Key Indicator 3.3

Metric No. 3.3.1: Number of research papers published per teacher in the Journals notified on the UGC care list during the last five years.

Year	2021-22	2020-21	2019-20	2018-19	2017-18
Number	16	7	2	4	3

INDEX

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	nanocarriers.	Telange, Shailesh Chalikwar, Vivek Borse	
8	A Systematic Review on Micronutrients in Memory: Feeding the Brain.	Anjali Bhoir, Rupali Patil, Sahebrao Boraste, Prashant Pingale, Sunil Amrutkar	12
9	In vitro antioxidant and anti-dopaminergic activity of alkaloid-rich fraction isolated from leaves of *Murraya koenigii*.	Patil Rupali, Langade Padmaja	13
10	Anxiolytic, antidepressant and anticonvulsant activity of *Mucuna pruriens* seeds.	Patil Rupali A., Ahmad Azharuddin I	14
11	Design, In-silico analysis, Synthesis and evaluation of novel benzofused nitrogen containing heterocyclic N- substituted mercaptobenzimidazole derivatives as potential antimicrobial agent	Patil Tejaswini D. and Amrutkar Sunil V.,	15
12	Novel Benzotriazole acetamide Derivatives as Benzo-Fused Five-Membered Nitrogen Containing hetrocycles- In silico Screening, Molecular Docking and Synthesis	Patil Tejaswini D. and Amrutkar Sunil V.,	16
13	Ayurvedic Remedies of Tuberculosis.	Mali Dhanashri R., Madhura Tiwari, Atharva Hastekar, Mohit Sharma,	17
14	Synthesis and Biological Evaluation of Some Newer 1h-Benzo[b][1,5]diazepin-2(3h)-One Derivatives as Potential Anticonvulsant Agents	Rishipathak dinesh, Patil Dipak, Chikhale Hemant	18
15	Synthesis characterization, in silico ADME, PASS prediction, Molinsparation, Osiris and Toxicological profiling studies of some fluconazole analogues	Survanshi Manjusha B, More Nachiket D, Chikhale Hemant U	19
16	Design, In silico screening, Molecular docking Synthesis and biological evaluation of benzofused nitrogen containing heterocycle against DNA gyrase subunit B as potential antimicrobial agent	Patil Tejaswini D. and Amrutkar Sunil V.,	20
Academic Year 2020-21			
1	Effect of pH and surfactants on dissolution profile of BCS class II drugs	Pingale P. L., Boraste S. S.	22
2	Use of Natural Superdintegrants in Formulation of Fast Disintegrating Tablet of Atenolol	Prashant L. Pingale, Amarjitsing P. Rajput, Shashikant B. Bagade	23
3	Formulation of Linseed Hydrogel-Based Floating Drug Delivery System for Gatifloxacin	Prashant L. Pingale, Dattatray M. Shinkar, Sachin N. Kothawade	24
4	Formulation, Characterisation, and In-vitro Dissolution Studies of Metadoxine Tablets Prepared by Various Granulation Method	Prashant L. Pingale, Sunil V. Amrutkar, Darshan R. Telange	25
5	Formulation and evaluation of Pravastatin fast disintegrating tablets using natural super disintegrant	Prashant L. Pingale, Sahebrao S. Boraste, Sunil V. Amrutkar	26
6	Proanthocyanidin rich fraction of *M. Nagi* Bark (PMN) attenuates Reserpine-induced impairment of cognition and locomotion in experimental animals.	R A Patil, PD Salade	27
7	Drug repositioning: An overview and Clinical perspective	S.R.Purkar, H.U.Chikhale, S.V.Amrutkar	28

Academic Year 2019-20			
1	A Comparative Study of Two Novel Approaches: Solid Dispersion and Liqui-Solid Technique for Improvement of Dissolution Rate of Ketoprofen	Prashant L. Pingale, Dattatray M. Shinkar, Sahebrao S. Boraste	30
2	Comparative study of Herbal extract of Piper Nigrum, Piper Album on various characteristics of Pyrazinamide and Ethambutol microspheres.	Pingale Prashant L., Ravindra R. P.	31
Academic Year 2018-19			
1	Quinazolin-4-One A Vesatile molecule	Amrutkar S.V.	33
2	Anti-Inflammatory activity of alkaloid from Murrayakoenigii leaves in animal models	Patil R.A	34
3	Enhancement of solubility of Mefanamic acid by Hydrotrop based solid dispersion	Shelke S.P	35
4	Phytochemical Analysis and dopaminergic activity of Rubia Cordifolia and Nardostachys jatamansi extracts	R.A. Patil, S.B.Kasture	36
Academic Year 2017-18			
1	Green Chemistry- New Approach in drug synthesis	T.D. Patil, Harak S.S., Amrutkar S. V.	38
2	Ethosomes: Newer trend in transdermal Drug Delivery System	Pingale P.L., Boraste S.S., Ghegade R.Y.	39
3	Computer aided drug design	Harak S.S., Mali D.R., Amrutkar S.V	40

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Research Article

Calcium Ion-Sodium Alginate-Piperine-Based Microspheres: Evidence of Enhanced Encapsulation Efficiency, Bio-Adhesion, Controlled Delivery, and Oral Bioavailability of Isoniazid

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Abstract. Isoniazid (INH) is a first-line chemotherapeutic drug employed in the management of tuberculosis. However, its extensive first-pass metabolism, short-life life, and low oral bioavailability confined its medical application. Therefore, the calcium ion-alginate-piperine microspheres (INH-CaSP Ms) was prepared to enhance encapsulation efficiency, controlled delivery, and oral bioavailability of INH. The INH-CaSP Ms was developed using a modified emulsification method and optimized via Box-Behnken design (BBD). Optimized INH-CaSP Ms were characterized for encapsulation efficiency, differential scanning calorimetry (DSC), Fourier-transform infrared spectroscopy (FT-IR), bio-adhesion, *in vitro* dissolution, *ex vivo* permeation, and oral bioavailability studies. Characterization studies confirmed the formation of microspheres. The INH-CaSP Ms showed spherical microspheres with enhanced encapsulation efficiency (~ 93.03 ± 1.54% w/w). The optimized INH-CaSP Ms exhibited higher bio-adhesion around (~ 81.41 ± 1.31%). The INH-CaSP Ms enhanced the dissolution rate of INH (~ 57%) compared to pure INH (~ 57%) and INH-SA Ms (~ 81%) in simulated gastric fluid (SGF, pH 1.2) and simulated intestinal fluid (SIF, pH 7.4). The same formulations improved the permeation rate of INH (~ 90%) compared to pure INH (~ 55%) and INH-SA Ms (~ 80%). The oral bioavailability results indicated that INH-CaSP Ms appreciably improved the oral bioavailability of INH via increasing the *Cmax*, *Tmax*, $t_{1/2}$, and *AUC* parameters compared to pure INH. The study demonstrates that the development of INH-CaSP Ms via cross-linked coordinate bond interaction between divalent cation calcium ion-alginate complex and anion piperine bio-enhancer is an effective approach for enhancing the encapsulation efficiency, bio-adhesion, controlled release, and oral bioavailability of INH.

KEY WORDS: Isoniazid; Sodium alginate; Piperine; Microspheres; Oral bioavailability.

INTRODUCTION

The oral route is the most desirable route for drug administration because of easy drug administration, non-invasive approach, convenience, high patient compliance, and feasibility for solid dosage formulations. Moreover, the prominent surface area (300–400 m^2) of the oral route provides an excellent attachment to the drug and promotes its absorption via enterocytes (1). Despite these positive benefits, the oral route displays multiple drawbacks such as drug stability and solubility issues in the GI tract, variable and poor absorption, extensive first-pass metabolism, and high P-gp efflux. This mechanism produces low oral bioavailability of many active pharmaceutical ingredients (APIs) (2). Various formulations have been introduced for enhancing the oral bioavailability of the drug. The nanoformulations are considered the best choice due to nanometer in size and demonstrated a significant improvement in oral bioavailability via localized and targeted drug delivery in the GI tract. It achieved the oral targeted delivery via enhancing drug residence duration, increased release, and assisting interaction with cells in the GI tract (2). This interaction can facilitate permeation absorption, thereby enhancing the oral bioavailability of the drug (3).

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FORMULATION, DEVELOPMENT, AND EVALUATION OF QUETIAPINE FUMARATE IMMEDIATE RELEASE TABLETS

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ABSTRACT

Antipsychotic medications can help control the symptoms of schizophrenia. A variety of scientific and demographic factors show the capability to sway the selection of odd neuroleptic medications. Quetiapine Fumarate is indicated for the treatment of schizophrenia and bipolar disorder. Disintegrating agents are materials that are commonly used in the formulation of tablets and hard-shell capsules. Within a short period after administration, drugs should dissolve or disintegrate in the stomach. The most preferred decomposition agent in the making of tablets is starch. The primary purpose of this research was to create a reliable immediate-release tablet formulation of the antipsychotic Quetiapine. Tablets are popular due to their low cost, packaging, and shipping, as well as their greater stability and virtual tamper resistance. Orally administered tablets with a faster disintegration time have a shorter absorption time and higher bioavailability. The goal of the study is to create a stable and physically and chemically compatible generic formulation for treating schizophrenia, as well as a pharmaceutically equivalent instant release tablet for individuals with mental illnesses like schizophrenia and bipolar disorder.

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Introduction

Antipsychotic medications can help control the symptoms of schizophrenia by changing the balance of chemicals in the brain. There is no complete treatment for schizophrenia, but medications are only part of a comprehensive treatment plan. Various demographic and clinical characteristics influence the choice of atypical antipsychotic drugs. Increasing brain abnormalities have been identified in several linear anatomic Magnetic Resonance Imaging (MRI) analyses in people with schizophrenia [1, 2].

The drug quetiapine fumarate is used to treat schizophrenia and bipolar disorder. It binds to serotonin 5HT2 and 5HT1A receptors in the brain, as well as dopamine D1 and D2 sensory receptors. Lesser added pyramidical symptoms and neuroleptic characteristics are alleged to be the result of its use [3].

For therapeutic agents with systemic effects, oral medication delivery is the most desirable and recommended form of administration. Three types of oral drug delivery systems are Targeted, Controlled, and Immediate-release preparations, (TR), (CR), and (IR) respectively [4].

Disintegrants are the substances employed in tablet manufacture to help in the diffusion of humidity and spreading the formulation matrix of the dose in dissolution solutions. In tablet manufacturing, starch has long been the primary disintegrant, and it is still widely employed today [5].

Drugs should dissolve or disintegrate fast in the stomach after administration and have a rapid onset of action. They should be easily transportable, leave minimal residue in the mouth after oral delivery, and be resistant to surrounding circumstances like dampness and warmth [6, 7].

A study has shown that immediate-release tablets are better than sustain-release tablets for the treatment of schizophrenia. Bonafede M. *et al*., 2015, studied patients with acute bipolar mania and found that they were more likely to be discharged from the hospital after a few days [8, 9].

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QUERCETIN LOADED RIFAMPICIN-FLOATING MICROSPHERES FOR IMPROVED STABILITY AND *IN-VITRO* DRUG RELEASE

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ABSTRACT

After HIV, tuberculosis (TB) is the world's second most frequent disease. MTB (Mycobacterium tuberculosis) is a major infectious disease that poses a considerable public health issue. Fixed-dose drug combination microspheres appear to be a better option for long-term, regulated medication therapy. The drugs could be given orally once a week to encourage patient compliance. For long-term pharmaceutical therapy, fixed-dose drug combination microspheres appear to be a superior option. Oral administration is the most common and favored mode of pharmaceutical administration. Drug release is modulated throughout the GI tract with oral controlled-release (CR) formulations. Swelling and expanding systems, floating systems, forms of the mucoadhesive systems of high-density dose, and magnetic systems have all been employed. The goal of this study is to develop rifampicin-floating microspheres that will increase gastric retention time. The influence of quercetin on in-vitro drug release has been looked. The efficiency of entrapment was determined to be 76.50 percent. After 8 hours, the percentage buoyancy was observed at 61.50.In gastric media, the microspheres produced displayed extended drug release, indicating that they could be employed for long-term anti-tubercular medicine delivery.

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Introduction

MTB (Mycobacterium tuberculosis) is an infectious disease that poses a considerable public health problem and infects hundreds of millions of old people around the world. MTB treatment, including MDR-TB (multidrug-resistant tuberculosis), is a major concern. Microsphere-based medication delivery can increase drug bioavailability and minimize dose frequency [1].

