Combination therapies preferably using "novel" antimalarial drugs are the way forward for improving therapeutic efficacy and delaying development of resistance in antimalarial treatment. Artemisinin (qinghaosu), artesunate, artemether and dihydroartemisinin have all been used in combination with other antimalarial drugs for the treatment of malaria. Artemisinin derivatives are eliminated rapidly and have a short half-life. When given in combination with a longer half-life "partner" antimalarial drug allows a reduction in the duration of treatment, while at the same time enhancing efficacy and reducing the likelihood of resistance development [2].

The natural product curcumin is a polyphenolic compound extracted from the rhizome of *Curcuma longa L.* In India, it is commonly used as a spice to add color and flavor to the food. In Ayurveda, use of curcumin is well documented for the treatment of various ailments [3].

Studies have shown that a new combination therapy with artemether (ARM) and curcumin is unique, with potential advantages over the known ACTs. Both drugs have short half-lives and no resistance is known to curcumin. Curcumin, in addition to having a direct killing effect as an antimalarial, is also able to activate the immune system against *P. berghei* [4, 5].

An important issue with curcumin is its poor bioavailability, low stability, rapid metabolism and short half-life [6]. Several attempts are under way to improve bioavailability through the use of preparations such as liposomes, phospholipid complexes, nanoparticles or microparticles [7, 8]. Complexing curcumin with transition metals is one of the useful ways to overcome the problem related to solubility, bioavailability and stability [9]. In present study curcumin-Zn complex was prepared and evaluated for antimalarial activity as single drug therapy and in combination with artemether.

MATERIALS AND METHODS

Curcumin was purchased from Phytopharma actives Pvt. Ltd., Mumbai. Giemsa stain (Himedia), tween 60, zinc sulfate and glycerol (Research lab) were purchased from local markets.

Animals

Inbred albino rats were obtained from the animal house of Pravara Medical College, Pravaranagar. The research was conducted in accordance with standard institutional guidance given by the Institutional Animal Ethics Committee (IAEC). The Labs used for the purpose was approved by Committee for the purpose of control and supervision of experiments on animals, Ministry of social justice and empowerment, Govt. Of India (Registration No.-448/01/c/CPCSEA).

Preparation of curcumin-Zn complex

Zinc sulfate ($ZnSO_4 \cdot 7H_2O$) was mechanically mixed in a mortar with curcumin ($Zn2+$: Curcumin 1/1 mole) until homogeneous powder mixture was obtained. Then, glycerol/water (1: 1 v/v) solution was added to mixture, followed by mechanical shaking at 25 °C until pasty combination was obtained. Pasty product was dried at 50 °C and free glycerol was eliminated by washing with distilled water. A dark-colored powder complex of curcumin-Zn was obtained [10].

Characterization of curcumin and curcumin-Zn complex [11, 12]

Fourier transform infrared spectroscopy (FTIR) analysis

FTIR spectroscopy of curcumin and curcumin-Zn complex was performed on FTIR (Jasco FT/IR-4100) spectrophotometer. About 5 mg of sample was mixed with 100 mg of Potassium bromide (KBr)

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Research Article

Design, synthesis and Anti-depressant activity of some novel derivatives of Benzothiazepine

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Abstract

Antidepressants are the drugs used to treat depression thereby elevates mood and modifies the behavior. The main aims in the development of new antidepressants were greater efficacy, absence of side effects, lack of toxicity in over dose and earlier onset of action. In this study, a series of novel substituted benzothiazepine was synthesized and evaluated for antidepressant-like activity by using or forced swim test (FST).
This study was designed to synthesized; identify and antidepressant-like activity of novel derivatives of benzothiazepine.

Our synthetic route started from substituted aromatic aldehyde which was reacted with 2-aminothiophenol and ethyl or methyl acetoacetate led to the formation of the title compounds. Total 22 benzothiazepine derivatives were synthesized. All compounds were tested for antidepressant activity by using or forced swim test (FST).

All the synthesized compounds were subjected to antidepressant-like activity study on Sprague-Dawley rats by despair swim test. Imipramine was used as standard control. The results showed that all the compounds showed antidepressant activity. Among them two Compounds (A_5, A_8, B_1 B_2, and B_3) showed significant antidepressant-like activity comparing with standard control imipramine.

We investigated the importance of functional group substitutions, in the structural framework of the compounds for their antidepressant-like activity. All compounds showed significant antidepressant-like activity at dose (30 mg/kg). Finally, the encouraging result of the antidepressant-like activity displayed by these compounds may be of interest for further structural modifications to the lead compound and next level studies in the hope of finding a new potent antidepressant prescription.

Keywords: Antidepressant, benzothiazepine, Sprague-Dawley Rats, forced swim test (FST).

1. Introduction

To the present knowledge, antidepressant drugs used in the treatment of major depressive disorders are believed to act on the central monoaminergic systems mainly serotonin (5-hydroxytryptamine, 5-HT) and noradrenaline (NA) synaptic neurotransmissions. Selective serotonin reuptake inhibitors (SSRIs: paroxetine, fluoxetine, citalopram, escitalopram, fluvoxamine, sertraline) and noradrenaline reuptake inhibitors (NRIs: reboxetine, desipramine) are the most common prescribed antidepressant drugs[1]. They exert their therapeutic effects by increasing availability of 5HT and NA neurotransmitters in the synapses of different limbic areas including the frontal cortex. Although SSRIs and NRIs are effective in treating most depressive episodes, a significant proportion of depressed patients do not display signs of mood improvement until 2–3 weeks after the start of the treatment[2]. Furthermore, about one third of these patients show only partial or no response to the treatment[3]. In addition, some side effects are reported during the chronic treatment such as gain weight and sexual

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Research Article

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Development and Evaluation of Gastroretentive Floating T
Neem Leaf Extract Using Psyllium Husk

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1. Research Scholar, Vinayaka Missions University, Salem, Tamilnadu Ind
2. Department of Pharmaceutical Chemistry, MAEER's Maharashtra Institute of P
Kothrud, Pune, Maharashtra, India.

ABSTRACT

Gastro retentive systems can remain in the gastric region for several hours and hence gastric residence time of drugs and improve the bioavailability. The aim of this p develop sustained release floating matrix tablet for hydroalcoholic extract of neem psyllium husk as release controlling polymer along with synthetic polymer HPMC sodium bicarbonate as gas generating agent. The tablets were prepared by direct method. Seven different formulations A1 to A7 were prepared by varying the cor psyllium husk, HPMC K100 M and sodium bicarbonate. Tablets were evaluated for compression parameters like tablet thickness, hardness, weight variation, drug conte floating lag time and *in vitro* drug release. Results for angle repose, swelling i variation, drug content, thickness, hardness, % friability for all the formulations wer in acceptable limit. *In vitro* drug release was observed for 12 hours and all the tablet followed zero-order kinetics and/ or Korsemeyer-Peppas model in drug release. The were optimized on the basis of buoyancy time and *in vitro* drug release. The optimize was found to be A4 with 98.77% *in vitro* drug release in 12 h and 212 seconds buoya $BaSO_4$ tagged formulation, similar to formulation A4 was tested in *in vivo* gastric re in rabbits. It was observed that formulation kept floating in the stomach region Formulations containing combination of psyllium husk and HPMC K100M bicarbonate as gas generating agent can be a promising way for formulating gastror delivery systems.

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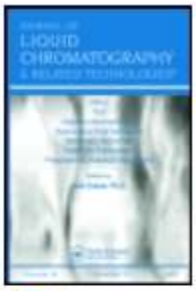

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Development and Validation of HPTLC Method to Detect Curcumin and Gallic Acid in Polyherbal Microencapsulated Formulation

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DEVELOPMENT AND VALIDATION OF HPTLC METHOD TO DETECT CURCUMIN, PIPERINE, AND BOSWELLIC ACID IN POLYHERBAL TRANSDERMAL PATCH

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Research Article **CODEN: IJPNL6**

DEVELOPMENT AND VALIDATION OF RP-HPLC METHOD FOR SIMULTANEOUS ESTIMATION OF SOME DRUGS IN PHARMACEUTICAL FORMULATION

Mahesh H. Kolhe*; Ujwala K. Waghmare; Ramesh D. Bhusal ; Pranit P. Hajare ; Swapnil R Chavan

Department of Pharmaceutics, Pravara Rural College of Pharmacy, Loni Bk -413736, Maharashtra, India.

***Corresponding author e-mail:** kolhe.mh@rediffmail.com

ABSTRACT

A simple, accurate and reproducible RP-HPLC method has been developed for simultaneous estimation of Aspirin and Ticlopidine hydrochloride in tablet dosage form. The RP-HPLC analysis is carried out using Acetonitrile: Ammonium acetate buffer (0.05 M) in the ratio of (68: 32 % v/v) as the mobile phase and MOS Thermosil C8 column (250 mm × 4.6 mm i.d.), flow rate 1.0 mL/min, with detection wavelength of 240 nm. Linearity was obtained in the concentration range of 10-50 µg/mL and 20-100 µg/mL for Aspirin and Ticlopidine hydrochloride respectively. The RP-HPLC methods was developed and statistically validated as per ICH guidelines.

Keywords: Liquid chromatography, mass spectrometers, electrospray ionization

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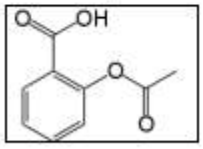
Chromatography is a new technique first invented by M. Tswett, a botanist 1906 in Warsaw. Chromatography, although primarily a separation technique, is mostly employed in chemical analysis. Nevertheless, to a limited extent, it is also used for preparative purposes, particularly for the isolation of relatively small amounts of materials that have comparatively high intrinsic value. Chromatography is probably the most powerful and versatile technique available to the modern analyst. In a single process it can separate a mixture into its individual components and simultaneously provide a quantitative estimate of each constituent. Samples may be gaseous, liquid or solid in nature and can range in complexity from a simple blend of two enantiomers to a multi component mixture containing widely differing chemical species. Furthermore, the analysis can be carried out, at one extreme, on a very costly and complex instrument, and at the other, on a simple, inexpensive thin layer plate.

Aspirin (ASP):

Description: The prototypical analgesic used in the treatment of mild to moderate pain. It has anti-inflammatory and antipyretic properties and acts as an inhibitor of cyclooxygenase which result in the inhibition of the biosynthesis of prostaglandins. Acetylsalicyclic acid also inhibits platelet aggregation and is used in the prevention of arterial and venous thrombosis. Color: off white to white. Appearance: Fine crystalline powder slightly hygroscopic. Odor: Odorless

The structural formula of Aspirin is



A) Test procedure: Add 2 ml of a 2 percent w/v solution to a few ml of 2, 6-dichlorophenolindophenol solution.
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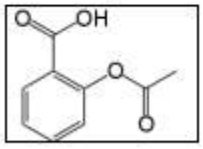

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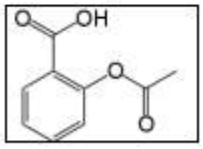

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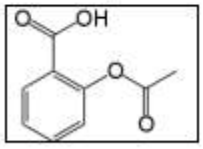

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DEVELOPMENT AND VALIDATION OF TLC DENSITOMETRIC METHOD FOR THE SIMULTANEOUS ESTIMATION OF METOPROLOL SUCCINATE AND HYDROCHLOROTHIAZIDE IN TABLET DOSAGE FORM

15-Jul-2015 *Research Article* *July - September 2015*

Mahesh H Kolhe, Ramesh D Bhusal, Pranit P Hajare, Swapnil R Chavan, Amol S Dighe*

Accurate, specific, precise and robust TLC densitometric method has been developed for simultaneous estimation of metoprolol succinate (METO) a hydrochlorothiazide (HCTZ) in tablet dosage form. The chromatographic separation was performed on precoated silica gel TLC 60 F254 plates using toluene: methan ethyl acetate: glacial acetic acid (7:1.5:1:0.5 v/v/v/v) as mobile phase. This system was found to give compact bands for metoprolol succinate and hydrochlorothiazide (values 0.15 and 0.35 respectively). Densitometric analysis of metoprolol succinate and hydrochlorothiazide were performed at 230 nm. Regression analysis data for t calibration plots were indicative of good linear relationships between response and concentration over the range 1000-5000 ng/band for metoprolol succinate and 5 2500 ng/band for hydrochlorothiazide. The method was validated as per ICH guidelines for accuracy, precision, LOD, LOQ and robustness.

How to Cite this Article

Mahesh H Kolhe, Ramesh D Bhusal, Pranit P Hajare et al. Development and Validation of TLC Densitometric Method for the Simultaneous Estimation of Metoprolol Succinate and Hydrochlorothiazide in Tablet Dosage Form. Inventi Impact: Pharm Analysis & Quality Assurance, 2015(3):131-134, 2015.

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Accurate, specific, precise and robust TLC densitometric method has been developed for simultaneous estimation of metoprolol succinate (METO) a hydrochlorothiazide (HCTZ) in tablet dosage form. The chromatographic separation was performed on precoated silica gel TLC 60 F254 plates using toluene: methan ethyl acetate: glacial acetic acid (7:1.5:1:0.5 v/v/v/v) as mobile phase. This system was found to give compact bands for metoprolol succinate and hydrochlorothiazide (values 0.15 and 0.35 respectively). Densitometric analysis of metoprolol succinate and hydrochlorothiazide were performed at 230 nm. Regression analysis data for t calibration plots were indicative of good linear relationships between response and concentration over the range 1000-5000 ng/band for metoprolol succinate and 5 2500 ng/band for hydrochlorothiazide. The method was validated as per ICH guidelines for accuracy, precision, LOD, LOQ and robustness.

How to Cite this Article

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DEVELOPMENT AND VALIDATION OF TLC DENSITOMETRIC METHOD FOR THE SIMULTANEOUS ESTIMATION OF METOPROLOL SUCCINATE AND HYDROCHLOROTHIAZIDE IN TABLET DOSAGE FORM

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ERRATUM

Erratum to: Chromatographic and chemical analysis of *Sarcostemma viminale* R. Br.

