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Editorial

- Herbal Medicines: Keeping abreast with changing technology
  Prof. Dr. Annie Shirwaikar

Research Articles

- A New Pentacyclic Triterpenoid with Antimicrobial activity from the tubers of Cyperus rotundus Linn.
  Ajay Pal Singh* and Surendra Kumar Sharma

- A Pharmacognostical Report on Careya arborea Roxb. (Lecythidaceae) Fruits
  P. Ragavendran, Radha A., Mariya Paul and D. Suresh Kumar*

- Role of Propolis in augmenting the Buccal mucoadhesion- An experiment based report
  Vinod K.R.*, Fidoski Jasmin, Jun-Woo Park, Ferda Alev Akalin, Dong- Ju Choi

- Syntheses, Characterization and Antimicrobial screening of some Novel Benzimidazoles
  Bandita Sarma, Shamanna Mohan, JanardhanSaravanan, Satyendra Deka*, Pallab Kalita, Nayan Talukdar, Bhargav Nimavat

- Synthesis and Characterization of some new 2-Methyl-3-N-Substituted Imino - 5, 6-Tetramethylene Thieno [2, 3-D]-
  Pyrimidin (3h)–4-ones for Anti-bacterial and Antifungal screening
  S. Ramamurthy, E. Jayachandran

- Synthesis, Anticancer Activity and Docking of some substituted Benzothiazoles as EGFR Tyrosine Kinase Inhibitors
  and Topoisomerase II inhibitors
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Review Articles

- Autophagy as A Neuronal housekeeper – A Review
  Mohannad A. Elkhider*, Bob Chaudhuri
EDITORIAL

HERBAL MEDICINES: KEEPING ABREAST WITH CHANGING TECHNOLOGY

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DOI: 10.15254/H.J.D.Med.7.2015.10

The use of herbal medicine has increased worldwide in recent years as they are believed to be safer with fewer adverse effects as compared to conventional medicines. Growing evidence points out that many current conventional drug therapies suppress the symptoms of disease while really ignoring the underlying processes, in contrariety to natural products which demonstrate better clinical results as they address the root cause more effectively. The full therapeutic potential of the herb is often, however, not realized because of unsuitable molecular size and/or poor lipid solubility, which in turn results in low absorption with reduced bioavailability. The poor progress in herbal medicine development has prompted the need for a multidisciplinary approach to drug delivery.

A NEW PENTACYCLIC TRITERPENOID WITH ANTIMICROBIAL ACTIVITY FROM THE TUBERS OF Cyperus rotundus Linn.

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Plan: The present study is aimed to carry out a phytochemical investigation on Cyperus rotundus Linn tubers and to conduct antimicrobial assays of the isolated phytoconstituents.

Methodology: The ethanolic extract of Cyperus rotundus tubers was prepared and used for the isolation of phytoconstituents. The structures of all the isolated compounds were elucidated on the basis of spectral data analysis such as IR, ¹H-NMR, ¹³C-NMR, Mass spectroscopy and chemical reactions. The isolated phytoconstituents were tested for antimicrobial activity against bacterial and fungal strains.

Outcome: Phytochemical investigation of Cyperus rotundus tubers resulted in isolation of four phytoconstituents characterized as n-tritriacontan-16-one (1), Lup-12, 20 (29)-dien-3β-ol-3-α-L-arabinofuranosyl-2′-octadec-9″-eionate (2), n-pentadecanyl-9-octadecenoate (3) and n-tetradecanyl-n-octadec-9, 12-dienoate (4). Only compound 2 showed antimicrobial activity. Lup-12, 20 (29)-dien-3β-ol-3-α-L-arabinofuranosyl-2′-octadec-9″-eionate is a new phytoconstituent isolated for the first time from the plant source. Compound 1, 3 and 4 are reported first time from the Cyperus genus. Phytochemical investigation of tubers of Cyperus rotundus led to isolation of a new triterpenic constituent which may be used as chromatographic markers for quality control of the drugs.

