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Original Article

Efficacy and Pattern of Antibiotic Usage Among Patients with Cirrhosis and/or Chronic Liver Disease in Telangana, India

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Abstract

Background and objectives: The most common Gram-negative bacteria, such as enteric bacilli, *Escherichia coli* and *Klebsiella pneumoniae*, and Gram-positive bacteria, such as *Streptococcus* spp., are seen in patients suffering from cirrhosis and/or chronic liver diseases. The objective of this prospective observational study was to compare the efficacy and pattern of antibiotic use in patients with bacterial translocation.

Methods: This 10-month study was conducted at the Gastroenterology Department of the KIMS hospital, Telangana, India. The patients were more than 18 years of age (n = 60) and diagnosed with liver cirrhosis and/ or chronic liver diseases. All data was analyzed statistically, at a significance threshold of $p < 0.05$.

Results: Among the 60 patients, the Child-Pugh-Turcotte scores were A in 30%, B in 35% and C in 14%. White blood cell count was reduced from 12,620 ± 1,266 (before treatment) to 8,385 ± 944 (after treatment with antibiotics; $p < 0.05$). Serum glutamic pyruvic transaminase values were reduced from 360.1 ± 87.3 (before treatment) to 141.9 ± 37.9 (after treatment with antibiotics therapy ($p < 0.001$), whereas serum bilirubin values were reduced from 6.064 ± 0.91 (Before treatment) to 3.514 ± 0.44 (after treatment with antibiotics therapy; $p < 0.0001$). The mortality rate was 6.6 %, *i.e.* only 4 patients died post-treatment. It was also observed that meropenem was prescribed in the majority of cases and norfloxacin was the least prescribed of all antibiotics.

Conclusions: Our study suggests that antibiotic treatment might be effective for patients suffering with cirrhosis or chronic liver diseases with improved life expectancy.

Introduction

Liver diseases represent the second largest cause of mortality, with the prevalence of cirrhosis between 5% and 9% of the general USA population amongst all digestive diseases, as reported from autopsy studies. The worldwide estimate of mortality from cirrhosis ranked 14th and 10th for cause of death globally and among developed populations respectively, inflicting 771,000 patients.[1]

The definition of cirrhosis is stated as the histological outgrowth of regenerative nodules, with the growth of surrounding fibrous tissues being due to chronic injury to the liver causing end-stage liver disease and portal hypertension. The most common feature noticed in liver cirrhosis patients is the overgrowth of intestinal bacteria, predominantly in the small intestine. The complications associated with cirrhosis with ascites include spontaneous bacterial peritonitis (SBP), occurring via the translocation of gut flora into the mesenteric plexuses and the ascetic fluid contained within. The mortality rate surveyed over 2 years for cirrhosis patients with ascites was estimated to be 50%.[2,3] However, in patients with ascites, there will be 10–25% chance of developing SBP, with a sub-

Keywords: Bacterial translocation; Cirrhosis; Meropenem.

Abbreviations: SBP, spontaneous bacterial peritonitis; BT, bacterial translocation; AEA, appropriate empirical antibiotic; CLD, chronic liver disease; CPT, Child-Pugh-Turcotte; MELD, model for end-stage liver disease; WBC, white blood cell; SGPT, serum glutamic pyruvic transaminase levels.

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Research Article

PHYTOCHEMICAL EVALUATION AND *IN-VITRO* ANTIOXIDANT POTENTIAL OF WHOLE PLANT OF *TANACETUM PARTHENIUM* (L)

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ABSTRACT

In the current scenario, exploration is aimed at scrutinizing the phytochemicals for total phenol content, total Flavonoid estimation, antioxidant potentials obtained from natural origin. The study was focused on evaluation of antioxidant potential of various extracts of *Tanacetum parthenium* whole plant based on polarity. The total phenolic content and flavonoid content of Ethanolic extract of plant was found to be 32.91 ± 0.629 mg and 67.55 ± 1.170 mg of GAE and Quercetin equivalents respectively. Different *in-vitro* assays such as 2-2-diphenyl-1-picrylhydrazyl (DPPH) radical scavenging method, Nitric oxide scavenging activity and reducing power estimation were studied for the various plant extracts and measured spectroscopically. The Ethanolic extract of plant showed the highest antioxidant activity as measured by DPPH, nitric oxide scavenging activity with IC_{50} values of 197.543 ± 0.659 and 266.449 ± 0.761 respectively. A strong correlation was observed between antioxidant capacities and their total phenolic content indicated that phenolic compounds were a major contributor to antioxidant properties of plant extract. These results suggest that the Ethanolic extract of *Tanacetum parthenium* can constitute a promising new source of natural compounds with antioxidants ability.

Keywords: *Tanacetum parthenium*, Antioxidant activity, DPPH, Nitric oxide scavenging activity, FRAP

INTRODUCTION

ROS causes oxidative damage to cellular compartment that leads to cell injury and death. Scavenging reactive oxygen species (ROS) are superoxide, hydrogen peroxide and hydroxyl radicals that cause lipid peroxidation or damage to DNA or protein. This phenomenon leads to various health problems like heart diseases, carcinogenesis. Antioxidants quench lipid peroxidation and prevent DNA damage. Oxidative damage can be prevented by increase intake of antioxidants through diet. Prolonged usage of synthetic antioxidants produces serious toxicity, So, Researchers are now looking for natural antioxidants which do not have any side effects on human health. The search is underway to find out newer, effective and safe antioxidants, in order to use them in foods and pharmaceutical preparations to replace the synthetic ones.

Feverfew (*Tanacetum parthenium* L.) belonging to the family Asteraceae is a daisy-like perennial plant found commonly in gardens and along roadsides and is used for the treatment of various diseases such as arthritis and migraine in traditional medicine. The name stems from the Latin word *febrifugia*, "fever reducer." The first-century Greek physician Discords prescribed feverfew for "all hot inflammations." Also known as "feather few," because of its feathery leaves.[1-3] It is a short, bushy, aromatic perennial that grows 0.3–1 m in height. Its yellow-green leaves are usually less than 8 cm in length, almost hairless and pinnate–bi pinnate (chrysanthemum-like). Its yellow flowers bloom from July to October, are about 2 cm in diameter. They resemble those of chamomile (*Matricaria chamomilla*), for which they are sometimes confused and have a single layer of white outer-ray florets.[4-6] This plant contains various antioxidant compounds such as sesquiterpene lactones and various flavonoids.[7] Therefore, this study was conducted with the aim of investigating the various chemical constituents and analyzing antioxidant potential of the effects of various extracts of *Tanacetum parthenium* using *in-vitro* antioxidant assays.

MATERIAL AND METHODS

Collection of Plant material and extraction

The whole plant of *Tanacetum parthenium* was collected from the village of Manala, Rajanna Siricilla District, situated in the state of Telangana (India) and shade dried and powdered mechanically. The plant specimen was authenticated by botanist of Osmania University and authenticated voucher specimen Number 453 of the plant has been preserved in department for future reference. The dried plant powder was extracted with various solvents based on polarity (Pet ether, Chloroform, Ethyl acetate, Methanol and Aqueous) by hot continuous extraction in Soxhlet's apparatus and the extracts were evaporated to dryness under vacuum, dried in vacuum desiccators and stored in refrigerator.

Phytochemical Evaluation

Phytochemical investigation of alkaloids, saponins, Anthraquinones, carbohydrates, tannins, phenolics, flavonoids, proteins and amino acids, Terpenoids, Coumarins, steroids and

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MANDATORY GENERIC PRESCRIBING AND GENERIC SUBSTITUTION FOR BRAND-NAME MEDICINES IN INDIA - A CROSS-SECTIONAL SURVEY

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ARTICLE INFO

Key Words

Generic, branded, survey, prescribing, questionnaire

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ABSTRACT

Background: India is considered as the pharmacy of the world, being the largest provider, supplying 18% by volume in the world's generic drugs market, exporting US$20.0 billion worth of drugs in the 2019–20. It is ironical that India has very low domestic consumption of the generics, being dominated by branded medicines. It's matter of huge burden to public health funding of the Government as well as the patient's huge out-of-pocket expenditure. **Aim & Objectives:** The primary objective of the study is to conduct a systematic review and critical appraisal of perception among various stakeholders on (i) mandatory prescribing with a generic name and (ii) generic substitution for brand-name medicines. **Methodology:** A cross-sectional survey was undertaken in the form of systematic interviews with various stake holders (N= 426) comprising physicians (96), representatives of the industry (20) and regulatory bodies (10), pharmacists (110) and patients (190) which is followed up with a self-administered questionnaire using Google Forms. **Results & Discussion:** Verbal interviews with physicians, pharmacists & patients revealed a lot of misconceptions with lack of trust on the quality, stability and extent of regulatory control of generic medicines. Out of 426 respondents, 234 (55%) were found to have basic understanding on quality, safety, efficacy, cost & applicable regulatory controls on generics and the majority of this fraction (90%) voted for mandatory prescribing of medicines using generic names, while there was a mixed response on the right to generic substitution by the pharmacist. **Conclusions:** The study revealed the need for continued education and improving the perception of generics among all stakeholders through effective regulatory system, supply-chain management and enforcement of anti-counterfeiting policies. The study has perceived a strong resistance from the physicians for mandatory generic prescribing while the industry & pharmacists are not inclined to the right for generic substitution by pharmacists.