A. S. Girme · R. D. Bhalke · S. A. Nirmal · M. J. Chavan

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There are some changes in the order of structures and the structures themselves in page 5, under Results and discussion. Please find the corrected structures here.

Structures of the isolated compounds from collected data-

SP-1(a)

SP-1(b)

SP-3(a)

SP-3(b)

SP-4(a)

SP-4(b)

SP-5

SMEA-2

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Evaluation of Analgesic Activity and Phytochemical Screening of *Clitoria ternatea* Linn

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Authors' contributions

This work was carried out in collaboration between all authors. All authors read and approved the final manuscript.

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ABSTRACT

Clitoria ternatea L. is a member of the family Fabaceae, commonly known as 'Aparajita' or Girikarnika. It is a perennial climber widely used in the traditional Ayurvedic system of Indian medicine for treating a wide variety of ailments. Nowadays available drugs for the management of pains, fever, and inflammation like conditions are having many adverse effects; hence there is need for the drugs from other safer sources which will be highly safer having little or no side effects. For this purpose, *Clitoria ternatea* Linn leaves (Fabaceae) were screened for its phyto and pharmacological especially analgesic properties using hotplate and tail immersion method with mice. The analgesic study of *Clitoria ternatea* Linn leaves showed that the petroleum ether extract of the leaves have significant activity compared to pentazocin which is used as a standard. Generally Tannins, flavonoids, alkaloids and saponins are responsible for the analgesic and anti-inflammatory activities in many medicinal plants; hence phytoconstituents from plant leaves are also

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Evaluation of analgesic activity and phytochemical screening of *Ficus bengalensis* Linn Bark

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ABSTRACT

Ficus bengalensis Linn. (Family:Moraceae) is a large branching tree with numerous aerial roots occurring all over India. Currently available drugs for the management of pains, fever and inflammation conditions are presents with many known adverse effects, hence the search for new drugs from plants which hitherto may be harmless to humans. For this purpose, Ficus bengalensis Linn (Family:Moraceae) was screened for its phyto contents and analgesic properties using hotplate and tail immersion method with mice. The result of the preliminary Phytochemical studies revealed the presence of tannins, flavonoids, saponins, alkaloids, carbohydrates and phenolics in the plant as a whole. The analgesic study showed that the methanolic extract of the bark showed significant activity as compared to pentazocine used as a standard drug. Tannins, flavonoids, alkaloids and saponins have been reported to be responsible for the analgesic and anti-inflammatory activities in many medicinal plants of this family. These results may explain the use of the plant for the management of pains and its related ailments in the locality where it is very common.

Key words: Analgesic, *Ficus bengalensis*, Hot plate method, Tail immersion test

INTRODUCTION

An analgesic, or painkiller, is any member of the group of drugs used to achieve analgesia-relief from pain. According to International Association for the study of Pain (IASP), pain as a sensation is defined as an unpleasant sensory and emotional experience associated with actual or potential tissue damage or described in terms of such damage [1, 2]. Analgesic drugs act in various ways on the peripheral and central nervous system. Analgesics are drugs used to treat or reduce pain and the classical analgesic drugs notably opiates and non steroidal anti-inflammatory drugs have their origin in natural products but many synthetic compounds that act by the same mechanism have been developed and are associated with serious adverse effects such as ulceration, gastrointestinal bleeding, additive potential, respiratory distress, drowsiness, nausea etc. [3,4]. On the other hand drugs of plant origin have been used for management of diseases for many centuries and have not been reported of any deleterious effects to their hosts. Hence *Ficus bengalensis* Linn (Moraceae) was selected for this study. According to Ayurveda and traditional applications the Indian Banyan tree is astringent to bowels and useful in treatment of biliousness, ulcers, vomiting, vaginal complaints, fever, inflammations and leprosy. According to Unani system of medicine, the latex is aphrodisiac, tonic and useful in piles, nose-diseases and gonorrhea. The aerial root is use in syphilis, biliousness, dysentery and inflammation of liver; it is also used in treatment of tooth ache, tooth picks, diabetes.

A decoction of bark is to be prepared and consumed twice daily shows hypoglycemic activity. Ayurvedic practitioners in India are using the milky juice (latex) of stem bark of *F.bengalensis* for the treatment of rheumatism

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Evaluation of Antibacterial Activity and Phytochemical Screening of *Medicago sativa* Leaves

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KEYWORDS

Medicago sativa, Antibacterial activity, Disc diffusion assay, Minimum inhibitory concentration

A B S T R A C T

The in vitro antibacterial activity of various solvent extracts of Indian traditional medicinal plant *Medicago sativa* Leaves against clinical pathogens of human origin was evaluated. The antimicrobial activity of different solvents crude extract of plant used in traditional Indian medicine was tested by disc diffusion and turbidity assay method against three bacterial pathogens. The present screening result demonstrated that the Indian traditional medicinal plant *Medicago sativa* leaves methanol extract has potent antibacterial activity. The studied plant may be new source for novel antibacterial compound discovery for treating drugs resistant human pathogens as the results revealed that extracts exhibited a significant broad-spectrum antibacterial activity against both Gram-positive and Gram-negative bacteria.

Introduction

For a long period of time, plants have been a valuable source of natural products for maintaining human health, especially in the last decade, with more intensive studies for natural therapies. Medicinal Plants are rich in a wide variety of secondary metabolites, such as tannins, terpenoids, alkaloids, flavonoids, phenols and quinines which have been used worldwide in traditional medicine to treat several diseases and infection. Many studies all over the world have been showed that the use of plant extracts and phytochemicals, both with known antimicrobial properties, can be of great significance in therapeutic treatments. Hence, more studies pertaining to the use of plants as therapeutic agents should be emphasized, especially those related to the control of antibiotic resistant microbes. The objective of this research was to evaluate the potential of plant *Medicago sativa* (family:leguminosae) and phytochemicals on standard microorganism strains Staphylococcus aureus, Escherichia coli and Pseudomonas aeruginosa. *Medicago sativa*,

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FORMULATION, OPTIMISATION AND EVALUATION OF MICROPARTICLES OF CURCUMIN-ZN COMPLEX

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ABSTRACT

In present study an attempt was made to prepare microparticulate drug delivery system of curcumin-Zn complex and evaluate it in albino rats spectroflurometrically. It was observed that curcumin-Zn complex was more soluble and stable than curcumin. Curcumin-Zn complex was prepared and encapsulated using sodium alginate. Characterization of prepared microparticles was done using FTIR, UV, SEM and DSC study. Microparticles thus obtained are further coated with various enteric polymers like eudragit S 100, eudragit L100, and cellulose acetate pthalate and ethyl cellulose at different coating thickness to control the release. Microparticles were evaluated for encapsulation efficiency, drug loading and in vitro drug release. Microparticles coated with cellulose acetate pthalate showed most satisfactory and controlled release with 502 min time for 60% cumulative release. Curcumin-Zn was estimated in serum after oral administration of microparticles to rats by using spectroflurometry. Estimation of curcumin in serum by spectroflurometry showed that drug concentration is maintained in the blood for longer time with t_{max} of 6 hours.

KEYWORDS: Curcumin, curcumin-Zn complex, sodium alginate microparticles, enteric coating

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Method development and validation of Rupatadine fumarate and Montelukast sodium by RP- HPLC

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Abstract

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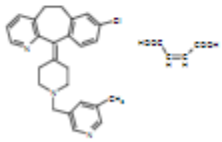

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Research Article

Method development and validation of Rupatadine fumarate and Montelukast sodium by RP- HPLC

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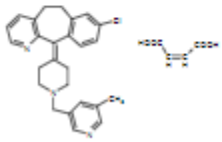

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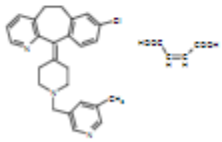

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Pharmacognostic study of *Clerodendrum splendens* flower and stem

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[1]*Department of Pharmacognosy, P. G. V. P. Mandals College of Pharmacy, Shahada, Maharashtra, India*
[2]*Department of Pharmacognosy, Pravara Rural College of Pharmacy, Loni, Maharashtra, India*

ABSTRACT

To present detailed pharmacognostic study of Clerodendron splendens flower and stem an important plant in Indian system of medicine. The macroscopy, microscopy, physicochemical analysis, preliminary testing of the Flower and Stem part for standardization was investigated. Morphological study of flower shows that it is pentamerous with 5 free sepals, 5 gamopetalous corolla and five free petal lobes. Microscopic study of flowers shows that sepals are thick with blunt margins and are concave on the abaxial side and glandular trichomes are frequently seen on the inner epidermis. There are five petal lobes which are imbricate and aestivation and these are thicker in the middle and gradually tapering towards margins. The anther is dithecous and four chambered and the anther dehisces longitudinally through the stomium. The pollen grains are circular and have slightly echinate exine and thin smooth intine. The basal part of the petal forms a tubular structure. Fairly prominent circular vascular strands are located along the median part of the corolla tube. Morphologically, stem is hollow cylindrical having dark greenish surface with characteristic mushy odor. Microscopic study shows that stem is a hollow cylinder with even outline. Calcium oxalate crystals of prismatic type are located in cortical sclerenchyma elements and phoem rays are the diagnostic feature of the stem. It can be concluded that pharmacognostic profile of Clerodendrum splendens flower and stem is helpful in developing standards for quality, purity and simple identification.

INTRODUCTION

The genus *Clerodendron* [Family Lamiaceae (Verbenaceae)] is widely spread in tropical and subtropical region of the world and it comprised of small trees, shrubs and herbs. Clerodendrum is very large and diverse genus and till now 580 species of the genus have been identified and widely distributed in Asia, Australia, Africa and America. *Clerodendrum splendens* (glory tree) is one of the important species of genus *Clerodendrum* native to tropical western Africa. It is a twining evergreen climber, growing to 3 meters (9.8 ft) or more, with panicles of brilliant scarlet flowers in summer. The plant exhibit a wide spectrum of folk and indigenous medical uses mainly for the treatment of asthma.

Standardization of crude drug is an integral part of establishing its correct identity. Pharmacognostic evaluation of plant parts assists in standardization of quality, purity and sample identification. Hence the objective of present study is to evaluate various pharmacognostic parameters such as macroscopy, microscopy, physicochemical and phytochemical studies of the plant for establishing its standardization parameters.

MATERIALS AND METHODS

2.1. Chemicals and instruments

Formalin, acetic acid, ethyl alcohol, toluidine blue, glycerin, hydrochloric acid, potassium hydroxide and all other chemicals used in the study were of analytical grade.

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Pharmacological and synthetic profile of benzothiazepine: A review

Nachiket S. Dighe[*1], Suraj B. Vikhe[1], Prajakta R. Tambe[1], Amol S Dighe[1], Santosh S Dengale[1] and Santosh B Dighe[2]

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Abstract

The 1, 5-benzothiazepines are important nitrogen- and sulfur-containing seven-membered heterocyclic compounds in drug research since they possess diverse bioactivities. 1, 5-Benzothiazepines are the most well-known representatives of benzologs of 1,4-thiazepine and one of the three possible benzo-condensed derivatives, and 1,5-benzothiazepines. The 1, 5-benzothiazepine derivatives are of particular interest for lead discovery because they have been found active against different families of targets. Therefore, the 1,5-benzothiazepines are useful compounds in the drug research which has stimulated the invention of a wide range of synthetic methods for their preparation and chemical transformations. Benzothiazepine and its derivatives exhibit diverse biological activities such as coronary vasodilatory, tranquilizer, antidepressant, antihypertensive, calcium channel blocker. This review also discusses the structure-activity relationship of the most potent compounds. It can act as an important tool for medicinal chemists to develop newer compounds possessing 1, 5-benzothiazepines moiety that could be better agents in terms of efficacy and safety.

Keywords: Benzothiazepine, vasodilatory, antihypertensive

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The common strategy for the construction of the 1,5-benzothiazepine moiety is the reaction of 1,3-diarylprop-2-enones with o-aminothiophenol. The various reported methodologies involve the use of inorganic solsd supports such as alumina, silica gel and clay under microwave irradiation, acetic acid or trifluoroacetic acid, hydrochloric acid, piperidine etc.

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ORIGINAL ARTICLE

Potential of the plant *Thespesia populnea* in the treatment of ulcerative colitis

S. A. Nirmal[1], R. S. Dhikale[2], A. S. Girme[1], S. C. Pal[3], and Subhash C. Mandal[3]

[1]Department of Pharmacognosy, Pravara Rural College of Pharmacy, Loni, Maharashtra, India, [2]Department of Pharmacognosy, MVP College of Pharmacy, Nasik, Maharashtra, India, and [3]Pharmacognosy and Phytotherapy Research Laboratory, Department of Pharmaceutical Technology, Jadavpur University, Kolkata, West Bengal, India

Abstract

Context: Thespesia populnea Sol. ex Correa (Malvaceae), an indigenous tree species in India, is of interest to researchers because traditionally its heartwood is used in the treatment of ulcer and colic pain.

Objective: To validate its folk use in the treatment of ulcerative colitis (UC).

Materials and methods: Mice were administered intrarectal DNBS and then treated with different plant extracts (100 and 200 mg/kg), 30 min before and 24 and 48 h after DNBS infusion. Colonic mucosal injury was assessed by macroscopic and histological examination. Furthermore, malondialdehyde (MDA), myeloperoxidase (MPO), protease, and hemoglobin (Hb) contents were measured in tissue and blood samples.

