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Attenuating effect of coumarin-2-aminothiazole against paraquat induced toxicity in rats

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Background: Several herbicides, especially paraquat, are persistent organic pollutants which cause damage to humans and animals through reactive oxygen and nitrogen species. Coumarins are fused benzene and pyrone ring systems with a wide spectrum of bioactivities. The objective of the current investigation was to assess the preventive effect of Coumarin-2-Aminothiazole (C2A) in a rat model of paraquat-induced toxicity.

Methodology: Acute oral toxicity was conducted according to the OECD guidelines 425 (limit test). In-vitro anti-oxidant activity was evaluated by DPPH assay. Male rats were divided into 6 groups (n=5). Paraquat (10 mg/kg BW i.p) was administered to all groups except normal control 2 h prior to the stranded drug and treatment administration. Normal and disease control rats received normal saline whereas treatment groups received 10,20 and 30 mg/kg C2A for 21 days. Levodopa/carbidopa (7 mg/kg) was used as standard therapy. Behavioural tests (elevated plus maze, light/dark box, morris water maze) were performed at 7-day interval during whole study period. The rats were euthanized at day 21. Analysis included Enzyme Linked Immuno Sorbent Assay (ELISA) for measuring levels of inflammatory markers (IL-1b, IL-6 and TNFα). Assays for oxidative stress Super-Oxide Dismutase activity (SOD), Catalase (CAT), reduced Glutathione (GSH), Malondialdehyde (MDA) and Nitric Oxide (NO) were also accessed. Neurotransmitters, Dopamine (DA) and Nor-Adrenaline (NA) levels were measured. Computer-aided molecular modeling was used to examine the conformational relationship between the C2A and Toll Like Receptor 4 (TLR4).

Results: C2A revealed no toxicity up to the dose of 2000 mg/kg. C2A exhibited potent anti-oxidant activity with an IC 50 of (82.6 µg/mL) as compared to standard ascorbic acid (37.50 µg/mL). C2A treated groups significantly increased ($p<0.05$) time spent in open arms and light chamber compared to the disease control group whereas decreased in escape latency ($p<0.05$) was observed. The findings suggested a decline in inflammatory markers upon treatment with C2A. TNF- , IL-1 and IL-6 concentrations were restored ($p<0.001$) in C2A treated rats. Similar findings were observed for oxidative stress markers. Activities of SOD, CAT and concentration of GSH, MDA and NO were restored ($p<0.001$) in brain homogenate and serum samples of treated rats. Dopamine and noradrenaline levels were also improved upon treatment with C2A ($p<0.001$). The docking score of TLR is -5.6 Kcal/mol, C2A demonstrated positive interactions with the relevant proteins' critical amino acid residues.

Conclusion Treatment with C2A prevented the paraquat-induced toxicity in the brain due to its antioxidant and anti-inflammatory properties. The main mechanism involved in ameliorating paraquat toxicity in the brain is through reduction of oxidative stress and Neuroinflammation.

Keywords: Neurotoxicity, Coumarin 2 aminothiazole, Inflammatory markers, Oxidative stress, Neurotransmitter.

Biography

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Formulation of an extemporaneous preparation for pediatric use: Suspension of Captopril at 1 mg/ml

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The pharmaceutical development and marketing of a drug is a very complex, long and regulated process. The range of drugs pediatric use is very restricted, as these products are expensive, unprofitable to develop. In the context of dose adjustment, pediatric preparations are the more often made in the form of capsules.

The child must benefit from appropriate treatment. Pediatric preparations oral liquids facilitate dose adjustment and easy administration by the hospital staff.

The objective of this work is the formulation of a suspension vehicle or matrix of liquid excipient for the adaptation of dosage in pediatrics, Application of the formulated vehicle to an active principle.

To meet the need for dosage adjustment in pediatrics, we have proceeded to formulate a versatile stable suspension vehicle which will be used for dosage adjustment. Several formulation tests have allowed establishing several qualitative and quantitative formulas of vehicles for suspension. A sweetener and flavorings have also been added to improve the palatability and consequently the compliance of the treatment.

Several parameters were checked: density, pH, rheological study.

The vehicle prepared was used for the preparation of a suspension of captopril at 1 mg/ml. A stability study was carried out for 60 days.

