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Pharmacotherapy of migraine prophylaxis: Effectiveness in reducing attack frequency

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Pharmacological prophylaxis for migraine consists of a long list of drugs. Beta blockers, antidepressants, antiepileptics, calcium channel blockers, pregabalin, levetiracetam, etc. The main drugs utilized for a long time and a number of studies have been conducted to investigate their efficacy in migraine prophylaxis. To assess the effectiveness of well-known drugs and some newer ones we searched last five-year, original research articles on MEDLINE, Google Scholar and EMBASE on 15th February 2019. We selected randomized or quasi-randomized trials of drugs used in migraine prophylaxis. We didn't compare each of them but searched for their effectiveness individually. Percentage reduction in attack frequency was evaluated. We found 41 studies out of which we include 14 studies. We excluded animal studies, abstract with only papers and studies with missing data. Amitriptyline was found to be most effective ranging from 60% to 83%. Sodium valproate was the most studied drug with 60% to 78% reduction in attack frequency after two months. Pregabalin showed 65% reduction in attack frequency after two months treatment. Levetiracetam found to be effective by 58% to 70% reduction in attack frequency. Flunarizine effectiveness ranges from 46% to 76%. Erenumab (a human monoclonal antibody which blocks calcitonin gene related receptor) also showed 50% reduction in attack frequency. Fremanezumab (quarterly administration) is moderately effective with 40% reduction in attack frequency. Reduction in attack frequency was 63% by melatonin. Omega 3 polyunsaturated fatty acids showed 66% reduction in attack frequency. We may conclude that in reducing migraine attack frequency, older drugs are more effective though newer one also seems to be promising.

Biography

Rahul Kumar has completed his MBBS from GSVM Medical College, Kanpur, India and MD from SN Medical College, Agra, India. He is an Associate Professor in Pharmacology Department at King George's Medical University, Lucknow, India. He has published more than 10 papers in reputed journals.

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Pure bio-active compounds from the Egyptian fungus Aspergillus ASAI

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The last two decades have shown that the fungi can be 'bio-factories' of bioactive secondary metabolites with novel skeletons. Amongst the fungi Aspergillus species are a rich source of structurally unique and biologically active secondary metabolites. During the course of our investigation on bioactive natural products from micro-organisms, we found the extract of fungus ASAI was obtained from the soil sample collected at Giza province, Egypt and identified as Aspergillus sp., it had a promising activity against many pathogenic test organisms. Chromatographic techniques isolation led to the isolation of nine pure compounds, which identified by using 1D and 2D NMR and classified into three types: triterpene, quinone and diketopiperazine alkaloid.

Biography

Mostafa Alasmaey has completed his BSc in Organic Chemistry and MSc in Natural Product Chemistry from Faculty of Science, Al-Azhar University, Egypt, and he is working as a Lecturer Assistant in the same department from 2012. He is pursuing his PhD at Pharmacy School, National and Kapodistrian University of Athens, Greece. He has published two papers in reputed journals in the field of Natural Product Chemistry.

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Association of *NAT2* gene polymorphism with anti-tubercular drug induced hepatotoxicity in North Indian population

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Background: Tuberculosis (TB) is one of the important causes of global mortality and morbidity. Hepatotoxicity is a most serious adverse drug reaction of anti-TB drugs. Various genetic factors are associated with drug-induced hepatotoxicity (DIH). Anti-tubercular drugs are mostly metabolized by N-acetyltransferase 2 *(NAT2)*. Therefore, in this study we aim to assess the association between of *NAT2*genotype polymorphism and drug-induced hepatotoxicity (DIH) in North India population.

Methods: TB patients were recruited in two groups. Seventy (70) TB patients were enrolled as tolerant control group who did not develop DIH, whereas 30 TB patients in anti-tubercular DIH group who developed liver injury during treatment. The genetic polymorphisms of the *NAT2* genes were analyses by PCR-RFLP. Genotype and allele frequencies were evaluated by t-test and odds ratio (OR) with 95% confidence intervals (CIs) to evaluate the strength of associations.