Results: Administration of various extracts ameliorated macroscopic and microscopic scores which were altered due to DNBS treatment in mice. Hb concentration in blood was restored significantly by the aqueous extract to 17.20 ± 0.5, which was reduced to 13.80 ± 0.5 after treatment with DNBS. MDA level was increased to 10.02 nm/mg and 10.25 nm/ml in tissue and blood, respectively, due to DNBS treatment which was reduced to 2.69 nm/mg and 2.59 nm/ml in tissue and blood, respectively, by aqueous extract treatment. Similarly, MPO level was increased to 412 U/mg and 404 U/ml in tissue and blood, respectively, which was significantly reduced to 205 U/mg and 216 U/ml in tissue and blood, respectively, by aqueous extract treatment. Aqueous extract significantly reduced protease activity which was markedly increased in DNBS-treated animals.

Discussion and conclusion: Aqueous extract of heartwood of *T. populnea* is effective in the treatment of UC.

Keywords

DNBS, malondialdehyde, myeloperoxidase, protease

History

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Introduction

Ulcerative colitis (UC) and Crohn's disease are chronic, relapsing, immunologically mediated disorders that are collectively referred to as inflammatory bowel diseases (IBD). Etiology and pathogenesis of IBD remain obscure, although environmental factors, in combination with genetic factors, are suggested to be involved in its pathogenesis (Fiocchi, 1998; Loftus, 2004). The pathological findings associated with UC are an increase in certain inflammatory mediators, signs of oxidative stress, a deranged of the mucosa, abnormal glycosaminoglycan content of the mucosa, decreased oxidation of short chain fatty acids (SCFA's), increased intestinal permeability, increased sulfide production, and decreased methylation (Kathleen & Juronka, 2003; Kirsner & Shorter, 1982). The inflamed mucosa in UC produces high amount of prostaglandin, nitric oxide, and other oxidative stress products (Dudhgaonkar et al., 2007). Other inflammatory products secreted by inflamed mucosa are tumor necrosis factor alpha (TNF-α), interleukin-6 (IL-6), and leukotriene-B4 (LTB4) which lead to neutrophil chemotaxis (Razavi et al., 2008). Malondialdehyde (MDA) is an end product of the lipid peroxidation process. An increase in free radical causes overproduction of MDA which is commonly known as a marker of oxidative stress (Fiehn et al., 1985). Myleoperoxidase (MPO) is an enzyme found predominantly in neutrophils and its activity in the colon is linearly related to infiltration of neutrophils. The assessment of MPO activity is well established for the quantification of intestinal inflammation. In inflammatory conditions like IBD, the level of neutrophils in inflamed tissues and, consequently, MPO enzyme level increases (Elson et al., 1995; Krawisz et al., 1984). Since protease levels are known to be elevated in IBD and thus may play a role in the extensive tissue damage in IBD (Hawkins et al., 1997). 5-Aminosalicylic acid and sulfasalazine are the drugs of choice for current medical treatment. Corticosteroids, azathioprine, mercaptopurines, and cyclosporine are also used in more severe forms

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RESEARCH ARTICLE INSIGHT CANCER RESEARCH

DOI: 10.5567/ICR-IK.2015.1.6

Potential of Various Extracts of *Tamarindus indica* (Caesalpiniaceae) Leaves in the Treatment of Cancer

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ABSTRACT

Background and Objective: Globally second most frequently observed disease after heart disease is "Cancer". Despite advances in cancer treatment over the past decades, the prognosis of patients with blood cancer has improved only to small extent. Thus, there is an urgent need to develop new and effective strategies for the prevention and treatment of this form of cancer. Hence, present study was undertaken to evaluate anticancer potential of leaves of *Tamarindus indica* Linn. (Caesalpiniaceae). **Materials and Methods:** The plant leaves were collected and extracted with various solvents like petroleum ether, ethanol, ethyl acetate, chloroform and water. Various extracts of leaves were screened for anticancer activity using the methods like potato disc method, onion root-tip assay and trypan blue assay by using HL-60 Cell line in dose dependent manner. **Results:** Petroleum ether extract of leaves of *T. indica* shows more potency as compared with other extracts in the treatment of cancer in all the tests performed. **Conclusion:** It can be concluded that petroleum ether extract of *T. indica* leaves have potency to prevent or manage cancer cell growth.

Key words: *Tamarindus indica*, Caesalpiniaceae, phytochemistry, anticancer, trypan blue assay, onion root tip, potato disc assay

Insight Cancer Research 1 (1): 1-6, 2015

INTRODUCTION

Today cancer is a leading cause of death in world so it is the need of the medical science to find new drugs or to improve existing treatment for the cancer. Hence, the significance of discovering new targets, pathways and strategies for therapeutic intervention in cancer is extremely important. Ideally, chemotherapeutic drugs should specifically target only cancerous cells by inducing cytotoxic or cytostatic effects thereby decreasing the tumor growth without affecting normal cells. The fact is that the effectiveness of chemotherapy has suffered due to the lack of specificity, rapid drug metabolism and both intrinsic and acquired drug resistance as well as induction of side effects due to high dosage. This produces transient decline in quality of life of the patients suffering from cancer[1].

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Tamarindus indica family: Fabaceae, subfamily: Caesalpiniaceae is a tropical evergreen tree native to fertile areas throughout the Africa and Southern Asia[2]. It is commonly called as tamarind and widely cultivated as an ornamental tree. Due to its acidic fruits, it is used in making drinks and a popular component of many decoctions used as health remedies. Tamarindus is a monotypic genus distributed throughout many of the tropics. Different parts of the plant such as leaves, fruits and seeds have been extensively used in traditional Indian and African medicines[3]. The aqueous extract of seed reduced blood sugar level and showed hypolipidemic effect by reducing 14-17% of plasma lipid, cholesterol, lipoprotein and triglycerides[4,5]. The seed coat extract has strong antioxidant property, used as an additive to food, in cosmetics and pharmaceutical preparations[6]. The seeds also inhibit the growth of urinary crystals and are used in the treatment of recurrent kidney stones[7].

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QSAR study, synthesis and anti-depressant studies of some novel schiff base derivatives of benzothiazepine

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This study was designed to synthesize, characterize and evaluate the pharmacological activity of schiff base derivatives of benzothiazepine. Purity of the synthesized compounds was ascertained by TLC and melting points were determined by an open capillary tube method. The compounds were characterized by IR, NMR and mass spectroscopic methods. Antidepressant activity of all synthesized compounds was evaluated by despair swim test using *Sprague Dawley Rats*. Standard drug imipramine was used as the control. In the despair swim test, all synthesized derivatives showed antidepressant activity. QSAR for the title compounds was performed using TSAR 3.3 software and results were found satisfactory. These results are useful for the future investigations.

Keywords: Antidepressant activity, Despair swim test, QSAR, *Sprague Dawley Rat.*

INTRODUCTION

As estimated by WHO, depression shall become the second largest illness in terms of morbidity by another decade in the world, already one out of every five women, and every twelve men have depression. Not only adults, but two percent of school children, and five percent of teenagers also suffer from depression, and these mostly go unidentified. Depression has been the commonest reason why people come to a psychiatrist, although the common man's perception is that all psychological problems are depression [1-2]. Current treatments for depression either fail to produce recovery or induce unwanted side effects. So there is still a large unmet clinical need [3-5]. The main aims in the development of new antidepressants are greater efficacy, absence of side effects, lack of toxicity in over dose and earlier onset of action [7]. Elaborate research work has been carried out in the past and continues in the present to synthesize new compounds to meet depression. The forced swim test (behavioral despair test) in the rat is widely used for the initial screening of antidepressants. These tests have good predictive validity and allow rapid and economical detection of substances with potential antidepressant-like activity. The majority of clinically used antidepressants decrease the duration of immobility [4].

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EXPERIMENTAL

Materials &Methods

Melting points were determined by an open capillary method and are uncorrected. The ^{1}H-NMR spectra were recorded on the sophisticated multinuclear FT-NMR spectrometer model Advance-II (Bruker) using dimethylsulfoxide-d6 as solvent and tetramethylsilane as internal standard. IR spectra were recorded on Jasco FT-IR-spectrophotometer using KBr disc method. Antidepressant activity of all synthesized compounds was evaluated by despair swim test using *Sprague Dawley Rats*. Pharmacological screening values therein were converted into log (% Inh) and were used for multiple correlation analysis with descriptors generated using TSAR 3.3 software.

QSAR Methodology

All molecules were drawn in Chem draw ultra 8.0 module in Chemoffice 2004 software and imported into TSAR software. Charges were derived using Charge 2-Derive charges option and optimized by using Cosmic-optimize 3 D option in the structure menu of the project table. Substituents were defined and descriptors were calculated for the whole molecule as well as for the substituents. Several equations were generated correlating both log (% Inh) with physicochemical parameters (descriptors) by multiple linear regression analysis

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RESEARCH ARTICLE

Synthesis and Evaluation of Phenothiazine derivative for Anti-depressant activity

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ABSTRACT:
This study was designed to synthesize, characterize and to evaluate the pharmacological activity of substituted phenothiazine derivatives. Totally thirteen compounds, were synthesized by conventional method. Purity of the synthesized compounds was ascertained by TLC and melting point determination by open capillary tube method and they were characterized by IR and NMR spectroscopic methods. Antidepressant activity of all the synthesized compounds was evaluated by despair swim test by using Sprague Dawley Rats. Standard drug Imipramine was used as the control. In the results of the spectral study, all the compounds showed characteristic peak in IR and NMR spectroscopy. In the despair swim test, all the synthesized derivatives showed antidepressant activity. Among them three Compounds (A_1, A_2 A_6 and A_8) showed significant antidepressant activity comparing with control drug imipramine. These results are useful for the further investigation in the future.

KEYWORDS: Polyamide 6, Degradation mechanism, Flame retardants, Coats-Redfern method.

1. INTRODUCTION:

Current treatments for depression either fail to produce recovery or induce unwanted side effects. So there is still a large unmet clinical need[1,2]. The heterocyclic compounds which contain nitrogen and sulphur possess an enormous significance in the field of medicinal chemistry [3-9]. The main aims in the development of new antidepressants were greater efficacy, absence of side effects, lack of toxicity in over dose and earlier onset of action[10].

Elaborate research work has been carried out in the past and continuing in the present to synthesize new compounds to meet this depression. The forced swim test (behavioral despair test) and tail suspension test in the rat are widely used for the initial screening of antidepressants. These tests have good predictive validity and allow rapid and economical detection of substances with potential antidepressant like activity. The tests are base on the same principle: measurement of the duration of immobility when rodents are exposed to an inescapable situation. The majority of clinically used antidepressants decrease the duration of immobility[11]. Depression is a serious medical issue characterized by a variety of debilitating symptoms, such as persistent sadness and anxiety; chronic fatigue, feelings of worthlessness, disturbances in cognitive functioning and

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Research Article

Tyrosine Rich Fraction as an Immunomodulatory Agent from *Ficus Religiosa* Bark

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[2]IT Department, Pravara Rural Engineering College, Loni, Maharashtra, India

Abstract

Ficus religiosa Linn (Moraceae) is being used in traditional medicine to improve immunity hence, petroleum ether and 70% ethanol extracts (50 and 100 mg/kg, i.p.) of *F. religiosa* bark were screened for immunomodulatory activity by delayed type hypersensitivity (DTH), neutrophil adhesion test and cyclophosphamide-induced neutropenia in Swiss albino mice. 70% ethanol extract showed significant immunostimulant activity hence subjected to column chromatography to find out active compounds. Tyrosine rich fraction (TRF) obtained was screened for immunomodulatory activity by above methods at the dose of 10 mg/kg, i.p. TRF showed potentiation of DTH response in terms of significant increase in the mean difference in foot-pad thickness and it significantly increased neutrophil adhesion to nylon fibres by 48.20%. Percentage reduction in total leukocyte count and neutrophil by TRF was found to be 43.85% and 18.72%, respectively. Immunostimulant activity of TRF was more pronounced and thus it has great potential as a source for natural health products.

Keywords: *Ficus religiosa*; Neutropenia; Delayed type hypersensitivity; Immunomodulator; Neutrophil; Tyrosine rich fraction.

Introduction

Ficus religiosa (Moraceae) commonly known as 'Peepal tree' is a large widely branched tree with leathery, heart shaped long tipped leaves on long slender petioles and purple fruits growing in pairs. The tree is regarded as a sacred tree to both Hindus as well as Buddhists [1, 2]. The tree grows throughout India and widely cultivated in south-east Asia especially in vicinity of temples. Preliminary phytochemical screening of *F. religiosa* barks, showed the presence of tannins, saponins, flavonoids, steroids, terpenoids and cardiac glycosides [3, 4]. Plant showed anti-diabetic [5], anti-inflammatory, analgesic [6], anti-microbial [7] and anti-ulcer activities [8].

In the present study, an effort has been made to establish the scientific validity of the immunomodulating activity of *F. religiosa* bark and to find out the probable constituent responsible for this activity.

Material and methods

Plant material

Fresh sample of bark of *F. religiosa* was collected from Ahmednagar district, Maharashtra and authenticated by Dr. T. Chakraborty, Scientist D, Botanical Survey of India, Pune. A voucher specimen number KADSAGFICRE10 is being maintained.

Extraction and isolation

Fresh sample of bark of *F. religiosa* (180 g) was pulverized in form of moderately coarse powder (40 mesh size) and extracted using petroleum ether in Soxhlet extractor to obtain petroleum ether extract. The marc left was extracted using 70% aqueous ethanol in reflux condenser to obtain hydro-alcoholic extract. Both the extracts were vacuum dried to yield 3.2 and 12.3% w/w of extracts, respectively. 70% Ethanol extract (10 g) was found to be more active hence subjected to column chromatography over silica gel column by using a step gradient of ethyl acetate (1L, F1), ethyl acetate/methanol (8:2, 1L, F2), ethyl acetate/methanol (7:3, 1L, F3), ethyl acetate/methanol (1:1, 1L, F4), ethyl acetate/methanol (3:7, 1L, F5), ethyl acetate/methanol (1:9, 1L, F6), and methanol (1L, F7). Fraction F5 was in major amount and showed crystalline structure hence purified to yield a white solid (30 mg) which was identified as tyrosine by studying its melting point, UV, FTIR, and GC-MS spectroscopy.