INTRODUCTION: Internationally, the generic medicines have been increasingly favoured due to substantially low prices Without compromising on quality, economic pressure on public health care budgets, and the expiry of patents on widely used medicines.

Research Paper

Design Synthesis and Biological Evaluation of Dithiocarbamate Substituted 2-Aminobenzothiazole Derivatives as Proviral Integration Site of Moloney Murine Leukaemia Virus 1 Kinase Inhibitors

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In the present study, we intended to synthesize novel derivatives against Proviral Integration site of Moloney murine leukaemia virus 1 kinase, a biomarker over expressed in numerous malignancies. A novel series of derivatives containing dithiocarbamate moiety as a side chain at the second position of 2-amino benzothiazole nucleus were synthesized and characterized by spectral analysis. From the 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyl tetrazolium bromide Assay performed, compounds 4a, 4c and 4h of the series emerged as potent anticancer agents against SK-OV-3 cell lines with half-maximal inhibitory concentration value of 34.52±0.5 µM, 34.28±0.06 µM and 29.17±0.66 µM, respectively ($p<0.05$) compared to standard drug doxorubicin's half-maximal inhibitory concentration value 17.07±0.05 µM. From the anti-mitotic activity studies all the compounds showed good to moderate activity with half-maximal inhibitory concentration values ranging from 1.88±0.66 to 20.91±0.34 µM, ($p<0.05$). The evaluation of *in silico* absorption, distribution, metabolism, excretion, and toxicity and molecular descriptors, proved that the synthesized compounds posses drug like properties and are safer to the normal cells. From the molecular docking studies, compounds 4a, 4c, 4h showed good binding affinity with PIM 1 Kinase protein and retained required amino acid interaction similar to the co-crystal. Hence, this study proves 2 amino benzothiazole dithiocarbamate derivatives can be used as encouraging leads as PIM 1 Kinase inhibitors.).

Key words: PIM1 Kinase, dithiocarbamate, SK-OV-3, ovarian cancer, anti-mitotic activity

Cancer encompasses a collection of diseases in which normal cells progressively transform into malignant cells accompanied by an augmented proliferation, invasiveness and metastasis. Cancer treatment and prevention remains to be an unmet medical need despite the massive developments and advances for their therapeutic intervention[1]. Targeted therapy of cancer is the foundation of precision medicine that targets proteins, genes and biomarkers that control how cancer cells grow, divide, and spread. Targeted and specific inhibition of a molecular oncogenic targets is theorized to have a significant role in a hindering the progression of a specific tumor and is an effective strategy to combat cancer[2]. PIM (Proviral Integration site of Moloney murine leukaemia virus) family of proto-oncogenes are serine/threonine kinases, calcium/calmodulin dependent[3]. The three constituents, PIM 1, PIM 2 and PIM 3 show high homology amongst each other and their discovery dates back to 1980's when they were identified in transgenic mouse models by cloning of retroviral integration site in Moloney murine leukaemia Virus (M-MuLV) generated lymphomas[4]. PIM 1 kinase kinase is highly conserved, constitutively active. B-lymphoid, myeloid cell lines, haematopoietic malignancies, prostate, ovarian and uropeithelial cell carcinomas show a high expression

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Original Article

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Insilico Admet Predictions of Dihydropyrimidinones using Swiss Adme, Pkcsm, Lazar and Protox.

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Abstract

Drug development and discovery failures are attributed to poor pharmacokinetics, bioavailability, efficacy and toxicity. By monitoring the physicochemical properties of lead compounds, it has become feasible to increase the quality of drug candidates. Toxicity determination of chemicals is crucial to identify their deleterious effects on humans, Animals, plants and the environment. Numerous Insilico models are thus developed for the prediction of Absorption, Distribution, Metabolism, Excretion (ADME) properties at the early stages of drug discovery to decrease the fraction of global pharmacokinetics related failures in the later phases of drug development. Dihyropyrimidinone derivatives possess a broad spectrum of biological activities like Antibacterial, Antifungal, Antiviral, Anticancer Antihypertensive activities. The objective of this study is to predict Pharmacokinetic, drug likeness properties and toxicity of Dihydropyrimidinone derivatives by using Swiss adme, PKCSM, Lazar and Pro toxsoftware's. All the compounds followed the Lipinski 'Rule of five' and showing good oral bioavailability. All the compounds were non-toxic except for Compound F6 which showed hepatotoxicity and reproductive toxicity.

Keywords: Dihydropyrimidinone derivatives; adme; Swiss ADME; PkCSM; Lazar; Protox

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Introduction

The drug discovery and development has seen a paradigm shift from traditional drug design to computer aided drug design (CADD) to efficiently predict the biological activity. As a consequence the insilico methods serve as an effective strategy in accelerating and encouraging drug discovery and development process. CADD is applied to nearly every stage of drug discovery from target identification, lead discovery to optimization tools.[1] Terminated projects when investigated revealed unsatisfactory Pharmacokinetic profiles and ADMET properties central to the drug failure. In Silico screening approaches help to reduce the risks of these failures. Computational algorithms can be used to assess the Pharmacokinetic activity and assist in organizing, analyzing, modeling, simulating, visualizing or predicting the chemical toxicity. Insilico toxicity prediction is undertaken prior to in-vitro and in-vivo testing to minimize time and cost. Such in silico tests include Swiss ADME, PKCSM, Lazar, Protox. Swiss ADME web tool gives free access to a pool of fast and predictive models for physicochemical properties, pharmacokinetics, drug-likeness and medicinal chemistry friendliness, among which in house proficient methods are the BOILED Egg, iLOGP and bioavailability radar.[2-4] The ADMET Predictor uses integrated sequences to analyze and examines the crucial role of the molecular structure of a compound

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Review article *Open Access*

Biosimilars – an overview

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ABSTRACT

Biosimilars are a new class of drugs intended to offer comparable safety and efficacy to the reference. Biologic products are being developed over the past three decades. The expiry of patent protection for many biological medicines has led to the development of biosimilars in UK. Biosimilar or similar biologic use has increased in the recent years following the approval of the first biosimilar in early 2000. India is one of the leading manufacturers of similar biologics. India has developed a new guideline in 2012 for the pre- and post-marketing approval of similar biologics. The overall risk is modest with Biosimilars, but regulatory pathways are required because of structural complexity, manufacturing process and risk for immunogenicity. In the last few years, India has seen a robust development in its biosimilar portfolio. The Indian biosimilar market is composed for big growth, by the launch of new products and growing acceptance of biosimilar. India's top manufacturers are also entering the biosimilars market, with more than 50 biosimilar products approved by the Central Drugs Standard Control Organization (CDSCO). This review shows the evolution of biosimilar development regarding regulatory, manufacturing bioprocess, comparability, and marketing.

Keywords: Biosimilars, Biological products, Biotechnology, Reference product

INTRODUCTION

Biological products

Biological products are generally large, complex molecules produced through biotechnology (i.e., recombinant DNA technology, controlled gene expression, or antibody technologies) in a living system, such as a microorganism, plant cell, or animal cell. After production of complex biopharmaceuticals, an innovator puts to get approval from regulatory authority, with brand name and is protected under patent rights. The chemical structure of the product is not disclosed to others. Simultaneously or after some period another manufacturer may discover complex molecule using a different source of cloning or process with a structure known or not known but the product may show the same biological effect as a product of the first innovator and this second product is considered as a generic version.

Reference product

A reference product is the single biological product, already approved by FDA, against which a proposed biosimilar product is compared. A Reference Biologic is utilized as the comparator for comparability studies with the Similar Biologic in command to show Similarity in terms of safety,

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Original Article

2,4-SUBSTITUTED QUINAZOLINE AS JAK2 INHIBITOR: DOCKING AND MOLECULAR DYNAMICS STUDY

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ABSTRACT

Objective: The involvement of Janus kinase2/signal transducer and activator of transcription (JAK2/STAT3) pathway reported in various solid tumors made authors study the conformational changes of JAK2-3e complex which was previously reported with a moderate percentage of *In-vitro* JAK2 inhibition.

