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7th Annual International Conference on IPR

Current Global Trends in IPR:

What we do/ should know !!

Nov. 15-16, 2022
Goa College of Pharmacy
Panaji, Goa - INDIA

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7th Annual International Conference on IP
Current Global Trends in IPR: What we do/should know !!
Nov. 15-16, 2022

TITLE: FORMULATION DEVELOPMENT AND OPTIMIZATION OF RIZATRIPTAN BENZOATE LOADED IN-SITU NANOVESICULAR GEL AS AN ANTI-MIGRAINE NASAL DRUG DELIVERY SYSTEM



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ABSTRACT:

Rizatriptan benzoate is a second-generation triptan used in the treatment of acute migraine that undergoes hepatic first pass metabolism causing low bioavailability of approximately 40%. The aim of this study was to formulate and evaluate Rizatriptan benzoate niosomal *in-situ* nasal gel formulation by encapsulating the drug in a niosomal system composed of non-ionic surfactant like tween 40 with cholesterol prepared by thin film hydration method.

The prepared niosomal dispersions were evaluated for particle size, zeta potential, polydispersity index, entrapment efficiency and *in-vitro* drug release from which a niosomal formulation (F3) was optimized and showed the highest release of 91.575% after 8hrs.

The optimized formulation was further evaluated for drug content, TEM, XRD and DSC studies. The F3 formulation was then selected for the preparation of *in-situ* gel which was formulated using different concentrations of gellan gum and HPMC K100 and optimized using Central Composite design. The optimized *in-situ* gel was then characterised for pH, clarity, viscosity, spreadability, gelling time, gel strength, drug content, mucoadhesive strength, *ex-vivo* permeation study and *in-vitro* diffusion study.

The drug release kinetics studies revealed that release was prolonged up to 8hrs. The optimized *in-situ* gel formulation was found to be stable at 25 °C ± 2°C for 3 months. The results of this study suggest that Rizatriptan benzoate formulated as niosomal *in-situ* nasal gel could have the potential to avoid first pass metabolism thereby improving the bioavailability of the drug and as a safe and sustained release intranasal delivery system to control migraine.

KEYWORDS: Rizatriptan benzoate, Anti-migraine, Niosomal system, Intranasal drug delivery, *In-situ* gel.

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TITLE: SOLUBILITY AND DISSOLUTION ENHANCEMENT OF BCS
CLASS II DRUG AND DEVELOPMENT INTO ORAL
DISINTEGRATING FILMS



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ABSTRACT: Glimepiride is a new generation BCS Class II hypoglycemic agent. The solubility and dissolution of Glimepiride was enhanced by preparing solid dispersion that were prepared using Polyethylene Glycol 4000 by 4 different methods. The optimized solid dispersion (F9) was selected as it exhibited the highest drug content of 98.04 ± 0.469 % and in vitro release of 97.1 % in 60 mins. Solvent casting method was used to incorporate the selected solid dispersion into the film formulation.

The design of experiment (DoE) approach was considered using Central Composite design to select the optimum film. The amorphous nature of the drug in solid dispersion and film formulation was revealed by DSC and XRD studies. The optimized film formulation was evaluated for weight variation, folding endurance, disintegration time, thickness, surface pH, and dissolution studies.

The film obtained was transparent with satisfactory parameters. The optimized Formulation showed faster in vitro drug dissolution within 10 min with an average disintegration time of 31.33 ± 0.4714 . The ex-vivo studies showed dissolution of 95.72%. Based on the results, it was concluded that the film was orally disintegrating.

In a nutshell, based on the results obtained, it can be concluded that the oral disintegrating film loaded with Glimepiride solid dispersion was successfully developed with markedly improved dissolution profile, with avoidance of extensive first-pass metabolism and improved patient compliance.

KEYWORDS: Glimepiride, Solid dispersion, PEG 4000, oral disintegrating film, Disintegration time, In vitro dissolution.

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TITLE: Formulation And Evaluation Of Montelukast Sodium-Cyclodextrin Molecular Inclusion Complexes And Development Into Fast Dissolving Oral Films.

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ABSTRACT: Fast-dissolving oral thin films loaded with Montelukast sodium inclusion complex were formulated to allow fast reproducible drug release in oral cavity thus improving the dissolution profile. Montelukast sodium is indicated for the prophylaxis and chronic treatment of asthma. Hydroxypropyl-b-cyclodextrin (Hp-b-CD) was chosen as a stabilizer and solubilizer. Fast dissolving oral drug delivery system offers benefits by avoiding first pass metabolism and enhances patient convenience and compliance.

The optimized inclusion complex (F5) prepared by kneading method exhibited highest drug content of 97.81 ± 0.27 % and *in vitro* release of 99.19 ± 0.14 % in 60 mins.

The inclusion complex was incorporated into film formulation using solvent casting method. The design of experiment (DoE) approach was considered using Box Behnken design to select the film formulation with optimum properties. The DSC studies revealed the amorphous nature of the drug in inclusion complex and film formulation. Films were evaluated for their weight variation, folding endurance, disintegration time, thickness, surface pH, FT-IR spectroscopy, and dissolution studies. Stability studies were conducted at $25 \pm 2^\circ\text{C}/60 \pm 5\%$ RH for 90 days. Drug release kinetics data revealed that the optimized film showed 98.60 ± 0.17 % release within 10 minutes and the release mechanism followed super case-II transport type of diffusion mechanism. The surface morphology of inclusion complex and film was studied by SEM analysis.

It was concluded that the fast-dissolving oral thin films of montelukast sodium inclusion complex can be made by solvent casting technique with enhanced dissolution rate, good stability profile, better patient compliance and effective therapy.

KEYWORDS: Montelukast sodium, inclusion complex, Hydroxypropyl-b-cyclodextrin, Fast dissolving oral films, Disintegration time, *In vitro* dissolution.

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TITLE: Formulation Development of Azelastine loaded Temperature sensitive in-situ Micelles for allergic Conjunctivitis.