Results: There is high percentage of slow acetylators among North Indian population. The 4% people were fast acetylators, 34% were intermediate acetylators and 62% were slow acetylators. Patients with the slow acetylator genotypes were most common and there was no significant difference between DIH (73.33%) and non-DIH (61.40%) patients. However, the slow-acetylator genotypes (*NAT2**6/7, *NAT2**5/7 and *NAT2**5/6) were also not significantly different in anti-tubercular DIH group and tolerant control group.

Conclusion: In present study, *NAT2* genotype polymorphism was found to have no association with development of anti- tubercular DIH

Biography

Sarvesh Singh has completed his MBBS from LLRM Medical College, Meerut, India and MD from King George's Medical University, Lucknow, India. He is an Associate Professor in Pharmacology, Department at King George's Medical University, Lucknow, India. He has published more than 12 papers in reputed journals.

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UHPLC-HRMS/MS based profiling of Citrullus colocynthis

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Background: Citrullus colocynthis Schrad, also known as colocynth, is a very common fruit in traditional medicine; it is recognized by different pharmacologic activities in traditional Algerian medicine (i.e., purgative, anti-inflammatory, antidiabetic, analgesic, and antiepileptic. Some of these activities were confirmed in modern phytotherapy (Shaheen, et al., 2014). Several scientific studies carried out on crude extracts of pulp and seed of colocynth have demonstrated the antimitotic effect (Sari-Hassoun, et al., 2016), antidiabetic (Ebrahimi, et al., 2016), antiparasitic (Cheraghi Niroumand, et al., 2016), larvicidal (Hamid, et al., 2016) as well as a preventive effect against obesity (Alhawiti, 2018). However, the bioactive chemicals compounds responsible of those activities are not isolated and identified yet. Starting from two fractions of ethyl acetate from pulp and seed obtained at the Lapsaab laboratory in Tlemcen (Algeria), our objective at the PharmaDev laboratory was first to better know the chemical composition in cucurbitacins of these two extracts and secondly to compare the chemical profiles of the seed and the pulp. We were then able to isolate and identify five molecules likely to be responsible for one of the activities mentioned previously.

Materials & Methods: The dereplication part was carried out using a UHPLC-HRMS chain and the raw formulas were obtained via the softwre Xcalibur 3.0. The isolation of the molecules was done by different chromatographic methods: SPE, CC, MPLC and HPLC. Isolated molecules were identified by MS and 300 MHz NMR.

Results: The LC-MS and TLC results showed that the chemical profiles of the seed and pulp ethyl acetate extracts are similar, that would explain why both are active on the same targets. We have also demonstrated the heterogeneity of the cucurbitacins, around 20 cucurbitacins have been identified in each fraction and some of them have never been described in C. colocynthis. The major compound isolated from the two extracts is elaterinid. Other cucurbitacins and a benzoic acid derivative have been isolated and identified: cucurbitacin E, cucurbitacin I, glycosylated cucurbitacin I and 4-hydroxybenzaldehyde.

Conclusion: Our data first demonstrate the similarity in the cucurbitacin composition of the seed and the pulp. These results suggest that the various biological activities of the colocynth could be due to the action of one or many cucurbitacins.

Biography

Farah Djazia Drissi is pursuing her PhD in Abou Bekr Belkaîd University, Tlemcen, Algeria. In 2016, she has received a Master's degree in Biochemistry; the main research of the Master Thesis was the Study of the Dedifferentiation of the Beta Cells in Alpha Cells in Diabetes Type 2. For her Doctoral Research, she takes a multidisciplinary approach that encompasses the fields of Biochemistry, Molecular Biology, Chemistry, as well as the Pharmacognosy. Her research focuses on the phytochemical study and the research of biological activity of the fruit Citrullus colocynthis.

