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V E Gmiro et al., Am J Pharmacol Pharmacother 2018, Volume 5
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N-DECYLTROPINE (IEM-1556) AS THE FIRST ANALGESIC WITH COMBINED PERIPHERAL VAGUS-STIMULATING AND NICOTINIC CENTRAL BLOCKING EFFECT

V E Gmiro and S E Serdyuk
Institute for Experimental Medicine, St. Petersburg, Russia

The N-decyltropine chloride synthesized by us (IEM-1556), which was previously shown to be an selective blocker of nicotinic cholinergic receptors of parasympathetic ganglia, also revealed the properties of a strong analgesic, which cannot be explained only by its central anticholinergic action in the view of lack of significant analgesic activity in a reference such as central nicotinic receptor antagonist, mecamylamine. Earlier, we obtained data in favor of the participation of adenosine and vagal afferents in the development of the analgesic effect of IEM-1556. The essence of the proposed hypothesis is the ability of IEM-1556 to release endogenous adenosine, stimulating subdiaphragmal vagal afferents as a key link in the mechanism of analgesic action of the drug. In our recent paper, we presented experimental data in favor of this hypothesis. As the reference drug, adenosine was used which had the highest analgesic activity in the tail-flick test in rats associated with stimulation of the vagal afferents of the gastric mucosa. Adenosine in a dose of 22-30 mg/kg and IEM-1556 (N-decyltropin chloride) in a dose of 1-3 mg/kg after intramuscular and intragastric administration cause maximal analgesic effect in the tail-flick test and formalin test in 80-100 % of the rats. Dipyridamole inhibiting reuptake of adenosine, in 9-12 times reduces ED50 of adenosine and IEM-1556, and antagonist of adenosine receptors of 1, 3-dipropyl-8-phenylxanthine (DPX) in 3.8-4.5 times increases ED50 of adenosine and IEM-1556 in both tests. The obtained results evidences in favor of participation of endogenous adenosine in the mechanism of the analgesic action IEM-1556. Preliminary anesthesia of the gastric mucosa with 1% lidocaine and subdiaphragmatic gastric vagotomy almost equally in 3.7-4.4 fold increase ED50 IEM-1556 and adenosine in both tests, indicating the involvement of vagal afferents in the gastric mucosa in the development of analgesic action both IEM-1556, and adenosine. The coincidence of the mechanisms of the vagus-stimulating and analgesic action of exogenous adenosine and IEM-1556 demonstrates that IEM-1556 as a probable liberator of endogenous adenosine after system and oral administration in a low dose of 1-3 mg/kg causes development of analgesia as a result of stimulation of adenosine-sensitive vagal afferents in gastric mucosa. In higher doses the analgesic effect of IEM-1556 (which isn't eliminated by DPX, vagotomy and lidocaine) is presumably explained by additional blockade of cholinergic nicotinic receptors in the CNS. IEM-1556, which includes the central nicotinic blocking and peripheral vagus-stimulating components, is the first exemplar of a new class of double-acting analgesics, potentially effective in the treatment of inflammatory, postoperative and neuropathic pain

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Biography

Dr. Valery Gmiro is the leading researcher of Institute Experimental Medicine (Russia). He has published more than 150 papers in reputed journals. The main scientific interest concerns the chemistry and pharmacology of biologically active compounds. He is the USSR State Prise Winner for the investigations in the field of physiology of synaptic transmission. During last years V.Gmiro is working on the problem of the creation of adaptogenic drugs acting through activation of afferent nerves. These drugs were shown to be effective tools to study the mechanisms of transmission of afferent signals and may be of interest in clinic using.

gmiro2119@gmail.com

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APPLICATION OF NIR SPECTROSCOPY FOR CONTENT UNIFORMITY DETERMINATION OF INTACT TABLETS: COMPARISON OF REFLECTION AND TRANSMISSION MODES OF NIR SPECTROSCOPY

Ahmed Shawky Abouzaid[1, 3], Eman S Elzanfaly[2], Ahmed E El Gindy[1], Stephen W Hoag[3] and Ahmed Ibrahim[1, 3]

[1]Misr International University, Cairo, Egypt
[2]Cairo University, Cairo, Egypt
[3]University of Maryland, Baltimore, Maryland, USA