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ABSTRACT: (Not more than 250 words)

Allergic Conjunctivitis is one of the most common and a unique Type 1 allergic reaction of the eye. Eye drops are the most common dosage form used in this condition which reduces patient compliance due to need of the continuous administration of the formulation. To address the imperfections of this conventional formulation research was conducted to innovate and develop Azelastine-loaded temperature-sensitive In-situ Gelling Micelles. Azelastine is an antihistamine and anti-inflammatory agent showing antagonistic action on the H1 receptors and is known as mast cell stabilizers. The present study included a composite design to select the best concentration of ingredients to optimize the formulation followed by a thorough evaluation and animal study to evaluate the increase in retention time of the formulation. Detailed investigation was conducted for the selection of the best polymer and concentration of the same for the optimal effect on drug activity. The entrapment efficiency of the formulation was found to be 93.4% and 98.7%. 19% w/v and 20% w/v of P-407 was used respectively with a maximum drug release profile of 89% in 8 hours and 85% in 6 hours independently. It was observed that the viscosity of the formulation was directly proportional to the temperature. The eye scratching behavior was evaluated for around 20 mins and showed approximately 55-60 % mitigation. The reduction of eosinophil count with respect to the marketed formulation was found to be statically significant. This result was further supported by the visually observed reduction of the allergic conjunctiva.

KEYWORDS: Allergic Conjunctivitis; Azelastine; In-situ Micelles; Eye Scratching Behavior; Hyperemia

**TITLE: MICROSPONGE BASED IN SITU OCULAR GEL: A NEW
VISION TO TREATMENT OF**



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ABSTRACT: Glaucoma is a category of eye disease that may lead to vision loss caused by damage to the optic nerve and permanent blindness. It does characterize by a rise of intraocular pressure (IOP) resulting in changes in the fiber layer of the optic nerve and retinal nerve. In the present work, the antiglaucoma drug acetazolamide was formulated as a microsphere in situ gel for ocular drug delivery aiming at improved therapeutic efficacy, solubility, and permeability to membrane and reduction in the systemic side effects of oral acetazolamide. The microsphere does prepare by the quasi-emulsion solvent diffusion method with various polymer: drug ratio acetazolamide loaded microspheres does prepare with Eudragit RS 100 polymer and does incorporate into ocular in situ gel formulation containing Carbopol 940 and HPMC E4M .different parameters does evaluate for particle size, entrapment efficiency, drug content in vitro drug release FTIR Spectroscopy and scanning electron microscopy. The in situ gel was evaluated for physiological properties (PH, gelling capacity, gelation time, rheological properties) and in vitro drug release and sterility study. Results revealed that microsphere formulation having polymer to drug ratio of 2:1 showed satisfactory production yield($42.10 \pm 3.56\%$), entrapment efficiency(82.02 ± 2.5), drug content(54.65 ± 1.37), and mean particle size of about $10\mu\text{m}$; hence these results indicated that acetazolamide microspheres in situ gel have the potential ability for ophthalmic delivery.

KEYWORDS: Microsphere, Glaucoma, Ocular in situ gel, Ophthalmic drug delivery, Quasi-emulsion solvent diffusion method

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**TITLE: SYNTHESIS AND EVALUATION OF GRAFTED CO-POLYMER FOR
PHARMACEUTICAL APPLICATION**



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ABSTRACT: (Not more than 250 words)

‘Naturapolyceutics’ is the science of utilising natural polymer for drug delivery. Natural polymer used in pharmaceutical industry as a binder, matrix former or drug release modifiers, thickeners, film coating formers, stabilizers, disintegrants, solubilizers, emulsifiers, suspending agents, gelling agents and bio-adhesives. Natural polymers have many advantages over synthetic materials but have certain drawbacks like viscosity drop during storage, microbial contamination, batch to batch variation, uncontrolled rate of hydration, slow process, heavy metal contamination and inability to perform under high temperature and pressure. Hence Modification of natural polymer has received much attention recently. Present study aimed to graft *Prosopis juliflora* (mesquite) gum for development of sustained release tablet of propranolol hydrochloride as a model drug. Acrylamide grafted mesquite gum (AAM-g-MG) co-polymer was synthesized using microwave assisted grafting technique. Grafted co-polymer was characterized for various polymer properties. Different batches (MGP-1 to MGP-9) of tablet formulation were prepared by varying AAM-g-MG concentration using Propranolol HCl and compared with HPMC K100M and marketed SR tablets. Results showed that, AAM-g-MG exhibited good physicochemical properties, higher swelling index, biodegradable and no toxicity to *Artemia salina*. Similar dissolution pattern was observed for optimized SR Tablet and standard formulation, revealed by similarity factor. It indicates the comparative ability of the grafted co-polymer to extend the drug release with the marketed formulation.

KEYWORDS: *Prosopis juliflora* (mesquite) gum, acrylamide, grafting, sustained release.

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TITLE: Synthesis, Characterization and Antimycobacterial Activity of Novel Quinoline Derivatives.



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ABSTRACT: (Not more than 250 words)

Tuberculosis (TB) is an infectious disease with a ubiquitous mortality worldwide caused by *Mycobacterium tuberculosis* (*M. tb*), which predominantly affects the lungs and the ninth leading cause of death worldwide. The drug resistance remains a considerable problem for tuberculosis (TB) treatment, despite the introduction of new anti-tubercular drugs into therapy which is leading to drug-resistant (DRTB), multiple drug-resistant (MDRTB), and extensively drug-resistant (XTRB) tuberculosis.

In order to address drug-resistant tuberculosis, new compounds targeting multiple *Mycobacterium tuberculosis* enzymes appear to be an ideal treatment. CTP synthetase (PyrG) and pantothenate kinase (PanK) enzymes identified as target and Quinoline scaffold, as new substrate. An intensive scientific study has been carried out on Quinoline and its derivatives like 2-chloroquinoline-3-carbaldehyde throughout the world due to their biological and industrial applications.