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Syntheses, characterization, antimicrobial and in-silico studies of schiff-bases of trans-paramethoxycinnamaldehyde derivatives

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A series of new Schiff bases was prepared. The compounds were synthesized from the condensation reaction of trans-p-methoxycinnamaldehyde and the primary amines 2, 4-diaminobenzoic acid, 2-aminophenol and 1, 8-diamino-3, 6-dioxaoctane, respectively, in dry methanol and characterized by UV, FTIR, 1H and 13C NMR spectroscopy. Electronic spectra showed two absorption bands which were assigned to n-δ* and n-π* transitions. Five vibrational modes were observed in the FT-IR spectra within range of 1598-1637 cm-1 v(C=N), 1613-1783 cm-1 v(C=C), 3504-3690 cm-1 v(O-H), 3117-3182 cm-1 v(C-H) aromatic and a sharp peak at 1942 cm-1 which was assigned to C=O of CO2H. 1H NMR spectra identified H-C=N, H-C=C(H)-C, CO2H, CH3-O, -OH and phenyl protons within range of δH 6.69-9.62 ppm, 5.99-6.98 ppm, 6.33 ppm, 2.09-3.86 ppm, 8.39 ppm, 6.81-7.94 ppm, respectively. 13C NMR showed the presence of C=N, O-CH3, CO2H, CH2-CH2, phenyl carbon resonance within range of δC 159.25-167.68 ppm, 55.87-5597 ppm, 174.24 ppm, 60.89-71.62 ppm and 115.49-162.50 ppm, respectively. Docking calculations and biological screening against *Pseudomonas aeruginosa, Escherichia coli, Staphylococcus aureus, Bacillus subtilis, Aspergillus niger, Candida albican* were used to test for the antimicrobial activity. The computed dock-scores of the compounds toward bacterial transpeptidase and fungal N-myristoyl transferase were within range of -8.33 to -8.92 Kcal/mol and -11.24 to -12.99 Kcal/mol, respectively; all the compounds were active against *C. albican* at 1.6-5.0 mg/ml MIC range while only TPMC/DDE had activity against *P. aeruginosa* and *E. coli* out the studied bacteria at MIC range of 1.9-7.5 mg/ml which provides the bases to further consider TPMC/DDE in effort to develop new antimicrobial agent.

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Synthesis, characterization, antibacterial and cytotoxicity activities of eugenol derivatives

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Eugenol is one of the phenylpropanoids available in nature, which possess a variety of medicinal properties. In this study, a series of eugenol derivatives were synthesized and characterized by normal spectroscopic techniques of FTIR, UV-Vis, NMR and MS. All the synthesized compounds were further evaluated for their anti-bacterial and cytotoxicity activities. The anti-bacterial activity were tested via well-diffusion method against gram-positive *(Bacillus subtilis, Staphylococcus aureus and Staphylococcus epidermidis)* and gram-negative (*Escherichia coli* and *Staphylococcus typhimurium*) bacteria. Eugenol derivatives showed broad spectrum for anti-bacterial activity with compound 67 emerged as the potential anti-bacterial agent since it was susceptible for both gram-positive and negative bacterial strains. The *in vitro* cytotoxicity was assayed against hepatocellular carcinoma (HepG2) cells using MTS Cell Proliferation Assay Kit (Calorimetric) for selected derivatives (11, 61 and 67); among three tested compounds, 61 exhibited cytotoxicity against targeted cells line with IC50 values of 12.5 µg/ml.

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Photocatalytic degradation of phenol red by using new spinel – type Co1-xCdxFe2O4 nanocomposites

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In this work involve the study of preparing the new spinel Co1-xCdxFe2O4 photocatalyst was prepare by co-precipitation method at different ratios of (X=0.8:0.2, 0.5:0.5, and 0.2:0.8) and calcinations at temperature 6000C for three hours. The prepared powder was recognize by X-ray diffraction, fourier transform technique (FT-IR), UV-visible spectroscopy, scanning electron microscopy (SEM), energy dispersive spectroscopy (EDS), atomic force microscope (AFM) and high performance liquid chromatography (HPLC). The photocatalytic activity was estimated under high pressure mercury lamp (HPML) OSRAM (125) watts for degradation phenol red C19H14O5S solution after find the wavelength at λ max 432 nm. The conclusion showed that (0.5:0.5) percentage at 6000C has high activity than other ratio at different temperature. After this study several measure such as better of mass for the catalyst, initial of concentration for spinel Co1-XCdXFe2O4, effect of pH, effect of temperature. Studies have shown X-ray and electron microscopy studies showed the average size of the granules prepared for the composite in this manner (18.16-39.64). The electrical characteristics (L.C.R) were also studied for all spinel. Cadmium alone was an electrical insulator but by adding cobalt with iron it turned into a semiconductor of the electric current.

