Proceedings

ICMR Sponsored Seminar on "Recent Advances In Novel Drug Delivery System for Herbal Formulations against Neurodegenerative Diseases"

SCHOOL OF PHARMACEUTICAL SCIENCES, under the Siksha 'O' Anusandhan University (NAAC-A) had conducted a two day ICMR Sponsored national seminar on "**RECENT ADVANCES IN NOVEL DRUG DELIVERY SYSTEM FOR HERBAL FORMULATIONS AGAINST NEURODEGENERATIVE DISEASES"** on 17th & 18th Feb 2017 held at Bhubaneswar Orissa. The organizing committee comprised of Dr. P. K. Sahu, Dr. B. B. Subudhi and Mr. P. Tiwari.

Background of the Seminar:

The prevalence of neurodegenerative diseases is predicted to increase rapidly in the coming decades. Considering, the growing number of geriatric population and their susceptibility to neurodegeneration, the burden on society is going to be huge. The World Health Organization forecasts that dementia cases will increase by almost 50% between 2005 and 2030. Unfortunately, current therapies for most neurodegenerative diseases are symptomatic, and few, if any, disease-modifying strategies are available. Over the last few decades there have been advances in understanding the triggers of neurodegenerative disease and this has led us to believe to find novel herbal formulations to overcome this. However, several challenges remain in the field that we must overcome to allow significant progress. Understanding the mechanism is crucial to the management strategy of neurodegeneration. However, the major barrier has been the lack of proper drug delivery system. Accordingly, the challenge at present is to develop herbal drugs to further elucidate the management of neurodegenerative diseases.

Objective:

The objective was to provide a platform for young scientists and academicians to interact and share their experience and knowledge to work on the challenges of research on neurodegenerative diseases and its management

Key Speakers:

Dr. S.K. Dubey

Birla Institute of Technology and Science, Pilani

Dr. Atish Kumar Sahoo

Regional Plant Resource Center, Bhubaneswar

Dr. Ravi Narayan Acharya

State Drug Testing and Research Laboratory (ISM), Bhubaneswar

Dr. Deepak Chetia

Department of Pharmaceutical Sciences, Dibrugarh University, Assam.

Antimicrobial Activity of *Mollugo Pentaphylla* Linn. against Associate Periodontal Diseases - An *In Silico* Approach

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1st Prize Winner

DOI:10.18579/jpcrkc/2017/16/2/116438

ABSTRACT

Introduction: Modern humankind has started turning on herbal source to cure and prevent diseases as that may possess reduced side effect and toxicity.

Experimental: The present study was designed to appraise the antimicrobial activity of different fraction of methanolic extract of aerial part of *Mollugo pentaphylla* Linn. on anaerobic associate periodontal pathogens namely *Fusobacterium nucleatum, Prevotella intermedia, and Prevotella buccae* by quantifying the percentage of growth of inhibition in terms of optical density in Brain–Heart infusion broth at 630nm after incubating in Equitron McIntosh filde's anaerobic jar at 37^{0}C. It was found that diethyl ether fraction showed a more than 90% growth of inhibition and the analysis of chemical constituents of that fraction by Perkin-Elmer GC-MS divulged the presence of a steroid as a major compound. An *in silico* docking was used to predict the possible binding efficacy of that steroid on selected pathogens protein domain and found to have a strong negative binding energy.

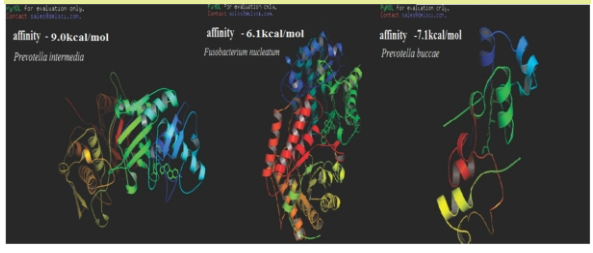
Conclusion: This study unveiled diethyl ether fraction of methanolic extract of aerial part of *Mollugo pentaphylla* Linn. posses an activity on anaerobic associate periodontal disease causing pathogens.

Key words: *Mollugo pentaphylla* Linn, anaerobic, optical density, GC-MS, docking

Table 1: Antimicrobial activity against the tested pathogens

Plant fraction	% Growth of inhibition		
	Fusobacterium nucleatum	*Prevotella intermedia*	*Prevotella buccae*
n-hexane fraction	28 ± 0.23	26 ± 0.14	25 ± 0.34
Diethyl ether fraction	99 ± 0.43	98 ± 0.36	99 ± 0.43
Ethyl acetate fraction	25 ± 0.13	24 ± 0.21	18 ± 0.26

Fig. 1: Docking of steroid with binding efficacy of that steroid on pathogens protein



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Antimicrobial Evaluation of Novel A-Heteroaryl/Arylazo 2-Naphthol Analogues

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2nd Prize Winner

DOI:10.18579/jpcrkc/2017/16/2/116439

ABSTRACT

Eight different aryl / heteroarylazo substituted 2-naphthol congeners have been synthesized. The structures of the synthesized compounds have been confirmed by different modern analytical techniques.The results of antimicrobial activities of the novel synthesized 2-naphthol congeners revealed that the 4-carboxyphenylazo substituted 2-naphthol analogue4-((2-hydroxynaphthalen-1-yl) diazenyl) benzoic acid(4h) showed significant antibacterial activity against *Escherichia coli, Salmonella entericaser typhi, Salmonella enterica typhimurium, Vibrio cholera, Pseudomonas aeruginosa, Micrococcus luteus, Shigella flexneri, Pectobacterium carotovorum, Bacillus subtilis* and *Staphylococcus aureus* in comparison to standard. The solvatochromic effect the synthesized compounds revealed that the compound 1-((4-nitrophenyl) diazenyl) naphthalen-2-ol (4d) showed good bathochromic shift than others in most of the solvents used. The novel synthesized azo molecules may be suggested for the establishment of new search for antimicrobial agents and to create an opportunity in new drug discovery and medicinal research.