The pH and the final viscosity of the vehicles prepared meet the objectives set in the departure. The physical stability of the vehicles as well as the rheological behavior has been considered satisfactory for several formulations. Suspensions containing the principle active ingredient showed a pH within the acceptability range of 4-5. The stability of the suspensions of active ingredients is conditioned by the stability of the vehicles. Chemical stability was also assessed by spectrophotometry Visible UV. The rheological study demonstrated the shear-thinning behavior of certain formulas.

At the end of this work, we ended up with the formulation of a vehicle for extemporaneous preparation also called matrices of liquid excipients in the shear-thinning behavior. The latter was applied to the preparation of a suspension of captopril at 1 mg/ml. This work was motivated by the lack of formulations suitable for pediatric use. In addition, captopril is widely prescribed by pediatricians especially for children with heart disease congenital. Thus, the presence of pharmacists at the level of pharmacies hospitals would enable the adoption of safe preparation practices and scientifically proven.

Biography

Benaziz Ouarda is an Associate Professor With experience in pharmaceutical research and development. Currently she is practicing at the university hospital center.

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Medication dosing and body weight

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Patient's weight is a crucial consideration in medication dosage since the size of the body affects the concentration of the drug in body fluids and at the site of action. Dose calculation based on body weight became standard for certain medications dosing. Dosing based on patient's specific weight makes the drug quantity administered specific to the patient being treated. When a drug is absorbed into the bloodstream, it is rapidly circulated through the body. Blood is circulated for about one minute on average. As the blood recirculates, the drug moves from the bloodstream into the body's tissues for example: fat, muscle, and brain tissue. Once absorbed, most drugs do not spread equally throughout the body. In the body, water soluble drugs tends to stay within the blood and surrounding tissues, while fat soluble drugs tend to concentrate in fatty tissues. Other drugs concentrate mainly in only one small part of the body for example: iodine concentrates mainly in the thyroid gland; because the tissues have a special attraction for affinity and the ability to retain that drug. Factors Affecting Drug distribution are plasma protein binding, physicochemical properties of the medication (lipophilicity, hydrophilicity), tissue blood flow and membrane transporters. Body composition in a normal body weight and obese patients, 20% from normal body weight is adipose weight and 80% lean weight, however, 40% from obese patient weight is adipose tissue and 60% is lean weight. Hydrophilic drugs excreted by renal clearance, has low volume of distribution, low Intracellular penetration and high extracellular distribution in comparison to lipophilic drugs that are excreted by hepatic clearance has high volume of distribution, high Intracellular penetration and low extracellular distribution.

Biography

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Devendra Ridhurkar, J Mol Parm Org Process Res 2022, Volume 10

Bioavailability enhancement of poorly water-soluble drugs (BCS Class II and IV Drugs) using Hot-Melt Extrusion (HME): The cost-effective approach

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For orally administered drugs, solubility and permeability is one of the rate-limiting factors to achieve their desired concentration in systemic circulation for pharma¬cological response. Poor solubility of BCS class II and IV drugs is attributable for delay or failure and due to this reason formulation scientist faces a major challenge to develop a formulation with good bioavailability. Enhancement of solubility and bioavailability of poorly soluble drugs can be achieved by amorphous solid dispersions which are prepared by converting the poorly water-soluble crystalline form into a more soluble amorphous form within the polymeric blends. Hot Melt Extrusion (HME) has been widely used to prepare amorphous solid dispersions for the improvement of solubility and dissolution rates of poorly soluble materials. During the melt extrusion process, the dissolution of APIs into the polymer matrix is accelerated under the influence of shear and heat. HME has gained popularity in the pharmaceutical industry as a means of improv¬ing the bioavailability of drugs due to its wide applications, simple pro¬cess and low costs. HME is an efficient technology for producing solid molecular dispersions with considerable advantages including the absence of solvents, few processing steps, and con¬tinuous operation over solvent-based processes such as spray drying and co-precipitation. Also, HME is one of the recommended processes by FDA to encourage move from batch-to-continuous manufacturing. Moreover, it can be used to earn intellectual property and to make the noninfringing strategies for products development with ANDA para IV fillings. Marketed formulations Kaletra® and Onmel® which are prepared by HME technology are the classical examples.