Content uniformity (CU) is a critical quality attribute in tablet manufacturing process. The active pharmaceutical ingredient (API) is usually determined by off-line techniques such as high performance liquid chromatography (HPLC) which is a slow, destructive technique and requires sample preparation. Therefore, near Infrared (NIR) spectroscopy was employed as a process analytical technology (PAT) tool to determine the API and consequently the content uniformity of tablets. NIR spectroscopy is a fast, non-destructive technique and requires minimal sample preparation. The purpose of this work was to develop and validate NIR reflectance and transmittance methods for the determination of the ibuprofen content (mg) for the content uniformity for ibuprofen tablet. Partial least squares (PLS) models for the NIR reflectance and transmittance was constructed by using calibration laboratory tablets with different ibuprofen (IBU) contents spanning from 146.47 mg to 243.91 mg. The predictive performance of the proposed methods was evaluated by traditional chemometric criteria. The corresponding values for the root mean square error of prediction (RMSEP) were equal to 0.96% and 1.83% for NIR reflectance and transmittance methods, respectively; besides using the chemometric criteria to compare analytical performance of proposed NIR methods. Moreover, the proposed NIR methods were successfully validated and implemented for the determination of the content uniformity for three batches that represent three levels of IBU content (160 mg, 200 mg and 240 mg).

shawky0225@gmail.com

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APPLYING GREEN ANALYTICAL CHEMISTRY FOR DEVELOPMENT OF A VALIDATED SPECTROFLUORIMETRIC METHOD FOR DETERMINATION OF MOXIFLOXACIN USING THE EXPERIMENTAL DESIGN APPROACH FOR SCREENING AND OPTIMIZING FACTORS AFFECTING ITS NATIVE FLUORESCENCE

Noha Ibrahim Shaaban[1], Said A Hassan[2], Ahmed E El Gindy[1] and Eman S Elzanfaly[2]

[1]Misr International University, Cairo, Egypt
[2]Cairo University, Cairo, Egypt.

The experimental design was applied for studying and optimizing the different variables affecting the native fluorescence intensity of moxifloxacin using spectrofluorimetric method. The method was divided into two phases. The first phase is a pilot stage; a full factorial design was used in order to screen four independent factors and the interaction between them: temperature (°C) X1, degassing time using the ultrasonicator (min) X2, pH X3 and phosphate buffer concentration (mM) X4. And the interaction between ((X1, X2), (X1, X3), (X1, X4), (X2, X3), (X2, X4), and (X3, X4)). From the four factors only temperature (°C) and pH were identified as significant using analysis of variance. The aim of the second phase is to optimize the method's performance using central composite face-centered design (CCF). It was found that the optimum conditions of temperature and pH were 7.4°C and 9.7, respectively. Linearity was observed over the range of 5-40 ng/mL and the detection limit was 0.9 ng/mL. The optimized method was successfully validated according to the International Conference on Harmonization (ICH) guidelines. Placket-Burman design was used for method robustness. The method was successfully implemented for the determination of the commercial tablets with a recovery of 99.64% and a relative standard deviation of 1.33 %.

nohaibrahim2010@gmail.com
Noha.ibrahim@miuegypt.edu.eg

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PRO-NANOLIPOSPHERS (PNL) FOR IMPROVED ORAL BIOAVAILABILITY OF INSOLUBLE DRUGS

Eliyahu Shmoeli, Dvora Izgelov, Amnon Hoffman and Abraham J Domb

Institute of Drug Research, The Hebrew University of Jerusalem, Israel

Many dispersion systems are currently in use as carriers of substances, particularly biologically active compounds. Despite the great advancements in the area of oral drug delivery systems, many drugs are prone to poor oral bioavailability due to biological barriers that do not allow drug penetration or metabolize the drug. Pro-nanoliposphere (PNL) is a type of self-emulsifying delivery system, which can enhance the oral bioavailability of poorly water soluble compounds by multiconcerted mechanisms which encompass enhanced solubility of the incorporated drug. This formulation spontaneously forms nanoparticles when gently mixed in an aqueous media, such as the upper GI lumen content. When given orally, a drug is absorbed into the enterocytes monolayer in the basolateral side of the intestine. From the apical side of the enterocytes the drug is delivered via the portal vein to the liver and thereafter into the systemic blood circulation. We developed oral formulations for some insoluble drugs, like non psychotropic lipophilic phytocannabinoid cannabidiol (CBD), with improved bioavailability using the PNL technology. Improved PNL formulations were created using GRAS components which dissolved the drug and enhance oral bioavailability. CBD shows therapeutic efficacy in various indications. However, it has poor solubility and extensive Phase I and Phase II metabolism at the enterocyte level, resulting in 6% oral bioavailability. The PNL pre-concentrate with high load drug (50-150 mg per capsule), is composed of lipidic and emulsifying excipients of GRAS status, upon addition to aqueous media, such as stomach liquids, spontaneously form nano-droplets of 500 nm or below, preferably below 50 nm. The solvent, type of the triglyceride, surfactants and their ratios are some of the most effective parameters. This formulation possesses improved oral bioavailability when given to animal or human. The liquid formulation can be packed in soft gelatine capsule or absorbed in an absorbent to form semi-dry powder.