***Keywords*:** 2-Naphthol, solvatochromic, Antimicrobial.

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Facile Scale Up and Mechanistic Aspect of Natural Xanthon Derivative Conjugated Gold Nano Particle

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3rd Prize Winner

DOI:10.18579/jpcrkc/2017/16/2/116440

ABSTRACT

Synthesis of size controllable and high scale metal nanoparticles by establishing conventional methods are highly laborious and the utilization of toxic chemicals makes them bio-incompatible. Synthesis of nanoparticles using bio-molecules of plant extract successfully solved this problem with attentive beneficial properties. However the disadvantages of green synthesis are, the prepared nanoparticles are not pure due to the presence of thousands of photochemical in plant extract which is unfavorable for long time storage of NP and also it is very difficult to identify the bio-molecules, responsible for reducing cum stabilizing/capping activity. Therefore the identification of active phytochemical with a specific pharmacological activity of a medicinal plant and the utilization of those bio-molecules for the synthesis of metal nanoparticles to improve their biological activity is more authenticated and applicable. Using this concept we isolated mangiferin from *Mangifera indica* L. leaves which is responsible for various pharmacological activities. Pure Mangiferin is used to prepare gold NP in broad range (0.025mM to 20mM) in which mangiferin acts as both strong reducing and stabilizing/capping agent. Shape and Size of gold NP can easily be controlled by concentration and temperature of reaction. The structural and optical properties of synthesized nanoparticles have been investigated through different instrumental technique like UV, FT-IR, XRD, SEM and TEM analysis. This work also tried to find out the mechanistic aspect of natural xanthon derivative to prepare the metal nanoparticles by NMR and FTIR. Non-toxicity of mangiferin conjugated gold NP on normal human cell line suggesting their future application as a drug delivery system and other medicinal application.

***Keywords*:** *Mangifera indica,* Gold Nanoparticle, XRD, SEM, TEM

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Brine Shrimp Lethality Assay, In-Vitro Antioxidant Activity and Quantitative Estimation of Phenol, Tannin, Flavonoid, and Saponin of Aqueous Extract of Rhizome and Inflorescence of *Heliconia Rostrata*

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Consolation Prize Winner

DOI:10.18579/jpcrkc/2017/16/2/116441

ABSTRACT

Ethnobotanical investigation of *Heliconia rostrata* revels that some tribes of Malaysia uses this plant as a remedy in intestinal pain, jaundice and hypertension, but till now experimental evidence is not established. Preliminary work on phytochemicals and in vitro analysis is important before going for the study of cure of the said diseases. Current work investigates the antioxidant and cytotoxic property of aqueous extract of inflorescence (FAE) and rhizome (RAE). Initial qualitative and quantitative phytochemical investigation revealed that both RAE and FAE contain glycoside, flavonoid, proteins, carbohydrates and reducing sugar, phenol, tannin and saponin. Total phenolic content (TPC), Total Tannin content (TTC), Total Flavonoid content (TFC) and Total Saponin content (TSC) tested using Gallic acid, Tannic acid, Quercetin and Diosgenin as standard, respectively. TPC, TTC, TFC and TSC in FAE were found more than that in RAE. Antioxidant study was performed by DPPH radical scavenging assay, ABTS radical scavenging assay, Hydrogen peroxide radical scavenging assay; phosphomolybdate assay and reducing power study utilizing ascorbic acid as standard. IC_{50} (concentration at which 50% inhibition is observed) of FAE was found less than RAE. Thus, antioxidant property of FAE is more than RAE probably due to more TFC. The brine shrimp lethality assay was performed for cytotoxicity study. LC_{50} (Concentration at which 50% death is observed) of RAE (2095.04 µg/ml) was found less than that of FAE (2675.09 µg/ml) through logit analysis. Thus, both RAE and FAE were found be less toxic and can be easily used as medicinal agents.

Keywords: Phytochemicals, Antioxidant, Cytotoxicity, Inhibition, Lethality

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Solubility and Dissolution Rate Enhancement of Nateglinide by Different Solid Dispersion Techniques

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Consolation Prize Winner

DOI:10.18579/jpcrkc/2017/16/2/116442

ABSTRACT

The aim is to enhance solubility and dissolution rate of nateglinide by using polyethylene glycol 20000. Binary solid dispersions were prepared in physical mixture, solvent and melting method in different ratios of nateglinide: PEG (1:1, 1:3, 1:5, 1:7, 1:9 w/w). Solid dispersions were characterized by FTIR, DSC, XRD, SEM, solubility and dissolution profile. Solubility was increased in all the solid dispersions, whereas 1:5 ratio showed highest solubility. FTIR spectra indicated strong interaction between the drug and carrier with formation of any new peak. Microphotographs of solid dispersions in SEM study showed drug was uniformly dispersed in carrier. Intensity of melting peak of nateglinide was decreased more in melting method than solvent method in PEG 20000 showed in DSC, agreement with XRD due to the transition to amorphous form. Solid dispersions were showed enhancement of dissolution rate than its physical mixtures and pure drug. The dissolution rate was enhanced in the following order melting > solvent > physical mixture > pure drug. The enhancement of solubility and dissolution rate was may due to the increased wettability, particle size reduction. This solid dispersion can be designed into a suitable dosage form for better drug delivery.