Derivatives of 2-chloroquinoline-3-carbaldehyde are key intermediates in the synthesis of important heterocyclic compounds. For this reason the chemistry of 2-chloroquinoline-3-carbaldehyde has been the subject of many investigations.

A series of differently substituted new 2-Chloroquinoline-3-Carbaldehyde derivatives were designed and synthesized for anti-tubercular activity, starting from different acetanilides using hydrazide and different acyl chloride as other reactants. All synthesized derivatives are characterized by various spectroscopy studies.

KEYWORDS: Tuberculosis, 2-Chloroquinoline-3-Carbaldehyde, PyrG, PanK

TITLE: Screening of *Cucumis melo* against therapeutic targets of liver cirrhosis: An *in silico*, *in vitro* and *invivo* study.

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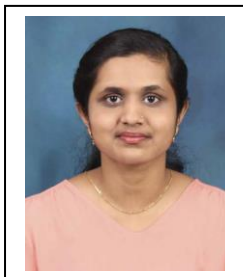
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Abstract

This study aimed to divulge molecular mechanisms of *Cucumis melo* for hepatoprotectant by *in silico*, *in vitro* and *invivo* studies. Compound-Gene set enrichment analysis was executed by STRING and KEGG pathway. Network of compound-protein-pathways was constructed by Cytoscape 3.7.2. Intermolecular interaction of compound with protein target was inferred by docking using PyRx 0.8v. Druggability and ADMET profile of compounds were predicted using Molsoft, SwissADME respectively. Further, enriched fraction of top hit compounds was performed for hepatoprotectant by MTT assay fallower by *invivo* study. 16 compounds from *C. melo* predicted to modulate 20 targets that are involved in 7-molecular pathways associated with liver cirrhosis. Metabolic pathway followed by PPAR signalling pathway scored the highest edge count of 8 respectively. Docking study inferred phytochemicals to have binding affinity towards PPARA and AR proteins. *C. melo* shows better cell viability on HepG2 respectively. Further supernatant was used for hepatoprotective activity by measuring AST, ALT, and LDH parameters fallowed by *invivo* approach. This study suggests that imp. Compounds from *C. melo* shows better hepatoprotective effect on HepG2 cell line and animal study

Keywords: Molecular Docking, Network Pharmacology, Liver Cirrhosis, Hepatitis,



TITLE: Development and evaluation of in-House animal feed pellets for laboratory rat and mice

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ABSTRACT

The study involved in-house development of animal feed pellets. The pellets were developed by applying the principal of extrusion-spheronization on household equipment. The developed in-house pellets were taken up for physical and biochemical evaluation. Also, screening activities were performed on animals for behavioral observations. Simultaneously cost determination was carried out. Developing in house animal feed pellets with generally easy accessibility of its ingredients and simultaneously meeting the balanced diet with simple formulation methodology. To ensure cost efficiency of the formulation in comparison to the market. Commercial marketed animal feed pellets as the standard, developed in-house animal feed pellets as the test, Wistar Albino Rats both males and females.

Physical and biochemical tests were carried out on in-house developed feed showed no change when compared with the standard marketed preparation. Four week study on body weight analysis of both male and female laboratory rats showed no significant change in weight compared to the groups of rats fed commercial marketed animal feed pellets. Screening activities conducted for behavioral measurement also showed no significant difference in both the test and standard animal feed pellets. However, few observations showed minor differences which could be attributed to miscellaneous unidentified factors. Also, the developed feed was found to be cost efficacious.

Keywords: Feed, Pellets, in-house, spontaneous behaviour, biochemical evaluation

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TITLE: Identification of novel Anti-Hepatitis C viral agents targeting RNA Dependent RNA Polymerase based on exhaustive computational studies



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ABSTRACT: (Not more than 250 words)

Hepatitis C is an inflammatory condition of the liver caused by hepatitis C viral infection having acute and chronic manifestations and severity ranging from mild to severe and lifelong illnesses leading to liver cirrhosis and cancer. According to the World Health Organization's global estimates, a population of about 58 million have chronic hepatitis C virus infection, with around 1.5 million new infections occurring every year. HCV is an enveloped, positive-strand RNA virus belonging to the Flaviviridae family. HCV RNA replication is catalyzed by the viral RNA-dependent RNA polymerase (RdRp). RdRps are involved in genome replication, mRNA synthesis, RNA recombination, etc. and are essential for the survival of viruses. Viral polymerases are clinically proven therapeutic targets. In the present study, molecules were identified using the docking of small-molecule databases. An exhaustive computational assessment which included the evaluation of binding interactions and an assessment of the pharmacokinetics and toxicity profiles was also carried out to filter eight molecules. The protein-ligand interactions were further studied using a 25 ns molecular dynamics (MD) simulation to establish dynamic stability.

KEYWORDS: HCV, RDRP, virtual screening, molecular docking, molecular dynamics simulations, MM-GBSA

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Global Requirements and Translational Considerations in IPR: Need for Harmonization!!
Dec. 5-6, 2023



TITLE: A Case of Drug Reaction with Eosinophilia and Systemic Symptoms (DRESS) syndrome due to multiple drugs

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ABSTRACT:

Adverse drug reactions (ADRs) are unexpected reactions to an administered medication at the right dosage and manner. Drug rash with eosinophilia and systemic symptoms syndrome (DRESS syndrome) is a scarce type of ADR with complicated clinical features involving several organ systems of the body, the most frequently involved organ being the liver, followed by the kidney and lungs. Timely detection and diagnosis followed by withdrawal of the causative agent is utter most important to reduce the number of related morbidity and mortality. The presented case is of a 42 years lady, with a history of leflunomide intake and symptoms of DRESS syndrome. The suspected causative agents were withdrawn and the patient was managed symptomatically. Leflunomide drug has the potential to cause DRESS syndrome and thus should be dealt cautiously. The causality assessment of the ADR was done using the WHO-UMC scale and Naranjo's assessment scale and was found to be a probable reaction. The presented case contributes to the existing literature about this exceptional clinical presentation.