Biography

Eliyahu Shmoeli has completed his PhD from Tehran University. He is a Post-doctoral fellow in the laboratory of Prof. Domb at the Hebrew University of Jerusalem. He has published 8 papers in reputed journals and contributed to a patent application.

Shmoeli.eliyahu@gmail.com

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SOLUBILIZATION OF DRUGS USING SODIUM LAURYL SULFATE: EXPERIMENTAL DATA AND MODELLING

Ali Shayanfar, Mohammad Norouz Alizadeh and Abolghasem Jouyban

Tabriz University of Medical Science, Tabriz, Iran

Micellar solubilisation is a great method for increasing drugs solubility in aqueous environments. At concentrations above the critical micelle concentration (CMC), micelles are formed and they are able to increase the apparent aqueous solubility of poorly soluble drugs. Sodium lauryl sulfate (SLS) is one of the common solubilizing agents in pharmaceutical sciences. Investigation on the water solubility of drugs in the presence of surfactants and the development of a relationship between drug solubility in the presence of SLS and structural descriptors is an important issue in the prediction and understanding of the solubilisation mechanism. The aims of this study are: determination of experimental solubility of drugs in the presence of SLS and development of models for finding a relationship between solubilisation factor by SLS and structural descriptors. Samples were prepared by adding excess amount of 19 drugs (with diverse structural and physicochemical properties) to water and an aqueous solution of SLS at different concentrations, that is, less than (0.1%) and above the CMC (0.5%). The mixtures were placed in a shaker-incubator for 72-96 h at 37°C. Then, the equilibrated samples were filtered and analyzed at maximum wavelengths by UV-spectrophotometry and the concentrations were calculated based on the calibration curves. Afterward, the molecular descriptors of drugs were computed and their relationship with solubilization factor in the presence of SLS was investigated. Most of the drugs showed a considerable increase in solubility above the CMC (0.5%) of SLS. Therefore, the effective mechanism for solubilization by surfactants is the formation of micelles. On the other hand, a good correlation was observed between structural descriptors and solubilization power in the presence of surfactant. Overall, SLS is a good solubilization agent and the solubility in aqueous solution of SLS depends on various structural descriptors.

Biography

Ali Shayanfar obtained his Pharma D in 2009 and PhD in Pharmaceutical Chemistry in 2013 from Tabriz University of Medical Sciences. Currently, he is an Assistant Professor of Pharmaceutical Chemistry at Tabriz University of Medical Sciences and has published more than 70 research articles in international journals. He has received gold medals from the Iranian Razi Research Festival in 2013 for his academic achievements.

shayanfara@outlook.com

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Ahmad M Asiri, Am J Pharmacol Pharmacother 2018, Volume 5
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POLYMORPHISM OF GENES INVOLVED IN METHOTREXATE RESPONSE IN SAUDI PATIENTS WITH RHEUMATOID ARTHRITIS

Ahmad M Asiri
Saudi Arabia

Introduction: Rheumatoid arthritis (RA) is a chronic progressive autoimmune disease which is considered genetically complex, leading cause of bone loss and chronic inflammation of the joints in populations. The aim of the present study was to investigate whether single nucleotide polymorphisms (SNPs) of dihydrofolate reductase gene (DHFR) responsible for the methotrexate (MTX) metabolism and ATP-binding cassette subfamily B member 1 (ABCB1) which is responsible for MTX transportation will affect its efficacy and/or toxicity in Saudi patients with RA.

Objective: The objectives were to measure the efficacy of MTX in treating RA by counting the number of tender, swollen joints, scoring the visual analogue scale (VAS), scoring modified Health Assessment Questionnaire (mHAQ) and to determine the effect of SNPs of SLC19A1 G80A on MTX by measuring the MTX polyglutamate (MTXPG) level in RBCs by high-performance liquid chromatography.