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Lipid-Based Nanocarriers Encapsulating a Plant-Derived Therapeutic Agent Showed Successful Blood-Brain Barrier Permeation in Rats: An *In-Vivo* Study

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Consolation Prize Winner

DOI:10.18579/jpcrkc/2017/16/2/116443

ABSTRACT

Effective management of brain related ailments continues to be the challenging area in neuroscience research. Lipid based nanosize carriers owing to their structural uniqueness possess the ability to cross blood-brain barrier (BBB); the major hurdle for the successful transport of therapeutic agents into brain. The present study aimed for the development of a phospholipid based nanosize carrier encapsulating a plant derived therapeutic agent and investigation of its BBB crossing potential *in vivo*. The optimized formulation had a size range between 20-50 nm with smooth surface morphology. The formulation showed a narrow size distribution pattern with 7.8% drug loading capacity. Cryo-transmission electron microscopy study revealed stable formation without any perforation on the outer surface. A sustained drug release profile was observed for the experimental formulation up to 24 h study period. Pharmacokinetic and biodistribution data showed an enhanced residence time of the experimental nanocarrier in brain as compared to the free drug. Gamma scintigraphy studies clearly evidenced an efficient permeation of the nanocarriers through the BBB, as compared to the free drug. Further investigations are warranted to establish its future use in clinical practice.

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Characterization of Conjunctival Vascular Thrombolytic Activity *In-Vivo* using Amlodipine Film Formulation

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ABSTRACT

Background: Cunjunctival vascular thrombosis is a multifactorial disorder in the blood vessels of the cunjunctiva which causes lymphoid aggregation, vascular congestion and hemorrhage, vascular fibrinous necrosis, fibrin thrombi and oedema, proliferation of macrophages containing debris in the lamina propria of the conjunctiva. Amlodipine, a calcium channel blocker has already been proved for having antiplatelate activity. *In vivo* anti-platelet activity of amlodipine has been reported after intimal damage to canine coronary arteries followed by periodic acute thrombus formation using Folts model (Folts, 1997). The objective of present work was undertaken for characterization of cunjunctival vascular thrombolytic activity in rabbit eye using ocular amlodipine films.

Methods: Redox-induced epithelial cell injury model was applied using ferric chloride for inducing conjunctival vascular thrombosis. Normal and induced tear fluid was collected for FTIR study by KBr pellet method.

Results: Five minutes after application of ferric chloride at lower palpebral region of the conjunctiva, the tear fluid showed the characteristic peak of fibrin. Fibrin formation was initiated by the cleavage of the small fibrinopeptides A and B from the N-termini of the Aα and Bβ chains respectively,

converting fibrinogen to fibrin monomer. The fibrin peak was confirmed by FTIR spectroscopy by its characteristic peaks at amide I (1651 cm^{-1}), amide II (1540 cm^{-1}) and amide III (1286-1320 cm^{-1}) (Litvinov et al., 2012). Peaks in between 1250-925 cm^{-1} revealed some kind of protein glycosylation in the tear fluid (Stehfest et al., 2005), which gives a sign of immunological reaction. The characteristic peaks of fibrin and glycosylation reduced significantly after placing film formulation in the cul-di-sac and gradually became normal within 4 hours.

Conclusions: Developed film formulations could overcome the drawbacks of the conventional eye drops to improve ocular bioavailability and sustainability of the delivery of drug for better therapeutic management and patient compliance. This characterization may be further helpful in early detection of conjunctival vascular thrombosis.

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Brine Shrimp Lethality Study on Samasarkara Churna - A Classical Ayurvedic Formulation

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DOI:10.18579/jpcrkc/2017/16/2/116445

ABSTRACT

Samasarkara Churna, a polyherbal formulation comprising of 6 ingredients, viz., Lavanga *(Syzygium aromaticum),* Jatiphala *(Myristica fragrans),* Pippali *(Piper longum),* Marica *(Piper nigrum),* Mahausadha *(Zingiber officinale)* and Sita (Sugar) mixed in fixed proportion is prescribed in Ayurveda for treating conditions such as asthma, cough, hyperacidity and fever. Artemias (Artemiidae) are a type of salt-water shrimp invertebrate, which are found in salty lakes. Many plant research studies have been carried out in *A. salina*, for toxicity screening of chemical and natural products and isolated active compounds in herbal extracts. Here we are the first to report on the toxicity and safety of crude solvent extracts of the Samasarkara Churna using *A. salina*. In this current work, LC_{50} of different extracts (methanolic, aqueous, hydroalcoholic) of Samasarkara Churna has been studied by brine shrimp lethality bioassay (BSLB) using *Artemia salina*. The brine shrimp lethality bioassay shows a moderate cytotoxicity at high concentration. The LC_{50} for the extracts were found to be methanol; 500 mg/ml, water; 1000 mg/ml, hydroalcoholic; 1000 mg/ml at 24 hours of exposure. This study demonstrates that different extracts of Samasarkara Churna containing bioactive compounds of potential therapeutic significance are relatively safe from toxic effects and confirm of the safety of use of the formulation in Ayurveda.

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Synthesis and Molecular Docking Studies of Some New Quinoxaline Derivatives as Potential Antimicrobial Agents

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DOI:10.18579/jpcrkc/2017/16/2/116446

ABSTRACT

A series of quinoxaline derivatives was synthesized using N-4-Chloro-2-nitrophenyl glycine and tin powder to yield 3, 4-dihydro quinoxalin-2-(1H)-one **(2)** further it was treated with phosphonyl chloride to afford2-chloro-1, 2, 3, 4 –tetra hydro quinoxaline **(3)**. Compound **3** was further reacted with substituted aniline to yield title compounds **(5a-i)**. These quinoxaline derivatives were characterized by FT-IR and 1H-NMR and were examined for antimicrobial activity against gram positive and negative strains using in-vitro Disc-Diffusion method. Molecular docking studies (in silico) were performed on synthesised to identify the binding sites within the active site of the dihydrofolate reductase enzymes.