Keywords: Cyperus rotundus tubers, phytochemical, structure elucidation, lupenyl arabinosyl oleate

A Pharmacognostical Report on *Careya arborea* Roxb. (**Lecythidaceae**) Fruits

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**ABSTRACT**

**Plan:** *Careya arborea* is a medicinal plant used in Ayurveda and Chinese medicine. The bark, leaves and fruits are used in these systems for the treatment of ulcers, haemorrhoids and tumours. Several reports are available on the pharmacognosy of the leaf and bark of this medicinal tree. However, there is complete lack of information on the pharmacognosy of the fruit. The present paper is the first report on this subject.

**Methodology:** Histology of the fruit and microscopy of the fruit powder were studied. Tests for identification of phytochemical compound classes were carried out on methanol extract of the fresh fruit. The chemical constitution of the fruits was studied using HPTLC and HPLC.

**Outcome:** Phytochemical screening revealed the presence of alkaloids, flavonoids, phenols, tannins, sterols and fixed oils. The fruit contains high amount of phenols, of which, gallic acid is present at the rate of 0.92 ± 0.03%. The high content of gallic acid and reported antibacterial activities of the extract quality the fruit for further investigations.

**Key words:** *Careya arborea*, Pharmacognosy, Gallic acid, HPTLC

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**ROLE OF PROPOLIS IN AUGMENTING THE BUCCAL MUCOADHESION- AN EXPERIMENT BASED REPORT**

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**ABSTRACT**

**Plan:** The present research has been undertaken with the aim to develop an oral mucoadhesive gel for gingivitis and periodontitis, evaluation of its physicochemical characteristics.

**Preface:** Gingivitis and periodontitis require prolonged medication which is challenging with the nature and anatomy of the oral cavity. Here a sincere attempt was done to enhance the adhesion and contact time of a developed oral adhesive medicament by virtue of its protective layer itself should prevent abrasions, thus aiding in healing.

**Methodology:** In the contemporary work, effect of propolis, vitamin C and vitamin E on mucoadhesive nature of oral adhesive dosage form intended for the treatment of gingivitis were investigated. Oral mucoadhesive preparation was prepared by preparation of emulsion system and incorporation into gel to form an emulgel. This emulgel was compared for its physicochemical characterization with gel in the presence and absence of propolis extract. The work was further enhanced by considering a combination of vitamin C and E incorporated gel.

**Outcome:** From the study it was concluded that excellent mucoadhesion resulted by addition of propolis, vitamin C, vitamin E. Results clearly indicated propolis because of mucoadhesion can augment the contact time of the medicament with that of the oral cavity. Freeze thaw cycles of stability Performa indicated the propolis-emulgel combination was stable for 8 cycles and there was no globule size alteration, means no agglomeration tendency.

**Keywords:** Mucoadhesion, Gingivitis, Periodontitis, Propolis, Emulgel, Freeze-Thaw

Syntheses, Characterization and Antimicrobial screening of some Novel Benzimidazoles

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ABSTRACT

Plan: Present work aims to synthesize a series of new benimidazoles (SBS-a-m) with various substitutions at 2-o- amino phenyl position and to evaluate their in-vitro antibacterial and anti-fungal activity.

Prologue: Benzimidazole containing organic compounds forms a significant group of drugs which exhibit an array of biological activities ranging from antibacterial, antifungal, anti-inflammatory, analgesic, anthelmintic activities and so on.

Methodology: The starting material SBS was synthesized by microwave irradiation of o-phenylenediamine and anthranilic acid mixture in presence of polyphosphoric acid. SBS was further derivatized to Schiff bases (SBS-a-m) by reacting with various substituted aromatic aldehydes. The in-vitro antibacterial and anti-fungal activity was carried out by the agar diffusion method using Ampicillin and Miconazole nitrate respectively as standards at a concentration of 50µg/0.1ml.

Outcome: SBS-k was found to be most active on all the bacteria used and SBS-e showed good activity against both Gram-positive bacteria and moderate activity against both Gram-negative bacteria. No compound showed significant antifungal activity.

Key words: Benzimidazole, antibacterial activity, antifungal activity.

Synthesis and Characterization of some New 2-Methyl-3-N-Substituted Imino - 5, 6-Tetramethylene Thiieno [2, 3-D]-Pyrimidin (3b)-4-ones for Anti-bacterial and Antifungal screening

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ABSTRACT

Plan: To synthesize some Schiff bases of 2-Methyl-3-N-amino-5, 6-disubstituted thiieno [2, 3-d] - pyrimidin (3H)-4-ones for antibacterial and antifungal activities.