Microspheres have been investigated in the treatment of tuberculosis and HIV for decades. Fixed-dose drug combination microspheres appear to be a better option for long-term, regulated medication therapy, as well as being more cost-effective and boosting compliance. The drug in the form of microparticles is released for 3–5 days in plasma and up to 9 days in organs. The drugs could be given orally once a week to encourage patient compliance [2].

Oral administration is the most common and favored mode of pharmaceutical administration. This could be due to the ease, with which it is administered, as well as patient compliance and formulation flexibility. It does, however, have limitations due to the wide diversity of biochemical and physiological conditions found in the gastrointestinal system. Furthermore, the development of oral dosage forms has been hampered by first-pass drug metabolism [3].

Oral controlled-release (CR) formulations, which enable regulated drug release throughout the GI tract, constant drug concentration maintenance in the serum for prolonged periods, bioavailability improvement, effectiveness of therapeutic, and decrease dose allowance, can help with these concerns. Longer gastric retention aids in the controlled release drug delivery system predictable stomach retention for an extended period. Floating systems, dosage forms of mucoadhesive, systems of high-density and super porous hydrogel have all been used. Traditional dosage forms have fewer design choices than these technologies [3, 4].

Gastro-retentive preparations are a sort of formulations that floats in gastric juice for more duration. They allow pharmaceuticals to be dispensed in a controlled and predictable manner. Single and multiple unit variants of floating drug delivery systems (FDDS) are available [5].

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2/22

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A key role by polymers in microneedle technology: a new era

Amarjitsing Rajput, Madhur Kulkarni, Prashant Deshmukh, Prashant Pingale, Atul Garkal, Sahil Gandhi & Shital Butani

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In-silico trials alternative *in-vivo* animal studies: potentiality, predictive modelling, and realism

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Abstract

The use of very powerful models can persuade the translation from labs and animal research as well as the human trials into something simpler, less tedious, and more precise, just as digitalization has tenfold transformed industries like financial services, insurance, entertainment, and tourism. In these times of pandemic, we have realized the value of new drug innovation. However, at the same moment, we all happened to know how the amount of time required for a particular medication to be developed. Our time is valuable, and we cannot afford to wait a few years for the establishment of a new medication that might fail. R&D spending is expected to cost approximately 400 to 500 crores. Up to 50% of the time and cost of medication and medical device production could be avoided using *In-silico* processes." The 3Rs, or refinement, reduction, and replacement reasoning represent the road to applying these strategies in a manner that guarantees appropriate outcomes that are as close to the real-world outcome as possible. Model validation is a crucial step in achieving this degree of consistency and offering the best solution to *In-vivo* animal experiments. This review article seeks to offer knowledge that can help clinical trials progress quicker and for less use of animals.

Keywords: *animal studies; clinical trials; in-silico trials; PBPK; QSAR; virtual modulation.*

1. Introduction

Healthcare education is faced with multiple global challenges difficulties (Kononowicz et al., 2019). Our capacity to glean empirical evidence collected from preclinical studies to human clinical procedures is poor due to a lack of systematic knowledge of animal models, which contributes to data misinterpretation and needless animal waste (Xing et al., 2016). It is important to implement a strategy that will speed up the rate of drug production while simultaneously protecting animals. There is a need for innovative methodologies that can reduce costs and increase the accuracy of clinical trials so that the healthcare sector can advance. The latest methods generating such hope do not consist of one-by-one substitutes of specific animal experiments but reflect a radically new, human-oriented, and systems-biology-centered approach to drug development, integrating a variety *of In-vitro*, *In-silico*, and human *In-vivo* methodologies. They're inspired by a need to cut costs and boost the pace and accuracy of drug production, as well as a deep desire for improvement (Archibald, Tsaioun, Kenna, & Pound, 2018). Although regulatory agencies allow drug and product developers to use modeling in their work, many do not have the appropriate IT infrastructure in place. *In-silico* Trials seeks to

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Review article

POTENTIAL AND THERAPEUTIC BENEFITS OF *TINOSPORA CORDIFOLIA*

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ABSTRACT

Essential crude products with potential benefits are steadily achieving significance in clinical studies and research because of their quality of minimal adverse effects as associated with allopathic medications. *Tinospora cordifolia* usually recognized as Guduchi is known for its large application in the therapy and cure of various diseases in conventional ayurvedic treatment. Recently the classification of active constituents of Guduchi and their inherent function in disease limitation has led us to an active activity in the plant around the globe. This review comprises the genetic variety of the parts of *Tinospora cordifolia* and active ingredients isolated from *Tinospora cordifolia* and helps in treating diseases due to their potential benefits such as Anti-oxidant activity, Anti-diabetic activity, Immunomodulatory activity, Anti-cancer activity, Anti-toxic effect, Anti-hyperlipidemic Property, Analgesic, anti-inflammatory, antipyretic activity, and many others. This review aims to utilize the biochemical and significant routes induced by the aggregates separated from *Tinospora cordifolia* to allow different and efficient therapeutic formulations in disease elimination.

Keywords: Ayurveda, *Tinospora cordifolia*, Giloy, Anti-oxidant, Anti-diabetic, Memory, Immunomodulatory

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INTRODUCTION

A human body can be acknowledged as a healthy body only if it functions precisely. In the modern era of globalization, there is a developing drift in the amount of contagious and noncontagious infections because of various circumstances i.e., undernourished diet, increasing antimicrobial protection in microbial pathogens, anxiety, stress, workload, change in weather. Many disorders like heart problems, blood pressure, stress, diabetes, cholesterol, rheumatoid arthritis, and various others are developing in humans worldwide.[1] India is enhanced by the immense biodiversity of therapeutic plants. Around 70% of therapeutic herbs are found in eastern and Western Ghats tropical forests, Vindhya ranges, the Himalayas, and Aravalis.

The world therapeutic plant population plays an essential role in the health care system and largely prevailing in India. Nowadays, plants are not only using influence in health care but also contribute hope as a source for future medicine. The World Health Organization conducts a national health care curriculum that enables wider exposure to Ayurvedic medications, as they are quickly obtainable to ordinary citizens at a reasonable cost, and Ayurvedic medications are safer than allopathic medications.

In India, medicinal plants are considered the oldest practice which was commonly used as an herbal drug. In ancient days, ayurvedic treatment was the only remedy to cure diseases as there were no antibiotics or analgesic medications available around the 20th century.[2] *Tinospora cordifolia* is commonly named Guduchi, giloy, gurjo or heart-leaved moonseed is a herbaceous vine belonging to the family *Menispermaceae* with greenish-yellow typical flower, which is found in higher altitude, it is a genetically diverse, large, deciduous climbing shrub.

Every part of *Tinospora cordifolia* is therapeutically useful for curing various disorders. *Tinospora cordifolia* can be created by seeds and vegetative cutting. This plant is utilized by pharmaceutical industries and community personalities for conventional procedures as it has large medicinal properties which have caused a drastic shortage to match the anticipated need. Every part of this plant is useful in some of the medicinal treatments. Stem, aerial root, leaves, flowers, fruits, seeds have a lot of benefits as shown in Table 1.

Stem:
Used for anti-microbial activity, anti-viral infections, fever inflammations, urinary, and skin diseases. Cures neurological diseases like Parkinson's disease, Dementia, Amyotrophic lateral sclerosis, anti-septic, neuron damage in the spine, and hypothalamus.

Roots:
Psychiatric diseases, anti-cancer, anti-diabetes, inflammation, neurological, immunomodulatory ailments.

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Lymphatic transport system to circumvent hepatic metabolism for oral delivery of lipid-based nanocarriers

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ABSTRACT

The oral route of administration for lipid-based nanocarriers is of immense importance for the drugs having low bioavailability because of extensive first-pass metabolism. These drug delivery systems have reportedly improved oral bioavailability via lymphatic transport. The solubility issues of a drug are addressed by directly encapsulating them into the lipid. Subsequently, various lipid-based nanocarriers have enhanced the therapeutic activity of drugs via lymphatic transport with negligible side effects. Animal studies have depicted significant improvement in the oral bioavailability of drugs by avoiding first-pass metabolism. A detailed clinical study for large animals is needed to investigate the safety and efficacy of various lipid-based nanocarriers. In this review, we have described the potential and pertinence of the oral route of administration for lipid-based nanocarriers. The importance of lymphatic transport systems as a liver bypass transport system is also described herein. Various carriers such as liposomes, nanostructured lipid carriers, lipid-drug conjugate, etc. are discussed in brief with recent examples. The transport of lipids and absorption of drugs across the lymphatic pathway and various factors associated with nanocarriers affecting the lymph node targeting are also highlighted. Various *in vivo* and *in vitro* research models along with a brief focus on *in silico* prediction of the lymphatic transfer are described. The insights on future perspectives with an emphasis on the translational barriers may help the researchers working in this area.

1. Introduction

Lymph biology is being explored as an alternative to blood biology regarding the orally administered drug delivery systems. Presently, the lymphatic system is studied vigorously with greater consideration for drug delivery. The lymphatic system is considered as the drain of the vasculature, submissively filtering fluid and proteins from the interstitial spaces along with lipid from the intestine into the blood [1]. The structure and function of lymphatics differ for various organs. Lymphatics in intestines carry out the transport of lipid-soluble vitamins, fats, and maintain an aqueous balance [2]. The oral route of administration is the most commonly used as compared to various other routes such as intravenous, subcutaneous, pulmonary, transdermal, nasal, etc. Certainly, there are numerous advantages of using oral formulations such as easy administration, convenience, patient compliance, cost-effectiveness, etc. But it also has a major unavoidable disadvantage i.e., low bioavailability due to gastric sensitivity, reduced intestinal absorption, and hepatic first-pass metabolism. The molecular size and solubility of a drug are critical parameters that decide the route of administration. Upon oral administration, the drug is absorbed in the

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A Systematic Review on Micronutrients in Memory: Feeding the Brain

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Abstract

Treatment with micronutrients resulted in substantial gains on measures of verbal learning and memory. Identifying and preventing sub-clinical deficiencies may be necessary to avoid the negative effects of undernutrition. The molecular mechanisms of micronutrients must be understood in food's impact on memory can assist us to find out how to better control nutrition to improve neuronal tolerance to encourage mental health. Food's ability to prevent and combat disease is becoming more universally understood. Over the last five years, the research has shown intriguing evidence for the impact of dietary variables on complex biochemical processes and pathways that promote mental function. Our brain is similar to a muscle in that the more we utilize it, the stronger it becomes. At every age- as student, professionals, and the elderly-we require our brain to work optimally, as well as retrieve information that we have acquired or experienced. Memory consolidation is aided by "healthy habits" such as a proper diet and adequate sleep. It also contributes to the brain's optimal functioning. However, the most significant method is through nutrition and nutrients, which have no side effects or contraindications. A diet high in omega 3 fatty acids, for example, is being praised for its capacity to improve cognitive processes in both humans and animals. This review aimed to emphasize on micronutrients in memory.

Keywords: *Micronutrients, memory, cognition, diet, brain, nutrition*

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RESEARCH ARTICLE

IN VITRO ANTIOXIDANT AND ANTI-DOPAMINERGIC ACTIVITY OF ALKALOID-RICH FRACTION ISOLATED FRO MLEAVES OF *MURRAYA KOENIGII*

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ABSTRACT

Anti-dopaminergic or dopamine antagonists are preferably used as anti-psychotics, in schizophrenia, bipolar disorders and stimulant psychosis. They are also effective in many other gastrointestinal disorders including nausea and vomiting. Many herbal drugs like digoxin, quinine, morphine, are known for their therapeutic importance. The objective of this study was to use animal models to investigate the impact of *Murraya koenigii* L. leaves (MK) as an anti-dopaminergic.Pet ether extract of MK (PEMK) and alkaloids isolated from PEMK (AFMK) has shown potent antioxidant activity when tested against *invitro* antioxidant methods like free radical scavenging by DPPH method, total antioxidant activity by thiocyanate method and H_2O_2scavenging activity. Significant decreasein the dopaminergic activity was observed in validated animal models like haloperidol-induced catalepsy in mice, apomorphine-induced stereotypic behavior, foot shock-induced aggression and phenobarbitone-induced sleeping behavior. This may be attributed to presence of carbazole alkaloids having antioxidant potential.

KEYWORDS: Alkaloids, Anti-dopaminergic, catalepsy, foot-shock-induced aggregation, haloperidol, *Murraya koenigii*.