Keywords: Quinoxaline, Antimicrobial activity, Gram positive bacteria, Gram negative bacteria, Disc diffusion method, Molecular docking.

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Brahmi as a Remedy for Neuro-Degenerative Diseases: A Review

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ABSTRACT

Neurodegenerative breakdown is demarcatedby the failure of brain or central nervous system hampering faulty functioning of brain. Most common neuro-degenerative diseases are as followings aggravation, Alzheimer's suffering, Schizophernia, Conjoint Sclerosis, Amnesia convulsion, Epilepsy, Parkinson's disease. However, now a day's various herbal drugs are available as remedy to target such neurodegenerative disease. Based on exhaustive literature survey Brahmi (*Centella asiatica*) appeared as potent neuro-protective herbal drug and it also improve blood circulation to the brain and even protect brain cells and also improves bioavailability. The objective of paper is to recognize role of Brahmi in treatment of memory loss and strengthening memory power the core component which is hampered by Parkinson disease. Also the paper attempts to instigate Brahmi for improving health status among the middle aged and elderly people. Brahmi is all purposeful in improving from various mental diseases and mental suffering. The beneficial components is attributed which improve the amount of glutathione in the brain and decrease the quantity of malondialdehyde. This malondialdehyde is the active component or rather say chemical component of Brahmi. It also follows anxiolytic and anti-depressant properties which are beneficial in remedial status i.e. treating neural problems such as epilepsy, anxiety and memory loss. So it is therefore concluded that Brahmi have a great impact on neuronal cells and found more potent to treat neurodegenerative disease.

Keywords: Neurodegenerative disease, Mental Suffering, Memory Loss, Memory power.

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Controlled Delivery of Ramipril Using Transdermal Film Formulation

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ABSTRACT

Background: Ramipril, a prodrugconverts to the active metabolite ramiprilat.It is a highly effective ACE-inhibitor in renovascular and resistant type of hypertension. Ramipril is particularly suitable for diabetic hypertensives and reduces cardiovascular complications. A potential ocular hypotensive activity of ramipril has also been studied in acute and chronic models of glaucoma. Literature study revealed that intravenous administration of ramipril has been proven to exhibit the inhibition of intraocular pressure significantly. The present objective was undertaken for the preparation and characterization of transdermal ramipril film formulation.

Method: Ramipril films have been prepared using hydroxypropyl methylcellulose and ethylcellulose at different ratios by solvent casting and evaporation method. Analytical techniques such as FTIR and DSC were used for characterization of films.

Results: FTIR spectroscopy of films revealed the characteristic peaks of Ramipril at 3280cm^{1}due to-NH vibrations, 2935 cm^{-1} and 2865cm^{-1}due to –OH and aromatic –CH stretching respectively, Strong peaks at 1743 cm^{-1} and 1652cm^{-1} revealthe stretching of -C=O of ester and acid group respectively. The band at 3280 cm^{-1} almost disappeared in the films due to binding of drug with polymeric materials by hydrogen bond. The DSC thermogram of pure drug showed sharp endothermic peak at 114C. Absence of endothermic peak at 114C in the film formulations indicated almost complete amorphization of the drug. Broad endothermic peak in between 30– 90C indicated water evaporation from the polymeric matrix of the formulations. The in-vitro dissolution profiles indicated a sustained release of drug with duration of at least 24 h and became more sustained with the decreased level of HPMC in the drug matrix of ethylcellulose.

Conclusion:A sustained delivery of ramipril could be possible by transdermal film formulation for possible management of hypertension and glaucoma. Ex-vivo skin permeation and pharmacological activity are remaining to be performed for establishing in vitro-in vivo correlation.

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Alzheimer's Disease - The Social Burden of 2030: A Review

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DOI:10.18579/jpcrkc/2017/16/2/116449

ABSTRACT

Alzheimer's disease (AD) and dementia is a complex human disease and one of topmost health problem across the globe. The occurrence of dementia among the ageing residents is mounting infinitely. Almost seven million individuals are diagnosed with dementia every year eventually it is being a principal source of death especially inhigh income countries USA, UK, China. The prevalence's has been increasing every year in all parts of the world including India. Nearly 80% of dementia cases are converted into Alzheimer's disease. Thus it important to specify the key factors responsible for the dementia such as environmental factor, genetic factors, sex, age, excess alcohol consumption, obesity and body mass index. In this review, we emphasizing on the association between these factors and possibility of dementia and AD. We also suggest the future focus concerningdevelopment of proper drug delivery system and involved protective factors for neurodegenerative diseases.