Drugs and chemicals

Cyclophosphamide (cyc) was obtained from Endoxan®, Mumbai, Ethanol AR and EDTA solution AR from Merck, Mumbai. Levamisole was obtained from Black Pharma, Kolhapur.

Preliminary phytochemical screening

Preliminary phytochemical screening of *F. religiosa* extract of 70% ethanol extract was performed for various secondary metabolites as per described methods [9].

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Research Publication 2014

Mr S D Mankar

RESEARCH ARTICLE

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Anticataleptic Activity of Polar Fraction from Bark of *Jatropha curcus* Linn.

S D Mankar[1*], S S Siddheshwar[1], V B Tambe[1], R S Jadhav[1]

Abstract: *Jatropha curcus* Linn bark was extracted using water to obtain aqueous extracts. This extract was evaluated for anti cataleptic activity using clonidine induced and haloperidol-induced catalepsy models at the dose of 50 mg/kg, i.p. The aqueous extracts (50 mg/kg, i.p.) of the plant significantly inhibited clonidine-induced catalepsy and haloperidol-induced catalepsy. Thus polar constituents of the plant can be used as antihistaminics and may have promising role in the treatment of asthma.

INTRODUCTION

Medicinal plants have been used for years in daily life to treat diseases all over the world. Interest in medicinal plants reflects the recognition of the validity of many traditional claims regarding the value of natural products in healthcare. [1] Numerous useful drugs have been discovered from higher plants by following up ethnomedical practices. [2] *Jatropha curcus* Linn is an important medicinal plant in folklore medicine. The plant belongs to family Euphorbiaceae and is native to India, Sri Lanka. It grows throughout India, in deciduous and ever-green forests and in plains. Seed of plant used as a contraceptive. [3] Traditionally *Jatropha Curcus* Linn used as expectorant and in treatment of *kapha*. [4] Catalepsy is a condition in which the animal maintains an imposed posture for a long time before regaining its normal posture. Catalepsy is a sign of the extra-pyramidal effect of drugs that inhibit dopaminergic transmission or increase histamine release in brain. Clonidine, a α_2-adrenoceptor agonist, induces dose-dependent catalepsy in mice, which is inhibited by histamine H_1-receptor antagonists but not by H_2-receptor antagonists. [5] In the present work we have attempted to evaluate the antihistaminic activity of the plant in order to assess if there is a basis for its traditional use in asthma. [6]

MATERIAL AND METHODS

Plant Collection and Authentication

The fresh bark of *Jatropha Curcus* Linn. Were collected from Sangamner Dist. Ahmednagar (Maharashtra); were authenticated by Dr. P. G. Diwakar, Joint director, Botanical survey of India, Pune.

Preparation of Extracts

Dried powder of bark of *Jatropha Curcus* Linn. was kept in contact with mixture of water and alcohol (7:2) in condenser for 7 days to produce Hydro alcoholic extract. The extract was dried immediately. Hydro-alcoholic extract obtained by Cold maceration dried powder bark of *Jatropha curcus* Linn. [7]

Experimental Animals

Male albino mice (Swiss strain) weighing (18-24 g) were housed under standard Laboratory conditions in groups of five each. The animal had free access to food and water. The ethical committee of the institute approved the protocol of the study. [8]

Drug and Chemicals

The drug and chemical used as Clonidine (Unichem, India) and Haloperidol (Sunpharma, India) purchased from commercial source. Chemicals: tween 80 AR (PCL, India). [9]

Assessment of Anti-cataleptic Activity

1. Effect on Clonidine Induced Catalepsy

Bar test was used to study the effect of various extracts on clonidine-induced catalepsy. Clonidine (1 mg/kg, s.c.) was injected to mice pretreated 30 min before with vehicle (5 ml/kg, i.p.), and aqueous extract of bark of *Jatropha curcus* L. (50 mg/kg, i.p., each). The dosages were selected based on preliminary studies (data not shown). The forepaws of mice were placed on horizontal bar (1 cm in diameter, 3 cm above the table) and the time required to remove the paws from bar was noted for each animal and the durations of catalepsy was measured at 0, 15, 30, 45, 60, 75 and 90 minutes. [10]

2. Effect on Haloperidol Induced Catalepsy

The same Bar test was used using haloperidol. Haloperidol (1 mg/kg, i.p.) was injected to mice pretreated 30 min before with vehicle (5 ml/kg, i.p.), aqueous extract of bark of *Jatropha curcus* L. (50 mg/kg, i.p., each). The durations of catalepsy was measured at 0, 15, 30, 45, 60, 75 and 90 minutes. [11]

Statistical Analysis

The data was analyzed by one-way ANOVA followed by Dunnet's test. Prism Graph pad 3 was used for statistical analysis. *P< 0.001 and P<0.05 was considered significant. [12, 13]

RESULTS

Clonidine Induced Catalepsy

Aqueous extract showed significant inhibition in catalepsy (Graph 1).

Haloperidol Induced Catalepsy

Aqueous extract inhibited haloperidol-induced catalepsy (Graph 2).

Effect of various extract of *J. curcus* Linn. bark on halnperidol-induced catalepsy in mice.

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RESEARCH ARTICLE

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INTRODUCTION

Medicinal plants have been used for years in daily life to treat diseases all over the world. Interest in medicinal plants reflects the recognition of the validity of many traditional claims regarding the value of natural products in healthcare. [1] Numerous useful drugs have been discovered from higher plants by following up ethnomedical practices. [2] *Jatropha curcus* Linn is an important medicinal plant in folklore medicine. The plant belongs to family Euphorbiaceae and is native to India, Sri Lanka. It grows throughout India, in deciduous and ever-green forests and in plains. Seed of plant used as a contraceptive. [3] Traditionally *Jatropha Curcus* Linn used as expectorant and in treatment of dropsy. [4] Catalepsy is a condition in which the animal maintains an imposed posture for a long time before regaining its normal posture. Catalepsy is a sign of the extra-pyramidal effect of drugs that inhibit dopaminergic transmission or increase histamine release in brain. Clonidine, a α_2-adrenoceptor agonist, induces dose-dependent catalepsy in mice, which is inhibited by histamine H_1-receptor antagonists but not by H_2-receptor antagonists. [5] In the present work we have attempted to evaluate the antihistaminic activity of the plant in order to assess if there is a basis for its traditional use in asthma. [6]

MATERIAL AND METHODS

Plant Collection and Authentication

The fresh bark of *Jatropha Curcus* Linn. Were collected from Sangamner Dist. Ahmednagar (Maharashtra); were authenticated by Dr. P. G. Diwakar. Joint director, Botanical survey of India, Pune.

Preparation of Extracts

Dried powder of bark of *Jatropha Curcus* Linn. was kept in contact with mixture of water and alcohol (7:2) in condenser for 7 days to produce Hydro alcoholic extract. The extract was dried immediately. Hydro-alcoholic extract obtained by Cold maceration dried powder bark of *Jatropha curcus* Linn. [7]

Experimental Animals

Male albino mice (Swiss strain) weighing (18-24 g) were housed under standard Laboratory conditions in groups of five each. The animal had free access to food and water. The ethical committee of the institute approved the protocol of the study. [8]

Drug and Chemicals

The drug and chemical used as Clonidine (Unichem, India) and Haloperidol (Sunpharma, India) purchased from commercial source. Chemicals: tween 80 AR (PCL, India). [9]

Assessment of Anti-cataleptic Activity

1. Effect on Clonidine Induced Catalepsy

Bar test was used to study the effect of various extracts on clonidine-induced catalepsy. Clonidine (1 mg/kg, s.c.) was injected to mice pretreated 30 min before with vehicle (5 ml/kg, i.p.), and aqueous extract of bark of *Jatropha curcus* L. (50 mg/kg, i.p., each). The dosages were selected based on preliminary studies (data not shown). The forepaws of mice were placed on horizontal bar (1 cm in diameter, 3 cm above the table) and the time required to remove the paws from bar was noted for each animal and the durations of catalepsy was measured at 0, 15, 30, 45, 60, 75 and 90 minutes. [10]

2. Effect on Haloperidol Induced Catalepsy

The same Bar test was used using haloperidol. Haloperidol (1 mg/kg, i.p.) was injected to mice pretreated 30 min before with vehicle (5 ml/kg, i.p.), aqueous extract of bark of *Jatropha curcus* L. (50 mg/kg, i.p., each). The durations of catalepsy was measured at 0, 15, 30, 45, 60, 75 and 90 minutes. [11]

Statistical Analysis

The data was analyzed by one-way ANOVA followed by Dunnet's test. Prism Graph pad 3 was used for statistical analysis. *P< 0.001 and P<0.05 was considered significant. [12, 13]

RESULTS

Clonidine Induced Catalepsy

Aqueous extract showed significant inhibition in catalepsy (Graph 1).

Haloperidol Induced Catalepsy

Aqueous extract inhibited haloperidol-induced catalepsy (Graph 2).

Effect of various extract of *J. curcus* Linn. bark on halnperidol-induced catalepsy in mice.

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Anticataleptic Activity of Polar Fraction from Bark of *Jatropha curcus* Linn.

S D Mankar[1*], S S Siddheshwar[1], V B Tambe[1], R S Jadhav[1]

Abstract: *Jatropha curcus* linn bark was extracted using water to obtain aqueous extracts. This extract was evaluated for anti cataleptic activity using clonidine induced and haloperidol-induced catalepsy models at the dose of 50 mg/kg, i.p. The aqueous extracts (50 mg/kg, i.p.) of the plant significantly inhibited clonidine-induced catalepsy and haloperidol-induced catalepsy. Thus polar constituents of the plant can be used as antihistaminics and may have promising role in the treatment of asthma.

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Bioavailiability Enhancement of BCS Class 4 Drugs: Key for Successful Formulations

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Abstract

Traditionally nearly 40% of the new chemical entities identified by pharmaceutical industry screening programmes have failed to be developed because of low solubility and low permeability which make formulation difficult or even impossible. The solubility issues complicating the delivery of many existing drugs. The various tradition and novel technique that can be used for bioavability enhancement of BCS class 4 drugs are discussed in this article. The traditional techniques discussed in this article includes use of co-solvents, hydrotopynicronization, amorphous forms, chemical modification of drug, use of surfactant, complexation ,nano-nization,use of prodrug, alteration of pH, use of ppt.inhibitor solvent deposition. In case of novel drug delivery technologies depends upon the development in recent year for bio-avability enhancement of poor soluble-poor permeable are size reduction. lipid based deliver- system, micellar techniques, micro-particle techniques.

Keywords : Bio-availibility, Nano-nization, Permeability, dissolution, therapeutic efficacy.

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PAPER QR-CODE

1. Introduction

The traditional way of administration of drug in the form of infusion, injection, injection inhalation, topical application, oral deliver is moist convenient and preferred outre for administration of the drug due to its possibility of self administration and improved patient compliance. Oral drug delivery is the simplest and easiest way of drug administration because of acurate dosage, cheapest cost of production, greater stability, less bulky, due the development of different technologies for production of oral drug product leads the generic pharmaceutical companies to the development of bioequivalent oral dosage forms.

2. Bio-Availability

Bio-availability has been defines as relative absorption efficiency of the test dosage forms compared to standard prepration. Thus bio-availability of drug is the percentage of dose that reaches the systemic circulation after administration via. State route bio-availability depends upon several factors, drug solubility in an aqueous environment and drug permeability through lipophilic membranes any drug to be absorbed must be present in the form of an aqueous solution at the site of absorption. More than 40% new chemical entities developed in pharma-

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Chromatographic and chemical analysis of *Sarcostemma viminale* R. Br.

A.S. Girme, R.D. Bhalke, S.A. Nirmal & M.J. Chavan

Oriental Pharmacy and Experimental Medicine **14**, 279–284(2014) | Cite this article

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An erratum to this article can be found at http://dx.doi.org/10.1007/s13596-014-0164-4.

Abstract

Sarcostemma viminale R. Br. (family-asclepiadaceae) is known as the "*soma*" plant in India. It is rich in fatty acids and hydrocarbons and used traditionally with different medicinal use. In the present study first time systemic phytochemical profile of fatty acid was established for *S. Viminale*. The major fatty acids isolated and identified were1-Hexadecene ($C_{16}H_{32}$), Hexadecanoic acid ($C_{16}H_{32}O_2$), Octadecanoic acid ($C_{18}H_{36}O_2$), 9-Octadecenoic acid ($C_{18}H_{34}O_2$), 1-Docosene ($C_{22}H_{44}$). Also eight major compounds were isolated and identified as

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Mr V D Tambe

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RESEARCH AND REVIEWS: JOURNAL OF PHARMACOGNOSY AND PHYTOCHEMISTRY

Estimation of Total Phenol, Tannin, Alkaloid and Flavonoid in *Hibiscus Tiliaceus* Linn. Wood Extracts.

Vijay D Tambe[1]*, and Rajendra S Bhambar[2].

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Research Article

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Keywords: Phenol, flavonoid, alkaloid, tannin, *Hibiscus tiliaceus*

ABSTRACT

The present study was performed to evaluate the total phenol, tannin, alkaloid and flavonoid contents in petroleum ether, ethyl acetate and methanol extracts of *Hibiscus tiliaceus* wood. Extraction of powdered wood material was carried out by continuous hot percolation method in soxhlet apparatus using petroleum ether, ethyl acetate and methanol as solvents. Gallic acid was used as standard for the determination of total phenol and tannin by Folin-ciocalteu method. Total alkaloid content was determined by chloride colorimetric method using quercetin as a standard. The results showed that ethyl acetate extract has high concentration of total phenol, tannin, alkaloid and flavonoid contents as compared by bromocresol green solution using atropine as a standard. Total flavonoid content was determined by aluminium to petroleum ether, ethyl acetate and methanol extracts. Ethyl acetate extract contained the total phenol of 30.18 and tannins of 83.03 as mg of gallic acid equivalents (GAE), alkaloids of 66.01 as mg of atropine equivalents (AE) and flavonoids of 91.01 as mg of quercetin equivalents (QE).