KEYWORDS: Drug Reaction with Eosinophilia and Systemic Symptoms syndrome (DRESS syndrome), Leflunomide, Drug-induced, Adverse drug reactions (ADRs).

TITLE: Assessing the viability of microsponges as a gastro retentive drug delivery system of psidium gujava Linn. in maintaining Gastric ulcer by applying quasi-emulsion solvent diffusion technique.



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ABSTRACT: Gastric ulcer disease affects people globally, increasing resistance to conventional antibiotics such as clarithromycin and metronidazole. It is important that new acceptable, safer, and effective therapies must be developed to manage this disease. Various herbal medicines has been used traditionally for the remedy of gastric ulcer. This research does carry out to measure the gastro-retentive efficacy of psidium gujava (Guava) leaves extracts loaded with floating microsponges for gastric ulcers. A quasi-emulsion solvent diffusion technique fabricates microsponges using ethyl cellulose and eudragit RS 100 to produce six formulations (F1-F6). FTIR confirmed the absence of incompatibilities between the drug and polymer. This formulation does evaluate as percent entrapment efficiency, percent buoyancy, and percent cumulative drug release. The formulation (F-5) demonstrated favorable % entrapment efficiency (92.83 ± 1.8), % buoyancy (86.30 ± 1.8) and % cumulative drug release (85.7 ± 1.2). SEM revealed spherical and porous microsponges. The kinetic data analysis showed that it follows a zero-order model by a nonfickian release mechanism. Therefore this study presents a new approach based on the floating ability of microsphere to treat gastric ulcers.

KEYWORDS: Floating microsphere, Gastric ulcer, Psidium gujava, Antiulcer activity, Quasi-emulsion solvent diffusion, Ethylcellulose, Eudragit RS 100.

TITLE: CYTOTOXIC POTENTIAL FROM STEM BARK OF *MOULLAVA SPICATA*



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ABSTRACT:

Moullava spicata also known as Ghrutakaranja is a robust climbing shrub, belonging to the family Caesalpiniaceae. It is found distributed in Konkan jungles, Mahableshwar Ghats, Karnataka and Kerala. *M.spicata* has been reported to possess many biological activities such as antibacterial, antituberculosis, antiseptic, antidiarrheal, cytotoxic, and antioxidant activity. Traditionally the roots have been used in cases of pneumonia and pulmonary tuberculosis, bark for treating skin diseases and seed oil for lighting purpose. The present study was aimed to investigate the phytochemical profile of stem bark of *M.spicata* and to test *for in-vitro* anti-cancer activity. The coarsely powdered stem bark was extracted with ethanol and the extract was further fractionated using petroleum ether, ethyl acetate, butanol and water. Petroleum ether and ethyl acetate fractions were subjected to open column chromatography leading to the isolation of compound β -sitosterol and lupeol which were characterized by IR, ¹H NMR, ¹³C NMR and Mass spectroscopy. Petroleum ether extract was subjected to anti-cancer activity against HOP-62 cell lines using MTT assay. The IC₅₀ value was observed to be 172.56 μ g/ml at 48 hrs. Lupeol may be responsible for anticancer activity.

KEYWORD: *Moullava spicata*, Caesalpiniaceae, β -sitosterol, lupeol, anti-cancer, HOP-62 cell lines, MTT assay.

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TITLE: COMPARATIVE STUDY ON TRADITIONAL AND NEWER TECHNIQUES FOR EXTRACTION OF BIOACTIVES”



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ABSTRACT:

Spathodeacampanulate (Bignoniaceae) is also called as African tulip tree or Flame of forest. It is inherent to the tropical dry forests of Africa. It is a single species of the monotypic genus *Spathodea* composed of around 800 species distributed in 112 genera. Preliminary phytochemical screening of *S. campanulata* confirmed the presence of alkaloids, carbohydrates, flavonoids, glycosides and phenolic components. This plant was selected as research candidate since it has rich source of bioactive compounds with antioxidant properties. This study was conducted to evaluate various parameters such as choice of solvent, the particle size of drug material, solvent/solute ratio, time of extraction. Flowers of *Spathodeacampanulata* were extracted using methanol by conventional (maceration, Soxhlet) and novel (microwave-assisted and ultrasound-assisted extraction) extraction techniques. Superior extracts were subjected to further LCMS analysis which confirmed three essential constituents (kaempferol-3,7-dimethoxy, kaempferol-3-O neohesperidine, kaempferol-3-O-rutinoside) were extracted. Flowers of *S. campanulata*, (SC/SOX/C/III/120) mentioned highest yield of extract (2.5122 gm) while (SC/MAC/B/I/30) provided lowest yield of extract (0.2943 gm) compared with the extracts obtained from other extraction methods. (SC/MAC/C/III/120) confirmed highest yield of extract (1.7196 gm) for maceration methods. For ultrasonic assisted extraction (SC/UAE/C/III/30) revealed maximum yield of extract (1.6329 gm) and for microwave assisted extraction (SC/MAE/B/III/10) illustrated maximum yield of extract (1.9550 gm).

KEYWORDS: *Spathodeacampanulate*, maceration, soxhlet, microwave-assisted extraction, ultrasound-assisted extraction, Scanning electron microscopy, liquid chromatography-mass spectrometry.