Methods: In this present study Compound 3e was reported with a moderate percentage of inhibition of JAK2 protein selected for performing molecular docking and molecular dynamics studies to elucidate the conformational changes with JAK2-3e complex. Docking studies were performed using ChemSketch to draw the structure of the compound and optimized/energy minimized using the Ligprep module of Schrodinger suite, employing optimized potentials for liquid simulations (OPLS-2005) force field. Molecular dynamics simulations were performed for 10 ns for complex using TIP4PEW water solvent model and neutralized by adding sodium ions.

Results: Docking studies of Compound 3e which has been reported as one of the effective cytotoxic agents and a moderate percentage of *In-vitro* JAK2 inhibition among the series, showed H-bond interaction with leucine 855, serine936, aspartine994. Dock score and Ligand binding energy with protein suggested compound 3e has shown-4.049,-66.003 kcal/mol respectively. Molecular dynamics simulations elucidated the mechanistic insight of JAK-2 inhibition. The Root means square deviation (RMSD) pattern of both protein and ligands in the JAK2-3e complex observed to be different over 10 ns simulation. In the JAK2-3e complex, an exponential increase in RMSD of Cα and side-chain amino acids is observed during the first 1-3 ns simulation and is stabilized till 10 ns. During the 10 ns simulation, ligand 3e seems to be stable in the complex with an overall deviation<1 Å, despite a drastic increase between 1-3 ns. The ligand RMSD plot suggests that the ligand 3e remained intact within the binding site of the protein and longer time period simulation may elucidate the binding pattern and fate of ligand 3e.

Conclusion: Results from molecular dynamics simulations elucidated the mechanistic insight of JAK-2 inhibition by 2, 4 disubstituted quinazoline compound that is N'(2-(4-nitrophenyl)quinazoline-4-yl) isonicotinohydrazide) and their binding phenomenon. Molecular docking studies further supported the elucidation of binding patterns of the molecules in the JAK-2 protein environment. Further simulations with a longer time period may provide deeper insights into ligand interactions in the protein environment. It is noteworthy to use compound 3e as a new scaffold for further development of multifunctional compounds.

Keywords: Quinazoline, Cytotoxic activity, Molecular docking, JAK2, Molecular dynamics

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INTRODUCTION

Cancer is one of the major threats to human life worldwide and is the second leading cause of death in the United States. An estimated 6, 06,880 Americans will die from cancer in 2019 [1], corresponding to almost 1700 deaths per day. The greatest number of deaths is from cancers of the lung, prostate, and colorectum in men and the lung, breast, and colorectum in women. Even cancer is the second most common cause of death among children aged 1-14 y in the United States. In 2019, an estimated 11,060 children will be diagnosed with cancer and 1,190 will die from the disease.

The sequence of events like cell cycle progression, cell division, and proliferation can be controlled by a cascade of enzymes such as protein kinases [2]. These enzymes are responsible for regulating physiological mechanisms, including cell differentiation, migration, and metabolism. These enzymes are divided into three categories like tyrosine kinases [3], serine/threonine kinases [4], and Histidine kinases [5]. When the protein kinases are expressed in mutated, unregulated forms (or) produced abnormally in high levels these can transform normal cells into carcinogenic phenotypes [6, 7]. JAK-STAT pathway transduces extracellular signals into transcriptional programs which can regulate cell growth and differentiation [8, 9]. The mammary gland and ovary where the JAK-STAT pathway is extensively investigated. During puberty, pregnancy the mammary cells and ovary cells exposed to signaling pathways that inhibit apoptosis, induce proliferation and invoke differentiation. The signaling pathways are responsible for bringing out these changes in breast and ovarian cells. The distinct functions of STAT3 and STAT5 have received attention in the context of breast cancer and ovarian cancer. STAT3 activity can be modulated through STAT5 activity and their combined functions can have an impact on the progression of breast and ovarian cancers [10]. The cell division and angiogenesis required for tumor growth and metastasis are controlled by protein kinases. Hence there is a necessity to develop non-toxic and selective inhibitors of protein kinases in tumor cells represent the exciting targets for cancer treatment.

The heterocycles are widely known as bioactive molecules and are considered as an important synthetic target for the development of novel therapeutic agents [11-12]. Quinazoline is one of the heterocycles used as a basic framework that produces biologically active compounds and drug molecules [13]. Due to wide range of biological activities like antimicrobial [14, 15], antimalarial [16], anti-inflammatory [17-19] anti-convulsant [20, 21], antihypertensive [22], anti-oxidant [23], anti-viral [24], anti-HIV [25] and anti-cancer [26-29] quinazoline and its derivatives attracted the attention of both biologists and chemists. Quinazoline and its derivatives have been identified as a new class of cancer chemotherapeutic agents [30] with significant therapeutic efficacy against solid tumors [31-43].

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Research Article

DESIGN AND SYNTHESIS OF NOVEL 2, 3-DISUBSTITUTED QUINAZOLINES: EVALUATION OF *IN VITRO* ANTICANCER ACTIVITY AND *IN SILICO* STUDIES

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ABSTRACT

Objective: In this study, a series of novel 2,3-disubstituted quinazolines (4a-4l) were synthesized using standard procedures and elucidated through different spectroscopic techniques.

Methods: Obtained compounds were evaluated for their cytotoxicity against human breast cancer (MDA-MB-231) and ovarian cancer (SK-O-V3) cell lines using MTT assay. Docking studies with JAK2 protein were performed to elucidate the possible mechanistic insights into these novel quinazoline derivatives.

Results: Moderate-to-good *in vitro* cytotoxic potentials of the newly synthesized molecules were reported against selected human cancer cell lines. Among the tested molecules, compound 4e showed good cytotoxic activity against MD-AMB-231 (14.2 ± 0.86 µM) and against SK-O-V3 (17.7 ± 0.62 µM).

Conclusion: The *in vitro* studies of the newly synthesized quinazoline derivatives reported considerable cytotoxic potentials against both breast and ovarian cancer cell lines and SAR studies suggest that quinazoline derivatives with heterocyclic benzothiazole nucleus with hydrophilic acetamide linkage at the 3rd position could probably increase the cytotoxic potentials and the presence of chlorine substitution could add more benefit. With the reported bioactivities of these derivatives, further studies on the derivatization could elucidate the broader cytotoxic potentials.

Keywords: Quinazoline, cytotoxic activity, MTT assay, breast cancer, JAK2.

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INTRODUCTION

Cancer is a major public health problem worldwide and is the leading cause of deaths to 606,880 alone in the USA in 2019 alone. Majority of cancer deaths are due to cancer of lungs, breast, genital system, digestive system, lymphoma, leukemia, etc. Breast cancer is one of the major problems in women leads to enhance mortality rate. In 2019, the American Cancer Society estimated 271,270 cases of the invasive breast cancer diagnosed and 42,260 deaths in the U. S. women [1]. Breast cancer treatment includes different approaches, such as chemotherapy (single agent or combination therapy), surgery, and radiotherapy. Advancement in chemotherapeutic strategies is currently not efficient in treating the malignancy, due to the lack of selectivity between normal cell and cancer cell, due to the development of drug resistance resulting in poor clinical benefits. Even though there is a progress in many aspects of cancer research, still have so many disadvantages like high toxicity and low efficacy. Hence, there is a necessity to develop novel molecules to treat wide variety of cancers that are occurring.

Since from long time, heterocycles are considered as an important core moiety for the development of newer generation cytotoxic agents. Quinazoline derivatives have made the researchers attention due to their number of pharmacological activities. Hence, many therapeutic activities of quinazoline derivatives were already determined by the researchers including anticancer [2-5], anti-inflammatory [6,7], antibacterial [8-11], analgesia [6,10], antivirus [12], anticytotoxic [13], antispasmodic [10,14], antituberculosis [15], antioxidant [16], antimalarial [17], antihypertension [18], antiobesity [19], antipsychotic [20], antidiabetic [21], anticoagulant [22], and VEGFR2 inhibitors [23]. Due to these many wide number of activities, researchers made efforts, led to the development of quinazoline as a new lead compound in the inhibition of a variety of cancers with less toxic effects.

In this present work, a novel series of quinazoline derivatives were synthesized accommodating a substituted phenyl group (hydrophobic moiety) at position-2 and introduction of benzothiazole group (heterocycle) at 3-position with acetamide linkage (hydrophilic) to 2-substituted quinazolinones. These novel quinazolines are screened for cytotoxicity potentials against human breast and ovarian cancer cell lines.