INTRODUCTION

Plants have provided mankind with herbal remedies for several diseases for many centuries. In India herbal medicines have been the bases of treatment and cure for various diseases in traditional methods such as Ayurveda, Unani and Sidha. The therapeutic potentials of plant and animal origin crude drugs are being used from the ancient times by the simple process without the isolation of the pure compounds. The pharmacological action of crude drug is determined by the nature of its constituents. Thus the plant species may be consider as a biosynthetic and for the chemical compounds example proteins, carbohydrates, and fats that are utilized as food by the animals and humans, but also for a huge number of compounds including alkaloids, terpenoids, flavonoids, glycosides etc. which exert definite physiological effects. These chemical compounds are mostly responsible for the desired beneficial properties.[1]Natural products extracted from plants which belong to the Malvaceae family are used in the treatment of many diseases worldwide. One important genus in this family is Hibiscus spp., with more than 220 species distributed in tropical and subtropical regions.[2] Hibiscus tiliaceus L. is a typical plant of tropical climates found in the regions of mangroves in significant quantities.[3] An aqueous extract of wood and fresh flowers is a registered treatment for skin diseases.[4-6] Recently it was shown that methanolic flower extract exerts an antioxidant effect on the yeast Saccharomyces cerevisiae, protecting against hydrogen peroxide (H_2O_2) and tert-butylhydroperoxide (t-BHP) cytotoxicities. In addition, the extract was not mutagenic in Salmonellatyphimurium or S. cerevisiae and showed a significant antimutagenic action against oxidative mutagens in S. cerevisiae.[7,8]It is also reported traditionally, where the leaves are used to treat fevers and soothe coughs, the bark to treat dysentery, and the flowers aid in treating ear infections and abscesses.[9] Previous pharmacological investigations of the genus Hibiscus plants indicated the presence of species with useful biological activities. The studies conducted to date have demonstrated that plants of the

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Review Article

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Fast Dissolving Oral films: Easy way of Oral delivery

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Abstract

Recently, fast dissolving films are gaining interest as an alternative of fast dissolving tablets. The films are designed to dissolve upon contact with a wet surface, such as the tongue, within a few seconds, meaning the consumer can take the product without need for additional liquid. This convenience provides both a marketing advantage and increased patient compliance. As the drug is directly absorbed into systemic circulation, degradation in gastrointestinal tract and first pass effect can be avoided. These points make this formulation most popular and acceptable among pediatric and geriatric patients and patients with fear of choking. Over-the-counter films for pain management and motion sickness are commercialized in the US markets. Many companies are utilizing trans dermal drug delivery technology to develop thin film formats. In the present review, recent advancements regarding fast dissolving buccal film formulation and their evaluation parameters are compiled.

Key words: First pass effect, Lyophilized systems, Nutraceutical, Transdermal, Salivary Stimulants, Oral strips, Tensile strength

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PAPER-QR CODE

1. Introduction

The film is an ideal intraoral fast-dissolving drug delivery system, which satisfies the unmet needs of the market, is easy to handle and administer, maintains a simple and convenient packaging, alleviates unpleasant taste, and is straightforward to manufacture. The film is placed on the top or the floor of the tongue. It is retained at the site of

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Formulation and Evaluation of Glipizide Solid Dispersion Incorporated Gel

S D Mankar[1*], S S Siddheshwar[1], R K Godage[1], R S Jadhav[1]

Abstract: Glipizide is a blood glucose lowering drug of sulphonyl urea class characterized by its poor aqueous solubility. The aim of present investigation was to improve the solubility of glipizide by using solid dispersion incorporated *in-situ* gel. Various composition of glipizide dispersion were prepared by spray drying method using PVP 30, PVP- K90, β-CD to enhanced solubility of drug. The formulations were evaluated for drug content, *in-vitro* dissolution study also characterized by IR. There was no any interaction between drug and carrier. Based on solubility and *in-vitro* drug release pattern 1:4 drug carrier ratio was selected as ideal dispersion for gel. The gel was characterized for rheological studies, drug content estimation and *in-vitro* dissolution study, IR spectroscopy. All these properties were found to be ideal. The *in-vitro* release of glipizide solid dispersion incorporated gel is significantly improved. Stability study was performed according to ICH guidlines.

INTRODUCTION

The oral route of drug administration is the mode of choice for the formulators and continues to dominate the area of drug delivery technologies. However, though popular, this route is not free from limitations of absorption and bioavailability in the milieu of gastrointestinal tract. The oral absorption and bioavailability of drug is determined by the extent of drug solubility and permeability. As therapeutic effectiveness of a drug depends upon it's bioavailability that ultimately depends upon the solubility of drug molecules. Solubility is one of the important parameters to achieve desired concentration of drug in systemic circulation for pharmacological response to be shown and Because of solubility limitation the bioavailability gets affected and hence solubility enhancement becomes necessary. It is now possible to enhance the solubility of poorly soluble drugs with the help of many novel strategies. [1, 2]

Among this solid dispersions can be used to increase the dissolution rate of poorly soluble drugs and they have proven to increase the amount of dissolved drug at the absorption site sometimes to supersaturated concentrations and consequently improve the bioavailability. The solid dispersion approach is used to reduce particle size and therefore increase dissolution rate and absorption of drugs. The term solid dispersion refers to the dispersion of one or more active ingredients in an inert carrier in a solid state, prepared by melting and fusion method, solvent method or fusion solvent method. The development of solid dispersions as a practically viable method to enhance bioavailability of poorly water- soluble drugs overcame the limitations of previous approaches such as salt formation, solubilization by co solvents and particle size reduction. Studies revealed that drugs in solid dispersion need not necessarily exist in the micronized state. A fraction of the drug might molecularly disperse in the matrix, thereby forming a solid dispersion. When the solid dispersion is exposed to aqueous media, the carrier dissolves and the drug releases as fine colloidal particles. The resulting enhanced surface area produces higher dissolution rate and bioavailability of poorly water-soluble drugs.

Glipizide is an oral blood-glucose-lowering drug of the sulfonylurea class.Oral administration of glipizide appears to lower the blood glucose acutely by stimulating the release of insulin from the pancrease, an effect dependent upon functioning beta cells in the pancreatic islets. The half life of elimination ranges from 2-4 hrs in normal subjects whether given intravenously or orally. [3, 7]

In-situ Gel Forming System

In-situ gel forming polymeric formulations are drug delivery system that are in sol or suspension from before administration in the body, but once administered, undergo gelation *in-situ*, to form a gel. *In-situ* gel forming systems have been widely investigated as vehicle for sustain drug delivery. [8]

MATERIALS AND METHODS

Glipizide was obtained from Glaxopharma, Aurangabad, as a gift sample. PVP-K30 and PVP-K90 from Gattefosse, Mumbai. All other chemicals used were of analytical grade.

Preparation of Solid Dispersion

1. Spray Drying

The Glipizide and PVP 30, PVP-K90, β-CD were mixed in 1:1, 1:2, 1:3 and 1:4 ratios. Each ratio the components were dissolved in dichloromethane AR grade to get the drug-carrier solutions. The resultant solutions were spray dried using Spray Dryer (LU-222 Labultima, India). For solution containing PVP-K90 the inlet temperature and outlet temperature were set at 60°C and 30°C respectively while the aspiration speed and feed pump speed were kept at 40 nm^3 /min and 5 ml/min respectively. The spray dried products were kept in desicator for 48 hours, passed through 60 # sieve and then stored in air tight containers until evaluation.

Evaluation of Prepared Solid Dispersion

1. UV Spectroscopy

A 10 µg/ml Stock solution of solid dispersion of Glipizide was prepared using dichloromethane AR and was scanned

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The oral route of drug administration is the mode of choice for the formulators and continues to dominate the area of drug delivery technologies. However, though popular, this route is not free from limitations of absorption and bioavailability in the milieu of gastrointestinal tract. The oral absorption and bioavailability of drug is determined by the extent of drug solubility and permeability. As therapeutic effectiveness of a drug depends upon it's bioavailability that ultimately depends upon the solubility of drug molecules. Solubility is one of the important parameters to achieve desired concentration of drug in systemic circulation for pharmacological response to be shown and Because of solubility limitation the bioavailability gets affected and hence solubility enhancement becomes necessary. It is now possible to enhance the solubility of poorly soluble drugs with the help of many novel strategies. [1, 2]

Among this solid dispersions can be used to increase the dissolution rate of poorly soluble drugs and they have proven to increase the amount of dissolved drug at the absorption site sometimes to supersaturated concentrations and consequently improve the bioavailability. The solid dispersion approach is used to reduce particle size and therefore increase dissolution rate and absorption of drugs. The term solid dispersion refers to the dispersion of one or more active ingredients in an inert carrier in a solid state, prepared by melting and fusion method, solvent method or fusion solvent method. The development of solid dispersions as a practically viable method to enhance bioavailability of poorly water- soluble drugs overcame the limitations of previous approaches such as salt formation, solubilization by co solvents and particle size reduction. Studies revealed that drugs in solid dispersion need not necessarily exist in the micronized state. A fraction of the drug might molecularly disperse in the matrix, thereby forming a solid dispersion. When the solid dispersion is exposed to aqueous media, the carrier dissolves and the drug releases as fine colloidal particles. The resulting enhanced surface area produces higher dissolution rate and bioavailability of poorly water-soluble drugs.

Glipizide is an oral blood-glucose-lowering drug of the sulfonylurea class.Oral administration of glipizide appears to lower the blood glucose acutely by stimulating the release of insulin from the pancrease, an effect dependent upon functioning beta cells in the pancreatic islets. The half life of elimination ranges from 2-4 hrs in normal subjects whether given intravenously or orally. [3, 7]

In-situ Gel Forming System

In-situ gel forming polymeric formulations are drug delivery system that are in sol or suspension from before administration in the body, but once administered, undergo gelation *in-situ*, to form a gel. *In-situ* gel forming systems have been widely investigated as vehicle for sustain drug delivery. [8]

MATERIALS AND METHODS

Glipizide was obtained from Glaxopharma, Aurangabad, as a gift sample. PVP-K30 and PVP-K90 from Gattefosse, Mumbai. All other chemicals used were of analytical grade.

Preparation of Solid Dispersion

1. Spray Drying

The Glipizide and PVP 30, PVP-K90, β-CD were mixed in 1:1, 1:2, 1:3 and 1:4 ratios. Each ratio the components were dissolved in dichloromethane AR grade to get the drug-carrier solutions. The resultant solutions were spray dried using Spray Dryer (LU-222 Labultima, India). For solution containing PVP-K90 the inlet temperature and outlet temperature were set at 60°C and 30°C respectively while the aspiration speed and feed pump speed were kept at 40 nm^3 /min and 5 ml/min respectively. The spray dried products were kept in desicator for 48 hours, passed through 60 # sieve and then stored in air tight containers until evaluation.

Evaluation of Prepared Solid Dispersion

1. UV Spectroscopy

A 10 µg/ml Stock solution of solid dispersion of Glipizide was prepared using dichloromethane AR and was scanned

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RESEARCH ARTICLE

inventi | Spreading Knowledge

Formulation and Evaluation of Glipizide Solid Dispersion Incorporated Gel

S D Mankar[1*], S S Siddheshwar[1], R K Godage[1], R S Jadhav[1]

Abstract: Glipizide is a blood glucose lowering drug of sulphonyl urea class characterized by its poor aqueous solubility. The aim of present investigation was to improve the solubility of glipizide by using solid dispersion incorporated *in-situ* gel. Various composition of glipizide dispersion were prepared by spray drying method using PVP 30, PVP- K90, β-CD to enhanced solubility of drug. The formulations were evaluated for drug content, *in-vitro* dissolution study also characterized by IR. There was no any interaction between drug and carrier. Based on solubility and *in-vitro* drug release pattern 1:4 drug carrier ratio was selected as ideal dispersion for gel. The gel was characterized for rheological studies, drug content estimation and *in-vitro* dissolution study, IR spectroscopy. All these properties were found to be ideal. The *in-vitro* release of glipizide solid dispersion incorporated gel is significantly improved. Stability study was performed according to ICH guidlines.

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GENETIC ENGINEERING TECHNIQUES: A REVIEW

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Keywords:

Recombinant DNA, Genetic engineering, bacterial transformation

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ABSTRACT

Genetic engineering is manipulation of organism genome which does not occur under normal condition and involves insertion of genetic material. The process involves isolation of gene, construction, targeting, transformation, selection, and regeneration which can be done by plasmid and vector method. Genetic engineering technique having widespread application.

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RESEARCH ARTICLE PHARMACOLOGIA

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Glycoside from *Tephrosia purpurea* Roots in the Treatment of Ulcerative Colitis

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ABSTRACT

Background: Ulcerative Colitis (UC) is a subcategory of inflammatory bowel disease and it refers to a large group of disorders that affect the gastrointestinal system. It has many serious effects and large population from European country is suffering from this disease so attempts to find new medicines from natural sources are needed. **Objectives:** The roots of *Tephrosia purpurea* (Leguminosae) are used in the treatment of ulcer and colic pain traditionally hence present work was undertaken to validate it scientifically and to identify the phytoconstituent responsible for this activity. **Material and Methods:** Various extracts of root were screened for Ulcerative Colitis (UC) using the method acetic acid induced ulcerative colitis in mice. Aqueous extract was found most active and hence fractionated by column chromatography. Various fractions obtained were screened for UC activity and fraction IV was found most active hence purified and subjected to spectroscopic analysis yielding a glycoside. **Results:** Results showed that fraction IV is found to contain a glycoside after spectroscopic study. This glycoside reduced level of myeloperoxidase and malondialdehyde in colon significantly. This glycoside showed significant protective effect in macroscopical study and histopathology observations of the colon. **Conclusion:** It can be concluded that the glycoside, 1, 4a, 7, 7a-tetrahydro-7-hydroxy-7-(hydroxymethyl)-1-(tetrahydro-3',4',5'-trihydroxy-6'-(hydroxymethyl)-2H-pyran-2'-yl oxy) cyclopenta (c) pyran-4-carboxylic acid might be responsible for the treatment of ulcerative colitis.