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TITLE: IDENTIFICATION AND PHYTOCHEMICAL CHARACTERIZATION OF ANTI-OBESSE BIOACTIVE FRACTIONS OF SAUROPUS ANDROGYNUS



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ABSTRACT:

Sauropus androgynus (SA) [Phyllanthaceae] is also known as Star gooseberry, traditionally used as “natural diet vegetable” possessing large amounts of nutrients and capable of rapidly reducing weight. This study was conducted to investigate anti-obese bioactive fractions of SA plant against Diet-induced and *in-vivo* metabolic rate in adult zebrafish. The fresh aqueous leaves extract of SA was obtained by Maceration, Decoction and Swarasa method and was subjected to physicochemical, phytochemical screening and chromatographic techniques like TLC, HPTLC and LC-MS. Phenolic, flavonoid content and antioxidant activity was determined by Folin-Ciocalteu method, AlCl₃ colorimetric assay and DPPH method respectively. By utilizing gene set enrichment analysis and network pharmacology, Mechanism of action of SA plant for treatment of obesity was studied. *In-vivo* metabolic rate assay was performed where adult zebrafish were exposed to aqueous extracts of *S. androgynus* and Resazurin for 48 hrs and change in the metabolic activity was measured by reading fluorescence of the solutions at excitation wavelength 530 nm and emission wavelength 590 nm. *S. androgynus* extract obtained by Maceration method showed highest % yield i.e. 10.685 %w/w as compared to Decoction & Swarasa extract i.e. 10.145 & 4.78%w/w respectively. Preliminary Phytochemical Screenings showed presence of steroids, glycosides, flavonoids, tannins, amino acid, acidic compounds and carbohydrates. LC-MS study showed presence of Rutinoside, Papaverine, 4Z-Ethylidene-Cholest-5-En-3-beta, 22S-diol in Swarasa extract, whereas Naringenin in Maceration extract and Kaempferol, Papaverine, Naringenin, and Corchoionoside C in Decoction extract. TPC and TFC of SA extract was found highest in Maceration. Antioxidant activity of extract by Decoction was found to be highest. Phytoconstituents-Kaempferol, Quercetin, Naringenin showed highest binding affinity for proteins and PI3K-AKT signaling pathway showed highest genes count with lowest false discovery rate. *In-vivo* metabolic rate assay, Swarasa extract showed dose dependent modulatory effect on metabolic rate i.e., highest concentration of SA caused increase in Relative fluorescent intensity.

KEYWORDS: *Sauropus androgynus*, Obesity, Zebrafish, Resazurin, *in vivo* metabolic rate, Network pharmacology, Relative fluorescent intensity.

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TITLE: Design, synthesis, and optimization of silver nanoparticles using *Artocarpus heterophyllus* (Lam) leaves extract and its antibacterial application

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ABSTRACT:

Green synthetic approaches were used to synthesize silver nanoparticles using *Artocarpus heterophyllus* leaf extract. In this study, the synthesis of nanoparticles and their biological evaluation were carried out. Parameter optimization was performed using Design expert ver. 13. Using the response surface methodology, the conditions for the biosynthesis of small and uniformly distributed nanoparticles were optimized. The synthesis of AHAgNPs was confirmed by UV-visible spectroscopy, and Fourier transform infrared spectroscopy (FTIR). A scanning electron microscope (SEM) was used to determine the size. In vitro Antioxidant, t and antimicrobial potential was determined using standard protocols. The synthesized nanoparticles were spherical with an average particle size of 100-110 nm. The synthesized nanoparticles showed effective antioxidant, antibacterial and antifungal activity. Green synthesized nanoparticles (AHAgNPs) show increased biological activities.

KEYWORDS: *Artocarpus heterophyllus* leaf, Design Expert, Silver nanoparticles, Antioxidant, Antimicrobial.

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**TITLE: RAPID HIGH-PERFORMANCE THIN LAYER CHROMATOGRAPHIC
QUANTITATIVE ESTIMATION OF CAFFEINE IN VARIOUS FOODS AND
BEVERAGES**

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ABSTRACT: (Not more than 250 words)

Caffeine is an alkaloid and well-known CNS stimulant usually added in most foods and beverages. Caffeine had drawn more attention in many nutritional products due to its stimulant effect on CNS, so that the product to which caffeine is added becomes more popular in the market. The present work was carried out to extract and estimate the amount of caffeine in the various food and beverages by chromatography technique using High-Performance Thin Layer Chromatography. Procured marketed products like confectioneries, foods and beverages are subjected for extraction and estimated the caffeine content by HPTLC method by using mobile phase Ethyl acetate: Methanol (9: 1) and quantified. Monster and Tzinga both energy drinks showed the content within the label claim (23.96 and 25.43% respectively). However other products though they did not have a label claim, showed significant caffeine content, in that dark chocolate showed the highest 11.40%, whereas diet coke did not show any caffeine content. The consumable food products like biscuits, energy drinks, and other beverages with added caffeine should have quantitative labeling on the wrapper to help the consumer to know about the caffeine. But label claim of caffeine is missing on some products, HPTLC method helps to estimate the caffeine content from the marketed products.

KEYWORDS: Caffeine, HPTLC, Chromatography, Extraction Technique

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TITLE:

The coordinated public health initiatives: IPR & patents are a long term, global barrier for the emerging as well as regulated nutraceutical market



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ABSTRACT:

There are complications, disease modifications, and indications that will be followed by the regulatory body to improve public health through nutraceutical products. There are fraudulent formulations also high-grade use of adulterants raw materials in the marketed product. The research says that not even a single county is following the standardized procedure to improve the efficacy and safety of any nutraceutical products. The recent amendments of the Food and Drug Administration and Centre for Drug Evaluation and Research announced a new formulation process to improve the nutraceuticals products called nutra genesis. We can formulate the product according to guidelines that should be patented and used by the standards view of any manufacturer or innovator for market release. Due to poor implication of regulations and less traditional knowledge about the crud drugs or raw materials, the nutraceutical products will not be able to be IPR protected. One more challenge for nutraceutical products not patented or IPR protected due to poor sustainable developments, and intellectual and cultural vitality. There might be a process of DND genetic modification for nutraceutical products to improve product efficacy and safety. The individual of successful study might be giving the formulation, which can be IPR and patented drugs for the new world. Since, the demand for nutraceutical medications has increased significantly worldwide, particularly in American and European nations, organizations and individuals are working tirelessly to obtain patents on nutraceutical medicinal plants and new formulary products to reap millions of dollars in potential benefits from these patents.

KEYWORDS: Nutraceuticals, Nutra Genesis, Formulation, Regulations, IPR, Clinical Trail.