***Keywords*:** Alzheimer's disease (AD), dementia, risk factors.

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Evaluation of Anti-Inflammatory and Analgesic Activity of Aqueous Extract of *Olax psittacorum*

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DOI:10.18579/jpcrkc/2017/16/2/116450

ABSTRACT

Literature review revels that methanolic extract of *Olax psittacorum* (family: Olacaceae), contains olaxoside which shows anti-inflammatory activity at 50mg/kg dose. At this dose (25-50mg/kg), it also shows laxative action which may prove to be distressful. Present study examines the anti-inflammatory and analgesic activity of aqueous leaf extract of *Olax psittacorum* (LAE), thereby trying to reduce the laxative action shown in previous study. Carrageenan induced paw oedema and formalin test was implemented for anti-inflammatory and analgesic study, respectively. LAE at doses 50, 100 and 200 mg/kg body weight was used for both the study. Diclofenac (100mg/kg) and Aspirin (100mg/kg) was used as positive control in anti-inflammatory and analgesic study, respectively. The anti-inflammatory effect of LAE (200mg/kg) shows 98.18% inhibition of inflammation in 6 hours which is close to Diclofenac (100% Inhibition). Licking and biting (Type 3 pain) time reduces significantly ($p<0.05, 0.01$) to an average of 5 ± 0 minutes with LAE (200mg/kg) when compared to control (only vehicle) which takes 26 ± 4.18 minutes in average but has no significant difference with Aspirin (1 ± 0 minute). Anti-inflammatory and analgesic activity was shown with all the doses of LAE taken and is found to be dose dependent. Thus, LAE possess both anti-inflammatory and analgesic property without any sign of laxative action on them even at 200 mg/kg.

***Keywords*:** Methanolic, anti-inflammatory, analgesic, aqueous, laxative.

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In-vitro Macrofilaricidal Screening of Methanolic Extracts of Two Medicinal Plants against Experimental Filarial Infections

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DOI:10.18579/jpcrkc/2017/16/2/116451

ABSTRACT

Present study was focused to investigate macrofilaricidal activity of some traditional medicinal plants for treatment of lymphatic filariasis disease. Two medicinal plants viz., *Ricinus communis* and *Andrographis paniculata* were selected for study. Then plants subjected for methanolic extraction. Methanolic extracts of *Ricinus communis* (MRC) and *Andrographis paniculata* (MAP) were subjected to in-*vitro* worm motility assay against adult parasite. Adult parasites was incubated in a medium containing methanolic extract at given concentrations viz.: 0.05, 0.09, 0.15, and 0.2 mg mL^{-1} for 30 min, 1 hr and 2 hr at 37°C and the motility was noted. The inhibition of motility and mobility was clearly found at conc. 0.09 to 0.2 mg mL^{-1}. The effect of extract was found significant ($P<0.05$) for possible macrofilaricidal effect.

Keywords: Antifilarial activity, *in-vitro* studies, worm motility assay, adult parasite.

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Role of Phyto-Molecules in Treatment of Biochemical Oxidative Stress ; Present in *Pongamia Pinnata* (L.) Pierre.

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DOI:10.18579/jpcrkc/2017/16/2/116452

ABSTRACT

The present study was carried out to determine the normalization of oxidative sress in experimental animals by phytocompounds present in methanolic extract of Pongamia pinnata (L.) Pierre. The quantitative estimation of possible antioxidants compounds was done in methanolic extract (80%) of plant leaves obtained by soxlation method. Phytochemical analysis of extract indicated the presence of phenolic constituents like catechuic acid, ellagic acid, ferulic acid. The total phenolic content and total flavonoid content of methanolic extract of leaves was found higher. The present study clearly demonstrated that the plant is having rich phenolic content and antioxidant activities which may be beneficial for the management and treatment of various disorders.

***Keywords*:** ROS, RNS, phenolic constituents and antioxidant activities.

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The Impact of Dementia on Particular Vulnerable Old Age Group

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ABSTRACT

Dementia is the word use toward explain a huge cluster of situation so as to outcome during development turn down here cognition. These contain down fall remembrance, way of thinking, communications skills and the efficiency to bear out activity of everyday alive the main types of dementia are Alzheimer's illness and vascular mental aberration, or combination of the two diagnoses. Amplified hazard of mental aberration is allied through vascular sickness, Parkinson's illness, alcoholic, and intellectual disabilities such as Down syndrome. Communal effect might contain **a** decrease in defeat of service, thrashing of associations, time among friends and families and societal activities, or they require to reposition or modify alive system in organize to give caution. Health effect includes tension, fear, pressure, physical harms and sleep disorder. Supplementary pressure be able to become if the family care is aged and in weakness health themselves. The problem of user power has grown to be the key in financial and societal problem in old supervision. The distinction both people who are careless and their family members having accepted and know the cognitive variation wrought through the succession of the illness are section and package of the change from before ordinary knowledge. Methodologically this paper is based on the survey of literature, of secondary sources related to the dementia, and their social/health problems, also this paper highlights the specific challenges (i.e. discrimination, violence). facing by particular vulnerable group of old age people's in our society due to suffering from dementia.

Keywords: dementia, social, depression, discrimination

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Calcination of Commercial Titanium Dioxide and its Effect on Dissolution of Aceclofenac

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DOI:10.18579/jpcrkc/2017/16/2/116454

ABSTRACT

Background: Titanium dioxide nanoparticles are biocompatible and have already been applied in biomedical field. Aceclofenac is a non-steroidal anti inflammatory drug with very poor oral bioavailability (15%) due to extensive metabolism and incomplete absorption. Present work was undertaken for the preparation of solid dispersion of aceclofenac using calcined TiO_2 and its effect on dissolution has been studied.

Methods: Calcination of commercial TiO_2 was done at 700 °C for 2 h and kneaded freshly with aceclofenac using acetone and solid powder was prepared by solvent evaporation. Characterization was done by analytical techniques of FTIR, XRD and DSC.