Key words: *Tephrosia purpurea*, glycoside, ulcerative colitis, myeloperoxidase, malondialdehyde, histopathology

Pharmacologia 5 (8): 310-315, 2014

INTRODUCTION

Ulcerative Colitis (UC) is a subcategory of Inflammatory Bowel Disease (IBD). Colitis affects the inner most lining or mucosa of the colon and rectum where a continuous area of inflammation and ulceration with no segments of normal tissue is observed (Mohan, 2005). The two primary types of IBD are Crohn's disease and UC. In IBD, the intestine (bowel) becomes inflamed, often causing recurring abdominal cramps and diarrhea. Although the exact cause of UC remains undetermined, the condition appears to be related to a combination of genetic and environmental factors. Among the pathological findings associated with IBD are increases in certain inflammatory mediators, signs of oxidative stress, a deranged colonic milieu, abnormal glycosaminoglycan content of the mucosa, decreased oxidation of short-chain fatty acids, increased intestinal permeability, increased sulfide production and decreased methylation. However, no one factor has been identified as the initial trigger for IBD (Rutgeerts and Geboes, 2003). Hypochlorous acid, produced by the action of myeloperoxidase (MPO) on hydrogen peroxide in the presence of chloride ions, is involved in the inflammatory reaction in colitis (Cetinkaya *et al*., 2006). One of the most frequently used biomarkers that provide an indication of the overall lipid peroxidation level is the plasma concentration of malondialdehyde (P-MDA); it is used to measure the level of oxidative stress in humans (Church and Pryor, 1985). The role of prostaglandins in the course of IBD and their possible usefulness as predictive indicators of inflammation remain largely speculative. Plasma and mucosal prostaglandin E2 (PGE2) levels have been found to be directly correlated with degree of colonic injury (Wlercinska-Drapalo *et al*., 1999). Because there is moderate correlation between mucosal injury and PGE2 content, measurement of plasma PGE2 is a potential surrogate marker of bowel inflammation (Wlercinska-Drapalo *et al*., 1999).

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RESEARCH ARTICLE

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INVESTIGATION OF ANALGESIC AND ANTI-INFLAMMATORY ACTIVITY FOR LEAVES OF *HIBISCUS CANNABINUS* LINN.

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Abstract:
Many species of genus Hibiscus are totally exploited for their phytochemical and pharmacological studies. Present study was undertaken to investigate analgesic and anti inflammatory effects of *Hibiscus cannabinus* leaves extracts. Peripheral and central analgesic effects of the extracts were studied using acetic acid induced writhing test and hot plate analgesiometer test respectively. All the extracts produced significant analgesic effect compared to standard drug pentazocine and paracetamol in both tests respectively. Anti inflammatory activity was studied in carrageenan induced rats paw edema against indomethacin as standard. All the extracts showed significant anti inflammatory activity.On the basis of the results we conclude that extracts of *Hibiscus cannabinus* leaves have significant analgesic and anti inflammatory activity. The results supported the traditional use of this plant in some painful and inflammatory conditions.

Keywords: *Hibiscus cannabinus*, Analgesic activity, Pentazocine, Analgesiometer, Anti inflammatory.

Introduction

Medicinal plants have been known from millennia and are highly esteemed all over the world as a rich source of therapeutic agents for prevention of diseases. Always medicinal plants are at the main stay for the treatment of ailments in India from ancient time. In this connection there is always need of research and many species of plants have been exploited and are being screened for their medicinal efficacy. The plant material is used in traditional medicines for various treatments. *Hibiscus cannabinus* Linn. (Malvaceae) is a large bushy shrub or small tree, about 8-12 ft in height. It is cultivated in Indians gardens as an ornamental plant for its beautiful flowers, which may be single or double. Leaves are cordate while upper leaves deeply palmately 5-7 lobed[1]. The leaves are used for dysentery and cure diseases of blood, bile, throat, also used for purgative and immunomodulatory effects[2].

The seeds are used for external application to pains and bruise and are said to be aphrodisiac and fattening[3]. The chemical constituents as limonene, phellandrine, alph-terpenyl acetate, citral, p- inlualdehyde, n-tracontane, n-tetracosane, n-pentacosane, n-hentriacontane, n-dotriacontane and β-sitosterol have been isolated from the leaves of the plant[4].
Earlier researches on this plant have extensively worked on different parts of the plant, whereas the leaves being one of the important parts of the plant where in most of the active constituents are stored has not been subjected for systematic investigation. There are no reports to show the use of leaves of *Hibiscus cannabinus* for analgesic and anti inflammatory investigations. Hence the leaves served as the core material for the investigations carried out in the present study.

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Review Article
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Design and Novel Approaches of Orally Disintegrating Tablets

S.S Siddheshwar*[1], R.K.Godge [2], M.H.Kolhe[1], S.D.Mankar[1]

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[2]Department of Pharmaceutical Chemistry, P.R.E.S.'s, Pravara Rural College of Pharmacy, Loni, India

Abstract

Tablets designed to dissolve on the buccal (cheek) mucous membrane were a precursor to the ODT. This dosage form was intended for drugs that yield low bioavailability through the digestive tract but are inconvenient to administer parenterally, such as steroids and narcotic analgesics. Absorption through the cheek allows the drug to bypass the digestive tract for rapid systemic distribution. Not all ODTs have buccal absorption and many have similar absorption and bioavailability to standard oral dosage forms with the primary route remaining GI absorption. However, a fast disintegration time and a small tablet weight can enhance absorption in the buccal area. The first ODTs disintegrated through effervescence rather than dissolution, and were designed to make taking vitamins more pleasant for children. This method was adapted to pharmaceutical use with the invention of microparticles containing a drug, which would be released upon effervescence of the tablet and swallowed by the patient.

Keywords: Tablets, Zydis ODT, Claritin.

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2. Description 97
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4. References 100

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PAPER-QR CODE

1. Introduction

An orally disintegrating tablet or or dispersible tablet (ODT) is a drug dosage form available for a limited range of over-the-counter (OTC) and prescriptionmedications. ODTs differ from traditional tablets in that they are designed to be dissolved on the tongue rather than swallowed whole. The ODT serves as an alternative dosage form for patients who experience dysphagia (difficulty in swallowing) or for where compliance is a known issue and therefore an easier dosage form to take ensures that medication is taken. Common among all age groups, dysphagia is observed in about 35% of the general population, as well as up to 60% of the elderly institutionalized population and 18-22% of all patients in long-term care facilities. During the last decade, ODTs have become available in a variety of therapeutic markets, both OTC and by prescription. An additional reason to use an ODTs is the convenience of a tablet that can be taken without water.ODTs offer numerous significant advantages over conventional dosage forms because of improved efficacy, bioavailability, rapid onset of action, better patient compliance, and acceptance. Pediatric and geriatric patients are primary concerns, as both the groups find these dosage forms convenient to administer as compared to the conventional dosage forms. ODTs can be prepared in

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Design and Novel Approaches of Orally Disintegrating Tablets

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Abstract

Tablets designed to dissolve on the buccal (cheek) mucous membrane were a precursor to the ODT. This dosage form was intended for drugs that yield low bioavailability through the digestive tract but are inconvenient to administer parenterally, such as steroids and narcotic analgesics. Absorption through the cheek allows the drug to bypass the digestive tract for rapid systemic distribution. Not all ODTs have buccal absorption and many have similar absorption and bioavailability to standard oral dosage forms with the primary route remaining GI absorption. However, a fast disintegration time and a small tablet weight can enhance absorption in the buccal area. The first ODTs disintegrated through effervescence rather than dissolution, and were designed to make taking vitamins more pleasant for children. This method was adapted to pharmaceutical use with the invention of microparticles containing a drug, which would be released upon effervescence of the tablet and swallowed by the patient.

Keywords: Tablets, Zydis ODT, Claritin.

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1. Introduction

An orally disintegrating tablet or or dispersible tablet (ODT) is a drug dosage form available for a limited range of over-the-counter (OTC) and prescriptionmedications. ODTs differ from traditional tablets in that they are designed to be dissolved on the tongue rather than swallowed whole. The ODT serves as an alternative dosage form for patients who experience dysphagia (difficulty in swallowing) or for where compliance is a known issue and therefore an easier dosage form to take ensures that medication is taken. Common among all age groups, dysphagia is observed in about 35% of the general population, as well as up to 60% of the elderly institutionalized population and 18-22% of all patients in long-term care facilities. During the last decade, ODTs have become available in a variety of therapeutic markets, both OTC and by prescription. An additional reason to use an ODTs is the convenience of a tablet that can be taken without water.ODTs offer numerous significant advantages over conventional dosage forms because of improved efficacy, bioavailability, rapid onset of action, better patient compliance, and acceptance. Pediatric and geriatric patients are primary concerns, as both the groups find these dosage forms convenient to administer as compared to the conventional dosage forms. ODTs can be prepared in

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Optimization Techniques : A future of Pharmaceutical Product Development

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Abstract

Optimization of product or process is determination of experimental conditions resulting in its optimal performance. Optimization has been defined as the implementation of systemic approaches to achieve the best combination of product and process characteristics under a given set of conditions. In today's pharmaceuticals optimization is emerged as a technique for the best compromising answer to a particular question. The term optimization means to optimize something, or use something at its best. Optimization is finding a perfect, effective or functional answer. There is no single solution to design optimization tasks. Many techniques are available for this.

Key words: Optimization, problems, variables, experimental designs

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1. Introduction

Definition:

The term optimization is often used in pharmacy related to formulation to processing. Optimization is not a screening process. In developmental projects one generate experiments by series of logical steps, carefully controlling the variables & changing one at a time until a satisfactory system is produced. To make as perfect, effective or functional as possible

Terms used in Optimization

Variables: These are the measurements, values, which are characteristics of the data. There are two types of variables, dependent and independent variables. Independent variables are the variables, which are not dependent on any other value Eg: concentration of lubricants, drug to polymer ratio, etc.

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PHARMACEUTICAL PELLETS-A FUTURE ASPECT

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ABSTRACT

Compaction of multiparticulate, commonly called MUPS, is one of the more recent and challenging technologies that combine the advantages of both tablets and pellet-filled capsules in one dosage form. Venlafaxine is an anti depressant, having an elimination half-life of 5±2 hrs and its maximum daily dose is 300mg. The objective of the study is to prepare venlafaxine extended release pellets by extrusion spheronization technology, coating them with mixture of rate controlling polymers. Ethyl cellulose desired dissolution pattern and compressing the pellets into tablets.

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RESEARCH ARTICLE

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Pharmacognostic Study of *Clerodendrum splendens* Leaves

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Abstract: To present detailed pharmacognostic study of the leaf of *Clerodendrum splendens*, an important plant in Indian system of medicine, the macroscopy, microscopy, physiochemical analysis, preliminary testing of the leaf of the plant for standardization was investigated. The vascular tissues constitute a complex system of hollow wide cylinder of unequal thickness. The vascular bundles in the other regions of the cylinder are wedge shaped and collateral having a group of diffusely distributed xylem elements mixed with narrow fibres. The entire cylinder of vascular bundles contains a thin layer of fibres and elongated prismatic calcium oxalate crystals are sparsely distributed in the central ground tissue. The lamina is distinctly dorsiventral, the adaxial and abaxial sides are heteromorphic. Short stalked peltate type of glandular trichomes is located in shallow epidermal pits. It can be concluded that the pharmacognostic profile of leaf of *Clerodendrum splendens* is helpful in developing standards for quality, purity and simple identification.

INTRODUCTION

Clerodendrum splendens (glory tree) is a species of flowering plant in the genus Clerodendrum of the family Laminaceae native to tropical western Africa. It is a twining evergreen climber, growing to 3 meters (9.8 ft) or more, with panicles of brilliant scarlet flowers in summer. The plant is very useful in the treatment of asthma as antihistaminic drug.

For the standardization and quality assurance purpose, the following three attributes must be verified: authenticity, purity and assay. Hence the objective of present study is to evaluate various pharmacognostic parameters such as macroscopy, microscopy, physicochemical and phytochemical studies of the plant.

MATERIALS AND METHODS

Chemicals and Instruments

Phloroglucinol, glycerin, hydrochloric acid, potassium hydroxide and all other chemicals used in the study were of analytical grade.

Plant Material

Plant parts of *Clerodendrum splendens* was collected from Nashik district of Maharashtra in February 2012 and authenticated by Dr. P.S.N. Rao, Botanical Survey of India, Pune, where herbarium voucher specimen No. (BSI/WC/Tech/2005/101) has been deposited.

Macroscopic and Microscopic Analysis

The macroscopy and microscopy of the plant leaves were studied according to the methods of brain and Turner. For microscopic study, transverse sections were prepared and were stained. Powder microscopy was performed according to the methods of Kokate (1994), [1] Khandelwal (2007) [5] and Nirmal *et al*, (2012). [6]

Physiochemical Analysis

Physiochemical values such as percentage of ash values and percentage of extractive values were studied according to the official methods, [6] WHO guidelines on quality control of medicinal plants [3] and Nirmal *et al*, (2014). [6]

Preliminary Phytochemical Screenings

Preliminary phytochemical screenings was performed according to the methods described by Kokate (1994), [1] Devhare *et al*., (2009) [7] and Nirmal *et al*, (2009). [8]

RESULTS

Macroscopic characteristics

The leaves are opposite, simple, 6–12 cm long and 2–6.5 cm broad, with an entire margin. Dark green colored from inner side and pale green colored from outside. Texture is smooth. Leaf is petiolate (Figure 1).