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INTRODUCTION

Dopamine is a catecholamine neurotransmitter found in both vertebrates. Dopamine has significant role in neuropharmacology as it is involved in various brain disorders including Parkinsonism, schizophrenia, attention deficit disorder. Dopamine is also involved in drug addiction and many endocrine disorders. Many of the treatments used for these disorders in clinical practice function by interfering with dopamine delivery. Dopamine is implicated in a variety of brain functions, including spontaneous movement, stimulation, punishment, and incentive, suppression of prolactin activity, sleep, mood, concentration, working memory, and learning.[1]

Leaves of *Murraya koenigii*L. (Family: Rutaceae) commonly known as Karhinimb, Curry leaf is native to India. Leaves are known to exhibit anti-oxidant [2] anti-inflammatory, [3,4] neuro protective,[5,6,7] anticancer activities.[8] Considering potential usefulness of the plant, the goal of the study was to estimate anti-dopaminergic potential of leaves using various experimental animal models.

MATERIALS AND METHODS

Animals

This study used adult Wistar rats weighing 200±20 g and Swiss albino mice weighing 20±2 g of either sex. Institutional Animal Ethics Committee (IAEC), MGV's Pharmacy College, Maharashtra approved the experimental protocol for study.

Drugs

Apomorphine (Sigma, Mumbai) and Haloperidol (Serence, RPG life sciences Ltd) were used to induce stereotypic behavior and catalepsy respectively, in mice. Phenobarbitone (Samarth life sciences, H.P.) was used to induce sleep in mice.

Extraction of plant material and isolation of alkaloid fraction

M. koenigii leaves were purchased locally. Identification of leaves was done by Dr. P. G. Diwakar, Jt. Director, Botanical Survey of India, Pune (Voucher specimen: MUKKID 1). Shade dried leaves were mechanically powdered. Defatting of powered leaves was done using Petroleum ether (60-80°C). Filtrate concentration gives Pet ether extract of *M. koenigii* (PEMK).Alkaloid fraction (AFMK) was separated from PEMK by method of Cordell GA[9] using tartaric acid, ethyl acetate and Na_2CO_3.

Determination of *in vitro* antioxidant activity

1, 1-diphenyl-2-picryl hydrazyl (DPPH) method

Antioxidants convert DPPH radicalinto non-radical compound 1, 1-diphenyl-2-picryl hydrazine. Effectiveness of drug in scavenging the free radicals is proportional to the degree of discoloration.[10] Absorbance was measured at wavelength of

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Research article

Anxiolytic, antidepressant and anticonvulsant activity of mucuna pruriens seeds

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ABSTRACT

Behavioral models such as the elevated plus maze (EPM), light and dark method, Hole-board method, and Marble burying method were used to assess Methanolic extract of Mucuna pruriens seeds (MEMP) for anxiolytic function. MEMP in a dose of 200 and 300 mg/kg, p.o. was found to possess significant anxiolytic activity. In TST and FST, MEMP showed a substantial reduction in the time of immobility, indicating antidepressant action. MEMP significantly increased the latency for straub tail, extensor, myoclonic jerk, clonic convulsion and stupor in pentylenetetrazol (PTZ) and isoniazid-induced convulsion models. MEMP may be interfering with the level of monoamines; L-dopa, serotonin and histamine and produced antidepressant activity.

Keywords: anxiolytic, convulsion, depression, Elevated plus maze, Forced swim method, Pentylenetetrazol, Tail suspension method

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INTRODUCTION

Mucuna pruriens Linn (Fabaceae), also known as cowhage fruit, kapikacho, or kevach, is the most commonly used ayurvedic drug. Mucuna spp. (velvet beans) is native to Asia (including Malaysia), America, and Africa. Traditional Nigerian therapists have recommended the beans as an oral antidote to snakebites.[1] Methanolic extract of M. pruriens roots possesses anti-epileptic and anti-neoplastic activity.[2] M. pruriens exhibited various activities including analgesic and anti-inflammatory,[3] anticoagulant,[4] anti-diabetic, anti-microbial and anti-oxidant,[5] aphrodisiac.[6]

Seeds of M. pruriens revealed presence of alkaloidal constituents[7] viz., mucunadine, mucunine, prurienidine, prurienine[8] and epoxy fatty acids viz., cis-epoxyoctadec-trans-9-cis-acid, cis-12, 13-epoxyoctadectrans-9-enoic acid.[9] Numerous seeds-derived formulations are used to treat a various free radical-mediated diseases, including ageing, rheumatoid arthritis, asthma, atherosclerosis, male infertility, and nervous disorders. Being good source of L-dopa, it is also used in the management of Parkinsonism.[10] According to the research, the hot water extract (HWE) of M. pruriens seeds contracted the guinea-pig ileum dose-dependently. This underline that M. pruriens seed extract contains potent histamine receptor stimulants.[11] According to Yokoyama et al., (2009),[12] the drugs or plant extract acting as agonists on H_3 receptors may have anxiolytic-like effects. Oxidative stress may be the cause for various neurodegenerative disorders. M. pruriens has potent in vitro and in vivo antioxidant activity.[13] Hence, the study's aim is to explore the anxiolytic, antidepressant, and anticonvulsant properties of M. pruriens seeds.

MATERIALS AND METHODS

Plant material and extraction

Authentication of Mucuna pruriens Seeds (1 kg) purchased from Ayurvedic Seva Sangh College, Nashik, was done by Dr. S. L. Dasari, Ayurvedic Seva Sangh College, Nashik. Defatting of powdered seeds was done with petroleum ether (60-80°C) using Soxhlet's extractor, and further successively extracted with methanol. The filtrate was concentrated under vacuum at 60°C and air-dried (MEMP) (yield: 4.6 % w/w).

Animals

Swiss albino mice (22-25 gm) of either sex were obtained from Bharat Vaccines and Serum Limited, Thane. Institutional Animal Ethics Committee (IAEC), M. G. V.'s Pharmacy College, Nasik, approved all of the experimental techniques and protocols used in this study.

Drugs

2021-22

ORIGINAL ARTICLE

Design, *in silico* analysis, synthesis, and evaluation of novel benzofused nitrogen-containing heterocyclic N-substituted mercaptobenzimidazole derivatives as potential antimicrobial agent

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Abstract

Background: There is an urgent need for the development of novel antimicrobial drugs due to the rapid development of antimicrobial drug resistance. Benzimidazole containing mercapto group at 2-position is attractive nucleus for modification with wider pharmacological activities. **Objective:** The aim of this study is to design benzofused nitrogen-containing heterocyclic derivatives of mercaptobenzimidazole using molecular docking, synthesis of active derivative and evaluation as potential antimicrobial agent. **Materials and Methods:** Using an effective procedure, N-substituted mercaptobenzimidazole derivatives were synthesized based on the literature review. The antimicrobial activity of all synthesized compounds was tested against four different organisms: *Escherichia coli*, *Pseudomonas aeruginosa*, *Staphylococcus aureus*, and *Candida albicans*. Molecular docking of mercaptobenzimidazole derivatives against DNA gyrase subunit B Protein Data Bank (PDB): 5l3j and *S. aureus* tyrosyl-tRNA synthetase PDB: 1jij was performed using docking protocol. The compound binds to the active site of DNA gyrase subunit B (1KZN) in a docking study, indicating that it may have antimicrobial activity. **Conclusion:** The compounds MB3 and MB5 have antimicrobial capacity, according to the findings of this report. MB4 has the high activity against *C. albicans*.

Key words: Mercaptobenzimidazole, antimicrobial, molecular docking, DNA gyrase, tyrosyl-tRNA synthetase, *in silico* screening

INTRODUCTION

Mercapto group containing heterocycles are significant in organic chemistry because of their diverse biological and pharmacological properties. Derivatives of 2-meracaptobenzimidazoles, benzoxazole, benzothiazole, and quinazolinone are commercially available in some therapeutic areas.[1-3] 2-Mercaptobenzimidazole is a benzimidazole derivative with a thiol group in the second position. It is also known by the names o-phenylen thiourea and benzimidazol-2-thion, and has the formula $C_7H_6N_2S$.[4,5]

2-mercaptobenzimidazole derivatives have become extremely valuable in the therapeutic and pharmacological fields in recent years.[6,7] 2-Mercaptobenzimidazole displays various pharmacological[8] activities such as antimicrobial,[9-11] anticonvulsant,[12] analgesic, and anti-inflammatory activities.[13,14] In non-biological applications, 2-mercaptobenzimidazole is commonly used as a rubber accelerator[15] and an antioxidant for rubber and plastics.[16] It also used as a plant growth regulators[17] and as mild steel corrosion inhibitors in neutral medium.[18]

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RESEARCH ARTICLE

Novel Benzotriazole Acetamide Derivatives as Benzo-Fused Five-Membered Nitrogen-Containing Heterocycles - *In silico* Screening, Molecular Docking, and Synthesis

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Abstract: ***Background*:** DNA gyrase subunit B (1KZN) is an attractive target for antibacterial drug development because of its role in DNA replication. The fast development of antimicrobial medication resistance necessitates the quick discovery of new antimicrobial medicines.

***Objective*:** The goal of this research was to design, synthesize, and discover benzo-fused five-membered nitrogen-containing heterocycles that bind to DNA gyrase subunit B *via* molecular docking (1KZN).

***Methods*:** Based on literature research, 2-(1*H*-1,2,3-Benzotriazol-1-yl)-N-substituted acetamide was synthesized using an efficient method. All synthesized compounds were evaluated for antibacterial activity against three distinct organisms: *E. coli*, Pseudomonas aeruginosa, Staphylococcus aureus.

***Results*:** In a docking investigation, the chemical interacts with the active site of DNA gyrase subunit B (1KZN), indicating that it might have antibacterial action.

***Conclusion*:** According to the findings of this research, the compounds 3d and 3f showed antibacterial properties. For Staphylococcus aureus, 3c has the potential to be an antibacterial agent.

Keywords: Benzotriazole, molecular docking, antimicrobial, DNA gyrase, *in silico*, MDR.

1. INTRODUCTION

Bacterial and fungal infections have become a worldwide health concern due to a lack of sufficient and efficient antimicrobial medicines, particularly in immune-compromised persons [1]. The development, multiplication, and proliferation of multidrug-resistant (MDR) bacteria that do not respond to standard therapies hasten the spread of illness [2, 3]. Multidrug resistance and widespread drug resistance are already frequent in bacteria, resulting in restricted therapeutic choices [4, 5]. The expansion of antibacterial medicines' chemical space has been proposed as a remedy to the antibacterial drug development method's stalemate [3].

Enzymes called topoisomerases can change the way DNA supercoils [6]. DNA gyrase, another topoisomerase, catalyzes the ATP-dependent insertion of negative superhelical changes into closed duplex DNA that is originally relaxed or positively supercoiled [7, 8]. It is important for bacterial survival and proliferation, thus it is a good target for antibacterial medication research [9].

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Benzofused rings have been shown to be potential components for creating new antibacterial structures in several studies [10].

Heterocyclic compounds are of special interest to medicinal chemists due to their unique chemical and biological properties. Because of their distinct chemical and biological characteristics, medicinal chemists are particularly interested in heterocyclic molecules [11]. Researchers are drawn to benzo-fused heterocycles because of their vast range of pharmacological actions. Benzene may be fused to a heterocyclic ring containing one heteroatom to form indole, Benzofuran. When it is fused to two heterocyclic-containing rings, it produces benzimidazole, benzothiazole, and benzoxazole. Benzotriazole is a benzo-fused heterocyclic drug with three heteroatoms [12].

Benzotriazoles are heterocyclic organic compounds having a fused benzene ring and a three-nitrogen atom five-membered ring structure. The 1H-benzo[d][1,2,3]triazole is a preferred structure because of its many pharmacological actions [13]. The synthesis of heterocycles using benzotriazole is rapidly progressing, and it may be used as a scaffold to create novel pharmacologically active molecules [12, 14].

