HERBAL COSMECEUTICAL PREPARATIONS: A REVIEW PART TWO

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ABSTRACT : The present study deals with the herbs used by the people globally for curing or alleviating skin ailments and also for cosmetic purpose. Utilization of cosmeceutical products significantly increased in order to improving the treatment methods for skin, hair, and other conditions. Even though their use has increased significantly in recent years, however, the continuous use of cosmetics may result in to various undesirable side effects. This article focuses on natural products which are commonly used in the cosmeceutical formulations.

PCR-RFLP ANALYSIS OF MDR1C3435T MUTATION IN EXON 26 OF DIFFERENT INDIAN POPULATION: A PHARMACOGENETICS APPROACH

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Abstract : The purpose of this study was to investigate the genetic polymorphism in the multidrug resistance (MDR1) gene-C3435T in exon 26 in various Indian populations. Multidrug resistance is one of the most serious causes of the failure of chemotherapy. MDR1 gene encodes a P-glycoprotein, which plays an important role in protecting the body from environmental toxins and xenobiotics by playing a large role in the distribution and elimination of many clinically important therapeutic substances. They can “set up” or act as “gatekeepers” for later P450 cytochrome actions. We studied the physiological regulation of these transporters which are the key to designing strategies for the improvement of therapeutic efficacy of drugs which are their substrates. The work is to assess 3435CT genotypes through polymerase chain reaction - restriction fragment length polymorphism (PCR-RFLP) assay in healthy human individuals. Knowledge about frequency of functionally important SNPs in the MDR1 gene in the Indian population will allow increasing validity of the studies together with decreased economic costs and ethical risks for the participating individuals. The highest contribution of C allele by Chowdhury population and the least for Brahmina and Genotypic distribution analysis showed equal contribution of CC genotypes in Brahmin and Vaisya population. Whereas highest CT genotypes were observed in Chowdhury populations. Statistically significant correlations were observed in Chowdhury and Vaisya population for homozygous genotypes. Further, upon comparative analysis of the data sets with published data revealed a stochastic distribution pattern of both allelic and genotypic frequency.

Keyword: MDR1, polymorphism, xenobiotics, SNPs.

FORMULATION AND EVALUATION OF TERBUTALINE SULPHATE BUCCAL FILMS USING DIFFERENT POLYMERS

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ABSTRACT: The aim of the work was to develop a buccal targeted drug delivery system (Buccal film) for Terbutaline sulphate using various bioadhesive and film forming polymers for sustaining the release of drug in the buccal cavity to increase the bioavailablity of Terbutaline sulphate. Buccal films of Terbutaline sulphate were prepared by solvent casting technique using 7×7cm glass plate The polymers used for the preparation of buccal film are Hydroxy propyl methyl cellulose E 15, Sodium carboxy methyl cellulose and PVP K-30.The prepared films were then evaluated for its weight variation, thickness, folding endurance, surface pH, drug content uniformity, swelling index study, *ex-vivo* mucoadhessive time study, *ex-vivo* mucoadhessive strength study. *In-vitro* drug release study and stability studies as per ICH guidelines. All the evaluation study results revealed that the formulation F2 [HPMC: SCMC in the ratio of 3:1] was having desirable properties and it was optimized as the best batch. The optimized batch was then subjected to kinetic studies and was found to be following First order kinetics and non-fickian mechanism. From the study it was concluded that the Terbutaline sulphate buccal films can be a convenient dosage form which can improve the therapeutic efficacy and patient compliance.

Key words: Buccal films, Terbutaline sulphate, Polymers, Buccal drug delivery.

FORMULATION AND EVALUATION OF SUSTAINED RELEASE LIQUISOLID TABLETS OF FELODIPINE

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Abstract: Felodipine is used in the treatment of hypertension and angina pectoris, its oral bioavailability is low and variable; mainly due to its poor aqueous solubility. The aim of the present work was to prepare and evaluate sustained release liquisolid compact formulations of Felodipine and compared with the direct compression tablets. The new mathematical model was used to formulate various liquisolid compacts. Fourier Transform Infra Red Spectroscopy (FTIR) and Differential scanning calorimetry (DSC) were performed and there was no significant interaction between drug and excipients. The release rates of prepared liquisolid compacts were higher compared to the directly compressed tablets. Comparison of dissolution profiles was carried out by using model independent approach Dissolution profile followed Zero order as “best fit model”.

Key words : Felodipine, liquisolid compacts, Hypertension, Zero order

SYNTHESIS, ANTIBACTERIAL AND ANALGESIC ACTIVITY OF SOME PYRAZOLONE DERIVATIVES

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Abstract: A series of 4-[(substituted–phenyl)-hydrazono]-2-(substituted–phenyl)-5-methyl-2, 4-dihydropyrazol- 3-one (PA1-5) and 1-benzoyl-4-(2-(4-bromophenyl) hydrazono)-3-methyl-1H-pyrazol- 5(4H)-one (PA6-10) have been synthesized. All the synthesized compounds were characterized on the basis of elemental analysis and spectral data (IR, 1HNMR). The titled compounds were screened for their antimicrobial activity against both gram positive, negative strains of bacteria by two fold dilution technique was followed to determine the minimum inhibitory concentration (MIC), analgesic activity by acetic acid induced writhing method and the (ALD50) values were found to be more than 2.5 g/kg of the synthesized compounds. Among the synthesized compounds, PA1, PA2, PA3, and PA6 and PA8 showed moderate activity on certain gram positive and gram negative bacteria at 62.5 - 125 μg/ml concentration level.Synthesized compounds PA1, PA6, and PA8 exhibited moderate analgesic activity compared with the standard drug (Indomethacin 5 mg/ kg) at the dose level of 50 mg/kg on oral administration.