METHODS

Chemistry

The required chemicals were procured from Sigma-Aldrich Ltd., India, and thin-layer chromatography (TLC) was used to monitor the reactions and chromatographic separation. Silica gel (60–120 mesh, SRL chemicals) coated glass plates were used for TLC. Purification of the compounds was carried out by column chromatography using an increasing percentage of ethyl acetate in hexanes (3:7) as a solvent. SISCO instrument was used to measure all the melting points and uncorrected using open-ended capillary tubes. Nicolet-6700 spectrometer was used to record IR spectra of the compounds on KBr pellets. Tetramethylsilane as internal standard and DMSO-d6 solvent used to dissolve the compound. ^{1}H-NMR (400 MHz) and ^{13}C-NMR (100 MHz) were recorded for the synthesized compounds on a Bruker-400 spectrometer. ^{1}H-NMR data are reported as follows: Chemical shifts and in support of the structure; ^{13}C-NMR were also recorded. Mass spectra of the synthesized compounds were recorded on a Shimadzu liquid chromatography–mass spectrometry (LCMS)-8030 using ESI mode.

General procedure for the synthesis of anthranilic acid

To 4.2 ml of bromine, add 15 g of sodium hydroxide (NaOH) and dissolve it thoroughly, then add 12 g of phthalimide, [Scheme 1] stir

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Anti-urolithiasis activity of *Vaccinium macrocarpon* fruits: An *in vitro* study

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Abstract
Objective: To perform the phytochemical screening and *in vitro* Calcium Oxalate anti-urolithaitic activity of *Vaccinium macrocarpon* aqueous and ethanolic fruit extracts.
Methods: The aqueous and ethanolic extracts from, fruit pulp was subjected to qualitative phytochemical screening and the anti-urolithiasis activity was evaluated on *in vitro* models like Calcium Oxalate dissolution assay, Calcium Oxalate nucleation and aggregation assay.
Results: Following the phytochemical screening it was concluded that the ethanolic and aqueous extracts were found to be rich in flavonoids, steroids, phenols, coumarins, terpenoids and cardiac glycosides. The anti urolithiatic activity was found to be dose dependent. A 35.6±0.06 and 33.43±0.02 percentage of Calcium Oxalate nucleation was exhibited by the 40mg/ml aqueous and methanolic extracts respectively, while percentage inhibition of Calcium Oxalate aggregation was found to be 32.2±0.06 and 34.6±0.02 by 40mg/ml dilution of aqueous and methanolic extracts respectively. However the aqueous and methanolic extracts exhibited a higher capacity to dissolve the Calcium Oxalate crystals prepared homogenously. Percentage inhibitions of 44.2±0.06 and 47.3±0.02 were shown by the aqueous and methanolic extracts respectively.
Conclusions: The study indicates that the aqueous and methanolic extracts of *Vaccinium macrocarpon* fruits showed inhibition against the important phases of Calcium Oxalate urolithiasis like nucleation and aggregation. It also aids the dissolution of the Calcium Oxalate crystals prepared. Owing to the rich presence of polyphenols and flavanoids, *Vaccinium macrocarpon* proves to be an easily available and a beneficial alternative or adjunctive treatment for Calcium Oxalate urolithiasis. Further *in vivo* and clinical explorations are required to confirm the efficacy of *Vaccinium macrocarpon* as an antiurolithiatic.

Keywords: Urolithiasis, calcium oxalate, polyphenols, *Vaccinium macrocarpon*, phytochemicals

1. Introduction
Kidneys being the major organs responsible for excretion of toxins, any abnormalities disrupting this normal physiology will cause major problems for human beings. Formation of stones in the kidneys is termed as nephrolithiasis, while calculi if formed in the urinary bladder, ureter or anywhere in the urinary tract is discerned as urolithiasis. It is the oldest and excruciatingly painful urologic disorder affecting 5-7 million individuals. 10-12% of the population in the industrialized counties is victimized to urinary stone formation, with 20-40 year aged individuals showing the highest incidence [1, 2]. The word "Urolithiasis" stems from the Greek as "Urone" for urine and "Lithos" for stones. The pathogenesis of stone formation can be explained by numerous theories like super saturation and inhibitors theory. For instance, according to the super saturation theory, stone formation is promoted when there is an overabundance of solute in the solvent [3]. Urinary stones are classified on the basis of size, location, X-ray characteristics, and aetiology of formation, composition, and risk of recurrence [4-7]. Based on aetiology, stones are mainly of infective, non-infective, genetic and drug induced origins. Calcium-containing stones, especially Calcium Oxalate monohydrate, Calcium Oxalate dihydrate and Calcium Phosphate are the most commonly occurring ones to an extent of 75-90% followed by magnesium ammonium phosphate (Struvite) to an extent of 10-15%, uric acid 3-10% and cystine 0.5-1% [8]. The formation of the kidney stones involves multiple events beginning with the crystal nucleation, aggregation, and ends with retention of the formed stones within urinary tract [9]. Supersaturation precceds crystal nucleation. Urinary PH, Ionic strength, Solute concentration are factors affect the supersaturation process [10]. Urolithiasis treatment majorly focuses on the dissolution and prevention of stone relapse.

ORIGINAL ARTICLE

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Assessment of potential antiurolithiatic property of *Carissa carandas* Linn. leaves on zinc disc insertion -incited urolithiasis in wistar male albino rats

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ABSTRACT

The goal of the research was to assess the antiurolithiatic property of *Carissa carandas* Linn. leaf extract in rats. The rats were segregated into 6 groups of 6 rats each. Calcium oxalate urolithiasis was surgically incited by insertions of pre-weighed and sterile zinc disc in bladders of animals. This was also followed by supplementing 0.75% v/v ethane-1, 2-diol (Ethylene glycol) in quaffing water *ad libitum* for 28 days. Upon postsurgical recovery period (3 days), Cystone (750 mg/kg) and three doses of EELCC (Ethanolic extract of leaves of *Carissa carandas* Linn.) namely 100, 200, and 400 mg/kg b.w., were given to zinc disc inserted animals for the duration of 28 days by oral route. Antiurolithiatic property was assessed by measuring the urinary volume, weight of the calculi, estimating the pH and analyzing the proportion of diverse biological markers in urine and serum specimens. An outstanding reduction in urine output and pH were noticed in zinc disc inserted rats, which were intercepted by the remedial extract. The extract also produced a significant enhancement in rate of glomerular filtration (GFR) and reduced the calculi deposition throughout the inserted zinc disc. The elevated levels of serum and urinary biochemical parameters like creatinine, urea, calcium, blood urea nitrogen (BUN), oxalate, and uric acid were also prevented by the extract. A significant ($P< 0.01$) potential antiurolithiatic property is observed at 400 mg/kg of EELCC.

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INTRODUCTION

Urolithiasis is a typical urinary disorder that indicates calculi emanating in any place in the renal system, which are the kidneys' and bladder. It is influencing almost 12% of the world population with a noticeable recurrence after an aciurgy dislodge (Lenin *et al.*, 2001) which demands an emergency requisite for proxy remedy. Surgical insertion of foreign substance such as zinc disc in the animal's bladder, eventually causes acquisition of a calculus throughout the zinc disc. After insertion of a zinc disc, if ethane-1,2-diol (Ethylene glycol) is given orally to the rats with the crystals growing throughout the insert mostly constitute

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Research Article

Potency Of Garcinia Gummi-Gutta (L.) Roxb. Fruit Rind In Ethane-1,2-Diol Induced Calcium Oxalate Urolithiasis In Male Rats

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ABSTRACT:
The present study investigated the efficacy of an ethanolic extract of fruit rind of Garcinia gummi-gutta (L.) Roxb., (EEFRGGG) as a curative agent in ethane-1,2-diol induced calcium oxalate urolithiasis in rats. Animals of normal control received saline solution (2 mL/kg) and EEFRGGG control received extract (400 mg/kg) throughout the study. Remaining five groups received ethane-1,2-diol 0.75% v/v by adding in their ingesting water from day first to day twenty eight for the induction of renal calculi. From 15th day, standard control received Cystone in the dose of 750 mg/kg while test groups were treated with ethanolic extract in the doses of 100, 200 and 400 mg/kg respectively up to 28 days. Levels of urea, blood urea nitrogen (BUN), creatinine, uric acid in serum; urinary volume, urinary pH, and renal morphology were typical in normal and EEFRGGG control groups, but altered by ethane-1,2-diol; which were almost fully recovered by Cystone (750 mg/kg) and therapeutic intervention trials with EEFRGGG 100, 200 and 400 mg/kg respectively. Anti-urolithiatic effect of EEFRGGG 400 mg/kg was significantly ($P<0.01$) higher than EEFRGGG 100, 200 mg/kg and Cystone 750 mg/kg.

Keywords: Urolithiasis, Garcinia gummi-gutta (L.) Roxb., Cystone, Ethane-1,2-diol.