Benzotriazoles are a type of heterocyclic organic compounds with a three-nitrogen atom five-membered ring sys-

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Review Article

AYURVEDIC REMEDIES OF TUBERCULOSIS

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ABSTRACT

Tuberculosis is a contagious infectious disease caused by Mycobacterium tuberculosis or other members of the family. It has been a global health crisis and has affected many civilizations which makes it one of the top 10 causes of death all around the globe. More than 25 % of the world's T.B cases are found in India. Despite the availability and treatment using anti-TB drugs, the disease has not been yet eradicated with the drugs used have been found to cause side effects. Ayurveda helps people to remain healthy by treatment using universal principles and maintaining a proper lifestyle. Therefore, it is necessary to study the uses of Ayurveda in the treatment of Tuberculosis. This article is a review carried out by studying the research and review works worldwide to present the role of Ayurvedic remedies in managing Tuberculosis. Also, this review is to make the readers and researchers aware and familiar with the topic so that they take the initiative and perform more research on this disease and its treatment. It has been found that there are several ayurvedic remedies available for the treatment and are quite reliable. Some remedies are reported to completely cure Tuberculosis and reduce its symptoms whereas some are good to be used as an adjunct or supportive treatment to anti-TB drugs to fight the side effects. However, there isn't much awareness and research done about the ayurvedic treatment of the disease due to which it is less preferred by the patients.

Key words: Tuberculosis, Ayurvedic remedies, *Adhatodavasica*, Mahakanakasundurarasa

INTRODUCTION

Tuberculosis (TB) is one of the oldest diseases and a leading cause of death globally. [1] Tuberculosis (TB) is a bacterial infection that affects the lungs [pneumonia]. It is caused by the bacteria Mycobacterium tuberculosis. [2] Tuberculosis (TB) is a contagious disease that is a major source of illness and one of the leading causes of mortality around the world. TB was the biggest cause of death from a single infectious agent until the coronavirus [COVID-19] pandemic, ranking ahead of HIV/AIDS. [3] It mostly affects the lungs, but it can also damage other organs in up to one-third of cases. [1] Tuberculosis is a contagious respiratory disease spread by inhaling infected air while nearby or coughing and sneezing over a long time in filthy surroundings and also if there isn't enough ventilation in the system [3] Tuberculosis can be dormant for years without presenting symptoms or spreading to others. When a patient's immune system is weakened, dormant tuberculosis can become active and cause infection. [4] Close contact settings, alcohol IV drug misuse, certain disorders [diabetes, cancer, and HIV], and certain professions are also risk factors for TB [healthcare workers] Mild fever, headache, chills, night sweats, exhaustion, lack of appetite, weight loss, cough with or without mucus and pus, coughing up blood, chest pain from lungs inflammation, difficulty breathing, swollen glands, and sore throat are common symptoms of tuberculosis bacteria growing in the lungs. [2] Tuberculosis is the primary cause of death among HIV-positive patients (PLHIV). [3] Tuberculosis (TB) is a disease that has afflicted humans since the dawn of humanity Tuberculosis (TB) is still a major public health issue around the world. Every year, over 2 million people die from this disease and 9 million people become sick around the world. (WHO 2006) [3]. In roughly 5-15 percent of individuals, once infected, the active disease develops during their lifetime [6] On India's health and wellbeing scale, tuberculosis is still one of the most prevalent diseases. When compared to the worldwide picture, India continues to have the largest tuberculosis (TB) burden. (WHO 2012). [3] Anti-TB allopathic drugs are administered to control the disease's symptoms, however, can cause side effects. [3] Hepatotoxicity produced by anti-TB medications is one of the leading causes of patient discontinuation of therapy and the emergence of MDR TB. [7] GIT symptoms, hepatotoxicity, ototoxicity, nephrotoxicity, skin rashes, fever, peripheral neuritis, and rarely psychotic alterations are all side effects of anti-TB medications. [8]

DIAGNOSIS

When evaluating patients with symptoms, doctors do not usually evaluate the potential of tuberculosis. As a result, the diagnosis of tuberculosis (TB) disease may be delayed or even missed, and the patient may stay unwell and potentially contagious for an extended length of time. Although not all people with tuberculosis have symptoms, the majority of people with the disease do have one or more symptoms that prompt them to seek medical help. All people who have symptoms of tuberculosis or have a positive TST or IGRA test that indicates M. tuberculosis infection should be medically assessed to rule out tuberculosis.

The five components of a thorough medical evaluation for tuberculosis disease are as follows:

- Medical history of the patient
- Physical examination
- Test for M. tuberculosis infection
- Chest radiograph
- Bacteriologic examination of clinical specimens

Medical History of the patient

A physician should inquire if there are any signs of tuberculosis and if so, then how long while taking note about the medical history of the patient as well as if there has been any known exposure to someone with infectious tuberculosis disease may recur and become drug-resistant if the previous treatment regimen for TB disease was insufficient or if the patient did not comply with medication. Consider demographic characteristics [such as the patient's place of origin, age, ethnicity, occupation, or racial group] that may raise the patient's risk of catching tuberculosis.

Clinicians should check for other underlying diseases like HIV or diabetes as it enhances the likelihood of patients who are infected with Mycobacterium tuberculosis

Extrapulmonary tuberculosis can induce symptoms in the affected area of the body. Back discomfort, for example, can be caused by tuberculosis of the spine; blood in the urine can be caused by tuberculosis of the kidney, and TB meningitis can induce headaches and confusion. Extrapulmonary tuberculosis should be evaluated in the differential diagnosis of sick people with systemic symptoms and a high risk of contracting tuberculosis.

Physical Examination A physical examination is an important aspect of any patient's evaluation. It cannot be used to confirm or rule out

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Research Article

Synthesis and Biological Evaluation of Some Newer 1*H*-Benzo[b][1,5] diazepin-2(3*H*)-one Derivatives as Potential Anticonvulsant Agents

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Abstract

Background: Regardless of the availability of all novel and earlier treatments, seizure control is notoriously complicated. In the hopes of discovering the latest and ultimate therapy, medicinal chemists will keep on to hunt for new antiepileptic compounds with high specificity and low CNS toxicity. The biological effects of benzodiazepine compounds have been examined. Benzene and a diazepine ring are fused together to form the chemical structure. Diverse combinations of moieties attached to the innermost structure in positions 1, 2, 5, and 7 the pharmacological qualities, effect potency, and pharmacokinetic conditions are all influenced by the various side groups.

Methods: This paper describes the synthesis of several 1*H*-benzo[b][1,5]diazepin-2(3*H*)-one derivatives. The substituents at N^1 are benzoyl, 5-substituted-1,3,4-thiadiazoles-2-yl-aminoacetyl. Condensation of orthophenylene diamine with ethyl acetoacetate gave 7-substituted-4-methyl-1*H*-benzo[b][1,5]diazepin-2(3*H*)-ones, which were then linked to benzoyl chloride and chloroacetyl chloride to yield N^1-benzoyl and N^1-chloroacetyl derivatives. N^1-chloroacetyl derivatives were further linked with 5-substituted-1,3,4-thiadiazoles amines using microwave irradiation.

Results: FTIR, 1H-NMR, and mass spectroscopy were used to authenticate the synthesized compounds. The PTZ produced convulsions method was used to test the compounds for anticonvulsant activity. When compared to the control group; Compounds 4a and 4c gave 80% protection at 0.4 mg/kg, whereas Compounds 2a and 2c offered 80% protection at 20 and 30 mg/kg, respectively.

Conclusion: When compared to a control, the experimental synthesis and pharmacological assessment of the 1,5-benzodiazepin-2-one moiety replaced with 1,3,4-thiadiazole yields a potentially active anticonvulsant drug.

Introduction

Epilepsy is a widespread nerve sickness marked by recurrent seizures resulting from uncontrolled electrostatic start in a cluster of brain cells.[1,2] According to the World Health Organization (WHO), about eighty out of every hundred persons living with epilepsy live in developing countries, with the mainstream of them lacking adequate medical care.[3] noteworthy developments in epilepsy medication have been fuelled by the need for an appropriate drug with a low risk of side effects. One of the most regularly prescribed drugs is benzodiazepines (Table 1). Aside from the well-known anxiolytic, sedative, anticonvulsant, myorelaxant, and hypnotic effects, it also has anticonvulsant, anticonvulsant, myorelaxant, and hypnotic properties.[4-7] A large family of chemical compounds with fused heterocycle has received consideration in recent years due to their many biological characteristics.[8-11], antipsychotic,[12] anticonvulsant,[13-15] Antineoplastic.[16-18]

Thiadiazole, in nature, come in four isomeric forms. The ring system's bottomless aromaticity is projected to bestow thiadiazole derivatives' fascinating biological activity, resulting in high in vivo stability and, in all-purpose, be deficient in of toxicity for higher vertebrates, including humans. Compounds with extraordinary qualities are created when this ring is coupled to various useful groups that act together with receptors.[19,20] The synthesis of new derivatives of 1, 5-benzodiazepine-2-one in combination with a benzoyl ring and 1, 3, 4-thiadiazoles in combination with the aforementioned pharmacophores is reported to have enhanced anticonvulsant activity at this time, based on the preceding findings.

The ideal anti-seizure medication on the other hand,

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Synthesis, Characterization, in-silico ADME, PASS prediction, Molinspiration, Osiris and Toxicological profiling studies of some fluconazole analogues

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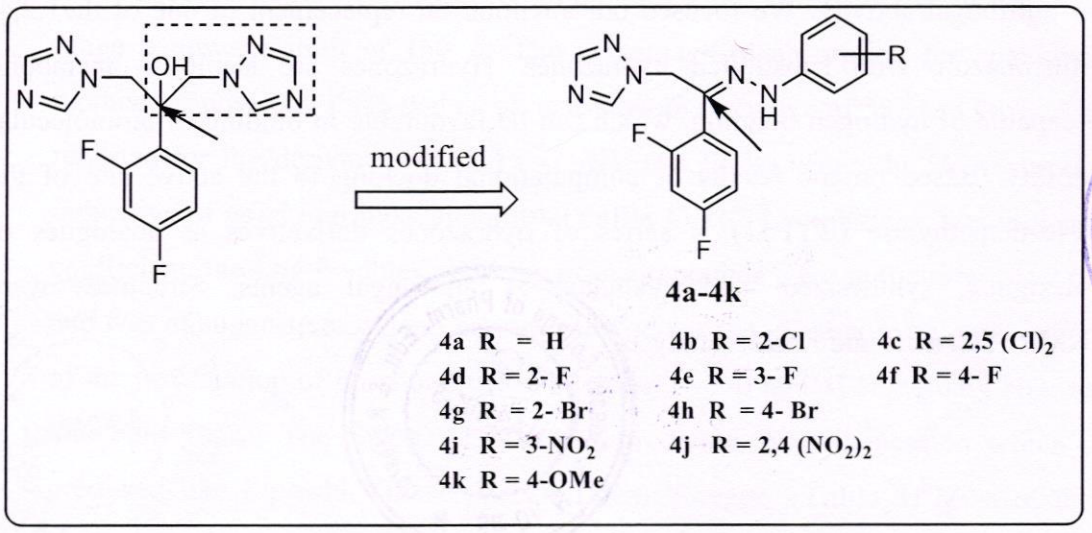
Abstract :

In the present investigation, we focused our interest on the estimation of in silico screening of the fluconazole analogues. We focused our attention on replacement of one of the1,2,4-Triazole rings of fluconazole with substituted hydrazones. Hydrazones are stable to metabolic degradation and are capable of hydrogen bonding, which can be favourable in binding of biomolecular targets and for solubility. The *in silico* studies revealed that **4a (R=H) , 4d (R= 2-Fluoro) and 4e (R= 3-Fluoro)** are a promising lead molecules upon predication of bioactivity scores. PASS online predicted various inhibitions suggestive of anti-fungal activity. All the analogues showed to be mutagen under Ames test. The structures of the synthesized compounds were tablished on the basis of ^{1}HNMR , ^{13}CNMR and HRMS data. The descriptors obtained from ADME showed good TPSA, absorption, oral bioavailability. This work could be used as an initial approach in identifying potential novel molecules with promising activity and low toxicity.



Key words: *in silico*, hydrazones, PASS analysis, TPSA, antifungal activity.

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Research article

DESIGN, INSILICO SCREENING, MOLECULAR DOCKING, SYNTHESIS AND BIOLOGICAL EVALUATION OF BENZO-FUSED FIVE MEMBERED NITROGEN CONTAINING HETEROCYCLE AGAINST DNA GYRASE SUBUNIT B AS POTENTIAL ANTIMICROBIAL AGENT

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ABSTRACT

Because of its function in DNA replication, DNA gyrase subunit B (1KZN) is a promising target for antimicrobial drug development. There is an urgent requirement for the designing and improvement of novel antimicrobial drugs due to the rapid development of antimicrobial drug resistance. The aim of this study is to use molecular docking to design, synthesise, and identify benzo-fused five-membered nitrogen containing heterocycle against DNA gyrase subunit B (1KZN). Using an effective procedure, 2-(1H-1,2,3-Benzotriazol-1-yl)-N-substituted acetamide was synthesised based on the literature review. The antimicrobial activity of all synthesised compounds was tested against four different organisms: E. coli, P. aeruginosa, S. aureus, and Candida albicans. The compound binds to the active site of DNA gyrase subunit B (1KZN) in a docking study, indicating that it may have antimicrobial activity. The compounds BT4 and BT6 have antimicrobial capacity, according to the findings of this report. BT3 has the ability to be an antibacterial agent for Staphylococcus aureus.