Keywords: Pyrazolone, Hydrazone, Analgesic activity, Antibacterial activity.

ANTI-DIABETIC AND DIURETIC ACTIVITY OF THE PLANT *ARISTOLOCHIA INDICA* (LINN.) ROOT

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Abstract: Herbal medicine involves the use of plants for medicinal purposes. Substances derived from the plants remain the basis for a large proportion of the commercial medications used today for the treatment of various diseases.The root of *Aristolochiaindica Linn* belongs to family Aristolochiaceae had been examined to gain an insight of its Pharmacognostical, Phytochemical and Pharmacological behaviors. The Pharmacognostical studies include macroscopical, microscopical and powder microscopical studies. The Phytochemical investigation showed the presence of carbohydrates, terpenes, flavonoids and alkaloids. The acute oral toxicity study was done by OECD guidelines - 423 (Acute toxic class method), showed ethanol extract of *Aristolochiaindica Linn* root up to 2000 mg/kg, p.o are non toxic and safe. In OGTT,the doses of ethanol extract of *Aristolochiaindica* 250 mg/kg, p.o and 500 mg/ kg, p.o increased the tolerance for glucose suggesting increased peripheral utilization of glucose. The ethanol extract (500 mg/kg, p.o) showed anti diabetic activity as compared to standard Glibenclamide in Streptoztocin induced diabetes model. The EEAI (500 mg/kg, p.o) significantly increased the urinary output as well as urinary electrolyte concentration. The diuretic potency was comparable to that of standard drug furosemide.It shown that flavonoids and terpenoids present in this extract may be possibly responsible for the pharmacological action.Histopathological studies of liver and pancreas was performed and compared with the normal and control. The data suggested that the extract containing phytoconstituents may be significantly utilized as anti diabetic and diuresis.

Key words*: Aristolochiaindica Linn*, Streptoztocin, Anti diabetic, Furosemide, Diuretic.

DEVLOPMENT OF 5-FLUOROURACIL LOADED EUDRAGIT S100 NANOPARTICLES: COLORECTAL CANCER

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ABSTRACT: This study is focused on formulation and evaluation of 5 Fluorouracil loaded Eudragit S100 nanoparticles for colorectal cancer. 5-Fluorouracil nanoparticles were prepared by emulsion - solvent evaporation technique using Eudragit S100 (drug and polymer ratio: 1:1, 1:2, 1:4). Preformulation study was performed for the drug and polymer interaction by FT-IR & X-ray diffraction. The morphological characteristic of formulated nanoparticles was determined by scanning electron microscope. The encapsulation efficiency and drug loading capacity of formulated nanoparticles were investigated. The *in vitro* dissolution rate study was performed for the prepared nanoparticles. The results of X-ray diffraction and FT-IR studies indicate there was no interaction between 5-Fluorouracil and polymer. The scanning electron microscopy results reveals prepared nanoparticles are discrete spherical shape with a size ranging from 400-500nm. A proportional increase in drug incorporation, results in increased drug loading and encapsulation efficiency. *In vitro* dissolution studies indicated that maximum drug release was found in all three nanoparticles formulation at pH 7.4 buffer as compared to pH 1.2 and pH 4.4. The present studies indicated that among the three different formulated nanoparticle batches, the drug polymer ratio 1:1 (NP3) showed appreciable encapsulation efficiency and percentage drug loading. Therefore, NP3 formulation could be useful for targeting colorectal cancer.

Keywords: 5-Fluorouracil, Nanoparticles, Eudragit S100, Colorectal cancer.

THE RETRO-NAZAROV CYCLIZATION FOR THE SYNTHESIS OF SUBSTITUTED-4-HYDROXY-3, 4- DIHYDROQUINOXALIN-2(1*H*)-ONE AND THEIR ANTHELMINTIC AND ANTIMICROBIAL ACTIVITY.

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ABSTRACT : Substituted 4-hydroxy-3, 4-dihydroquinoxalin-2(1*H*)-one synthesized by Retro-Nazarov reaction by *Sandmeyer methodology.* Substituted aromatic amines treated with Chloral hydrate and Hydroxylamine hydrochloride in aqueous Sodium sulfate to form a substituted (2*Z*)-2-(hydroxyimino)-*N*-phenylacetamide (Isonitrosoacetanilide), which after isolation, undergone Retro- Nazarov reaction through microwave irradiation in presence of TFA (100W, 1200C, 20 mins) to form substituted 4-hydroxy-3,4-dihydroquinoxalin-2(1*H*)-one. The mechanism of the reaction has been formulated as a Retro-Nazarov reaction which is a recent advance in Retro chemistry. This method has some economic advantages, as the reagents are cheap and readily available, and the yields are usually high. Recently, the Sandmeyer methodology has been modified by the incorporation of ethanol as a co-solvent. This modification proved to be particularly useful in cases where the substituted aromatic amines were insoluble in the conventional reaction matrix. Application of the modified Sandmeyer methodology allowed the synthesis of isonitrosoacetanilide. The anthelmintic assay was performed on adult Indian earth worm, *Pheretima posthuma*, the IIc derivatives showed potent anthelmintic activity. The compound IId showed the good antimicrobial activity compared to the standard drug.

Keywords: Retro-Nazarov cyclization, Sandmeyer methodology, 3,4-Dihydroquinoxalin, Microwave irradiation, Isonitrosoacetanilide.