Biography

Ridhurkar works as an Expert Scientist at Neurax Pharm., Barcelona. He is Subject Matter Expert over 16 years of scientific leadership and management experience in development and manufacturing of NCE, Proprietary and Generic (Complex, Specialty and Branded) for global pharma majors like Servier, Hungary, Dr. Reddys India. He is expert in using platform technologies like hot melt extrusion, nanotechnology, and cyclodextrin complexation. He obtained his M. Pharm, PhD degree in Pharmaceutics from IIT, Varanasi, India. He is a member of editorial board for various pharmaceutical journals and has earned to his credit over 10 peer-reviewed papers in reputed international and national journals and 9 patents to his credit. He has been associated with various pharmaceutical bodies in Hungary, India and American Association of Pharmaceutical Scientists. He is a member of programme advisory committee for Pharma Connect Congress, Hungary and has attended and delivered seminars and presentations at various national and international conferences.

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Danilo A. Tagle, J Mol Parm Org Process Res 2022, Volume 10

Microphysiological systems: Tissue chips for drug screening program for safety, efficacy and precision medicine

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Approximately 90% of drugs fail in human clinical trials due to adverse reactions and/or due to lack of efficacy. These failures can be attributed to poor predictability of human response from animal studies and 2D in vitro models being used preclinical drug development. To address these challenges, the Tissue Chips or Microphysiological Systems for Drug Screening program supports the development of alternative approaches for more predictive readouts of toxicity and efficacy of candidate drugs. Tissue chips are bioengineered 3D microfluidic chips with human-derived cells and tissues that mimic the cytoarchitecture and functional units of human organs and systems. Initially tissue chips were used for in vitro models for risk assessment in safety pharmacology. As an example, human liver on chips have been shown to have 87% sensitivity and 100% specificity in anticipating the drug toxicities of 22 compounds with known hepatotoxic (was advanced to human use based on previous preclinical data but was withdrawn due to toxicities which collectively are responsible for more than 200 patient deaths and 10 liver transplants) outperforming liver spheroids which showed a sensitivity of only 47%. In addition to toxicity studies in drug development, tissue chips are also being used to model various human diseases and conditions when animal models do not mirror the pathology or are unavailable. Tissue chips was used to model chronic inflammatory demyelinating polyneuropathy and multifocal motor neuropathy, a pair of rare, devastating neuromuscular diseases, which led to the identification of a repurposed drug and approval by the FDA for phase 2 clinical trial. A more recent application of tissue chips is on its use as "clinical trials on chips" to inform clinical trial design and implementation. This new initiative will help establish patient recruitment criteria, stratify patients to determine who the best responders to specific therapies are, include population diversity and identify clinically relevant biomarkers. Presentation will also include an overview over the decade of support from NIH, partnerships with various stakeholders including the FDA and pharmaceutical industry.

Biography

Danilo Tagle is currently Director, Office of Special Initiatives at the National Center for Advancing Translational Sciences (NCATS) of the National Institutes of Health (NIH). He obtained his PhD in molecular biology and genetics from Wayne State University School of Medicine in 1990. He was an NIH National Research Service Award postdoctoral fellow in human genetics at the University of Michigan. He has authored many scientific publications and has garnered numerous awards, including more recently the Roscoe O. Brady Award for Innovation and Accomplishment; the Henry J. Heimlich Award for Innovative Medicine and the HHS Secretary's Award for Distinguished Service: Rapid Acceleration of Diagnostics (RADx) Initiative.

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Surajit Roy et al., J Mol Parm Org Process Res 2022, Volume 10

Probiotic potentiality and antibacterial activity of honey derived Lactobacillus plantarum from Malda, India

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Background: The beneficiary role of Lactic Acid Bacteria (LAB) as potential probiotics is well accepted worldwide. The objective of our current study was to isolate and identify LAB from natural honey as well as to investigate the probiotic potentiality and antibacterial activity of the isolates.

Methods: Freshly collected natural honeys were streaked on MRS agar plate and incubated at 37°C for 24-48 hrs. The isolated single bacterial colony was then subcultured to obtain pure colony. The LAB isolates were identified performing both conventional as well as molecular identification by 16S rRNA gene sequencing and phylogenetic tree analysis. Probiotic potentiality of isolated LAB was tested against a number of parameters. Broad spectrum antibacterial activity of the LAB was performed by both agar-overlay and agar-well diffusion methods. The results obtained in terms of ZDI (in mm) were interpreted accordingly.