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Design, synthesis, molecular docking, molecular characterization and biological activity of novel synthetic peptide derivatives

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Our interest in the design, synthesis and biological investigations of peptides is, progressively, reported. Herein, the search for potent biological agents presented and updated area of the organo-biochemical literature. Herein, Nα-1, 3-benzenedicarbonyl linear peptide candidates, has the structure: Nα-1, 3-benzenedicarbonyl-bis-(Amino acids)-X. On the other hand, Nα-benzenedicarbonyl bridged cyclic-penta-peptides, having the structure: Cyclic-[Nα- benzendicarbonyl- bis-(dipeptide)-L-Lys]-Y. Variable synthetic coupling methods, in solution, as well as experimental reaction conditions, were experimented. The candidates were, chromatographically purified and spectroscopically characterized. A preliminary cytotoxicity evaluation, against eight human cancer cell lines was realized (National Cancer Institute, Egypt). The detailed cytotoxic and hepatotoxic results, compared to those of five common anticancer drugs and their biochemical assays particularly, as histone deacetylase inhibitors, are currently in progress. Structure activity relationships were outlined and suggested prospective were proposed.

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Therapeutic potentials of conypododiol

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Background: The purpose of this research is to investigate the anti-pyretic, anti-inflammatory and antinociceptive effects of conypododiol from Asparagus adscendens. Natural products are used from centuries for different ailments. The majority of drugs isolated from plants have shown good results. It is also reported that most of synthetic drugs have severe unwanted effects. Efforts are made to investigate bioactive plants for introduction and development of drugs having efficacy and the least side effects. The investigations carried out were successful and the compound showed good results.

Objectives: The main objective of this study is to investigate anti-inflammatory, anti-pyretic and antinociceptive effects of conypododiol.

Methods: Brewer's yeast method for pyrexia, paw oedema-modelling, acetic acid induced test for writing and the increasing-temperature hot plate test method were performed.

Results: Different strengths of conypododiol were applied and compared with standard drugs like diclofenac, tramadol, paracetamol and indomethacin. Diclofenac (10 mg/kg) and conypododiol (20 mg/kg) significantly inhibit nociceptive sensation in writhing test. The compound in (40 mg/kg) showed 58.97% inhibition in writhing test for investigation of antinociceptive effect. Tramadol (10 mg/kg) and conypododiol (20 mg/kg) significantly inhibit the nociceptive sensation in pain model (hot plate test). Paracetamol (150 mg/kg) and conypododiol (40 mg/kg) significantly ($P<0.01$, $P<0.05$) inhibit pyrexia in yeast induced pyrexia model. Similarly, conypododiol exhibited anti-inflammatory activity in paw edema modelling and 40 mg/kg markedly effective i.e. 91.92% inhibition.

Conclusion: The results showed that conypododiol possess the effects like anti-inflammatory, anti-nociceptive and anti-pyretic. So the plant confirms the indigenous utility against inflammation, pyrexia and pain.