Results: X-ray diffraction pattern of calcined TiO_2 showed sharp peak of high intensity at 33(2) confirmed the formation of TiO2 nanoparticles. FTIR band near 1643 and shouldering in the range 3300-3500 cm^{-1} indicated characteristic surface-adsorbed water and hydroxyl groups present in the commercial TiO_2 before calcination. Absence of these bands designated that all organic compounds were removed from the samples after calcination of commercial TiO_2. The main characteristic bands present at 3318 (N–H stretching), 2971 and 2936 cm^{-1} (O–H stretching) and 1716 cm^{-1} (C O stretching) in the spectrum of pure aceclofenac. While in the TiO_2-solid dispersions, N–H stretching and O–H stretching peaks of aceclofenac have gradually been disappeared with the increased content of TiO_2. These results suggested interaction between aceclofenac and TiO_2. DSC thermograms exhibited that the sharp melting endothermic peak at 253 °C of pure aceclofenac has been decreased significantly in all formulations. In-vitro release profiles revealed the pattern as: A2T1>A1T1>A3T1>A1T2.

Conclusion: Solid dispersion of aceclofenac and calcined TiO_2 could be utilized for the development of controlled delivery of aceclofenac topically or systemically.

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Surface Modified Rivastigmine Loaded PCL Nanoparticles for Alzheimer's Disease

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DOI:10.18579/jpcrkc/2017/16/2/116455

ABSTRACT

Alzheimer's is a chronic and progressive neurodegenerative disease. Acetylcholinesterase (AChE) inhibitors plays an important role in the symptomatic treatment of neurodegenerative disorders such as Alzheimer's disease (AD), senile dementia, ataxia and myasthenia gravis. Majority of AChE inhibitors are obtained from natural source. Rivastigmine (RST) is a derivative of natural drug physostigmine used in the treatment of mild to moderate AD. RST is reported to inhibit AChE in the cortex and hippocampus; brain areas involved in cognition. The objective of the present study was to develop the brain targeted controlled release nanoparticles for RST by using a biodegradable polymer. The surface modified nanoparticles targets through receptor-mediated endocytosis across the blood brain barrier and releases the drug in controlled manner with low dose compared to the available oral dosage form. PCL nanoparticles were prepared by solvent extraction/evaporation technique. The optimum percent encapsulation efficiency was obtained for PVA and DMAB stabilizer. The particle size range of the developed nanoparticles with PVA as stabilizer was between 517 nm to 683 nm and with DMAB it was between 362 nm to 445 nm which were analyzed by dynamic light scattering method.

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The Effect of Germination on Phenolic Content and Radical Scavenging Activity of *Citrullus Lanatus* Seeds Protein

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DOI:10.18579/jpcrkc/2017/16/2/116456

ABSTRACT

The nutritive value of the seeds is generally increased during the course of germination. Today, phenolics and proteins are considered as beneficial antioxidants, which are changed dramatically at the time of germination. Antioxidants may serve as defensive agents against various oxidative reactions in human body, also capable of delaying formation of rancidity or diminution of flavor in foods. The most practical way to fight oxidative stress induced diseases is to increase antioxidant capacity in the human body and that could be achieved by consumption of vegetables, fruits and seeds, containing various types of dietary proteins. A large segment of world population consumes dietary protein from vegetable source as the availability of animal protein is limited. Seeds are a source of energy, dietary fiber, protein, mineral and vitamins required for human health. In this study, protein was isolated from *C. lanatus* seeds and sprouts. TPC was determined using Folin Ciocalteau method and the free radical scavenging activity was evaluated by DPPH and ABT method. The present study showed that germination enhances the antioxidant potential. Therefore, *C. lanatus* seeds and sprouts may be used as a natural source of antioxidants in functional foods.

***Keywords*:** *Citrullus lanatus*, antioxidant, germination

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Synthesis and antibacterial evaluation of 2-azetidinone congeners

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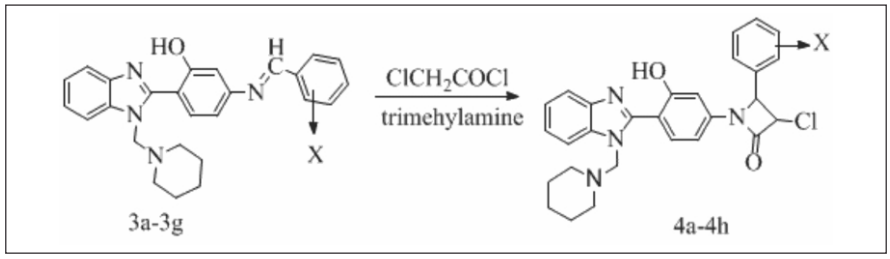
DOI:10.18579/jpcrkc/2017/16/2/116457

ABSTRACT

Heterocyclic chemical entities such as benzimidazole bearing derivatives of 2-azetidinone is well known for potential anti-tubercular activity, antifungal, antiparasitic and antiviral activities. Encourage by the literature investigation reports we have designed and synthesized a potential antimicrobial activity of novel series of 3-chloro-4-(withdrawn/donating substituted phenyl)-1-(3-hydroxy-4-(1-(piperidin-1-ylmethyl)-1H-benzo[d]imidazol-2-yl)phenyl) azetidin-2-one **4a-4g** were synthesized by the condensation of (E)-5- (substituted benzylideneamino)-2-(1-(piperidin-1-ylmethyl)-1H-benzo[d]imidazol-2-yl)phenol **3a-3g** with mono chloroacetyl chloride in presence of trimethylamine as catalyst. The structures of synthesized congeners were confirmed by different analytical techniques and the purity checked by preparative TLC. All the prepared congeners were evaluated *in-vitro* antimicrobial activity against two gram positive bacterial strains and two gram negative strains and results compare with standard antibiotic Amoxicillin. The results found that the synthesized compounds having unsubstituent phenyl (4a) and tolyl substituent (4d) in 2-azetidin 2-one nucleus showed moderate antibacterial activity, whereas the compounds with presence of withdrawing functionality of phenyl which substituted to 2-azetidinone nucleus such as 3-chloro-4-(4-chlorophenyl)-1-(3-hydroxy-4-(1-(piperidin-1-ylmethyl)-1H-benzo[d]imidazol-2-yl)phenyl)azetidin-2-one (4b) 3-chloro-4-(4-nitrophenyl)-1-(3-hydroxy-4-(1-(piperidin-1-ylmethyl)-1H-benzo[d]imidazol-2-yl) phenyl) azetidin-2-one (4g) were exhibited more potent antibacterial activity against all four strains.