Figure 1: Macroscopy of leaf of *Clerodendrum splendens*

Microscopic Characteristics

1. Midrib of the Leaf

The midrib is more or less circular in the sectional profile with flat adaxial side and thick circular abaxial part. It is 1.8 mm in horizontal plane and 1.6 mm in vertical plane. The epidermal layer of the midrib is thin, comprising small squarish or rectangular cells. Inner and outer epidermis is a narrow regions of thick walled cells (Figure 2). The ground tissue includes thin walled, angular, compact parenchyma cells.

The vascular tissues constitute a complex system of hollow wide cylinder of unequal thickness. Two vascular strands at abaxial-lateral part are larger than those that are located along the lateral and adaxial portions of the

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PHARMACOLOGICAL AND SYNTHETIC PROFILE OF 1, 3-BENZOXAZIN -4-ONE: A REVIEW

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ABSTRACT

Benzoxazin-4-one is a heterocyclic aromatic organic compound. It consist of fusion of benzene and 1, 3-oxazinan-6-one. Benzoxazin-4-one has been found with enormous biological activities with the suitable modifications in the structure. The present review is an attempt made to direct the attention of the researchers towards the Benzoxazin-4-one ring for the development of newer chemical entities which are quite useful in the treatment of various life threatening diseases and disorders.This review article covers the most active Benzoxazin-4-one derivatives that have shown considerable biological actions such as anticancer, antituberculer, antifungal and hypolipidaemic activity. This review also discusses the structure-activity relationship of the most potent compounds. It can act as an important tool for medicinal chemists to develop newer compounds possessing Benzoxazin-4-one moiety that could be better agents in terms of efficacy and safety.

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PHYTOCHEMICAL SCREENING AND ANTHELMINTIC ACTIVITY OF WOOD AND LEAVES OF *HIBISCUS TILIACEUS* LINN.

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ABSTRACT

Various helminthes have been common cause of concern and leads many problems to human beings and other animals. From ancient time different plants are known to possess significant anthelmintic activity against these invasive types of worms and can be effectively used in treatment of worm infections. The prime objective of the study was to investigate different types of phytoconstituents present and anthelmintic activity of *Hibiscus tiliaceus* wood and leaves. Extraction was carried out by continuous hot percolation method in soxhlet apparatus using petroleum ether, ethyl acetate, methanol as solvents for wood powder and petroleum ether, chloroform, ethyl acetate, ethanol as solvents for leaves powder respectively. The extractive values were reported. All the extracts were subjected to phytochemical screening using standards methods for detection of type of phytoconstituents present in them. *In-vitro* anthelmintic activity was carried out at three different concentrations using *Pheretima posthuma* as test organism, the parameters like the time of paralysis and the time of death were determined. All the extracts showed significant anthelmintic activity in the dose dependent manner compared to standard drug albendazole. Amongst the extracts petroleum ether extract of wood and ethyl acetate extract of leaves showed good activity. This might due to the presence of secondary metabolites like tannins, saponins and alkaloids in the plant parts which may be responsible for the activity.

Keywords: Phytochemical screening, *Hibiscus tiliaceus*, anthelmintic activity, *Pheretima posthuma*.

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PROCESS VALIDATION OF PARENTERAL FORMULATION

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ABSTRACT

To validate the reproducibility and consistency of a process, the full defined process is carried out using validated equipment, under the established procedure usually at least 3 times. The process must successfully and consistently meet all acceptance criteria each time, to be considered a validated process. The objective of study is to systemically conduct the validation study pertaining to manufacturing activities of parenteral preparation & confirm that the product manufacture with the present method consistently meets the predetermined specifications and quality attributes. The validation of the reproducibility & consistency of the process is carried out using validated equipment under established procedure usually at least three times. The process must successfully & consistently meet all acceptance criteria each time, to be considered a validated process. "Worst case" conditions are used for the validation to ensure that the process is acceptable in the extreme case. Sometimes worst case conditions for systems can only really be tested over time & hence must be evaluated using a long term monitoring.

KEY WORDS: Validation, Parenteral, process, reproducibility and consistency.

INTRODUCTION

Process is a series of inter related functions and activities using a variety of specified actions and equipment which is designed to produce a defined result. To validate the reproducibility and consistency of a process, the full defined process is carried out using validated equipment, under the established procedure usually at least 3 times. The process must successfully and consistently meet all acceptance criteria each time, to be considered a validated process. In many cases, "worst case" conditions are used for the validation to ensure

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QSAR Study and Synthesis of some new 2, 5-disubstituted 1, 3, 4-oxadiazole derivatives as Anti-microbial and Anti-inflammatory Agents

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Abstract

The synthesis, structure and biological activity of Oxadiazole derivatives have long been the focus of research interests in the field of Medicinal Chemistry. A number of Oxadia derivatives have been reported to possess interesting biological activities such as Antimicrobial, Anti-inflammatory and Antifungal activities etc. All synthesized compound were characterized by IR, H¬1-NMR and elemental Analysis. All the compounds were evaluated for Antibacterial and Anti-inflammatory at the concentration of 200 µcg/mL by using plate agar diffusion method. The activity was carried out on different micro-organisms (*E.coli, S. aureus, A. niger, C. albicans*) measured in terms of zone of inhibition and com the standard drug Ciprofloxacin and Amphotericin B for antimicrobial activity. All the newly synthesized derivatives were screened for Anti-inflammatory activity by an in-vitro m of Inhibition of protein denaturation using Zaltoprofen as a standard.

Keywords

Anti-inflammatory, Antimicrobial and Oxadiazole.

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QSAR Study and Synthesis of some new 2, 5-disubstituted 1, 3, 4-oxadiazole derivatives as Anti-microbial and Anti-inflammatory Agents

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QSAR study of some new 2, 5-disubstituted 1, 3, 4-oxadiazole derivatives as anti-microbial and anti-inflammatory agents

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Abstract

The synthesis, structure and biological activity of Oxadiazole derivatives have long been the focus of research interests in the field of Medicinal Chemistry. A number of Oxadiazole derivatives have been reported to possess interesting biological activities such as Antimicrobial, Anti-inflammatory and Antifungal activities etc. Around 06 new derivatives were synthesized, with the standard chemicals and well established procedures. The synthesized compounds were tested for their preliminary tests, physical constants, TLC etc. IR, ^{1}H-NMR Spectra and CHN analysis confirmed the structure of the final compounds. The proposed compounds were screened for their antimicrobial and anti-inflammatory activities with the standard drugs in the well-equipped microbiology and pharmacology lab by using standard methods.

Keywords: Anti-inflammatory, Antimicrobial and Oxadiazole.

1. Introduction

The need of new anti-microbial agents is justified because more microorganisms are being resistance to the present drugs available in the market.[1] Word wide researchers are trying to synthesize new drugs with better pharmacokinetic and dynamic properties with less adverse effects. The literature survey suggests that the Oxadiazole have proved to be good bioactive molecules. They have shown diverse biological activities like anti-bacterial, anti-fungal, anti-inflammatory, antiproliferative, antimycobacterial, monoamine oxidase inhibitors (MAOIs), antituberculer, analgesic and antihypertensional etc.[2-4]. Therefore in view of above facts it was thought of interest to synthesize some 2, 5 disubstituted 1, 3, 4-oxadiazole Derivatives. IR, 1H-NMR Spectra and CHN analysis confirmed the structures of the final compounds. The proposed compounds were screened for their antibacterial and anti-inflammatory activities with the standard drugs in the well-equipped microbiology and pharmacology lab by using standard methods.

2. Experimental

Melting points were determined in open capillary method and are uncorrected. Purity of the compound was checked on Silica gel TLC plates. IR spectra were recorded on Jasco J T3R-4100 spectrophotometer using KBr disc method. 1HNMR spectra were recorded on Bruker Advance -II 400, DMSO as internal standard. Combustion analyses were found to be within the limits of permissible error.

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The newly synthesized compounds were screened for their antibacterial activity against *Escherichia coli* (MTCC 443), *Bacillus subtilis* (ATCC 12228) and *Staphylococcus aureus* (ATCC25923) bacterial strains by disc diffusion method. In all the determinations tests were performed in triplicate and the results were taken as a mean of three determinations. Levofloxacin was used as a standard drug.

2.2 Anti-inflammatory activity

2.2.1 In-vitro anti-inflammatory activity Inhibition of protein denaturation

The standard drug and synthesized compounds were dissolved in minimum quantity of dimethyl formamide (DMF) and diluted with phosphate buffer (0.2 M, pH 7.4). Final concentration of DMF in all solution was less than 2.5%. Test solution (1mL) containing different concentrations of drug was mixed with 1 mL of 1mM albumin solution in phosphate buffer and incubated at 27° + 1° C in BOD incubator for 15 min. Denaturation was induced by keeping the reaction mixtures at 60° + 1° C in water bath for 10 min. After cooling, the turbidity was measured at 660 nm (UV-Visible Spectrophotometer). Percentage of inhibition of denaturation was calculated from control where no drug was added. Each experiment was done in triplicate and average is taken. The Ibuprofen was use as standard drug. The percentage inhibition of denaturation was calculated by using following formula.

$$\%\text{ of Inhibition} = 100\ X\ [1- V1 / V_C]$$

Where,

V1 = Mean absorbance of test sample.
V_C = Mean absorbance of control.

Step I: Synthesis of 1, 4-dihydropyridine

0.01 mole of an aromatic aldehyde is refluxed for 3-4 hrs. in presence of ethyl acetoacetate (0.02 mole) and ammonia along with ethyl alcohol. The reaction mixture was then poured on to cold water to offer 1, 4-dihydropyridine derivatives.

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Research Article

QSAR study of some new 2, 5-disubstituted 1, 3, 4-oxadiazole derivatives as anti-microbial and anti-inflammatory agents

Nachiket S. Dighe[*1], Deepak S. Musmade[2], Trupti Sahane[1], Pankaj Shinde[1] and Govind S. Asane[1]

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[2]*MES's Sanjivani College of Pharmaceutical Education and Research, Kopargaon, MS, India-423603.*

Abstract

The synthesis, structure and biological activity of Oxadiazole derivatives have long been the focus of research interests in the field of Medicinal Chemistry. A number of Oxadiazole derivatives have been reported to possess interesting biological activities such as Antimicrobial, Anti-inflammatory and Antifungal activities etc. Around 06 new derivatives were synthesized, with the standard chemicals and well established procedures. The synthesized compounds were tested for their preliminary tests, physical constants, TLC etc. IR, ^{1}H-NMR Spectra and CHN analysis confirmed the structure of the final compounds. The proposed compounds were screened for their antimicrobial and anti-inflammatory activities with the standard drugs in the well-equipped microbiology and pharmacology lab by using standard methods.

Keywords: Anti-inflammatory, Antimicrobial and Oxadiazole.

1. Introduction

The need of new anti-microbial agents is justified because micro-organisms are being resistance to the present drugs available in the market.[1] Word wide researchers are trying to synthesize new drugs with better pharmacokinetic and dynamic properties with less adverse effects. The literature survey suggests that the Oxadiazole have proved to be good bioactive molecules. They have shown diverse biological activities like anti-bacterial, anti-fungal, anti-inflammatory, antiproliferative, antimycobacterial, monoamine oxidase inhibitors (MAOIs), antituberculer, analgesic and antihypertensional etc.[2-4] Therefore in view of above facts it was thought of interest to synthesize some 2, 5 disubstituted 1, 3, 4-oxadiazole Derivatives. IR, 1H-NMR Spectra and CHN analysis confirmed the structures of the final compounds. The proposed compounds were screened for their antibacterial and anti-inflammatory activities with the standard drugs in the well-equipped microbiology and pharmacology lab by using standard methods.

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REVIEW ARTICLE

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Quinoline - A Versatile Nucleus in Medicinal Chemistry: A Review

Nachiket S Dighe[1*], Govind S Asane[1], Ravindra B Laware[2], Priyanka G Gode[1], Suwarna H Kale[2]

Abstract: Quinolines are an important class of heterocyclic compounds. Several derivatives of this class have been reported for their method of synthesis and screened for their biological activities such as antimicrobial, antimalarial, anti-inflammatory, cytotoxicity or anticancer, antibiotic, tyrokinase PDGF-RTK inhibiting agents, biofertilizing abilities and HIV-1 Integrase Inhibitors etc. The potency of these clinically useful drugs in treatment of microbial infections and other activities encouraged the development of some more potent and significant derivatives. Changes in the quinoline structure with different heterocyclic groups have offered a high degree of diversity that has proven useful for the development of new therapeutic agents having improved potency and lesser toxicity.

INTRODUCTION

Quinolines are an important class of heterocyclic compounds. Quinoline derivatives represent one of the most active classes of compounds possessing a wide spectrum of biological activity. Several compounds of this class have been screened for biological activities such as antimicrobial, antimalarial, anti-inflammatory, cytotoxicity, antituberculosis anticancer, antibiotic, antihypertensive, tyrokinase PDGF-RTK inhibiting agents, biofertilizing abilities and HIV-1 Integrase Inhibitors etc. Highly active antimalarial quinoline drugs plasmaquin, chloroquin and primaquin are well known in the market.[1] Several reports have been published on the biological activity of quinoline derivatives, including their bactericidal, herbal and anti-tumour activity. Quinolines are known to inhibit DNA synthesis by promoting cleavage of bacterial DNA gyrase and type-IV topoisomerase, resulting in rapid bacterial death. Thus, their synthesis has been of great interest in the elaboration of biologically active heterocyclic compounds. Recently, it was reported that some 3odoquinazolines exhibited moderate antibacterial activity.[2]

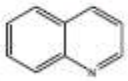

Figure 1: Quinoline

Properties of Quinoline [3]

1. IUPAC name: 1-benzazine, 1-azanaphthalene, benzo[b] pyridine
2. Molecular formula : C9H7N
3. Molar mass : 129.16 g/mol
4. Density : 1.093 g/ml
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7. Solubility : water Soluble.