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TITLE: Chewing Gums as a Drug Delivery Approach for Oral Health



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ABSTRACT:

Chewing gum could be perceived as a promising drug carrier that can carry several types of drugs for oral health. The convenient use in the oral cavity's local environment and the ability to locally carry multiple drugs are considered the main advantages of this delivery approach. Chewing gum as a drug delivery approach for oral health used in the inhibit calculus formation, mouth ulcer relief and treat, antibacterial effect, teeth remineralization, periodontal health and antifungal effect. Drug absorption rates are faster in the liquid form compared to a tablet form with the same dosage of the same medications. Minor pain treatment can benefit from the use of chewing gums as a drug delivery mechanism because of its rapid onset of action and reduced risk of digestive side effects. The treatment of fungal infections, prevention of cavities and other dental health problems, remineralization of teeth, cold relief, increased energy, antinausea, and a slew of other benefits of this novel drug delivery technique are all likely to play a key role in future research.

KEYWORDS: Chewing gum, Drug Delivery, Oral Health

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TITLE: Acne : the bane of teenagers

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WHO definition of acne is an inflammatory disease of the pilosebaceous units in the skin of the face, neck, chest and upper back. It is simply characterized by the both inflammatory (papules, pustules and nodules) and the non-inflammatory (comedones, open and closed) lesions. It causes whiteheads, blackheads or pimples. Acne is most common among teenagers, though it affects people of all ages.

Currently, there are at least four synergistic, biological mechanisms that contribute to acne pathogenesis, these include increased sebum production, follicular hyperkeratinization, local inflammatory cascades, and microbial proliferation of *Cutibacterium acnes* (or *C. acnes*, formerly *Propionibacterium acnes*), *S. epidermidis* and *S. aureus*.

Antibiotics have been used as a first line treatment to treat acne vulgaris. However, antibiotic resistance has been increasing in prevalence within the dermatologic setting. To overcome the problem of antibiotic resistance, medicinal plants have been extensively studied as alternative treatments for diseases.

Novel concepts have emerged to help better understand its pathogenesis; these include variations in target cell sensitivity, biological markers, neuro-endocrine, genetic, and environmental factors. Number of herbal formulations are available in the market with, some of the topical formulations, available in the market are as follows: gel, cream, lotion, facewash or cleansers, face pack or mask. Neem (*Azadirachta indica*, *Meliaceae*) and nutmeg (*Myristica fragrans*, *Myristicaceae*) are reported to have very beneficial effect on acne due to anti-microbial, anti-inflammatory and anti-oxidant activities of different chemical constituents.

KEYWORD: Acne vulgaris, Pilosebaceous, *Cutibacterium acnes*, *Azadirachta indica*,

7th Annual International Conference
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TITLE: Wonders of the Butter fruit plant

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Butter fruit commonly known as Avocado, Alligator pear, or Aguacate. Its botanical name is *Persea americana* Mill belonging to the family Lauraceae. It is a medium-sized, single-stemmed, terrestrial, erect, perennial, deciduous, evergreen tree native of Central America (Mexico), is now found in most tropical and subtropical countries of the world including India and Srilanka. Butter fruit or avocado is considered one of the main tropical fruits, as it contains fat-soluble vitamins which are less common in other fruits. Avocado seed oil is mostly used in cosmetic industry.

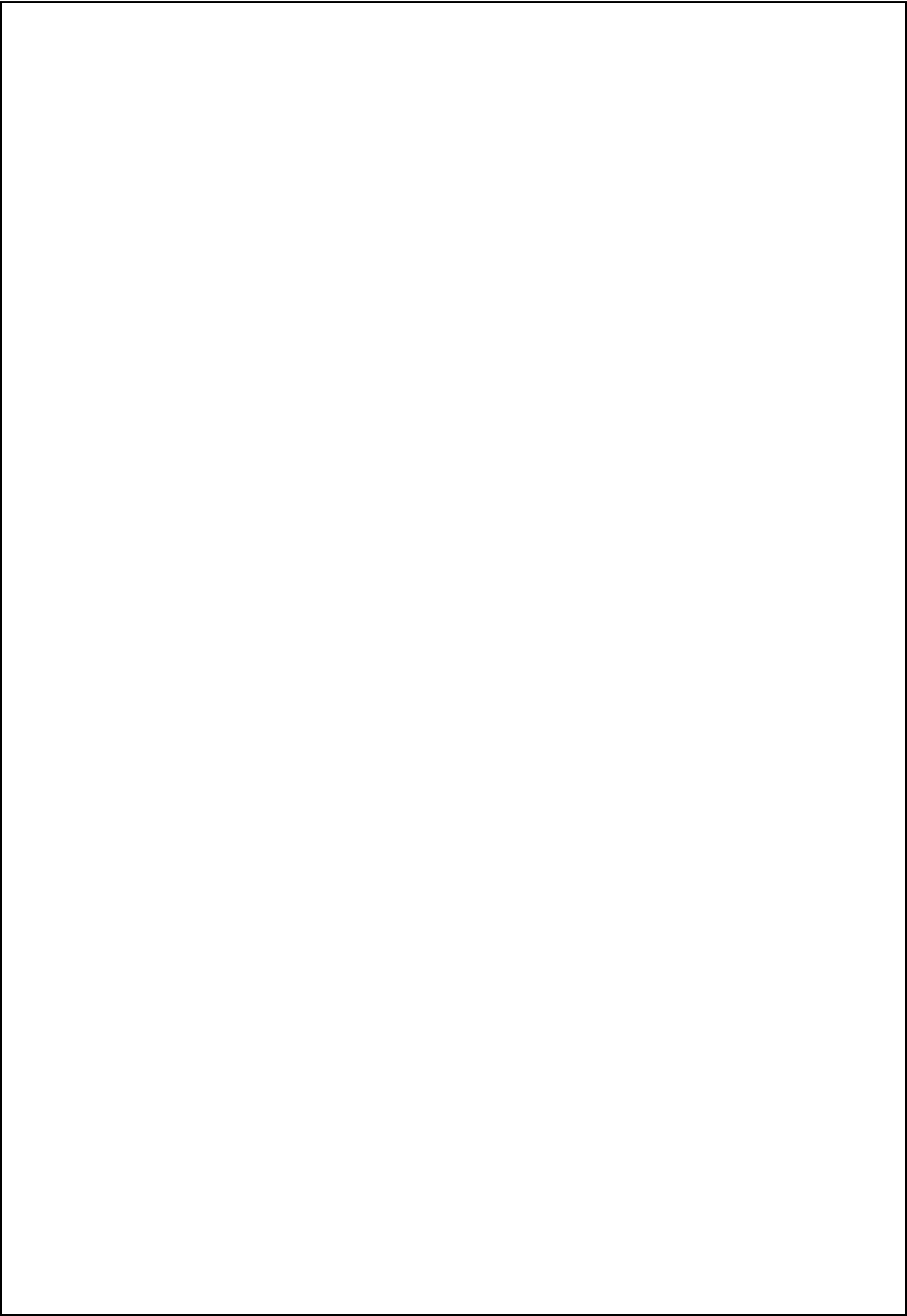
Its leaf has the potential as a natural antioxidant and is a rich source of soluble phenolic compounds, ascorbic acid and many essential fatty acids and vitamins.