Keywords: benzimidazole, 2-azetidinone, antibacterial activity



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Microemulsions for Delivery of Herbal Extract

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DOI:10.18579/jpcrkc/2017/16/2/116458

ABSTRACT

Herbal extracts are very popular and have been largely used all over since ancient times and have been recognized by scientist and user for their good therapeutic effect as they have some adverse effects as compared with modern medicines. Phyto therapeutics demands a scientific and technical principle to delivering the composition in a controlled release to increase patient compliance and to reduce dosing frequency. This can be achieved by designing and formulating novel drug delivery systems (NDDS) for herbal components. Among different available novel drug delivery carriers Microemulsions are one of the popular and versatile carriers. Microemulsions are capable to incorporate both lipophilic as well as hydrophilic extract. Microemulsions for herbal drugs prepared by sonication method to achieve fine micro sized particle. Size of microemulsions determined by electron microscopy. SEM and TEM technique used to determine size, shape and structure of internal matrix of microemulsions globules. Release profile study done through diffusion cell and obtained sustained release of herbal extract entrapped microemulsions. Entrapment efficiency of herbal extract in microemulsion obtained through centrifugal technique with further analytical measurement of formulation. Microemulsion not only reduces the dosing frequency to overcome non-compliance of patient but also help to improve the therapeutic window by reducing toxicity and increasing the bioavailability. Micro-sized drug delivery systems of herbal drugs provide enhancing activity of herbal extract via encapsulation.

Keywords: Microemulsion, extract, sonication, lipophilic.

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Potent Anti-Diarrhoeal and Bacteriocidal Action of *Astonia scholaris L*eaves Extract - Traditionally Uses in the Costal Belt of Odisha

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DOI:10.18579/jpcrkc/2017/16/2/116459

ABSTRACT

*Astonia scholaris*plant occurs throughout India. Its leaves are sharply bitter, acrid, tonic, recommended in Ayurveda as an astringent drug, for treating skin disorders, malarial fever, urticaria and in the snake bite.The leaves are abundantly used to treat diarrhoea and dysentery by the local people of

costal belt of eastern Odisha state of India. It needs the proper scientific authentication by the suitable pharmacological screening method. The antidiarrhoeal activity of ethanol and aqueous extracts of *Astoniascholaris*leaveswas evaluated using castor oil-induced diarrhoea model in Albino rats by using Loperamide as positive control. The plant leaf extracts (at dose level 200 mg/kg) showed significant ($P < 0.05$) inhibitor activity against castor oil induced diarrhoea. Further, evaluation was extended by assessing the antimicrobial effect of ethanol and aqueous extracts on isolated human pathogenic microorganisms.

The study justified that, the different extracts obtained from leaves of the plant *Astonia scholaris* was found to possess significant antidiarrhoeal activity and antimicrobial activity, especially on the causative pathogenic microorganisms (clinical strains) for dirrhoea and dysentery. So, it can be used as good herbal remediesfor controlling diarrhoea and dysentery in modern age.

***Keywords*:** *Astoniascholaris*, wistar rats, diarrhoea, dysentery

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Evaluation of analgesic and anti-inflammatory activity of the leaf extracts of *Nerium oleander* Linn in animal experimental model

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DOI:10.18579/jpcrkc/2017/16/2/116460

ABSTRACT

Nerium oleander Linn (Apocynaceae) is used in Indian folk medicine as an aphrodisiac, chronic pain in joints and abdomen and to treat skin ulcers etc. The present research work was to assess the analgesic and anti-inflammatory activities of different extracts of the leaf of *Nerium oleander* Linn. The aqueous, methanol and petroleum ether extracts (AENO, MENO, and PENO) were administered at two dose levels (i.e. 150 mg/kg and 300 mg/kg b.w.) for both analgesic and anti-inflammatory effects in different standard experimental models. Preliminary phytochemical study showed various phytoconstituents such as common sugars, amino acids, proteins, chlorophyll, alkaloids, flavonoids, saponins, tannins and phenolic compounds and cardenolides. The analgesic effect was investigated by acetic acid induced writhing method using swiss albino mice (20 - 30 g); tail flick and hot plate methods using wistar albino rats (150 - 250 g) of either sex. The anti-inflammatory effect was studied using carrageenan induced rat paw oedema method. MENO and AENO extracts treated groups were significantly ($p < 0.001$) decreased the number of writhing effects and maximum analgesic effect was produced in MENO treated group. MENO treated group significantly reduced ($p < 0.01$) paw oedema induced by carrageenan in dose dependent manner. The MENO treated group enhanced the latencies of tail withdrawal to an equally response produced by pentazocine standard drug. The above useful findings showed that methanol extracts (MENO at 300 mg/kg) of *Nerium oleander* is possessing potent analgesic and anti-inflammatory effects.