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Anti-proliferative effect of potential LSD1/CoREST inhibitors based on molecular dynamics model derived from its interaction with tetrahydrofolate cofactor

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Targeting cancer through epigenetics is a recent era, where a specific gene is manipulated without destroying it. Lysine-specific demethylase 1 (LSD1) is one of the enzymes that are associated with chromatin for post-translational modifications, where it demethylates lysine amino acid in the chromatin H3 tail. LSD1 is associated with its corepressor protein CoREST, and utilizes tetrahydrofolate as a cofactor to accept CH2 from the demethylation process. Many studies showed that inhibiting LSD1 could potentially be used to treat cancer epigenetically. The fact that the cofactor is best bound to the active site inspired us to explore its interactions to LSD1/CoREST enzyme complex utilizing molecular dynamics simulation, which aids designing novel and potent inhibitors. Also, the conformational existence of the enzyme complex bound to the cofactor has been investigated. According to the molecular dynamics simulation study, LSD1/CoREST complex is present in open and closed conformations. Furthermore, tetrahydrofolate was found to bind to two binding sub-sites with different binding modes. The model derived from the molecular dynamics simulation study and the key contacts to the active site were used in the subsequent structure based drug design and in-silico screening, which revealed a number of new chemical entities with a potential inhibitory effect of LSD1/CoREST complex. In-silico mining on National Cancer Institute (NCI) database identified 60 promising and structurally diverse inhibitors. The cytotoxic activities of these compounds were tested against different cancer cell lines with different expression modes of LSD1/CoREST complex such as leukaemia K562, prostate cancer PC3 and neuroblastoma SH-SY5Y. All compounds were also tested against normal fibroblast cells to study their selectivity against cancer cells. Applying the abovementioned molecular modeling procedure yielded array of LSD1/CoREST inhibiters with IC50 <5 μM, when tested against different cancer cell lines. Three compounds inhibited the growth of PC3 prostate cells with IC50=(2.68, 2.08 and 2.95 μM), Four of them inhibited the growth of K562 leukaemia cells with IC50=(1.20, 1.92, 2.70, and 1.20 μM) and three of them inhibited the growth of SH-SY5Y neuroblastoma cells with IC50=(0.27, 0.83 and 4.28 μM). These compounds are excellent candidates for further optimization.

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Effects of ascorbic and cinnamic acids on the albumin glycation level in breast cancer patients

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Glycation is the non-enzymatic interaction of carbohydrates with proteins. It is considered as a factor that leads to Alzheimer's disease, diabetes, aging, neuropathy, cancer, and atherosclerosis. *In vitro* and *in vivo* glycation was studied with human and bovine serum albumin as a model of the protein. A concentration of 0.1 M glucose was used as a glycation agent. The level of the Amadori product was determined by thiobarbituric acid calorimetric assay after hydrolysis. Advanced glycation end products (AGEPs) were measured by UV-visible spectrophotometry. Different concentrations of ascorbic acid (vitamin C) and cinnamic acid were found to be potent inhibitors of both the subsequent end products and the glycation reaction. The result showed that, the level of glycation in breast cancer patient is significantly high and ascorbic and cinnamic acids as inhibitors decreased the glycation reaction of albumin.

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Essential oil percentage of celery and parsley and their components as affected by method extraction

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Celery essential oil percentage as given insignificant effect according to the two used methods, meanwhile parsley essential oil percentage appeared significant values, and the main components of the two plants were decreased with extracted by evaporator, (limonene of celery and myristicin of parsley). Limonene was decreased from 71.32% with hydro distillation to 42.04% with evaporator hydro distillation, myristicin was lower from 77.58% to 53.69% according to the previously methods. Monoterpene hydrocarbons were decreased in two plants with evaporator hydro distillation, but oxygenated compounds were increased and the decrease was very low in both two plants, meanwhile sesquiterpene hydrocarbons cleared decrease in celery and increase in parsley.

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Biodegradable injectable in situ depot-forming PLGA for controlled release of paclitaxel

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The purpose of this study is to develop Cremophor® EL-free in situ depot forming loaded with paclitaxel (PTX), able to improve the therapeutic index of the drug and devoid of the adverse effects of Cremophor® EL. Injectable in situ-forming implant have received considerable attention as localized drug delivery systems. Here, we examined a poly-(DL-lactic-co- glycolic) acid (PLGA) as an injectable drug depot for paclitaxel (Ptx). *In vitro* experiments showed that Ptx was released from PLGA over the course of more than 30 days. The release profile shows a slow diffusion-controlled phase, followed by a more rapid degradation-controlled region. Two semi-empirical mathematical models (Power law and Peppas) were applied to drug release data in order to elucidate release mechanisms and kinetics. In order to confirm the results of drug profile release, study of the polymer degradation process for the direct determination of the monomer(s): lactic acid (LA) and glycolic acid (GA) with a new HPLC method is proposed.