INTRODUCTION:

Urolithiasis affects about 12% of the world population. Since bygone times, diverse herbal structure have been utilized in therapy of urolithiasis, it is third most habitual urological disorder, which results from unite impact of dietary, geographical, biochemical, and genetic risk factors accountable for profound human being affliction and price to public with a great reoccurrence percentage. The habituated procedures for dislodging urinary stones are auxiliary with the imperil of urgent urinary failure and augment in calculi reoccurrence which denote emergency requisite for proxy remedy[1]. The plant Garcinia gummi-gutta is asserted to be beneficial for diverse indisposition; however, its anti-urolithiatic potential has not been validated scientifically.

2. MATERIALS AND METHODS:

2.1. Chemicals (AR Grade):

Ethane-1,2-diol (ethylene glycol), all other chemicals and miscellaneous biochemistry kits for assessment of serum parameters were procured from Merck Life Science Pvt. Ltd., Nellore, India. Cystone (Himalaya Drug Company, Bangalore, India) was acquired from the Apollo pharmacy, Nellore.

2.2. Collection, authentication and extraction of plant material:

The fresh fruits of Garcinia gummi-gutta were collected from natural habitat in around Kottayam district, Kerala, India and were taxonomically identified and authenticated by Dr. P.V. Prasanna, Scientist 'F', Botanical Survey of India (BSI), Hyderabad, India (Ref. no: BSI/DRC/2018-19/Tech./824; Date: 29/01/2019). The dried fruit rinds were coarsely powdered, packed into soxhlet thimble and extracted with 99.9% (v/v) ethanol for 6 h at 60°C.[2] The dried, crude concentrated extract (21 g, dark brown semisolid, yield 35% w/w) was labeled as EEFRGGG.

2.3. Phytochemical screening:

The EEFRGGG was treated to qualitative testing of the diverse phytoconstituents by grade procedures.[3]

2.4. Ethical clearance (before the inception of the research):

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Research Article

Pharmacology for Drug Discovery

Exploration Of Effective Anti-Urolithiatic Property Of *Carissa Carandas* L. Leaves Against Ethylene Glycol Induced Kidney Stones In Male Rats

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Abstract: Urolithiasis is a common disease that has been recognized and documented in medical literature even by the Greek and Roman physicians. *Carissa carandas* Linn., is ensconce all over India mostly in the semi-arid territory. Karonda trees are extensively cultured in the domicile gardens, farmer's fields, and orchards as hedge-row plants. The aim of the research was to evaluate the antiurolithiatic property of *Carissa carandas* Linn. leaf extract in rats. Urolithiasis in male Wistar albino rats was experimentally induced by administration of 0.75% (v/v) ethylene glycol in drinking water *ad libitum* for 28 days. Also the animals were treated with three doses of EELCC (ethanolic extract of leaves of *Carissa Carandas* Linn.) i.e., 100, 200, 400 mg/kg and Cystone 750 mg/kg b.w., p.o., respectively once daily from 15th to 28th day. On the 29th day, the body-weight difference was measured and animals was housed in individual metabolic cages, urine (pooled) collected for 24 h. Blood was collected on the same day and centrifuged. Parameters like urinary volume and pH, urinary analysis (Calcium, Oxalate, Creatinine, Uric acid, Blood urea nitrogen, and Urea) and serum analysis (Calcium, Oxalate, Creatinine, Uric acid, Blood urea nitrogen, and Urea) were performed to access the antiurolithiatic activity. The urine was subjected to microscopical study to observe the CaOx crystals. Thereafter the animals were sacrificed, kidneys excised followed by weighing the difference and estimation of homogenate parameters (Calcium, Oxalate, MDA, GSH, Catalase and SOD). Histopathological study of the kidneys were done by light microscopy, whereas the EELCC treated rats (400 mg/kg) showed no presence of CaOx crystal deposits and apparently retained normal morphology, tubular epithelial cells and glomeruli as in normal control group when compared with Cystone (750 mg/kg). Urolithiasis caused significant (P< 0.01) changes in all parameters in lithiatic control group rats as compared to normal control group rats., treatment with EELCC at three doses i.e. 100, 200 and 400 mg/kg and Cystone 750 mg/kg showed comparatively a significant (P< 0.01) restoration of all altered parameters. Based on results it can be concluded that the EELCC at dose of 400 mg/kg exhibited significant (P< 0.01) anti-urolithiatic activity on experimentally induced urolithiasis.

Keywords: Kidney stones, *Carissa carandas* L., Ethylene glycol, Male rats.

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Research Article

Application of Central Composite Design for Citalopram Hydrogen Bromide Mouth Dissolving Films

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ABSTRACT

Citalopram is an antidepressant used for treating major depressive disorder. In the current work, citalopram HBr is formulated as a mouth-dissolving film with enhanced drug dissolution. The central composite design (CCD), employed to examine the effects of amount of hydroxypropyl methylcellulose (HPMC) E50 (A), amount of maltodextrin (B), and amount of glycerol (C) on response variables tensile strength, disintegration time and cumulative % drug release. Twenty-seven formulations prepared according to CCD and evaluated for physicochemical parameters and *in vitro* dissolution studies. Citalopram HBr mouth dissolving films formulated by employing the solvent-casting method, using HPMC E50, maltodextrin, and glycerol, optimized for the effective dosage of superdisintegrants. The formulation CF21 with a maximum tensile strength of 67.21 ± 1.31 grams, least disintegration time of 9 ± 1.6 seconds, and highest drug release of 98.41 ± 1.81% is chosen optimal formulation with maximum content uniformity and folding endurance. It is evident from the above results that the developed formulation can be an innovative dosage form to improve the drug delivery, quick onset of action, as well as, improve patient compliance in the effective management of depression.

Introduction

Mouth dissolving films are novel formulation systems that are advantageous over traditional drug delivery systems. They possess the swallowing ease and convenience, which readily disintegrate to dissolve the drug as soon as it comes in contact with saliva fluids. The drug is quickly absorbed and facilitates quicker onset of therapeutic effect by bypassing the metabolism in stomach and gastro intestinal (GI) track. These formulations usually dissolve in oral cavity within 5 seconds to 3 minutes, leaving no residue in the mouth. Mouth dissolving films are employed for drug delivery in children, bedridden, and psychotic patients who otherwise face difficulty in swallowing traditional oral formulations.[1,2]

Citalopram is an antidepressant belonging to the selective serotonin reuptake inhibitor (SSRI) class. It is used for treating a major depressive disorder, panic disorders, compulsive disorder, and social phobia. Citalopram undergoes metabolism in the liver by CYP2C19, CYP3A4, and CYP2D6. The half-life of citalopram is about 35 hours, and post intragastric administration, the half-life of citalopram increases to 287%. Even though citalopram was approved by US FDA in 1998, it should be considered as second-line option for adolescent depression.[3]

Design of experiments (DoE) is a tool that facilitates concurrent examination of the effect of various independent variables on dependent variables hence, facilitating in optimizing formulation design. The experiment is designed to allow us to estimate interaction and even quadratic effects, and therefore, give us an idea of the (local) shape of the response surface we are investigating. For this reason, they are termed response surface method (RSM) designs.[4]

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Research Article

Design and Evaluation of Lovastatin Solid Dispersions Incorporated Trilayer Matrix Tablets

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ABSTRACT

The current work is aimed to design, prepare, and evaluate the trilayer matrix tablets incorporated with lovastatin solid dispersion (SD) for extending drug release. The lovastatin SD prepared by using the solvent evaporation technique with varying amounts of polymers (GMS II, soluplus, kolliphor ELP, PEG 2000, and urea) for enhancing the drug solubility. All the formulations examined for physicochemical parameters are within the permissible limits. The optimized SD formulation was incorporated into trilayer matrix tablets, which were prepared using different polymers (HPMC 15M and K100M, chitosan, and xanthan gum) by direct compression method for sustaining the drug release. The drug dissolution of optimized lovastatin SD formulation SD15 (drug, soluplus, and SLN) was 99.88 ± 5.32% within 60 minutes, which is higher than pure drug 47.33 ± 2.25% and other formulations. The Fourier transform infrared (FTIR), X-ray diffraction (XRD), and scanning electron microscope (SEM) data, assure the compatibility of drug and excipients and amorphous nature of lovastatin. The SDs were further incorporated into trilayer matrix tablets with active layer and barrier layers. Eight formulations of lovastatin trilayer matrix tablets (AF9-HF9) designed and checked for pre-compression parameters. Formulation GF9 demonstrated the highest drug release of 99.41 ± 5.28% for 24 hours sustainably over an extended period of time and excellent flow properties. The release order kinetics data indicate the zero-order release with the highest R^2 of 0.9957 for GF9, superior to market extended-release formulation (R^2 = 0.9934). All the formulations showed the best fit to the Higuchi model and Korsmeyer-Peppa's model, indicating diffusion and non-Fickian diffusion process of drug release. GF90 was found to be stable for 180 days at accelerated conditions. Hence, the solubility and dissolution rate of lovastatin was enhanced by the SD technique further incorporated into trilayer matrix tablets for sustainable, extended drug release up to 24 hours.