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Chemically

Quinoline is used as a lead compound in which benzene ring is fused with pyridine ring on the 2-3 position.

The benzene ring contains six carbon atoms, while the pyridine ring contains five carbon atoms and a nitrogen atom. The simplest member of the quinoline family is quinoline itself, a compound with molecular structure C_9H_7N. Several quinoline derivatives isolated from natural resources or prepared synthetically are significant with respect to medicinal chemistry and biomedical use. Indeed quinoline derivatives are some of the oldest compounds which have been utilized for the treatment of a variety of diseases. The bark of Cinchona plant containing quinine was utilized to treat palpitations, fevers and tertians since more than 200 years ago. Quinidine, a diastereoisomer of quinine was in the early 20th century acknowledged as the most potent of the ant arrhythmic compounds isolated from the Cinchona plant. The quinoline skeleton is often used for the design of many synthetic compounds withdiverse pharmacological properties such as, anti-inflammatory, antimicrobial agents, cytotoxic activity, antidotal and antibacterial, antitumor activity, antimalarial. Additionally, quinoline derivatives find use in the synthesis of fungicides, virucides, biocides, alkaloids, rubber chemicals and flavoring agents. They are also used as polymers, catalysts, corrosion inhibitors, preservatives, and as solvent for resins and terpenes. Furthermore, these compounds find applications in chemistry of transition metal catalyst for uniform polymerization and luminescence chemistry. Quinoline derivatives also act as antifoaming agent in refineries. Owing to the mentioned significance, the synthesis of substituted quinolines has been a subject of great interest in organic chemistry. In addition, various fused system of quinolines were studied for their intercalative DNA binding properties. A literature survey reveals the antitumor activity is due to the intercalation between the base pairs of DNA and interferences with the normal functioning of enzyme topoisomerase II, which is involved in the breaking and releasing of DNA strands. The antitumor drugs that intercalate DNA are of growing interest in the field of anticancer derivatives. Generally, they are characterized by planar chromophore, which is often constituted by three or four condensed rings, which can intercalate into base pairs.

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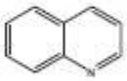

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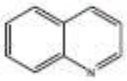

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Pharmaceutical Sciences **Review Article.....!!!**

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SELF EMULSIFYING DRUG DELIVERY SYSTEM: A REVIEW

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Keywords:

Self emulsifying drug delivery system, surfactant, oil, co-surfactant, co-solvent

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ABSTRACT

Self-emulsifying drug delivery systems (SEDDS) possess unparalleled potential in improving oral bioavailability of poorly water-soluble drugs. Following their oral administration, these systems rapidly disperse in gastrointestinal fluids, yielding micro- or nanoemulsions containing the solubilized drug. Owing to its miniscule globule size, the micro/nanoemulsified drug can easily be absorbed through lymphatic pathways, bypassing the hepatic first-pass effect. This Article gives the overview of SEDDS with emphasis on different types of self-emulsifying formulation, their formulation, characterization, biopharmaceuticals aspect, advantage and recent development. Finally the existing challenges and future aspects are pointed out.

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RESEARCH ARTICLE

Synthesis and Evaluation of Some New Benzimidazole Derivatives for their Anti-Microbial and Anti-Inflammatory Activities

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ABSTRACT:
The synthesis, structure and biological activity of Benzimidazole derivatives have long been the focus of research interests in the field of Medicinal Chemistry. A number of Benzimidazole derivatives have been reported to possess interesting biological activities such as antimicrobial activity, 5-HT4 Receptor Antagonists activity, anticancer activity.

All synthesized compound were characterized by IR, H^1-NMR and elemental Analysis. All the compounds were evaluated for Antibacterial activity at the concentration of 200 µcg/mL by using cup-plate agar diffusion method. The activity was carried out on different micro-organisms (*E.coli, S. aureus, A.niger, C. albicans*) measured in terms of zone of inhibition and compared the standard drug Levofloxacin and Amphotericin B for antimicrobial activity. All the newly synthesized derivatives were screened for Anti-inflammatory activity by an in-vitro method of Inhibition of protein denaturation using Ibuprofen as a standard. These compounds with the suitable molecular modification may prove as a drug of choice in the treatment of microbial infectious disease in future.

KEYWORDS: Anti-inflammatory and Antimicrobial activity.

INTRODUCTION

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MARERIALS AND METHODS:

EXPERIMENTAL:

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ANTIBACTERIAL ACTIVITY:

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ANTI-INFLAMMATORY ACTIVITY:

In-vitro anti-inflammatory activity

Inhibition of protein denaturation

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RESEARCH ARTICLE

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ANTI-INFLAMMATORY ACTIVITY:

In-vitro anti-inflammatory activity

Inhibition of protein denaturation

The standard drug and synthesized compounds were dissolved in minimum quantity of dimethyl formamide (DMF) and diluted with phosphate buffer (0.2 M, pH 7.4).

Priya

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RESEARCH ARTICLE

Synthesis and Evaluation of Some New Benzimidazole Derivatives for their Anti-Microbial and Anti-Inflammatory Activities

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ABSTRACT:
The synthesis, structure and biological activity of Benzimidazole derivatives have long been the focus of research interests in the field of Medicinal Chemistry. A number of Benzimidazole derivatives have been reported to possess interesting biological activities such as antimicrobial activity, 5-HT4 Receptor Antagonists activity, anticancer activity.

All synthesized compound were characterized by IR, H^1-NMR and elemental Analysis. All the compounds were evaluated for Antibacterial activity at the concentration of 200 µcg/mL by using cup-plate agar diffusion method. The activity was carried out on different micro-organisms (*E.coli, S. aureus, A.niger, C. albicans*) measured in terms of zone of inhibition and compared the standard drug Levofloxacin and Amphotericin B for antimicrobial activity. All the newly synthesized derivatives were screened for Anti-inflammatory activity by an in-vitro method of Inhibition of protein denaturation using Ibuprofen as a standard. These compounds with the suitable molecular modification may prove as a drug of choice in the treatment of microbial infectious disease in future.

KEYWORDS: Anti-inflammatory and Antimicrobial activity.

INTRODUCTION

The need of new anti-microbial agents is justified because more microorganisms are being resistance to the present drugs available in the market. Word wide researchers are trying to synthesize new drugs with better pharmacokinetic and dynamic properties with less adverse effects. The literature survey suggests that the Benzimidazole have proved to be good bioactive molecules. They have shown diverse biological activities like anti-bacterial[1], anti-fungal[2], anti-inflammatory[3], 5-HT4 Receptor Antagonists activity[4], angiotensin-II receptor antagonists[5], monoamine oxidase inhibitors (MAOIs)[6], potent AMP-activated protein kinase activators[7], and anticancer activity[8] etc. Therefore in view of above facts it was thought of interest to synthesize some Benzimidazole Derivatives. IR, 1H-NMR Spectra and CHN analysis confirmed the structures of the final compounds. The proposed compounds were screened for their antibacterial and anti-inflammatory activities with the standard drugs in the well-equipped microbiology and pharmacology lab by using standard methods.

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Volume No.: **4**
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[Abstract View] [View PDF]

Synthesis and Evaluation of Some New Imidazole Derivatives for their Anti-Microbial and Anti-Inflammatory activities

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[1]Department of Pharmaceutical Chemistry, Pravara Rural College of Pharmacy, Loni, MS, India-413736.

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Asian J of Biosciences, May 2014 (1) 01, 07-14

Review article

Synthetic and pharmacological profile of Furan

Nachiket S. Dighe[*1], Amol S. Balsane[1], Ravindra B Laware[2], Santosh S Dengale[1], G S Asane[2] Sunil A Nirmal[3]

[1]Department of Pharmaceutical Chemistry, Pravara Rural College of Pharmacy, Loni, MS, India-413736.
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Corresponding author : Mr. Nachiket S. Dighe ; Email-nachiket1111@rediffmail.com

Submitted on: 02 January 2014 ; Published on: 07 May 2014

Abstract:

Furan a five membered heterocycles has been found with enormous biological activities with the suitable modifications in the structure. The present review is an attempt made to direct the attention of the researchers towards the Furan ring for the development of newer chemical entities. Which are quite useful in the treatment of various life threatening diseases and disorders? Along with the development in the various methods used for the environmentally benign synthesis of Furan with profound biological activities.

Keywords: Furan , Biological activities, method of synthesis.

Introduction:

Furan is a heterocyclic organic compound, consisting of a five-membered aromatic ring with four carbon atoms and one oxygen. The class of compounds containing such rings are also referred to as furans. Furan is a colorless, flammable, highly volatile liquid with a boiling point close to room temperature. It is soluble in common organic solvents, including alcohol, ether and acetone, but is slightly soluble in water. It is toxic and may be carcinogenic. Furan is used as a starting point to other specialty chemicals The name *furan* comes from the Latin *furfur*, which means bran. The first furan derivative to be described was 2-furoic acid, by Carl Wilhelm Scheele in 1780. Another important derivative, furfural, was reported by Johann Wolfgang Döbereiner in 1831 and characterised nine years later by John Stenhouse. Furan itself was first prepared by Heinrich Limpricht in 1870, although he called it *tetraphenol*

furan

Physical Properties of furan

Furans are volatile organic compounds that are highly flammable both in liquid and gaseous phase. The liquids are colorless and the liquid 2-MTHF has a boiling point of 79 °C. The boiling point of 2,2-DMTHF is not known but is expected to be somewhat higher than for 2-MTHF. Because of its properties it has been proposed as a new type of biofuel in combustion processes. It might then be possible to produce some furan derivatives from fructose through catalytic

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ABSTRACT

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Keywords: Thiophene, Biological activities, method of synthesis.

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Synthetic and Pharmacological Profile of Thiopene: A Review

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ABSTRACT

Thiophene a five member heterocycles had been found with enormous biological activities with the suitable modifications in the structure. The present review is an attempt made to direct the attention of the researchers towards the thiopene ring for the development of newer chemical entities which are quite useful in the treatment of various life threatening diseases and disorders This review article covers the most active thiopene derivatives that have shown considerable biological actions such as antimicrobial, anti-inflammatory. This review also discusses the structure-activity relationship of the most potent compounds. It can act as an important tool for medicinal chemists to develop newer compounds possessing thiopene moiety that could be better agents in terms of efficacy and safety

Keywords: Thiophene, Biological activities, method of synthesis.

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Design, synthesis and pharmacological screening of some [3-benzoyl-5-(4-substituted)-2, 3-dihydro-1,3,4-oxadiazol-2-yl] and [5-(4-substituted)-4*H*-1, 2, 4-triazol-3-yl] derivatives

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A novel series of some substituted [3-benzoyl-5-(4-substituted)-2,3-dihydro-1,3,4-oxadiazol-2-yl] and [5-(4-substituted)-4*h*-1,2,4-triazol-3-yl] derivatives were prepared from benzoic acid hydrazones with the aim to get better antibacterial activity, antifungal activity, antitubercular and anti-inflammatory activity. Chemical structures of synthesized compounds were supported by means of IR, ^{1}H NMR and mass spectroscopy. Title compounds were evaluated for antibacterial activity, antifungal activity, antitubercular and anti-inflammatory activities. QSAR for the title compounds had been performed using TSAR 3.3 software and results were found satisfactory. Among the synthesized compounds some compounds found to possess all these activities

Key-words: QSAR, antibacterial, antifungal, antitubercular, anti-inflammatory activity.

INTRODUCTION

As the currently marketed drugs like isoniazide offer resistance against tubercle bacilli there is need to develop newer chemical entities which offer least resistance with suitable molecular modifications such as conversion into corresponding aryl Oxadiazoles, 1,2,4-triazole derivatives. This found fruitful in relieving these problems associated with currently marketed antitubercular drugs. Microbial infections have become more dreadful and dangerous so the search of new antibiotics and antibacterial is a continuous process in drug discovery. The 1,3,4-oxadiazole and 1,2,4-triazoles had been reported for various biological activities like antimicrobial activity [1], antitubercular activity[2], anticancer activity[3], anti-inflammatory activity[4] , MAO inhibitors [5] , analgesic activity [6], glycogen synthase kinase-3β inhibitors [7] etc. With reference to above reported medicinal utilities of 5-aryl-1,3,4- Oxadiazoles and 1,2,4-triazole derivatives promote to synthesize new potential 5-aryl-1,3,4- Oxadiazoles and 1,2,4-triazole derivatives and evaluate its possible pharmacological activities like antifungal, antibacterial, anti-HIV, anticancer, antitubercular, antiviral etc. Based on these observations it was planned to synthesize some 5-aryl-1, 3, 4-oxadiazole and 1, 2, 4-triazole derivatives and screened for antimicrobial, antitubercular and anti-inflammatory activities.

EXPERIMENTAL

Materials&Methods

Melting points were determined in open capillary method and are uncorrected. The ^{1}H-NMR spectra were recorded on sophisticated multinuclear FT-NMR Spec-trometer model Advance-II (Bruker) using dimethylsulfoxide-*d*6 as solvent and tetramethylsilane as internal standard. IR spectra were recorded on Thermo Nicolet IR 200 spectrophotometer using KBr disc method. Biological activity (anti-inflammatory activity) values are reported as inhibitory activity on Carrageenan induced rat paw edema (% inhibition at 2 hr). Pharmacological screening values therein were converted into Log (% Inh) were used for multiple correlation analysis with descriptors generated using TSAR 3.3 software.

QSAR Methodology

All molecules were drawn in Chem draw ultra 8.0 module in Chemoffice 2004 software and imported into TSAR software. Charges were derived using Charge 2-Derive charges option and optimized by using Cosmic-optimize 3 D option in the structure menu of the project table. Substituents

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