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Phytochemical and antioxidant assessments of three fractions from methanol extract of Spathodea campanulata Beauv. leaves

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Methanol extract of Spathodea campanulata leaves was obtained by cold extraction, and partitioned into hexane, ethyl acetate and methanol fractions. Phytochemical screenings of the fractions were carried out using standard procedures to identify the class of constituents present in each of them. Ethyl acetate fraction was subjected to column chromatographic separations by gradient elution, and isolates were TMS (Trimethylsilyl) derivatized and characterized by GC-MS (gas chromatography-mass spectrometry). Antioxidant content was also evaluated on the three fractions using 2, 2-diphenyl-picrylhydrazyl (DPPH) free radical scavenging method. Percentage of inhibition and IC50 values were obtained for each fraction. Phytochemical screenings revealed presence of alkaloids, tannins, saponin, resins, phenol, cardiac glycosides, steroids, flavonoids, anthraquinones and terpenoids in the three fractions in varying concentrations. Alkaloids, resins, phenol and cardiac glycosides were found to be intense in the three fractions, while phlobatannin was found to be absent in all the three fractions. Three compounds isolated from the ethyl acetate fraction were characterized based on MS and IR spectral interpretations as palmitic acid, ethylamine and caffeic acid. Percentage of inhibition of the three fractions indicates that they have substantial antioxidant activity with the standards at high concentration of 250-1000 µg/mL. The hexane fraction has the highest antioxidant activity with an IC50 of 178.46 µg/mL when compared to other fractions; this paper reports phytochemical constituents and high antioxidant activity (at concentrations of 250 µg/ mL and above) of the African tulip tree (Spathodea campanulata) when compared to the standards. This has not been earlier reported in literature, our result supports its wide ethno-medicinal applications.

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Multidrug-resistant tuberculosis patients presenting with bronchiectasis and usefulness of the six-minute walk test: A case series report with literature review

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Multidrug resistant tuberculosis (MDR-TB) is associated with extensive lung damage which impinges on the quality of life during and post-treatment. The six-minute walk test (6-MWT) demonstrates significance in predicting the cardiopulmonary functional status in tuberculosis patients with bronchiectasis. We present a case series of MDR-TB patients with the multifarious manifestation of bronchiectasis and response to the 6-MWT. We found bronchiectasis can occur in primary MDR-TB, which is attributed to overwhelming inflammatory response and delay in diagnosis. Mycetoma was a common complication. The 6-MWT was found to be useful as a bedside tool for predicting functional status. MDR-TB should be promptly diagnosed to prevent life-limiting sequelae. The findings in this case series challenge the assumption that MDR-TB is less virulent and calls for more studies to understand its pathogenesis

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Improving biopharmaceutical properties of diacerein using crystal engineering approach

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Manipulating the biopharmaceutical properties of poorly water-soluble drug molecule seems to be the need of the hour in pharmaceutical industry nowadays. The phenomenon of multi-component crystalline adducts such as salts, co-crystal, eutectics, solid solutions etc., has attracted interest from majority of crystal engineering and pharmaceutical researchers in the past decade to improve the said properties of drug molecule. In context to this, the present research work was aimed to prepare co-crystal of diacerein (DIA) with improved biopharmaceutical properties. Temperature-composition phase diagrams of DIA and conformers were constructed using DSC thermograms obtained for mixtures prepared by liquid assisted grinding. Apart from characteristic V shaped binary phase diagram, no noticeable changes in the FT-IR and PXRD spectra further confirmed eutectic formation of DIA with fumaric acid-FMA (1:2) and 2, 4-dihydroxy benzoic acid-DHA (1:3). As adhesive forces established by complimentary functional groups on DIA and conformers were unable to overcome the stress due to size shape mismatch of component molecules, explains the formation of eutectics. Kinetic solubility in 0.1 N HCl (pH 1.2), acetate buffer (pH 4.5), and phosphate buffer (pH 6.8) was conducted, it revealed that eutectics showed higher solubility than their physical mixtures vis-a-vis parent drug in all three medias. *In-vitro* dissolution and bioavailability profiles of prepared eutectics were improved as compared with pure DIA. Additionally, the study demonstrated that flow properties and tabletability of eutectics were enhanced as compared to DIA alone. Thus, produced eutectics of DIA-FMA and DIA-DHA systems having fast dissolving capabilities, improved tabletability and enhanced in-vivo performance make them more favourable candidates for better dosage form development.