KEYWORDS: Benzotriazole, molecular docking, antimicrobial, DNA gyrase, in silico.

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INTRODUCTION

Due to a lack of adequate and effective antimicrobial drugs, bacterial and fungal infections have develop into a worldwide health issue, especially in immune-compromised people.[1] The spread of infection is accelerated by the growth, propagation, and proliferation of multidrug-resistant (MDR) bacteria that do not respond to conventional treatments.[2,3] Multidrug resistance and extensive drug resistance are already common in various bacteria and lead to limited treatment options.[4,5] The extension of antimicrobial drug compound space has been proposed as a remedy to the antibacterial drug discovery method's deadlock.[3]

Topoisomerases are enzymes that can modify the way DNA supercoils.[6] Another topoisomerase, DNA gyrase, catalyses the introduction of negative super helical transforms into closed duplex DNA that is initially relaxed or positively supercoiled in an ATP-dependent manner.[7,8] It is critical for bacterial survival and growth, making it a promising target for antibacterial drug development.[9]

Several findings pointed to benzo of used rings as promising moieties for developing novel antibacterial structures.[10] Medicinal chemists are especially interested in heterocyclic compounds because of their unique chemical and biological profiles.[11] The wide variety of biological activities of benzofusedheterocycles attracts researchers. To shape indole, Benzofuran, benzene can be fused to a heterocyclic ring containing one heteroatom. Benzimidazole, bentyhiazole, and benoxazole are formed when it is fused to two heterocyclic containing rings. Benzotriazole is a three heteroatom containing benzofused heterocyclic drug.[12] The 1H-benzo[d][1,2,3]triazole is a preferred structure because of its diverse pharmacological actions.[13] The synthesis of heterocycles using benzotriazole is rapidly progressing, and it can be used as a scaffold to create novel pharmacologically active molecules.[12,14]

Benzotriazoles are a type of heterocyclic organic compound with a three-nitrogen atom five membered ring system and a fused benzene ring.[15] There are two isomers of N-substituted benzotriazoles: 1H-and 2H-substituted. In solids and solutions, the 1H-substituted dominated, while in the gas phase, the quantity of the 2H-tautomer increased.[16] Because of its chemical properties, such as its ability to act as an electron donor or a precursor to radicals or carbanions, Benzotriazole is one of a kind. Condensation, addition reactions, and benzotriazolyl-alkylation are among the reactions it goes through. It is simple to add different groups and heterocycles to benzotriazole using this reaction, leading in the formation

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Research Article

EFFECT OF pH AND SURFACTANTS ON DISSOLUTION PROFILE OF BCS CLASS II DRUGS

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ABSTRACT

Physical and chemical properties of the Active Pharmaceutical Ingredients, including solubility and stability, as well as the formulation concept, play a vital role in the selection of the dissolution test apparatus, especially for poorly soluble compounds. The common problem associated with poorly water-soluble drugs, *i.e.*, BCS Class II and IV in the selection of disintegration media with the potential to differentiate drug products. The disintegration medium is commonly used in a laboratory that cannot wholly dissolve poorly soluble drugs. The use of surfactant and pH correction is the most straight forward approach to improve the dissolution of poorly soluble drugs. Dissolution media with different pH and surfactants are an appropriate method for dissolving the drugs. Gastrointestinal fluids, *e.g.*, bile salts, lecithin, cholesterol and its esters, contain various surfactants. Ibuprofen and Telmisartan both are class II drugs; hence the purpose of this study was to investigate the effect of multiple types of surfactants and pH on the dissolution rates of these poorly soluble drugs. Dissolution studies and the impact of the various surfactants on the dissolution profile of Ibuprofen were conducted using multiple dissolution media. In the cited research work, the dissolution profile rate and effect of surfactant on the dissolution of Telmisartan were studied.

Keywords: pH, surfactant, Ibuprofen, Telmisartan, Dissolution.

1. INTRODUCTION

Dissolution is the process by which a solid substance enters the solvent to yield a solution. Primarily, it is controlled by the affinity between the solid substances and the solvent. Pharmaceutical solid dosage forms and solid-liquid dispersed dosage forms on administration undergo dissolution in biological media, followed by absorption of the drug entity into the systemic circulation. In determining the dissolution rate of drugs from solid dosage form under standardized conditions, one has to consider several physiochemical processes in addition to the processes involved in the dissolution of pure chemical substances [1]. Solubility, crystalline shapes, particle size, molecular composition, dissolution medium diffusivity, characteristics of the formulation are considered as critical aspects that affect drug dissolution, including physicochemical drug properties [2]. A classification system is based on estimates of the contribution of solubility, permeability and dissolution to oral drug absorption from IR dosage forms. Based on the BCS, low-solubility compounds are drug whose highest dose is not soluble in 250 mL or less of aqueous media from pH 1.2 to 7.5 at 37 C. For a low-solubility compound, the highest dosage strength divided by the lowest solubility in the pH range 1.2-7.5 would be greater than 250. Solubility is mainly a property of the API and its salt form. Solubility usually is determined by measuring the concentration of a saturated solution after equilibration at 37 C for 1 to 24 h. The equilibration time depends on the test duration time and the physical and chemical stability of the drug [3].

Depending on the BCS class of a drug, the results of a dissolution study can be closely related to *in vivo* results. In the case of class II drugs with low solubility and high permeability, in the case of the drug adsorption process, drug dissolution may be the rate-limiting step [4]. For poorly water-soluble drugs like class II and class IV drugs, difficulties are found in selecting a dissolution medium to discriminate drug products, because dissolution media generally used in the laboratory cannot dissolve poorly soluble drugs completely [5]. The determination of dissolution profiles of water-insoluble or sparingly water-soluble compounds requires dissolution media different from those generally used for water-soluble drugs. Water-insoluble or sparingly water-soluble drug products are most likely to solubilize in the

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USE OF NATURAL SUPERDINTEGRANTS IN FORMULATIONOF FAST DISINTEGRATING TABLET OF ATENOLOL

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ABSTRACT:

The fundamental idea in the development of FDT is to use superdisintegrants which help tablet to immediately disintegrate when place on tongue and allow to release the drug into saliva. Through the application of superdisintegrants, fast dissolving tablets are swiftly dissolved or disintegrated. The solubility speed of the drug product impacts the absorption rate. The faster the medication dosage form dissolves in solution, the faster the clinical action is absorbed and started. In FDT, ideally a drug or dosage form should dissolve or disintegrate within 60 seconds in the saliva. The goal of this study was to use natural disintegrants to make a fast-disintegrating tablet of Atenolol. Microcrystalline cellulose was used as a diluent, aspartame was used as a sweetener, and Natural super disintegrant was used to make the tablets. Isapghula mucilage and banana powder were utilised as superdisintegrants in this investigation. In this formulation, natural superdisintegrant were employedin 2%, 4%, 6%, and 8% concentration. Based on the findings, it can be stated that the tablet formulation containing 6% Isapghula mucilage (i.e., 12 mg in each tablet, formulation code FI3) and 8% of banana powder (i.e., 16 mg in each tablet, formulation code FB4) had a faster and higher drug release viz. 98.02% and 96.75% respectively during the in-vitro dissolution study.

Keywords: Atenolol, Banana powder, Fast disintegrating tablet, Isapghula mucilage, Natural superdisintegrant.

I. INTRODUCTION:

As a new medicine delivery technology, fast disintegrating tablets (FDTs) are gaining popularity. When come in contact with saliva in oral cavity these dosage forms, dissolve or disintegrate within a minute without the use of water or chewing (Prakash et al., 2011). The

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FORMULATION OF LINSEED HYDROGEL-BASED FLOATING DRUG DELIVERY SYSTEM FOR GATIFLOXACIN

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ABSTRACT:

A novel gastroretentive drug delivery system based on a polysaccharide substance from linseeds (Linum usitatissimum L.) has been developed as floating matrix tablets for Gatifloxacin. To achieve a desirable prolonged release profile of gatifloxacin, a number of formulations were created using a combination of linseed hydrogel (LSH) and various excipients. The drug release test was carried out mostly at pH 1.2. However, due to specific factors, the tablet may pass through the stomach and into the intestine, where it provided sustained drug release at intestinal pH 7.4. The results showed that sustained gatifloxacin release was related to LSH concentration and that drug release followed a non-Fickian diffusion pattern. The porous character of LSH with elongated channels was revealed by SEM of the tablets, which contributed to the swelling of the tablet and later promoted the release of gatifloxacin from the core of the tablet. An in vivo X-ray investigation was conducted to examine tablet disintegration and real-time floating, which proved the tablet's presence in the stomach for 6 hours. These findings suggest that LSH could be exploited to create novel gastroretentive sustained release drug delivery systems that have the added benefit of delivering drugs at all GIT pH levels.

Keywords: Oral delivery, Sustained release, Gastroretentive, Gatifloxacin, Linseed hydrogel.

I. INTRODUCTION:

To achieve a desirable prolonged release profile of gatifloxacin, a number of formulations were created using a combination of linseed hydrogel (LSH) and various excipients. The drug release test was carried out mostly at pH 1.2. However, due to specific factors, the tablet may pass through the stomach and into the intestine, where it provided sustained drug release at

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Research Article

FORMULATION, CHARACTERIZATION AND IN-VITRO DISSOLUTION STUDIES OF METADOXINE TABLETS PREPARED BY VARIOUS GRANULATION METHODS

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ABSTRACT

Pharmaceutical tablets are robust, flat, or biconvex dishes, according to the Indian Pharmacopoeia. Depending on a range of medicinal substances, they vary in shape and differ greatly in size and weight. In the era of increasing health awareness and strict standards set by regulatory authorities such as the US FDA, WHO, and globalization, it has become mandatory for the producer to launch a product cost-effectively. In the tablet dosage form, two classes of drugs are administered orally. Narrow extensions of the parietal peritoneum that suspend the diaphragm's liver are the right and left coronary ligaments. To produce an effective and reliable product, the drug must have a fine particle size and a large surface area. The tablet coating takes place inside a perforated rotating drum in a controlled atmosphere. Tablets are lifted and turned into the center of the drum from the sides. To make the tablet surface easier to swallow, every tablet surface is exposed to an even amount of deposited/sprayed coating. The purpose of the present investigation is to formulate a tablet of Metadoxine, which improves cognitive impairment and the main psychological symptoms due to occasional or prolonged alcohol abuse, such as aggressiveness, agitation, mood, and behavioral disturbances. The tablets were prepared using direct compression, dry granulation and wet granulation method and comparison of the same with an innovator's product.