Patients & Methods: A total of hundred patients with RA who received low-dose MTX therapy for at least six months were selected, clinical and demographic characteristics were collected, red blood cell MTX PG concentration were measured and common polymorphisms in reduced folate carrier (RFC-1/SLC191A1G80A) was performed through genotyping procedure.

Results: The allelic frequencies for rs1045642 were 76.8 % for C, 6.0% for T, and 17.2 % for C/T, while, the allelic frequencies for rs1232027 were 50.9 for G/A, 32.5 % for G, 16.6 % for A. The allelic discrimination plot of ABCB1 (rs1045642) SNP and DHFR gene (rs1232027) SNP illustrated the allelic distribution of both SNPs in the RA individuals of the current study. The study did not reveal any association between the polymorphism in ABCB1 gene and toxicity or efficacy of MTX, while revealed an association between C677T polymorphism in the DHFR gene and related toxicities, nausea, photosensitivity, lung infection, skin nodules, menstrual irregularities in patients with RA. We also investigated whether an association exists between drug dose and plasma MTX levels, however, ABCB1 (rs1045642) and DHFR Gene (rs1232027) polymorphism were not associated with the risk of delayed elimination of MTX as MTX plasma level is a usual approach to predict toxicities related to MTX especially when taken in high doses. The current study demonstrated that MTX plasma level was not correlated with toxicities detected in patients on MTX.

Conclusion & Recommendation: The ABCB1 and DHFR genes polymorphisms could be predictive of toxicity and efficacy of MTX treatment in RA patients receiving folate supplementation. In agreement with other studies that the DHFR gene polymorphism is a reliable predictor of toxicity to MTX treatment in RA patients, further studies are needed to determine polymorphisms in other enzymes that might be responsible for the MTX variability in clinical response and toxicity

aasiri36@moh.gov.sa

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PHYSICOCHEMICAL AND RHEOLOGICAL PROPERTIES OF WHEY PROTEIN MICROENCAPSULATED 3, 3'-DIINDOLYLMETHANE

A Khan[1], C N Wang[2], L Li[2], A Killpartrick[3], A Humphrey[3] and M R Guo[2]

[1]Jilin University, Changchun, China
[2]The University of Vermont, Burlington, Vermont, USA
[3]FoodScience Corporation, Williston, Vermont, USA

Diindolylmethane (DIM) is a bioactive metabolite of indole-3-carbinol found in cruciferous vegetables and has anticancer potential. Stability and sensitivity to the environment are the major challenges for the application of this compound. The objective of this study was to develop whey protein microencapsulated DIM using the combined heating-ultrasound method. Solutions with different ratios of DIM to whey protein (1:12, 1:6, 1:4, 1:3, w/w) with constant whey protein (12%, w/v) were heated at 85˚C for 30 min and then treated with ultrasound for 5, 15, and 30 min, respectively. Zeta potential, particle size, and rheological property of the samples were studied. Samples after ultrasound treatment showed significantly the reduced particle size of 280-450 nm and narrowed size distribution (polydispersity index of ~0.47) compared with heated samples ($P<0.05$). A significant decrease in zeta potential ($P<0.05$) was seen when the heated samples (-28.54±54 mV for 1:4 samples) were ultrasound treated for 5 minutes (-37.667±0.77 mV), 15 min (-33.36±0.85 mV) and 30 min (-31.13±1.02 mV). The viscosity of the ultrasound treated samples was significantly ($P<0.05$) decreased as compared to untreated samples. All samples exhibited shear thinning behavior (pseudoplastic, $n<1$) and fitted with Sisko model ($R^2>0.997$). Consistency index (K_s) of the samples was increased by ultrasound treatment. Results indicated that whey protein-based nanoparticles can be used to protect 3, 3'-diindolylmethane for food and pharmaceutical applications.

abbaskhan9916@mails.jlu.edu.cn

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SUSTAINED RELEASE OF METFORMIN HYDROCHLORIDE MICROSPHERES FOR ORAL DRUG DELIVERY SYSTEM