Preface: Organic compounds containing thiophene and pyrimidine form a significant group of drugs and known for pharmacological activities having various therapeutic applications. The compounds containing Thiieno(2,3-b) pyrimidine nucleus posses broad range of pharmacological activities namely antibacterial, antifungal, trichomicidal, anti-malarial, anti-inflammatory activity ,etc. Thus a new series of Thiieno[2,3-d]- pyrimidinones have been synthesized by reacting the active 3-amino group with various substituted aryl aldehydes and screened for antibacterial and antifungal activity.

Methodology: In our present investigation we have prepared the intermediate S-2 starting from cyclohexanone and ethyl cyano acetate, involving the elegant method described by Gewald et.al. This compound S-2 was treated with acetic anhydride followed by hydrazine hydrate to yield the parent compound RJ-2. This compound was derivatized to various Schiff bases by treating with various substituted aryl aldehydes. The synthesized title compounds were characterized by MP, TLC, UV and a few representative compounds by IR, NMR & Mass spectrum. The compounds were screened for antibacterial and antifungal activity.

Outcome: The new title compounds possessing ‘electron withdrawing groups’ on the aldehydic phenyl ring (RJ-2d, RJ-2j, RJ-2f, RJ-2l) exhibited better antibacterial and antifungal activity compared to the compounds possessing ‘electron donating groups’(RJ-2e, RJ-2k, RJ-2m)

Key words: Thiieno [2, 3-d]-pyrimidin (3H)-4-one, Schiff bases, Characterization, Antibacterial activity Antifungal activity.
Synthesis, Anticancer Activity and Docking of some substituted Benzothiazoles as EGFR Tyrosine Kinase Inhibitors and Topoisomerase II inhibitors

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ABSTRACT:

Plan: The present study focuses on the structure based drug design approach for anticancer activity of various substituted benzothiazoles whether as an EGFR TK inhibitor or as topoisomerase inhibitor.

Preface: The development of novel therapeutic agents for the treatment of cancer is of vital importance since the currently available chemotherapeutic agents only provide palliative care. Benzothiazoles are multitarget agents with broad spectrum of biological activity. Topoisomerase II inhibitors are in clinical use as anticancer therapy for decades and works by stabilizing the enzyme induced DNA breaks.

Methodology: Computer aided drug design brings out the molecular study of the enzymes and the various other targets, it opens the new world of drug discovery into a target specific path of a drug towards disease. The Insilico method mainly includes the target selection, selection of lead and the lead optimization.

Outcome: Docking results confirmed the possibility of benzothiazole moiety possessing the anticancer activity. The structure was finally characterized by UV, IR, NMR and Mass spectra. In the present work the tumorigenic cell line activity of the substituted benzothiazole is compared with the two standard drugs Gefitinib and Doxorubicin by an Invitro assay against Dalton’s Lymphoma Ascites cell using trypan blue dye and percentage inhibition was calculated.

Keywords: Drug design Substituted Benzothiazoles, EGFR tyrosine kinase inhibitor, topoisomerase II inhibitor Anti-cancer activity

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ABSTRACT

Plan: The present review envisages the role of autophagy in supporting neuronal cell growth, development and remodeling. Neurons are more prone to protein aggregation due to their nature as exist in the cell cycle and in post-mitotic cells.

Preface: Autophagy is a cellular degradative pathway where unwanted and weary cytosolic components are recycled. Targeted elements are delivered to the lysosome for degradation. There are three different modes of autophagy named micro autophagy, macro autophagy, and chaperone mediated autophagy which are responsible for selecting and delivering cargo to the lysosome. The aggregation of certain proteins yields cellular toxicity which eventually leads to cell death and neurodegeneration. Therefore, the autophagic duty of continuously monitoring and clearing out aggregated proteins is indispensable in neuronal cells.

Outcome: The accumulation of autophagosomes is an established hallmark in a number of neurodegenerative diseases. However, this observation has triggered controversy where one opinion considers the activated autophagic pathway to act as an executioner by initiating neuronal cell death while the other explains the presence of autophagosomes as a final attempt by the cell to sustain viability against the increasing amount of stress.

Keywords: Autophagy, Neuro degeneration, Neurodegenerative diseases

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