Major chemical constituents includes polyphenols like chlorogenic acid, gallic tannins, coumarins such as scopoletin astragalin, flavonoids such as quercetin, isorhamnetin, luteolin, rutin and apigenin, triterpenoids, ascorbic acid, saponins, alkaloids, sterols. Persin has anti-inflammatory (Domergue, Helms, Prusky, & Browse, 2000) and *invitro* anticancer action, (Butt et al., 2006).

Various parts of the plant are used as folk medicine against cough, febrile convulsions, aphrodisiac, emmenagogue, bruising, dysentery, diarrhoea, wound healing, anti-inflammatory, diuretics and hypertension.

The reported pharmacological activities of leaves are anticonvulsant by Ojewole et al., 2006, Hypoglycemic and anti hyperlipidemic by Bartholomew et al., 2007, hypotensive by Adeboye et al., 1999 and Owolabi et al., 2005, analgesic and anti-inflammatory by Adeyemi et al., 2002 and antioxidant by Nurdin Rehman et al., 2015, antibacterial by Ogundare A.O and Oladejo B.O., 2014.

KEYWORD: Avacado, *Persea Americana* Mill, Persin, Scopoletin, Flavonoids.



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TITLE: *Biophytum sensitivum* (L) DC: A Review

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ABSTRACT: (Not more than 250 words)

The herbal medicinal plants have been a prominent candidate in drug discovery for combating against various ailments. *Biophytum sensitivum*(L)DC, a member of Oxalidacea family referred to as Life plant or Lakshmana in Hindi or Jhulapushpa in Sanskrit is widely found in Tropical India, South Africa and South Asia. The major Phytoconstituents are cupressuflavone, amentoflavone, Caffeoylquinic acid, 5-Caffeoylquinic acid, luteolin 7-methyl ether, isoorientin etc. Reported activities are Immunostimulator, Antitumor Activity, Antioxidant, Antibacterial, antidiabetic, Anti-inflammatory. This review aims to provide an overview of *Biophytum sensitivum* (L)DC aspects such as pharmacognosy, conventional applications, phytochemistry, variety of pharmacologic actions, and other attributes.

KEYWORDS: *Biophytum sensitivum*(L)DC, Amentoflavone

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TITLE: *Chamaecostus cuspidatus* : A Review

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ABSTRACT: (Not more than 250 words)

Chamaecostus cuspidatus (Nees & Mart.) C.D. Spech & D.W. Stev. (Costaceae) a monocot plant native of eastern Brazil. Leaf of this herbal plant helps to build up insulin in the human body thus popularly known as “insulin plant” in India. *Chamaecostus cuspidatus* Leaves are rich in flavonoids, protein, iron, and antioxidant components such as ascorbic acid, α -tocopherol, β -carotene, terpenoids and steroids. The major Phytoconstituents are Quercetin, kaempferol, hexadecanoic acid, dodecanoic acid, β -ionone, farnesyl acetone, α -ionone, phytosterols and glycosides.

Various parts of the plant are used as folk medicine against diabetes, skin diseases, asthma, bronchitis, fever, renal disorders and intestinal worm diseases. Reported activities of leaves are Antidiabetic by Khanday, W.I. *et al.*, 2019; Antimicrobial by Rao, N.B. *et al.*, 2016; Antibacterial by kala, S. 2014; Hepatoprotective by Chacko N. *et al.*, 2012. This review aims to provide an overview of *Chamaecostus cuspidatus* aspects such as pharmacognosy, phytochemistry, variety of pharmacologic actions, and other attributes.

KEYWORDS: *Chamaecostus cuspidatus*, Insulin plant, Quercetin, Flavonoids.

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TITLE: *Artocarpus lacucha* Roxb. : A review

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ABSTRACT: (Not more than 250 words)

The tropical evergreen deciduous tree *Artocarpus lacucha* (AL), sometimes referred to as monkey fruit or barhar (Bengali: Dewa), is a member of the Moraceae family. Despite being grown all over the world, this plant is thought to have originated in India. The fruits are typically consumed raw. It is thought that the edible fruit pulp acts as a liver tonic (Gautham and Patel, 2014). In Thailand, the heartwood of this species, called as "Puag-haad," is traditionally used to make an aqueous extract that is used to treat tapeworm infections (Charoenlarp et al., 1981; Jacobsen and Salguero, 2014)

In addition, it has been claimed that the fruits contain phenols, tannins, steroids, alkaloids, flavanoids, saponins (Jahan et al., 2011; Suwannalert et al., 2012) minerals, proteins and carbohydrates ((Suwannalert et al., 2012).