Keywords: Tablets, Metadoxine, Dry granulation, direct compression, Wet granulation

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INTRODUCTION

The heaviest gland in the body is the liver. In size, the liver is second only to the skin. In the human body, the liver is inferior to the diaphragm. The visceral peritoneum almost totally covers the liver. The liver is divided by the falciform ligament into two important lobes: the large right lobe and the smaller left lobe (a fold of peritoneum). The Falciform Ligament suspends the liver in the abdominal cavity. Ligamentum teres is a remnant of the foetus's umbilical vein. Narrow extensions of the parietal peritoneum that suspend the diaphragm's liver are the right and left coronary ligaments. [1, 2, 3, 4]

The most important method of administering drugs with systemic effects is the oral route of drug administration. A tablet is a form of pharmaceutical dosage that includes a blend of active substances and excipients. Tablet costs are also lower than other forms of oral dosage.[5] Excipients include diluents, binder or granulating agents, gliders (flow aids) and lubricants. To render the tablet smoother and easier to swallow, a polymer coating is often applied. They vary in shape and differ significantly in size and weight depending on the number of medicinal substances.[6] The formulation and design of tablets can be described as how the formulator ensures that the correct amount of drug is delivered in the correct form. In tablet dosage form, two classes of drugs are administered orally. Formulation, granulation, and tableting on the material's surface properties are critical. Before finalizing the formula, must thoroughly understand the physical properties of the active ingredient. To produce an effective and reliable product, the drug must have a fine particle size and a large surface area. Several pharmaceutical adjuncts, known as excipients, are usually found in compressed tablets. The recipients determine the bulk of the final product in dosage forms such as tablets, capsules. The tablet coating takes place inside a perforated rotating drum in a controlled atmosphere. Angled baffles fitted into the drum and inside the drum, airflow provides ways to mix the tablet bed.[7] Tablets are raised and turned into the centre of the drum from the sides. An even amount of deposited/sprayed coating is exposed to each tablet

2021

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RESEARCH ARTICLE

FORMULATION AND EVALUATION OF PRAVASTATIN FAST DISINTEGRATING TABLETS USING NATURAL SUPERDISINTEGRANT

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ABSTRACT

The basic concept of FDT is the incorporation of superdisintegrants, which promotes breakdown of tablet quickly when kept or positioned on the tongue, allowing the drug to be released into the saliva. The rate of absorption is regulated by the solubility of the medication. The faster the dosage form of the medication dissolves in solution, the faster the therapeutic action is absorbed and initiated. In FDT, a medicine or dose form should dissolve or disintegrate in the saliva within 60 seconds. The objective of this research work is to formulate a Pravastatin tablet that disintegrated quickly using natural disintegrants. As a diluent, we employed microcrystalline cellulose. Synthetic superdisintegrant such as crospovidone and croscarmellose sodium were used, they were replaced with natural superdisintegrant. In this study, natural superdisintegrant dehydrated banana powder were used synthetic superdisintegrants viz. croscarmellose sodium, crospovidone. A Natural superdisintegrant was used in this formulation at concentrations of 2, 4, 6 and 8% of total weight of tablet i.e. 4, 8, 12 and 15 mg respectively in 200 mg tablet. According to the data, the tablet formulation containing 6% banana powder (i.e., 12 mg per tablet, formulation code FB4) showed a faster and higher drug release of 97.75% during the in-vitro dissolution investigation.

Keywords: Fast Disintegrating Tablet, Croscarmellose sodium, Crospovidone, Banana powder, Pravastatin

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INTRODUCTION

Researchers from all over the world are working to develop novel pharmaceutical delivery systems that will improves user compliance. In the pharmaceutical sector, fast disintegrating tablets are becoming increasingly popular. Fast disintegrating tablets are appropriate for a variety of groups, including those who have difficulty swallowing, children, the elderly, and bedridden patients. [1]

The rate of absorption of a medication is influenced by its solubility. The sooner the medicine dissolves in solution, the faster it is absorbed and the therapeutic action begins. They should dissolve or disintegrate in the mouth within 60 seconds in most instances. As saliva goes down into the stomach, some medications are absorbed from the mouth, pharynx, and oesophagus. In most cases, the small amount of saliva present is able to cause tablet disintegration in the oral cavity. [2]

Fast dissolving tablets (FDTs) are made utilizing a number of procedures and techniques, and the resulting FDTs have various characteristics including mechanical strength, taste and mouth feel, swallowability, breakdown of tablet in saliva, bioavailability, and stability are all important factors to consider. Some of the procedures utilized to create FDTs employing various granulation techniques such as wet granulation, dry granulation, and direct compression. [3]

Superdisintegrants are a new type of superabsorbing material. They are designed to swell quickly rather than absorb large amounts of water or aqueous fluids. Superdisintegrant is used in tablet formulations to break apart the compacted mass into primary particles. Superdisintegrants are new drugs that dissolve more quickly and have greater mechanical strength at lower concentrations. They are small and permeable, enabling them to dissolve rapidly in the tongue without leaving a discomfort or a gelling. [4]

Pravastatin is a BCS-III antihyperlipidemic HMG CoA inhibitor. It has a low permeability and a high aqueous solubility (300 mg/ ml). When used orally, it has a low absolute bioavailability (about 17%). It has a biological half-life of only a few hours (1-1.5 hours) and is extensively metabolized in the first pass (due to decreased permeability). [5]

In the present research work, FDT's of pravastatin were prepared using direct compression method, as this is simple, convenient and cost-effective approach used in preparation of FDT's. Direct compression method, proved to be rational in the pharmaceutical field for its easiness, amenability, quicker production, evade hydrolytic or oxidative reactions occurred during processing of dosage forms.

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PROANTHOCYANIDIN RICH FRACTION OF *M. NAGI* BARK (PMN) ATTENUATES RESERPINE-INDUCED IMPAIRMENT OF COGNITION AND LOCOMOTION IN EXPERIMENTAL ANIMALS

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Abstract: Reserpine induced orofacial dyskinesia is a well know experimental animal model of Parkinsonism. Generation of free radicals in presence of Reserpine is responsible foroxidative stress induced neurological damage. Reserpine impairs memory and also affects locomotor activity. Anti-oxidant compounds may help in reversal of such neuroleptic induced impairment of cognition and locomotion. In this study, proanthocynidin rich fraction of M. nagi(PMN) possessing antioxidant activity was evaluated for protective effect against reserpine induced cognitive and locomotor impairment. PMN significantly increased number of squares traversed and number of self and supported rearing and transfer latency as compared to reserpine treated group. Reserpine also increased supported rearing compared to self-rearing.

Keywords: Cognition; Locomotor activity; Myrica nagi; Reserpine

1. Introduction:

Plants having free radical scavenging activity are useful in the treatment of neurodegenerative diseases. There is a general agreement that flavonoids act as scavengers of reactive oxygen species [1]. The in-vitro antioxidant properties of proanthocynidins from Myrica nagi (PMN) bark could be attributed to the presence of flavonoid phytoconstituent in it. Bark contains a variety of flavonoids [2,3,4] and steroids, reducing sugars, tannins, and glycosides, saponins and volatile oils [5].

So, in the view of above literature, the present study was planned to study the effect of proanthocynidins rich fraction from Myrica nagi bark on reserpine-induced impairment of cognition and locomotion in Wistar rats.

2. Materials And Methods:

DRUG REPOSITIONING: AN OVERVIEW AND CLINICAL PERSPECTIVE

2021

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Abstract:

The repositioning of drugs generates new use of a drug that already exists. It offers safe, reliable and faster treatment Drug position provides an additional advantage over new drug manufacturing as a result of reducing drug production costs as they have already been protected by safety and alternative measures, along with clinical trials. The flourishing position of medicines that holds an amazing future within the trendy medical sector can be stimulated by consciousness and inspiration. Exceptional improvements have been made in global standards, which will improve health care and nutrition. As there is clinical and pharmacokinetic evidence for current treatment, drug placement is a faster path of drug development. New approaches to the case of medicinal drugs, such as the reprocessing of patented medicinal products, would not be taken into account in the treatment of various diseases. This article focuses on drug pharmacology, pharmacodynamic, and safety profiles that are already known, undoubtedly allowing diagnostic studies to predominate.

Key Words: Drug Repositioning, Pharmacokinetics, Pharmacodynamic, Preclinical Studies.

Introduction:

Drug repurposing strategy placed the process of drug development on a fast track and has drawn researchers ' interest in a broader variety of scientific fields. In the field of repositioning, three main players can be identified, including academia and research institutes, pharmaceutical companies and technology companies that are being repurposed. Since in-vitro and in vivo available data on screening, complete chemical optimization, toxicity studies, Bulk processing, development of formulations and pharmacokinetics Drug profiles approved by the FDA, drug production cycles shortened as both of these essential steps can be circumvented. Furthermore, there is no need for greater investment and repurposed drugs are shown to be effective in preclinical models, thus falling slow destruction rates.[5] the key benefits of drug repurposing are therefore correlated with proven protection of the identified candidate drugs, dramatically shortened development time frames and costs associated with the candidate's advancement to clinical trials. Most of the repositioning drugs have been serendipitously found in the past. In addition to serendipitous findings, drug repurpositioning can be carried out using many techniques, including binding assays and phenotypic screening methods or computational methods as shown in table 1. In short, phenotypic methods include in-vitro and in-vivo tests while the obstacles include impact validation and deconvolution of targets. Network based methods, on the other hand, Discover new drug-disease relationships or high predictive precision drug-target relationships with limitations including inability to identify overlapping clusters.

A time-consuming, laborious, highly costly and high risk method is conventional drug discovery. In the conventional drug discovery programme, the innovative approach to drug repositioning has the ability to be used by mitigating high monetary costs, longer time of production and increased risk of failure It presents a reduced risk of failure when a failure rate of ~45 percent is associated with safety or toxicity problems in the conventional drug discovery programme, with the added advantage of saving up to 5-7 years in average drug development time. The drug repositioning strategy has gained significant traction in recent years, with around

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A COMPARATIVE STUDY OF TWO NOVEL APPROACHES: SOLID DISPERSION AND LIQUI-SOLID TECHNIQUE FOR IMPROVEMENT OF DISSOLUTION RATE OF KETOPROFEN

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Abstract: Based on water solubility and intestinal membrane permeability, the FDA's Biopharmaceutics Classification System (BCS) and accompanying recommendations divide medicinal compounds into four classes. The liquid-solid approach and solid dispersion formation are two unique ways to improve the dissolving rate of BCS class II drugs. A liquid medicine or drug solution is transformed into a free-flowing powder with rapid disintegration using a Liqui-solid compact. In the instance of solid dispersion, the drug is molecularly distributed in a hydrophilic polymer in the solid form. In this study, liquid-solid and solid dispersion techniques were applied to increase Ketoprofen solubility. The liqui-solid technique was used to develop three Ketoprofen formulations employing microcrystalline cellulose as a carrier material and colloidal silicon dioxide as a coating material. Water, polyethylene glycol-400, and Tween-60 made up the solvent system. Solid Ketoprofen dispersions were made using the solvent fusion method using PEG-4000 as the carrier polymer. Physical and chemical features of the tablets were investigated. The disintegration profiles of innovatively manufactured tablets were compared to those of commercially available tablets. Model independent techniques such as similarity factor, dissimilarity factor, and dissolving efficiency were utilized to compare dissolution profiles. In comparison to the solid dispersion technique, the results showed that liqui-solid compact formulations were more effective in increasing the dissolution rate. Liquid-solid and liquid-solid approaches found to be more effective than traditional tablets. Ketoprofen solubility has increased by 40% compared to a standard tablet.

Index Terms **–Solid dispersion, Liqui-solid technique, Ketoprofen, Dissolution**

I. INTRODUCTION:

The solubility of an active pharmaceutical ingredient (API) determines how well it dissolves from a dose form. Nearly 40% of new APIs are poorly water soluble, and the compact solid dosage form's reduced dissolution rate is their principal restriction. Poorly water-soluble medicines take longer to dissolve in the gastrointestinal fluid under normal circumstances, which might cause a delay in drug absorption into the systemic circulation (Savjani et al., 2012).

To enhance the low dissolving rate of water insoluble pharmaceuticals, various techniques such as salt creation, size reduction, complexation, microencapsulation, and solid dispersion have been used. As the medicine is disseminated in the matrix at the molecular level, solid dispersion systems using hydrophilic polymers have greatly enhanced the dissolution rate. Using self-emulsifying and surface-active agents supports, manufacturing challenges connected with solid dispersions, such as the use of excessive organic solvents and poor physical properties of dosage form formulation, have been overcome. Solid dispersions are the API dispersion in an inert carrier or matrix in the solid state (Zhang et al., 2018).

Solid dispersions have recently been prepared using supercritical fluid technology. Melts are dispersions made by melting, and co precipitates or co evaporates are dispersions made by the solvent method. Because of the extremely small particle size, the hydrophilic carrier's solubilizing impact, the drug particles' superior wettability and dispersibility in the GIT, and the creation of metastable polymorphs, solid dispersion enhances the drug's dissolving rate.

Solid dispersion systems are being studied for prolonged release dosage forms, in addition to enhancing bioavailability. The sole variation between the two applications of solid dispersions is the carrier used, which has different properties in each case (Nikghalb et al., 2012).

The liqui-solid approach is another innovative technology for enhancing the dissolving rate of poorly water-soluble medicines. This method transforms a liquid into a free-flowing powder that seems to be dry and compressible (Savkare et al., 2017).

The liquid could be a liquid drug, a drug solution, or a drug dispersion in a nonvolatile solvent that is physically mixed onto the porous carrier material. Water-miscible organic solvents with a high boiling point, such as polyethylene glycol, propylene glycol, and glycerin, are often utilised as liquid carriers. A porous material, such as microcrystalline cellulose, is used to create the support system (Lu et al., 2017).