Results: Two LAB strains (LMEMh and LMEMh1) were isolated from honey samples (mustard and multifloral) and were identified as Lactobacillus plantarum. Both the strains were tolerant to low pH, high concentration of sodium chloride and bile salt. In agar-overlay method, the lactobacilli showed broad spectrum antibacterial activity with ZDI (Zone Diameter of Inhibition) values ranged from 13.67 ± 0.47 mm to 31.67 ± 0.94 mm. Following agar well diffusion, ZDI values of culture filtrate (at pH 5.5) were ranged from 10.33 ± 1.25 mm to 20.33 ± 1.70 mm whereas no zone of inhibition was observed for the culture filtrate, at pH 7.0, against pathogenic bacterial strains.

Conclusion: LAB isolates possess excellent antibacterial activity and are useful agent with probiotic potentiality.

Keywords: Lactic acid bacteria, Probiotic, Lactobacillus plantarum, Zone diameter of inhibition.

Biography

Shyamapada Mandal is Professor and Head of the Department of Zoology and Dean (Science), University of Gour Banga, India. He is interested on infectious diseases, probiotics and genomics and bioinformatics research. He did pre-PhD, PhD and post-PhD research under the guidance of Professor Nishith Kumar Pal at Calcutta School of Tropical Medicine, India. He has published 118 articles with eight book chapters. He is life member of IAMM and IASR, India and fellow member of SASS, India. Eight national academic and research awards have been conferred to him. He has guided 52 post graduate students; supervised three MPhil and three PhD students and supervising 6 PhD and one MPhil students. He is among the world's top 2% scientists as per the survey of the Stanford University, published in PLOS (Public Library of Science) Biology (October, 2020). He is featured in the top 2% world scientists list for second consecutive time as published by the Stanford University-Elsevier BV (October, 2021).

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Methanolic extract of the exudates of Aloe otallensis and its effect on Leishmania aethopica parasite

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Background & objectives: Several plant products have been tested and found to possess antileishmanial activity. The present study was undertaken to evaluate antileishmanial activity of methanolic extract of Aloe otallensis on the promastigot stage of Leishmania aethiopica comparing to standard drugs and also tried to screen its phytochemical constitute.

Methods: Phytochemical screening was done using the method mentioned by Evan and Trease on methanolic extract exudates of Aloe otallensis leaf. The extract was also evaluated for in vitro antileishmanial activity against Leishmania aethiopica which is found from the black lion hospital parasitology unit. The result was compared to standard drug of Sodium stibogluconate, milfostin and paramomycin.

Result: The extract has a good antileishmaniacidal activity with an IC50 of 0.041 µg/ml on L. aethiopica (LDC/134). The experimental data shows that relatively it has better activity than paramomycin and milfostin but less activity than sodium stibogluconate. The data analyses was done by pad graph prison version 5 software after it was read by ELISA reader at the wave length of 650 nm. The phytochemical screening of the exudates of aloe otallensis showed the presence of phenol, alkaloid and saponin.

Conclusion: The methanol extract of exudate of Aloe otallensis has a good anti leishmanisis activity and this may be attributed to phenol, alkaloid and saponin present in the plant. But it needs further analysis for the conformation of which constituent present in much concentration and to know which one have highest role.

Keywords: Anti leishmanisis, Aloe otallensis, Aethiopica, IC50.

Biography

Tesfaye Zerihun graduated in Chemistry Diploma from Kotebe teaching college on JUN, 2006 and Bachelor of pharmacy on July 2011 from Addis Ababa University. He employed at Addis Ababa university aklilu Lema institution of path biology Research center on September 2007 as Technical Assistance and served for the past 5 years. He gives Technical support for Master and PhD students both on the field and Laboratory. Currently, he is working as a chief Pharmacist at Addis Ababa University, college of Health Science, Black lion specialized Teaching Hospital in Mentoring under graduate pharmacy students who are coming to the hospital for clinical attachment both at the ward and dispensary area. He is also participating in some of Clinical research which is under go in the Hospital beside the routine work.

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