The constituents in leaves include flavonoids, phenols, tannins, lupeol acetate, oxyresveratrol. Artocarpin, norartocarpin, norcycloartocarpin, cycloartocarpin, resorcinol, oxyresveratrol, and β sitosterol have all been reported to be present in the heartwood (Sastry et al., 1972)

Different parts of the plant have been used as folk medicine for a variety of conditions, including liver illnesses, as an antioxidant, analgesic, anti-inflammatory, antibacterial, purgative, etc. The reported activities on different parts of the plant are antidiarrheal, anthelmintic, cytotoxic (Luthfan et al, 2015) antioxidant, hepatoprotective, pancreatic lipase inhibitory (Md. Vusuf Ali et al 2018) antiglycation, skin whitening agent (U.B Jagtap, V.A Bapat 2010 J. Med Plant. Res 2010)

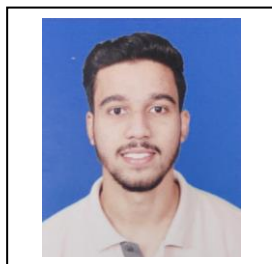
KEYWORDS: Monkey fruit, *Artocarpus lacucha* Roxb, artocarpin, flavonoids

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TITLE: *Hamelia patens* : A Review

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ABSTRACT: (Not more than 250 words)

Hamelia patens Jacq. commonly known as Fire bush, Redhead or Scarlet bush. *Hamelia patens* is tropical, subtropical, perennial, evergreen shrub native of southern Florida, the West Indies and Mexico to Brazil and Argentina.

Hamelia patens leaves are rich in alkaloids, flavonoids, protein, carbohydrates, sterols and glycosides. The major phytoconstituents are Rosmarinic acid, Narirutin, Pteropodine, Isopteropodine, Palmirine, Rumberine, Maruquine.

Various parts of the plant are used as folk medicine against Athlete's foot, psychiatric disorders, asthma, dysentery, ovarian and uterian disorders, rheumatism, headache.

Reported activities of leaves are Antiinflammatory by Veronica Jimenez-Suarez *et al.*, 2015; Antifungal by M. N. Abubacker *et al.*, 2013; Antibacterial by Jorge Enrique Wong Paz *et al.*, 2017; Antidiarrhoeal by Salud Perez G. *et al.*, 1996.

This review aims to provide an overview of *Hamelia patens* aspects such as pharmacognosy, phytochemistry, variety of pharmacologic actions, and other attributes.

Keywords: *Hamelia patens*, Fire bush, Pteropodine, Flavonoids.

TITLE: Interpenetrating Polymer Network Beads: A Novel Approach To Targeted Drug Delivery System



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ABSTRACT: In the last decades, interpenetrating polymer network (IPN) based drug delivery systems gained great attention due to their advanced properties like excellent swelling capacity, biocompatibility, biodegradability, no phase separation, and non-toxicity over conventional individual polymers.

IPN is a combination of two or more polymers in network form at least one of which is polymerized and/or cross-linked in the immediate presence of the others without forming any covalent bond between them to improve mechanical strength and biological performance.

In the past few years, there are various research reported on IPN gastrointestinal beads using natural polymers (xanthan gum, sodium alginate, guar gum, carrageenan, and other polysaccharides), synthetic polymers, and protein-based hydrogel to overcome the disadvantages of the conventional individual polymer drug delivery system.

This review aims to give an overview of the recent design concept of IPN gastrointestinal beads their methods of preparation (Inotropic gelation method, emulsification cross-linked method, wet granulation method, free radical polymerization), applications, therapeutic uses, release pattern (controlled release, sustained release, extended release), factors that affect the morphology of IPNs, and evaluation methods.

KEYWORDS: Gastrointestinal beads , Interpenetrating polymer network (IPN), Preparation methods, Polymers, controlled release, characterization.

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**TITLE: 'NEED OF PATENT PROTECTION & STRATEGIES FOR
PATENT EXTENSION.'**



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ABSTRACT: (Not more than 250 words)

It is well known that the pharmaceutical industry is facing serious financial difficulties. Many high-production medicines lose their patent protection and become generic. The supply of new drugs is too small to fill the gap and provide a platform for future growth. Furthermore, many of the new products are biologics that target much smaller patient populations with comparatively lower prices than conventional pharmaceuticals.

It is therefore time that pharmaceutical scientists improve their understanding of patent basics. This need is reflected in the analysis of the major scientific and legal questions raised in recent patent infringement cases. Facing this scenario, the pharmaceutical industry has moved to hasten the drug development process and to adopt simultaneously different strategies to extend the lifespan of the patent monopoly to provide economic incentives and utilize them for drug discovery and development. This abstract addresses the need for patent protection and various strategies for patent extension. Pharmaceutical scientists and patent attorneys must collaborate closely throughout the lifecycle of the drug to extend its patent life by understanding the concepts and principles of patents. This is necessary for more effective patent creation and the subsequent protection of their intellectual property.

KEYWORDS: Patent, expiration, protection, pharmaceutical industry, drug development, strategies

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TITLE: State-of-the-Art in Patenting of analytical method development.

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ABSTRACT:

Intellectual property right (IPR) in relation to analytical method development is most important aspect in pharma academic, industry & research organization. Analytical method development plays a very important role in all stages of pharmaceutical product lifecycle. It avenue in advancement & validation, perform valuable function in uncovering, enhancing and forging of pharmaceuticals. The well founded & consistent duplicable analytical methods are needed at every stage in development of pharmaceutical product provided that they must meet the potency, purity and stability of the same. In presence of accessible definitive analytical methods there is need of adaptation for assessment of developed pharmaceutical product. The foremost intention for development and validation of analytical methods for pharmaceutical product is to detect impurities and insure purity of active pharmaceutical ingredients, excipients, drug intermediates, finished drug products along with their degradation products to interpret its stability. In order to bring about this, majority of establishments put enormous capital into affirmation of ultra-modern methods to comply with obligations as per official ICH guideline requirements. The adoption of modern approaches to design and validate analytical procedures, increases speed of analysis, reduce use of reagents, decreases generated waste quantities, help to accurately measure analyte in presence of interfering substance, search the analyte having broad range of hydrophobicities, find drug degraded over time, assist for forced degradation studies for stability measurements and reducing overall cost of analysis.

KEYWORDS: Patent, Analytical Method Development, Validation

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