Introduction

Biopharmaceutical classification (BCS) class II drugs exhibit fever solubility and inferior dissolution rates, which lead to insufficient drug bioavailability.[1] The bioavailability and dissolution of these drugs in gastro intestinal (GI) tract are enhanced by incorporating techniques, like micronization, SD, nanoformulation, use of surfactant, etc.[2] SD of BCS class II drugs is proven technique for potential enhancement of dissolution of hydrophobic drugs.[3] SD is defined as dosage form in which the drug is dispersed in pharmacologically inert matrix with an objective of attaining enhanced bioavailability[4] via increase in wettability or reduction in particle size or by conversion of crystalline form of drug to amorphous.[5] Lovastatin is a cholesterol-lowering agent that belongs to the class of medications called statins. It was the second agent of this class discovered. Lovastatin is a competitive inhibitor of β-hydroxy β-methylglutaryl-CoA (HMG-CoA) reductase with a binding affinity 20,000 times greater than HMG-CoA. The main objectives of the study are to enrich the solubility, dissolution rates of lovastatin by SD technique, and incorporate into trilayer matrix tablets for extended drug release up to 24 hours.

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PREPARATION AND EVALUATION OF HERBAL EXTRACT MIXTURES (HEM) AND ITS PHYTOCHEMICAL INVESTIGATION

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ABSTRACT

The Present study was designed to prepare and evaluate the herbal extract mixtures and its phytochemical screening by using the two plants namely *Moringa oleifera* and *Raphanus sativus*. Leaves of *Moringa oleifera* and roots of *Raphanus sativus* were collected from Government Nursery, Moinabad, Hyderabad Then collected leaves and roots were authenticated from Botanical Survey of India (BSI). Phytochemical investigation was performed for both the plants, which revealed the presence of steroids, flavonoids, saponins, proteins, reducing sugar, tannins, and phenolic compounds, Proteins and glycosides. Evaluation tests like physicochemical parameters and stability testing was also performed at accelerated temperature. The results of stability of the herbal extract mixtures reveal that no changes were noticed in all the tested physiochemical parameter as well as turbidity/homogeneity during 24 hr, 48 hr, 72 hr weekly once and until 30 days.

Keywords: *Moringa oleifera, Raphanus sativus*, Specific gravity, Turbidity, Herbal extract mixture

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Pharmacological Evaluation of the Impact of Fluoride-Contaminated Drinking Water on Brain Cognitive Function and Bone Health in Nalgonda and Warangal Rural Districts of Telangana in India

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ABSTRACT

A systematic groundwater analysis was carried out in six villages of Narsampet in Warangal district and two villages of Narketpally in Nalgonda district of Telangana in India. These water samples were collected from respective areas and evaluated under four different categories viz. physico-chemical parameters (such as pH, Electric Conductivity (EC), Total Dissolved Solids (TDS), Turbidity, Alkalinity, Hardness, Chloride, Nitrates, Sulphates, Fluoride, Iron content) as per standard methods, behavioral changes i.e. measurement of learning and memory ability of rats (Rectangular maze, Morris water maze, Locomotor activity tests), various biochemical tests for both brain homogenate (Ellaman's, DPPH, H_2O_2 Catalase activity assay) and serum (GOD-POD end point Assay, Uricase/POD end point Assay, Modified Biuret end point Assay) and histopathological studies of brain and bones. The results of physico-chemical tests were compared with WHO, BIS standards and the fluoride content of all the water samples was found to be higher than the standards. The results of behavioral tests and biochemical tests indicate the decrease in antioxidant activity and acetylcholine levels in rat brains due to high fluoride induced oxidative stress and increase in the cholinesterase activity. The biochemical tests for assessing bone toxicity reveal an increase in blood glucose and uric acid levels accompanied by decrease in protein levels indicating damage to bones. Histopathological studies indicate damage to the hippocampus region of the brain, nucleus and endoplasmic reticulum of femur bone.

KEYWORDS: Groundwater; Acetylcholine; Learning and memory; Bone; Fluoride; Telangana.

Introduction

Water, an essential component for the sustenance of life on earth has to be of good quality to prevent diseases and improve quality of life (Aziz and Nausheen, 2017; Begum et al., 2017). Groundwater is said to be the safest source for drinking and domestic purposes, but it is influenced by the nature of the sub surface geology as well as the environmental pollution. Groundwater contains a wide variety of dissolved inorganic chemical constituents like chlorides, fluorides, nitrates, iron and arsenic whose concentrations are important in determining the suitability of groundwater for drinking purposes (Narsimha et al., 2016) (Ground Water Quality in Shallow Aquifers of India Central Ground Water Board Ministry of Water Resources, Government of India, Faridabad, 2010).

Excessive fluoride content in drinking water is the major concern in many parts of the globe, especially in arid and semi-arid regions. Human beings are seriously affected and even crippled by the dreadful fluorosis. World Health Organization (WHO) guidelines limits 1.5 mg/L fluoride concentration in drinking water as the upper limit. The fluorosis is endemic in 17 states of India among which Andhra Pradesh, Telangana, Punjab, Haryana, Rajasthan, Gujarat, Tamil Nadu and Uttar Pradesh are most affected. In India, about 62 million people including 6 million children suffer from fluorosis because of consumption of water, milk and food products with high fluoride concentrations. Most parts of Andhra Pradesh and Telangana have highly endemic fluorosis zones (Sudarshan et al., 2016).

Fluoride content of water in permissible limits acts as a nutrient to the body while higher levels are toxic. High levels of fluoride cause dental and skeletal fluorosis and even the soft tissues are not spared from fluoride toxicity. Fluoride can cross the blood brain barrier, thereby

Regular Article

Design, Synthesis and Pharmacological Evaluation of Some C_3 Heterocyclic-Substituted Ciprofloxacin Derivatives as Chimeric Antitubercular Agents[1)]

Nakka Niveditha,[a] Munnisa Begum,[a] Duvvala Prathibha,[a] Kalam Sirisha,*[,a] Porika Mahender,[b] Chandrashekar Chitra,[c] Vedula Rajeswar Rao,[d] Vanga Malla Reddy,[e] and Garlapati Achaiah*[,e]

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A series of new C_3 heterocyclic-substituted ciprofloxacin derivatives were prepared from ciprofloxacin acid hydrazide as possible chimeric molecules. They were evaluated for their possible *in vitro* antibacterial (agar cup/bore diffusion method) and antitubercular (Lowenstein–Jensen (LJ) slant method) activities. The results indicated that all the test compounds are highly effective against all the bacterial strains and have shown excellent anti-tubercular activity against normal, multidrug resistant and extensively drug resistant strains of *Mycobacterium tuberculosis*. They were found to be more potent antibacterial and antitubercular agents than the standard, ciprofloxacin. The minimum inhibitory concentration (MIC)'s of all the compounds against *M. tuberculosis* were found to be 0.0625 μg/mL as compared to ciprofloxacin (MIC = 2 to $>$ 8 μg/mL). Molecular docking studies were performed by using AUTODOCK 4.2 on the new ciprofloxacin derivatives at the active site of crystal structure of fluoroquinolones target enzyme Mtb DNA gyrase GyrA N-terminal domain (PDB ID: 3ILW) and also on the active site of crystal structure of chosen heterocyclics target enzyme enoyl-acyl carrier protein (ACP) reductase enzyme (PDB ID: 4TZK). Interestingly, almost all the compounds have shown relatively greater binding affinity at both the active sites than ciprofloxacin. Compound 6 exhibited the highest affinity for 3ILW and 4TZK.