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Synthesis and carbonic anhydrase activity of some new sulfonamides

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Carbonic anhydrase (CAs) isozymes (EC 4.2.1.1) are being in almost all tissues of living organisms and they catalyse CO_2 hydration to bicarbonate (HCO3-) and protons. Inhibition of these enzymes is clinically in use for various classes of diuretics and systemically acting antiglaucoma agents for a long time. Nowadays, researchers show that they have also effect on acting anticonvulsants, anti-obesity, anti-pain, and antitumor agents/diagnostic tools. These isozymes CA diverge in their catalytic activity, subcellular localization and susceptibility to different classes of inhibitors. Some of them are cytosolic (CA I, CA II, CA III, CA VII and CA XIII), others are membrane bound (CA IV, CA IX, CA XII and CA XIV), two are mitochondrial (CA VA and CA VB), and one is buried in saliva (CA VI). Sulfonamides are well known carbonic anhydrase inhibitors (CAI) and a lot of studies were carried on to improve novel CAI. In this study, twelve 2-oxo-2-((4-sulfamoylphenyl) amino) ethyl 4-substitutedpiperazine-1-carbodithioate were synthesized. The chemical structures of the compounds were elucidated by IR, 1H NMR, 13C NMR and HRMS spectral data. The carbonic anhydrase enzyme inhibitor activity was confirmed by used *in vitro* methods. The results indicated that compound 3d showed good inhibition against hCAI enzyme.

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Thymol loaded sodium alginate and ethyl cellulose microparticles by precipitation technique: A comparative study

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Biodegradable polymers are widely used as a drug carrier due to their properties such as better encapsulation efficiency and controlled/sustained release action. Thymol loaded sodium alginate and ethyl cellulose microparticles can be successfully prepared by precipitation method without any incompatibility issues. The main objective of this work was to suitably encapsulate thymol in a biodegradable polymer shell by precipitation technique so that a comparison can be done on the basis of results, such as encapsulation efficiency, release rate, etc. Sodium alginate and ethyl cellulose were used as a polymer shell material and thymol as a core or drug material. The effect of drug-polymer ratio, stirring speed, and time on encapsulation efficiency and drug release were studied. No chemical interaction between thymol and sodium alginate, as well as between thymol and ethyl cellulose were observed in the FTIR study, and the beads obtained were spherical and distinct in nature as seen in SEM analysis. XRD analysis of the sample reveals that majority of the drug was entrapped within the polymer and is dispersed homogeneously at molecular level. Formulation showed that the encapsulation method used gives a sustained action in *in-vitro* release. Formulation between thymol and sodium alginate shows maximum 95.18±0.43% drug release in 10 h, whereas the formulation between thymol and ethyl cellulose shows maximum 98.36±0.37% drug release in 10 hours. Encapsulation efficiency (EE) of thymol loaded ethyl cellulose and sodium alginate microparticles shows direct relationship with the polymer concentration i.e. EE is higher at higher polymer concentration and minimum with lower polymer concentration. (Or polymer concentration increases the EE). The percent EE between thymol and sodium alginate of the microparticle was calculated to be in the range 31.18% to 96.81%. On the other hand the EE between thymol and ethyl cellulose was obtained in the range of 63.12% to 75.47%. This study revealed that the ethyl cellulose is a promising shell material for sustained delivery of thymol which might be due to the smaller particle size of the microparticles.