Keywords: neurogenic, analgesic, anti-inflammatory, rats, mice

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Antibacterial and Antifungal Activity of Triazole Derivatives of Gallic Acid

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DOI:10.18579/jpcrkc/2017/16/2/116451

ABSTRACT

Heterocycles containing a symmetrical triazole represent an appealing group of compounds. Heterocycles having a wide spectrum of therapeutic activities such as antiinflammatory, anticancer, antitubercular, antiviral and antimicrobial properties. The study was done to amalgamate compounds using P- gallate and hydrazine H_20 as preliminary matter. The scheme Seven different compounds using diverse aromatic substituents. Compounds synthesized were subjected to spectral and physical characterization for structural authentication. The compounds were than subjected to assessment of antibacterial and antifungal activity. The bacterial selection indicate that surrounded by the test compounds number, fairly activity touching all the tested bacterial strains *Bacillus subtilus*, *Staphylococcus aureus*, *Escherichia coli*, *Klebsiella pneumoniae*. Minimum inhibitory concentration value of onset of action against all bacteria strains as compared to that of standard. Antifungal viewing exposed that the test compounds show better activity alongside *Aspergillus niger.* The remaining compounds were found to be less active.

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Free Radical Scavenging Capacity of Methanol Extract of Yellow Bell Orchid Leaves (*Bauhinia tomentosa)*

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DOI:10.18579/jpcrkc/2017/16/2/116452

ABSTRACT

The present study is the first effort to a comprehensive evaluation of antioxidant activity of *Bauhinia tomentosa* (leaves) using various *in vitro* methods such as DPPH and ABTS radical scavenging assays. The experimental results revealed that the methanol extract of *Bauhinia tomentosa* possesses higher polyphenolic compounds and total antioxidant activity than those reported elsewhere for other more conventionally and geographically different varieties. The present study is undertaken to find out natural antioxidants from plant source. Scavenging abilities of *Bauhinia tomentosa* against DPPH and ABTS were found to be 14 µg/ml and 8 µg/ml, respectively, which are comparable to the standard, ascorbic acid. The total phenolic content of methanol extract of *Bauhinia tomentosa* was found to be 46.47 mg GAE/gram dried extract, which was correlated with the antioxidant capacity of this extract. The results of this study showed that the leaves of *Bauhinia tomentosa* possess high free radical scavenging activity and could have great importance as natural therapeutic agent in preventing various oxidative stress induced digenerative diseases.

***Keywords*:** *Bauhinia tomentosa,* DPPH, ABTS, *in vitro* antioxidants.

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Neurodegenerative Disorder Infancy and Childhood: Clinical Assessment

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DOI:10.18579/jpcrkc/2017/16/2/116453

ABSTRACT

Neurodegenerative disorders of infancy and childhood are a miscellaneous group of severe disorders characterized by regression and progressive neurological degeneration with impairment of vision, hearing, speech or movement often associated with seizures, feeding difficulties and impairment of intellect. Neurodegenerative diseases have multiple causes including metabolic, viral, immunopathic, environmental and epileptogenic, but many lack an identifiable biochemical or metabolic cause or mechanism. The detection and diagnosis of childhood neurodegenerative disorders is complex and fraught with pitfalls. There is need to incorporate a rigorous history, including family history, and physical examination as an indispensable component of the diagnostic evaluation. This paper is intended to provide a simplified practical approach to guide residents and generalists in the initial diagnostic evaluation of children with suspected neurodegenerative disorders. Emphasis is placed on useful clinical signs, diagnostic tips, potential pitfalls, and recent advances in therapy.

Keywords: Neurodegenerative disorders , immunopathic, epileptogenic.

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Herbal Anti-Tumor Formulation for Targeting Cancer Cells

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DOI:10.18579/jpcrkc/2017/16/2/116464

ABSTRACT

Targeting of anticancer drugs to the cancer cell, while avoiding normal cells is a challegnge. Herbal anti-cancer drugs like vinorbline , vincristine etc are of great value. An anticancer formulation is prepared using these drugs of herbal origin . These drugs target microtubules and prevent the process of cell multiplication. Formulation containing vinca alkaloids has been formulated as microparticles to target tumor cells in the gastro intestinal tract. The microparticles are prepared from bioadhessive polymers by chemicaL microencapsulation technique. Entrapment efficacy drug polymer ratio in formulation was 87.1% and 1:3. the bioavailability of drug in formulation was more than the free drug. uniform size microparticles of 88+_0.3micron to 126+_0.71micron was obtained. The microparticles continuously released a constant amount of drug for 13 hr and studied by various Kinetic models.

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Novel Drug Delivery Systems for Herbal Drugs against Neurodegenerative Disease: A Review

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DOI:10.18579/jpcrkc/2017/16/2/116465

ABSTRACT

Many neurodegenerative diseases including Alzheimer's disease, Parkinson's disease, Huntington's disease, amyotrophic lateral sclerosis, multiple sclerosis and psychiatric disorders, occur as a result of progressive degeneration or death of neuron cells. There are many herbal drugs having efficacy in neurodegenerative diseases. Modern phytopharmaceutical research can facilitate brain targeting of herbal medicines in the form of Novel Drug delivery System (NDDS) like nanoparticles, microemulsions, matrix systems, solid dispersions, liposomes, phytosomes, transdermal drug delivery systems and ethosomes. NDDS may play a vital role to cross the blood brain barrier in neurodegenerative disorders. Now-a-days, number of NDDS has been developed to achieve controlled and targeted drug delivery in the blood stream. NDDS also opens new door for delivery of herbal drugs at accurate concentration, study of mechanism of action and provide scientific way for standardization of herbal drugs. The present review highlights the existing status of the development of novel herbal drug delivery systems for the neuroportective effect.

***Keywords*:** Herbal, Novel Drug Delivery System (NDDS), Neurodgeneration.

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