Key words chimeric; ciprofloxacin; fluoroquinolone; antitubercular activity; antibacterial activity

Introduction

Bacteria represent an outsized domain or kingdom of prokaryotic microorganisms. Pathogenic bacteria cause severe infectious diseases, widely prevalent throughout the world. One of the bacterial diseases with highest disease burden is tuberculosis (TB), caused by the bacterium *Mycobacterium tuberculosis* (Mtb), which kills about 2 million people a year. TB is a chronic infection and its condition is worsened by the existence of multidrug resistant tuberculosis (MDR-TB) and extensively drug resistant tuberculosis (XDR-TB) strains. In view of such a devastating nature of the disease, WHO had declared Tuberculosis (TB) as a "Global Health Emergency." This particular disease is also known to be one of the most severe health problems as it causes not only 'morbidity' leading to loss of human work hours which is detrimental to National Economy, but also culminates in 'mortality.'[2)]

Fluoroquinolones are the major class of antibiotics useful for the treatment of tuberculosis. They act mainly by DNA gyrase and topoisomerase IV inhibition.[3)] Isatin is an endogenous indole found in mammalian brain, peripheral tissues, and body fluids. Heterocyclic moieties like isatin, phthalimide and 1,3,4-oxadiazole are also reported to possess antibacterial and antitubercular activities.[4–6)] They act by inhibiting the enzyme enoyl-ACP reductase.[7–9)]

Ciprofloxacin is one of the widely used fluoroquinolones that exhibits potent *in vitro* and *in vivo* antimycobacterial activity. Fluoroquinolones are also found to be active against diverse types of bacteria, including *Staphylococcus (S.) aureus, S. epidermis, Bacillus (B.) subtilis, Escherichia (E.) coli* and Mtb, at concentrations less than 1 μg/mL. Fluoroquinolones are therapeutically advantageous because of their extended antimicrobial activity, lack of plasmid-mediated resistance, large volume of distribution (or greater amount of tissue distribution) and minimal adverse effects.[10)]

In view of this, the area of fluoroquinolones has experienced an exponential growth over the last few decades and is still being pursued with more vigor to make available better drugs having multifunctional action.[11)] Chimeric drugs, a broad class of 'Multi-functional compounds' are the single entity molecules that constitute two or more pharmacophoric groups representing different mechanisms of action. They possess advantages such as reduced molecularity, improved pharmacokinetics and pharmacodynamics, devoid of drug–drug interactions *etc.*[12–14)] They are known to produce response by interacting with respective receptors of constituent pharmacophores, thus restoring the efficacy of individual drugs they represent. In this context, chemotherapy is the prime area of attention, hence the emergence of chimeric antibiotics to provide most effective multimechanistic, multimodal, multipotential molecules to treat more effectively the diseases like tuberculosis. Till date there are not many reports on chimeric fluoroquinolones.[15,16)] Hence in continuation of our works on developing anti-tuberculosis agents,[17–19)] now it is felt worthwhile to make an attempt to bring some potential

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Regular Article

Design, Synthesis and Pharmacological Evaluation of Some C_3 Heterocyclic-Substituted Ciprofloxacin Derivatives as Chimeric Antitubercular Agents[1)]

Nakka Niveditha,[a] Munnisa Begum,[a] Duvvala Prathibha,[a] Kalam Sirisha,*[,a] Porika Mahender,[b] Chandrashekar Chitra,[c] Vedula Rajeswar Rao,[d] Vanga Malla Reddy,[e] and Garlapati Achaiah*[,e]

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Evaluation of Wound healing and Antiinflammatory Activities of New Poly-herbal Formulations

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Present investigation evaluated the impact of poly-herbal formulations comprising extracts of *Zingiberofficinale*, *Curcuma longa*, *Aloe barbadensis*, *Citrus aurantium*, *Emblica officinalis* and castor oil on wound healing activity using excision wound model and antiinflammatory activity using formalin-induced pawedema method. Ointments containing 2, 4 and 6 % w/w of extracts were made and used in wound healing action and all the formulations significantly ($p<0.01$) reduced the wound area. Ointment of 6 % w/w has shown better results than 2 and 4 % w/w. These results were compared to that of the standard framycetin. Poly-herbal formulation-1, poly-herbal formulation-2 and poly-herbal formulation-3 were prepared and used at doses of 100, 300 and 500 mg/kg to determine antiinflammatory activity. All poly-herbal formulations significantly ($p<0.01$) inhibited formalin-induced rat paw edema. Poly-herbal formulation-3 displayed greater inhibition than poly-herbal formulations 1 and 2. These results were comparable to that of the standard diclofenac. Present work and previous studies on poly-herbal formulations corroborates that these are safer and effective in treating inflammation and wounds.

Key words: Poly-herbal formulations (PHF's), wound healing, antiinflammatory activity, ointments, diclofenac, framycetin

Skin is the largest connective tissue in human body, which protects the body from external environment, maintains fluid homeostasis, responds to sensory stimuli and possesses self-healing ability. It is composed of highly cellular epidermis below which is the collagen rich extra cellular matrix known as dermis[1,2]. Wounds are injuries breaking the skin. Wound may cause loss of integrity as well as impair skin function to various extent ranging from severe disability to even death[3,4]. Conditions that may cause wounds include mechanical trauma, surgical procedure, decreased vascularization or aging. Wound healing is a cascade process, which involves many steps to repair the damaged tissue. It plays a vital role in preventing entry of foreign pathogen into the host and to restore the injured tissue to normal. Wound healing is classified into various phases; it begins with inflammation followed by tissue build up, granulation phase, scar remodeling and closure of the wound[5-7].

Since many decades mankind has been using plants to treat wounds, which accelerate wound healing through various mechanisms. The main advantage of the phytochemicals that are present in plants is that they are affordable. Wound healing property of phytochemicals has grabbed attention of many researchers[8]. Intense research is going on to identify the active constituents and mode of action of phytochemicals[9]. The medicinal value of plants can be attributed to the phytochemical constituents that affect physiology of human body[10]. Various plant constituents include phenolic compounds, saponins, steroids, terpenoids, alkaloids, essential oils, flavonoids and tannins[11].

Non-steroidal antiinflammatory drugs (NSAIDS), the most commonly used prescription drugs to treat inflammation exert their action by inhibiting both the enzymes, cyclooxygenase 1 and 2 (COX-1 and COX-2). Various NSAIDS inhibit COX enzymes to varying degrees[12]. Inhibition of COX enzymes results in decreased production of leukotrienes and prostaglandins. Decreased production of prostaglandins may affect the permeability of the endothelial cells whereas under production of hyaluronic acid results in decreased wound healing process[13,14]. NSAIDS also hinder the synthesis of thromboxane A2 resulting in decreased platelets aggregation thus increasing the chance of hematoma. Studies have shown that inhibition of thromboxane A2 delays the process of wound healing by interrupting angiogenesis[15,16].

In view of the above documented complications of NSAIDS, phytochemicals are regarded as better alternatives to treat inflammation. In this work, efforts were made to corroborate the efficacy of phytochemicals extracted from ginger (*Zingeber officinale*), turmeric (*Curcuma longa*), *amla* (*Emblica*

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Formulation and Evaluation of Pediatric Paracetamol Elixir Using Natural Colorant

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Abstract : Oral dosage forms are most popular among other dosage forms. In terms of bioavailability liquid dosage form is better than that of solid dosage form. In the present scenario monophagic liquid dosage forms such as syrups, elixirs, throat paints, mouth washes, gargles have gained huge popularity. These are very basic preparations which involve brief processes, machineries and are cost effective also. They come in wide range colours and flavors so as to attract the pediatric age group. Currently colors derived from natural sources are given priority compared to synthetic ones. In this study we formulated paracetamol which is a bitter drug as an elixir using natural colorant from annatto seeds at three different concentrations in F1, F2, and F3. Ethanol. Propylene glycol, mixed fruit juice, chloroform spirit, sucrose syrup and glycerin were also used. These formulations were evaluated for different physical parameters and it showed good results.

Keywords : Elixirs, Pediatric, Paracetamol, Monophonic, Annatto, Syrup.

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Preparation and Evaluation of Panax ginseng syrup

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Abstract : The heed on natural medications and their usage have been expanding quickly as of late, even in regions where present day medication is accessible. Plant derived substances and herbal drugs ,recently attracted great interest towards their unique & vast applications. As medicinal herbs, have bioactive compounds in abundance & can be utilized in conventional and current medication. There has been an increasing demand for plant based medicines, health products, pharmaceuticals, food supplements. The Objective of this Study is to enlighten the various immune enhancing properties of ginseng & to deliver these immune strengthening properties of ginseng it has been formulated into a syrup dosage form. Formulation of syrup was designed by utilizing extracts of Panax Ginseng, Sucrose, Benzoin & required quantity of Distilled water. The prepared herbal syrup was evaluated for different physicochemical parameters like pH, color, odour, taste, density, specific gravity, viscosity and stability. A review of Panax ginseng chemical constituents present in various parts of Panax ginseng is given in the present article. This may be useful in discovering potential therapeutic effects & developing new formulations.
Keywords : Herbal syrup, Panax ginseng, Bioactive compounds, Immune strengthening.