Wetting characteristics and surface area accessible for dissolution are improved using liquid-solid compacts. The liquid-solid technique is a low-cost, easy, and cost-effective technology that can be used in a commercial setting. It uses fewer excipients and is less technical than other methods for enhancing dissolution, such as solid dispersions and microencapsulation (Chella et al., 2014).

The formulation of a large dose of lipophilic drug is a major limitation of the solid–liquid method. To create a free-flowing powder, higher dose medications require a bigger volume of liquid vehicle for solution formation, which necessitates a larger amount of carrier and coating material (Shailesh et al., 2013).

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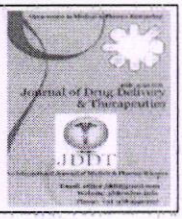

Open Access Research Article

Comparative Study of Herbal Extract of *Piper Nigrum*, *Piper Album* and *Piper Longum* on Various Characteristics of Pyrazinamide and Ethambutol Microspheres

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ABSTRACT

Bioenhancers are the 'bioavailability enhancers'; they do not show any therapeutic effect, but when used in combination enhances the activity of drug molecule. In a cited research paper, the effect of various species of piper used as bioenhancer singly and in combination in an equal ratio. The methods used for preparation of microspheres are Complex Coacervation and Modified Emulsion Method. The prepared microspheres were evaluated for various parameters like *in-vitro* release, drug entrapment efficiency, percent bioadhesion, permeability study using intestinal sac method. The *in-vitro* drug release of drugs from formulations where *Piper nigrum* was used as bioenhancers was found to be about 66-70% in 12 hrs. when used singly. When bioenhancers used in combination the *in-vitro* drug release of drugs was increased up to 85-90% for combination of *Piper album* and *Piper longum* in an equal proportion, the same was about 35-40% in case of formulations where no bioenhancers was used. The microspheres found to be less than 130 micron in size. The DEE was found to be in the range of 27-67%. The bioadhesion of the microsphere were found to be 20-76% (increased in formulations where bioenhancers incorporated). The *in- vitro* release study by USP paddle apparatus, the important results from *in-vitro* release study relates to the very significant enhancement in drug release, due to presence of bioenhancers.

Keywords: Microspheres, Bioenhancer, *Piper nigrum*, *Piper album*, *Piper longum*, Pyrazinamide, Ethambutol

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INTRODUCTION:

According to World Health Organization, Anti-TB agents are broadly classified as first line and second line agents based on their effectiveness and toxicity.[1, 2, 3].

First line agents: These are the most effective, less toxic.

- Ethambutol (EMB or E), Isoniazid (INH), Pyrazinamide (PZA), Rifampicin (RIF).

Second line agents: These are considered as reserved therapy as they are less effective and more toxic for TB treatment. They are used if first line agents are not effective.

- Aminoglycosides: e.g., Amikacin, kanamycin
- Polypeptides: e.g., Capreomycin, viomycin, enviomycin
- Fluoroquinolones: e.g., Ciprofloxacin, levofloxacin, moxifloxacin
- Thioamides: e.g., Ethionamide, Prothionamide,

Third line agents: Other drugs that may be useful, but are not on the WHO list of second line drugs; they include rifabutin, macrolides antibiotics: e.g., clarithromycin, linezolid, thioacetazone, thioridazine.

Bioenhancers are the 'bioavailability enhancers'; they themselves do not show any typical drug activity, but when used in combination, they enhance the activity of drug molecule in several ways, including increasing bioavailability of the drug across the membrane, potentiating the drug molecule by conformational interaction, acting as receptors for drug molecule and making target cells more receptive to drugs [4].

Microsphere dug delivery consists of small particles of solids or small droplets of liquids surrounded by walls of natural and synthetic polymer films of varying thickness and degree of permeability acting as a release rate controlling substance and have a diameter up to the range of 0.1 to 200 µm. It is

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REVIEW ARTICLE

Quinazolin-4-One: A Varsatile Molecule

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Abstract: ***Background:*** Quinazolines and quinazolinones constitute a major class of biologically active molecules both from natural and synthetic sources. We will limit this review to compounds possessing the 4(3*H*)-quinazolinone skeleton, which is found in compounds displaying significant biological and pharmacological properties. The molecular design of potential lead compound is still a key line of approach for the discovery and development of new chemical entities. A combination of two or more chemical moieties into one is a common approach of operation and this can most likely result in the improvement of pharmacological activity and removal of unwanted side effects.

Methods: We undertake search for peer-reviewed and research literature on quinazolinone moiety using different tools of literature survey. The quality of superior papers was assessed using standard tools. The distinctiveness of screened papers was that they were short and of high-quality content was reorganized and written in their own language

Results: The review will be expressed in two main sections, the first section will be related to synthetic procedures and the second section includes the biological importance of Quinazoline derivatives. Total hundred (100) to one hundred and ten (110) research papers ware searched. Out of these, seventy-eight papers were included in the review, the majority of research papers were from international journals. Fifty fours papers defined the different synthetic schemes considering the general strategies using ortho-substituted anilines such as 2-aminobenzoic acid (anthranilic acid) and its analogues, or isatoic anhydride as starting materials, which are condensed with acid chlorides, imidates or aldehydes. Microwave irradiation was also proven to be very useful to improve the yields, and in particular, it has been successfully applied to the Niementowski procedure involving the fusion of anthranilic acid with formamide. The remaining part of the review focuses on biological importance of the 4(3*H*)-quinazolinone scaffold as therapeutic agents and a broad range of activities like antibacterial, antifungal, antiviral, anticonvulsant, antitumor, antihypertensive, analgesic and anti-inflammatory agents has been highlighted.

Conclusion: The present review focuses on simplified, efficient and widespread literature of the methods of synthesis and diverse pharmacological activities of quinazoline and its derivatives have been highlighted.

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1. INTRODUCTION

Half of the organic chemistry research depends on particularly heterocyclic structures. Out of all the heterocyclic ring systems, benzo-fused heterocyclic ring is the most commonly observed chemical entity in active pharmaceutical ingredients and related substances. In benzofused heterocyclic rings, medicinal chemist gets an opportunity to introduce different groups at various positions. This variation in substitution widens the scope to establish Structure-Activity Relationship (SAR) and provides an opportunity to screen different biological activities of newly designed chemical compounds. Quinazoline called German-Chinazolin was first projected by the scientist 'Weddige'. Chemically Quinazoline is 1, 3-diazanaphthalene; which is also known as 5, 6-benzopyrimidine and 4-oxo derivative is called 4-quinazolinone [1, 2, 3]. Nitrogen-containing 4-oxo derivative of quinazoline is a very important and versatile compound to design drug and drug intermediate. It displays antifungal [4, 5, 6, 7], antitumor [8] hypotensive [9] anti- cancer [10], antibacterial [11], anti-inflammatory [12] and anti-HIV [13] pharmacological profiles

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Anti-Inflammatory Activity of Alkaloids from Murraya Koenigii Leaves In Animal Models

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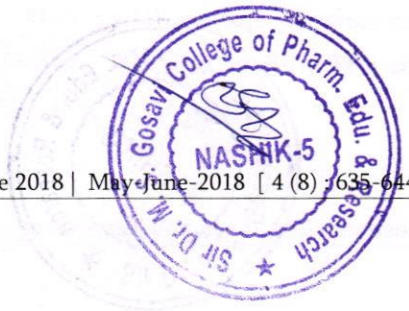
ABSTRACT

Alkaloids have a wide range of pharmacological properties, including anti-inflammatory activity. The purpose of the present study was to investigate the anti-inflammatory and antioxidant activity of *Murraya koenigii* leaves. The hind paw edema was produced in rats by subplantar injection of Carageenan. Pet ether extract (PMK) of *Murraya koenigii* leaves and alkaloids (AMK) isolated from PMK at doses of 100 and 300 mg/kg/day, p.o. were given for 11days to observe % inhibition of paw edema which was comparable with Aspirin (100 mg/kg, p.o.) used as a reference drug. PMK and AMK produced a significant ($p<0.05$) inhibition of paw edema. PMK and AMK treatment significantly reversed the Carageenan induced decrease in paw Superoxide dismutase (SOD), Catalase (CAT), reduced glutathione (GSH) levels as compared to Carageenan treated rats. Lipid peroxidation (LPO) induced by Carageenan treatment was significantly reversed after administration of PMK and AMK. hematological analysis of carageenan-treated rats exhibited significant ($p<0.05$) decrease in RBC count, Hb content and PCV after treatment with aspirin, compared to the control. PMK or AMK treated animals showed normal erythrocyte (RBC) count, hemoglobin (Hb), packed cell volume (PCV), near to control group. The total leukocyte (WBC), lymphocyte, neutrophils, and basophils count were higher in rats treated with aspirin compared to control. PMK and AMK treatment showed decreased platelet count compared to control. The significant reductions observed in the activity of ALT and AST in PMK and AMK treated animals compared to control.

Keywords : Inflammation, Carbazole Alkaloid, Carageenan, *Murraya Koenigii*

I. INTRODUCTION

Inflammation is an essential protective process preserving the integrity of organisms against physical, chemical and infective insults which frequently and erroneously leads to the damaging of normal tissues (Serhan and Levy 2003). The process of inflammation is characterized by increased vascular permeability at inflamed site followed by localization and margination of neutrophils. An acute inflammatory process is comprised of inflammation mediators including neutrophil-derived reactive oxygen species (ROS), nitric oxide ($NO^{\cdot}$) (Syahida et al. 2010; Valko et al. 2006), prostaglandins (PGs), and cytokines (FitzGerald and Patrono 2001). Oxidative mechanisms are reported at the origin of inflammation and ROS such as superoxide anion, hydroxyl radical and peroxynitrite participate in the process of inflammation in various tissues and has suggested the use of antioxidant substances (Trenam 1992; Bermond 1989). Therefore, compounds that have scavenging activities toward these radicals and/or suppressive activities on lipid peroxidation may be expected to have therapeutic potentials for several inflammatory diseases (Serhan and Levy 2003).



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Enhancement of Solubility of Mefenamic Acid by Hydrotrop Based Solid Dispersion

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ABSTRACT

Therapeutically active substances are often associated with bio-availability problems due to lower solubility, these leads to lack of in-vivo and in-vitro correlation, poor patient compliance and inter subject variations. Mefenamic acid (MFA)is an anthranilic acid derivatives (or fenamate) class of NSAID drugs and is used to treat mild to moderate pain, including menstrual pain, and is sometimes used to prevent migraines associated with menstruation. But it is sparingly soluble in water. Present study was aimed to improve solubility of MFA. Among many methods of impoving solubilities, hydrotropic solublization method was used here. After extensive studies, from various hydrotrops, sodium citrate was shown most promising improvement on solubility. 0.5 M sodium citrate was optimized and its solid dispersion with mefenamic acid, dissolution studies had shown 62% increase in solubility of mefenamic acid as compare to pure drug.

Keywords : Mefenamic Acid, Solubility, Hydrotrop, Sodium Citrate.

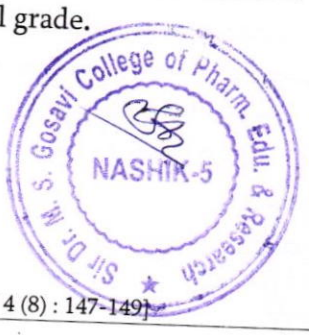
I. INTRODUCTION

Many techniques have been employed to enhance the aqueous solubility of poorly water-soluble drugs. Hydrotropic solubilization is one such method.Hydrotropes are a class of chemical compounds which affect an increased aqueous solubility by several folds to certain solutes which are sparingly soluble in water under normal conditions [2].].Therapeutic efficacy of a drug depends upon its bioavailability and ultimately its solubility to achieve a desired concentration in systemic circulation. Because of their low aqueous solubility and high permeability, dissolution from delivery systems forms the rate limiting step in their absorption and systemic bioavailability[3].

Mefenamic acid is [2-[(2,3-dimethylphenyl)amino]benzoic acid], an anthranilic acid derivative, is a non-steroidal anti-inflammatory drug (NSAID) which is widely used to relief mild to moderate pain. It has low water solubility but high permeability. The absolute bioavailability of this drug is about 90–100% [4]. Formulation and manufacture of solid oral dosage forms and tablets in particular, have undergone rapid change and development over the last several decades.