Introduction:

The immune system protects the body against disease or other potentially damaging foreign bodies. When functioning properly, the immune system identifies and attacks a variety of threats, including viruses, bacteria and parasites, while distinguishing them from the body's own healthy tissue The immune system is spread throughout the body and involves many types of cells, organs, proteins, and tissues such as WBC'S, spleen, bone marrow, lymph nodes, phagocytes etc. Immunity can be enhanced

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PIM-1 KINASE: A NOVEL TARGET FOR CANCER CHEMOTHERAPY- A REVIEW

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Keywords:

Pim-1 kinase, Chemokines, Tumorigenesis, Cyclins, JAK/STAT

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ABSTRACT: Cancer is the major cause of mortality in most of the developing countries. Recent times have seen an exceptional advancement in cancer biology Research. Researchers undertook the development of enormous chemotherapeutic agents. Pim family of serine/threonine kinases regulated by calcium/calmodulin have been identified as unique molecular targets in oncogenesis. It constitutes three members: Pim-1, Pim-2, and Pim-3 discovered by cloning the proviral integration site in Moloney murine leukemia virus (M-MuLV). Pim-1 was found to bear two isoforms Pim-1S and Pim-1L. It plays a dominant role in various processes of cell regulation like replicative senescence, drug resistance, apoptosis, epidynamics regulator, diagnostic tool, prostate cancer biomarker, and an immunotherapy agent. An insight into the structure of Pim kinases by crystal studies laid down a molecular basis for the development of selective and synergistic anti-cancer therapies. These proto-oncogenes are overexpressed in B lymphoid, myeloid cell lines, hematopoietic malignancies, and prostate cancer. This review emphasizes the importance and role of Pim-1 kinase in various molecular signaling pathways involved in tumorigenesis and the potential Pim-1 inhibitors reported so far.

INTRODUCTION: Proviral Integration site of Moloney murine leukemia virus abbreviated as PIM kinases are a family of serine/threonine kinases calcium/calmodulin-dependent [1]. The Pim family primarily consists of three genes; pim-1,pim-2 and pim3 which show high homology amongst the constituents. Pim family of genes was indigenously identified as proto-oncogenes in transgenic mouse models. Their discovery dates back to the 1980's by cloning of retroviral integration site in Moloney murine leukemia virus (M-MuLV) generated lymphomas [2].

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These proto-oncogenes are highly expressed in B-lymphoid, Myeloid cell lines, hematopoietic malignancies, and prostate cancer [3]. These proto-oncogenes play a crucial role in multiple cellular functions such as cell cycle, cell survival epigenetic dynamics regulation, cellular, replicative senescence, apoptosis and as an immunotherapy to name a few [4].

Transgenic mice with pim 1 proto-oncogene showed more susceptibility to T-cell lymphoma when compared to non-transgenic mice. These pim-1 transgenic mice developed T-cell lymphoma much faster when infected with M-MuLV. The oncogenic activity of deregulated pim-1 in lymphomagenesis was strongly supported through the cooperation among pim-1, c-myc and *n-myc* which showed high expression in T-cell lymphoma. *Myc* family of proteins is a group of basic helix-loop helix -leucine zipper transcription factors that

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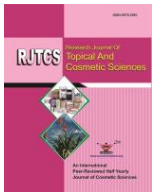

RESEARCH ARTICLE

Formulation and Evaluation of Medicated Lipstick using Natural Coloring Agent

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ABSTRACT:
Cosmoceuticals are the formulations which contain biologically active ingridients along with other excipients which acts as a beauty fix as well as personal care products. Bath soaps, serums, shampoos, lipsticks, creams etc. are some examples. Lipsticks are popularly used cosmetic right since ancient times, which contain different, waxes, oils, emollients and other synthetic and natural substances which moisturizes , protects and keeps the lips supple and hydrated. The present study focuses on the use of salicylic acidalong with naturalcoloring substance to prepare medicated lipsticks.Salicylic acid acts as keratolytic agent along with mild antiseptic properties which is remedy for inflamed, bleeding, hyper pigmented, cracked and chapped lips. This formulation was prepared by pour molding method and was subjected to various evaluation tests. It was found that it passed all the evaluation tests as was considered to be a better substitute with minimal or no side effects for lipsticks containing completely syntheticingredients.

KEYWORDS: Cosmeceuticals, medicated lipsticks, keratolytic agent, antiseptics, salicylic acid.

INTRODUCTION:
In ancient times cosmetics were only used as a beauty fix. These were derived from various natural sources. In the present scenario beauty along with personal care is the trend. Cosmeceuticals[2] combination of cosmetics and drugs has come into picture, which imparts decorative, attractive and eye appealing impressions along with therapeutic activity. These products not only add glamorous touch to an individual but also heal different pathological conditions such as inflammation, cracking, chapping and dryness of the skin. Face is the important part which is exposed to the environment and one must take a great care of it.

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Lips are the most important part in the face. It needs proper nourishment and hydration as it is the only part in our body which lacks pores. Cosmeceuticals like lip balms, lip serum, lip rouge, lip oils, lip masks, lip scrubs[4], lipsticks[5], and exfoliators have evolved which protects the lip skin from dehydration, hyperpigmentation, inflammation etc. Out of all lipsticks is the integral part of daily make up routine. Lipsticks are cosmetic formulations for the modification or accentuation of lip color and are prepared by molding a dispersion of colors in a waxy base, in the form of stick/crayon. Any preparations used in beauty treatments for lip make-up also known as sticks or more commonly known in beauty treatments by the name of lipsticks. When these preparations contain active ingredients, they are also known as medicated lipsticks or medisticks[6] which may contain synthetic drugs or herbal dugs[7]. Many medicated lipsticks, lip balms, micro sponges were formulated by using allantoin[8] benzoyl peroxide, terbinafine hydrochloride, flubiprofen, and natural antifungal ingredient curcumin[9][10] acyclovir[11], etc. In

A Review on Comparative study of HPLC and UPLC

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ABSTRACT:
Recent advancements in pharmaceutical analysis have made available chromatographic media with a 1.7 µm particle size along with a liquid handling system that can operate such columns at much higher pressures. This technology, termed Ultra performance liquid chromatography (UPLC) using sub 2 micron particles and very high pressure (up to 100 MPa is possible in UPLC system) has demonstrated improvement in method sensitivity, resolution and speed compared with conventional HPLC. The UPLC system allows decreasing analysis time up to nine times compared to chromatographic system using 5 µm size particle packed analytical columns. In comparison with 3µm size particle packed analytical columns analysis time shortened about three times. The present review paper differentiates HPLC and UPLC, analytical method validation, applications, advantages and disadvantages of HPLC and UPLC.

KEYWORDS: High performance liquid chromatography, ultra performance liquid chromatography, resolution, sensitivity, efficiency

INTRODUCTION:

High Performance Liquid Chromatography (HPLC) is the widely used liquid chromatographic technique in the qualitative and quantitative analysis of drugs. It is also used for the identification and quantification of compounds in the process of drug development and has been used over the world since decades. The principle of separation of compounds is given by Van Deemter equation, which explains the relationship between linear velocity (flow rate) and plate height (HETP, column efficiency).

According to this, as the particle size of column material decreases, the efficiency of the separation, speed and resolution also increases. However certain analytical needs cannot be fulfilled by HPLC method such as determination of complex samples such as biological samples, degradation products, impurities, formulation excipients, drug metabolites and drug isomers. In HPLC method problems arises related to determination of analytes at low concentration (0.1%), speed of analysis and resolution per unit time. To achieve improvement in resolution, speed and sensitivity in LC, a new system design with significant advancement in the instrumentation and column technology has been developed based upon sub 2micron particles called Ultra High Performance Liquid Chromatography (UHPLC) which, is also known as UPLC (Ultra Performance Liquid Chromatography). UPLC is a new category of analytical separation science that retains the practicality and principles of HPLC, while increasing the attributes of speed, sensitivity and resolution.[1,2]

Now-a-days pharmaceutical industries are focusing for new ways to increase economy and shorten time for drug development. The separation and quantification in UPLC is done under very high pressure (up to 100M Pa). When compared to HPLC, under high pressure no negative influence is observed on analytical column. And also other components like time and solvent consumption is less in UPLC. In the year 1999, Waters developed the Hybrid Particle Technology (HPT) column for HPLC, which has high mechanical strength, efficiency, pH stability and peak shape for basic compounds. The second generation hybrid material particle composed with Bridged Ethyl siloxane/silica Hybrid (BEH) structure was developed which provides improved efficiency, strength and pH range. High strength silica (HSS) particle technology has also been used which provides increased retention time and selectivity of compounds compared to hybrid particles. The latest advancement in hybrid materials was Charge Surface Hybrid (CSH) Technology which contains surface charge within the packing materials to provide enhanced selectivity and better peak shape. From the above development in column packing material and particle size, Waters Company was given the trade name of UPLC.[1, 2]

PRINCIPLE:

The principle of separation in HPLC and UPLC is based on the van Deemter relationship. It explains the correlation between flow rate and plate height. The equation is

$$H = A + B/u + C u$$