II. Materials and Methods

UV/visible spectrophotometer (Model- V-530, Jasco) were employed for the spectral measurements. Mefenamic acid was purchased from Research lab Fine Chem. Ltd, Mumbai. All other chemicals and solvents used were of analytical grade.



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Phytochemical analysis and dopaminergic activity of Rubia cordifolia and Nardostachys jatamansi extracts

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ABSTRACT:
Roots and rhizomes of Rubia cordifolia and Nardostachys jatamansi were assessed for proximate using total and acid-insoluble ash, water and alcohol-soluble extractives. Methanolic extract of Rubia cordifolia (MRC) and alcoholic extract of Nardostachys jatamansi (ANJ) were subjected for phytochemical analysis and evaluated for dopaminergic activity. Behavioral models used for study were catalepsy induced by haloperidol (1 mg/kg, i.p.), stereotyped behavior due to apomorphine (1 mg/kg, i.p.) and effect on sleeping time induced by phenobarbitone in mice. MRC and ANJ in a dose of 100 and 300 mg/kg were administered per orally 1 h before performing the experiment. Isolated rat vas deferens was used to study effect of MRC and ANJ on dopamine-induced contractions. Values related to proximate analysis for R. cordifolia and N. jatamansi were found to be within standard limits. Phytochemical analysis of MRC shows presence of flavonoids, anthraquinone glycosides, tannins and phenolic compounds and saponins. Saponins, alkaloids, flavonoids, tannins and phenolic compounds and triterpenoids were present in ANJ. MRC and ANJ significantly inhibited haloperidol induced catalepsy and potentiated apomorphine- induced stereotyped behavior. Prolongation of phenobarbitone-induced sleeping time was observed. MRC and ANJ also potentiated dopamine-induced contractions in isolated rat vas deferens. The results are indicative that Rubia cordifolia and Nardostachys jatamansi extracts possess dopaminergic activity. Correlation of this data with neurochemical findings can explore the effect of these plants on dopaminergic functions and usefulness of these plants as an antiparkinsonian agent.

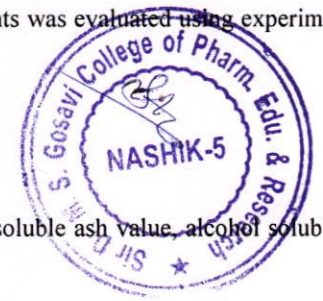
Index Terms **- catalepsy, dopamine, *Nardostachys jatamansi*, *Rubia cordifolia*, stereotypy.**

I. INTRODUCTION

Rubia cordifolia Linn. (Rubiaceae) is an important medicinal plant commonly known as 'manjistha'. It is used for management of various diseases such as tumor, (Adwankar MK, Chitnis, 1982) [1] inflammation, (Antarkar DS, Chinwalla, 1983)[2] urinary system infections, (Itokawa, 1984)[3] stress, microbial infection, (Singh et al., 2005)[4]. It also shows hepatoprotective, (Rao et al., 2006)[5] radio-protective, (Tripathi & Singh, 2007)[6] and anti-cancer activities.(Son et al., 2008)[7] In Chinese Pharmacopoeia, *R. cordifolia* is officially known as herbal medicine, used for treatment of free radical related diseases such as dysmenorrhea, hematorrhea, hemostasis and arthritis. The roots of *Rubia cordifolia* are rich in anthraquinones. (Cai et al., 2004, Singh 2004, Verpoorte 2005)[8,9,10]

Treatment with *Nardostachys jatamansi* DC. (Caprifoliaceae) prior to ischemia significantly attenuated alternations induced by ischemia, decreasing neuronal cell death following occlusion and reperfusion. (Salim 2003)[11] *N. jatamansi* significantly reversed thiocetamide- induced hepatotoxicity. (Ali 2000) [12] A 15-day treatment with *N. jatamansi* resulted in a significant increase in the levels of noradrenaline, dopamine, serotonin, 5-hydroxy indole acetic acid, and γ-aminobutyric acid. (Prabhu 1994)[13] *N. jatamansi* exhibited neuroprotective activity in model of 6-OHDA induced lesions.(Ahmad 2006)[14]

In view of this literature support, in this study, the dopaminergic activity of these plants was evaluated using experimental animal models.



II. MATERIALS AND METHODS

2.1 Proximate analysis

Standardization of the plant material done after evaluation of total ash value, acid insoluble ash value, alcohol soluble extractive value and water soluble extractive value (I.P., 1996).[15]

2.2 Extraction

R. cordifolia roots and rhizomes were obtained from Hilly regions of Amboli Ghat, Sawantwadi, Sindudurg, Maharashtra. Dried and powdered roots and rhizomes of *R. cordifolia* were extracted with acetone to separate anthraquinones. Acetone was removed under reduced pressure. Air dried marc was subjected to successive extraction in methanol using Soxhlet apparatus. Methanolic extract (MRC) was evaporated to dryness (% yield: 5.2% w/w).

N. jatamansi rhizomes (2 kg), procured from Aushadhi Bhavan, Ayurved Seva Sangh, Nashik, Maharashtra. Dried and powdered rhizomes of *N. jatamansi* were defatted with pet ether (60-80°C). Air dried marc was further extracted with ethanol (ANJ) and filtrate was evaporated to dryness (% yield: 9.02 % w/w). Extracts were dissolved in distilled water immediately before use and administered orally (p.o.).

Authentication of both plant materials was done by Prof. S. C. Pal, Head of Pharmacognosy Department, MVPS's College of Pharmacy, Nashik, Maharashtra. Sample specimens of *R. cordifolia and N. jatamansi* were retained with Voucher No. MVP/2009/12 and Voucher No. MVP/2009/13 respectively.

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National Conference on Research and Developments in Synthetic Organic Chemistry

Green Chemistry - New Approach in Drug Synthesis

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ABSTRACT

Green Chemistry approach is need of the hour in the field of chemistry. Considering the damage to environment, all Pharmaceutical and chemical industry develop interest in new synthetic processes using green chemistry. Most of the drugs are designed, developed and synthesized using various approaches of green chemistry. Microwave assisted synthesis, sonochemistry, solvent free reactions, reuse of catalyst are various approaches of green chemistry used in drug and chemical synthesis. These approaches will be promising in obtaining drug with good yield, less toxic to environment and cost effective.

Keywords: Green Chemistry, Microwave Assisted Synthesis, Solvent Free Reaction, Sonochemistry

I. INTRODUCTION

In early days, drug synthesis is based on the pollute-and-then-clean-up approach. Now a day's more ecofriendly approach of drug synthesis was adopted called Green chemistry. This concept was introduced in the early 1990s in a special program launched by the US Environmental Protection Agency (EPA). It was adopted by mass-media as the new approach of synthesis.[1, 3]

Green chemistry, also called **sustainable chemistry**, is an area of chemistry and chemical engineering focused on the designing of products and processes that minimize the use and generation of hazardous substances.[1,2]

Attention must be paid towards the issues related to safety, health and protection of the environment, due to reactants (starting materials, products and reagents), auxiliaries (mainly solvents) and waste; in order to evaluate the greenness of a particular process. Green Chemistry insists that our synthetic objectives are achieved while assuming additional considerations related to the unnecessary environmental burden created during operations.[4]

Pharmaceutical industry produce a higher ratio of waste per kilogram of product when compared to their peers, such as petrochemical, bulk, fine chemical, and polymer firms. The chemical industry uses two measures to quantify the waste generated by a process:

1) E-factor, which is defined as the unit of waste generated per unit of product (API);
2) PMI, which is defined as unit of raw material used per unit of product.

A lower value on both is desirable, and is the goal that the pharmaceutical industry is driving towards. Cost Savings, Consumer Awareness, Regulations, Development of innovative new products, Senior Management Commitment and increased R&D investment, are key factors for driving adoption of green chemistry by pharmaceutical industry. [5]

In some country to enhance the research and implementation of green chemistry various awards are also given.

- ✓ Australia's Green Chemistry Challenge Awards overseen by The Royal Australian Chemical Institute (RACI).
- ✓ The Canadian Green Chemistry Medal.
- ✓ In Italy, Green Chemistry activities center arrange an inter-university consortium known as INCA.
- ✓ In Japan, The Green & Sustainable Chemistry Network oversees the GSC awards program.
- ✓ In the United Kingdom, the Green Chemical Technology Awards are given by Crystal Faraday.
- ✓ In the US, the Presidential Green Chemistry Challenge Awards recognize individuals and businesses

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Review Article

Ethosomes - Newer Trend in Transdermal Drug Delivery: A Review

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ABSTRACT

Ethosomes are noninvasive delivery carriers that enable drugs to reach the deep skin layers and/or the systemic circulation. Even though ethosomal systems are theoretically sophisticated, they are simple in their preparation, safe for use a combination that can highly expand their application. Ethosomes are soft, malleable vesicles designed for enhanced delivery of active agents. Due to their unique structure, ethosomes are able to encapsulate and deliver through the skin highly lipophilic molecules like cannabinoids, testosterone and minoxidil, as well as cationic drugs such as propranolol, trihexaphenidyl, Cyclosporine, insulin, salbutamol etc.Ethosomes are provides a number of important benefits including improving the drug's efficacy, enhancing patient compliance and comfort and reducing the total cost of treatment. Enhanced delivery of bioactive molecules through the skin and cellular membranes by means of an ethosomal carrier opens numerous challenges and opportunities for the research and future development of novel improved therapies.

Keywords: Ethosomes, encapsulate, lipophilic molecules, bioactive molecules.

1. INTRODUCTION

Transdermal delivery embodies an attractive alternative to oral delivery of drugs and is composed to provide an alternative to hypodermic injection too [1-4]. For thousands of years, people have placed substances on the skin for therapeutic effects and, in the modern era, a variety of topical formulations have been developed to treat local symptoms. The first transdermal system for systemic delivery—a three-day patch that delivers scopolamine to treat motion sickness—was approved for use in the United States in 1979. A decade later, nicotine patches became the first transdermal blockbuster, raising the profile of

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Computer Aided Drug Design

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ABSTRACT

In the fast pace world fast pace development of drug is essential. This has been boosted by Computer aided drug design(CADD). The methodology has been cost-effective reducing the labour and time of design and discovery by almost fifty percent. The paper discusses mainly those approaches of CADD mainly developed based the structure of macromolecule protein.

Keywords: CADD, Structure Based Drug Design, Docking, Homology Modelling

I. INTRODUCTION

The field of medicinal chemistry basically deals with discovery newer drugs for the benefit of the general populace. These should reach them with easy availability and at economic prices. However in the past drug discovery and developing a new medicine is/was assumed to be a long, complex, costly and highly risky process that had few peers in the commercial world. But by the introduction of computer-aided drug design (CADD) approaches the scenario has changed. During the 1980s, the ability to rationally design drugs using protein structures was an unrealized goal for many structural biologists. However, now the human genome project has made available a substantial amount of sequence data that can be used in various drug discovery projects. Additionally, increasing knowledge of biological structures, as well as increasing computer power has made it possible to use computational methods effectively in various phases of the drug discovery and development has become the major subject of research for many academic laboratories. It is being widely used in the pharmaceutical industry to accelerate the process. The use of computational tools in the lead optimization phase of drug development leads to substantial cost benefit.

In the earlier scenario it took on 10-15 years and US $500-800 million to introduce one drug in the market, with synthesis and testing of lead analogs being highest cost areas.The greatest cost benefit was achieved in application of computational tools in hit-to-lead optimization which covers a wider chemical space while reducing the number of compounds that must be synthesized and tested in vitro. The computational optimization of a hit compound involves a structure-based analysis of docking poses and energy profiles for hit analogs, ligand-based screening for compounds with similar chemical structure or improved predicted biological activity, or prediction of favorable affinity or optimize drug metabolism and pharmacokinetics (DMPK) or absorption, distribution, metabolism, excretion, and the potential for toxicity (ADMET) properties. The comparably low cost of CADD compared with chemical synthesis and biological characterization of compounds make these methods attractive to focus, reduce, and diversify the chemical space that is explored. Today CADD has become an effective and indispensable tool in therapeutic development. The importance of in silico tools is greater than ever before and has advanced pharmaceutical research.[1]

Methods

The two methodologies involved are structure based drug design and ligand based drug design.

II. STRUCTURE BASED DRUG DESIGN

The structure based drug design is the best suited at present for emerging diseases/disorders. If the three-dimensional structure of a disease-related drug target is known, the most commonly used CADD techniques are structure-based. In SBDD the therapeutics are designed