Abdulrhman A Akasha
Tripoli University, Libya

Biodegradable microspheres may develop improved drug delivery system to gastrointestinal tract for treatment of diabetes. Metformin hydrochloride having the ability to produce effect for extended period were prepared using ethyl cellulose and polyvinyl alcohol as the retardant material with entrapment efficiency and extended release using solvent evaporation techniques. Microspheres were prepared by the double emulsification technique (W/O/W). A mixed solvent system of water and chloroform contains metformin, ethyl cellulose and PVA in the ratio of (1:2:1) respectively. The product with a yield (50%) was investigated under immersion lens with magnification of 40X using immersion oil. The prepared microspheres were characterized by drug loading and showed a low entrapment. Microspheres were examined by optical microscopy, the size and the external features of particles determined. The microspheres indicated a mean microsphere size 100 µm in diameter. IR study was carried out to check the compatibility between the selected polymer and metformin hydrochloride. This study was performed to assure that there is complete physical entrapment of the drug into the polymer without any mutual interaction. The DSC and XRD studies proved that, there was retention of the crystalline nature of the drug in solid dispersion ruling out any probability of drug and polymer interaction or complex formation. Initial in vitro experiments are under taken to examine the release profiles of metformin HCl from microspheres in phosphate buffer at 37°C, pH 6.4, the process is followed up to 8 hrs by which the particles mass is eroded.

Akashaabdu@yahoo.co.uk

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ENHANCED TOPICAL ADMINISTRATION OF ASTAXANTHIN FROM ACTIVATED NANOGEL

Deepa H Patel and Rohit V Madariya

Parul Institute of Pharmacy and Research, Parul University, Vadodara, India

The aim of the present investigation was to enhanced topical administration of astaxanthin from activated nanogel. The solubility study of drug in different surfactant solution was carried out by optimizing the different surfactant concentration at which maximum drug gets solubilized. Drug-excipients incompatibility study was carried out using Fourier Transforms Infrared spectroscopy (FTIR). A nanogel based on co-polymerized N-isopropylacrylamide (NIPAM) and butylacrylate (BA) was synthesized, characterized and loaded with astaxanthin by using emulsion polymerization method. Activated nanogel were evaluated for organoleptic characteristic, morphological characteristics, gelling property, particle size, zeta potential, percent drug entrapment, swelling ratio, viscosity, thermal analysis (differential scanning calorimetry), transmission electron microscopy (TEM), *in vitro* drug permeation on rat skin using franz diffusion cell, skin irritation on rat skin and stability. Fourier Transform Infrared Spectroscopy (FTIR) study shows that neither drug decomposition nor drug-excipients and excipient-excipient interactions occurred in the formulation. Solubility of drug was found to be maximum in 1.5% w/v concentration of sodium lauryl sulphate solution. Activated nanogel shows good organoleptic properties. Transmission electron microscopy confirms the nanogel particles were monodisperse by having uniform size and spherical shape. The image also serves to validate the purification step, by the absence of extraneous particulates. Particle size, zeta potential, percent drug entrapment, gelling capacity, viscosity and swelling ratio was found to be 464.90±2.02 nm, -31.7±2.66 mV, 97.19±0.02%, good, 16,000±707 cps and 13.88±0.16 respectively. Differential scanning calorimetry indicated that the lower critical solution temperature for poly (N-isopropylacrylamide-co-Butylacrylate) In deionized water was found to be 31.1˚C and it produced temperature sensitive property. *In vitro* permeation of optimized batch on rat epidermal membrane using in Franz diffusion cells, followed by the addition of saturated aqueous sodium carbonate demonstrated the swelling over the range 25-37˚C, provided a astaxanthin flux of 1.69±0.03 µgcm^{-2}h^{-1} which increased to 0.20±0.0015 µgcm^{-2}h^{-1} upon the addition of saturated aqueous sodium carbonate up to 24 hrs which suggested that the novel mechanism is proposed whereby the change in temperature experienced by the nanogel as it penetrated skin induced de-swelling and expulsion of astaxanthin *in situ*. *In vitro* skin irritation study indicated that no irritation on rat skin. Stability study indicates the developed nanogel was stable at 4-8±2°C / 45±5% RH (Refrigerated) condition after 1 month. In the conclusion, activated nanogel provide nanosized particle size with good percent drug entrapment, increasing flux through skin and better swelling ratio of polymer could be helpful for the topical administration of astaxanthin with enhanced properly in rheumatoid arthritis condition.

pateldeepa18@yahoo.com

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NANOEMULSION BASED INTRANASAL DELIVERY OF RISPERIDONE FOR NOSE TO BRAIN TARGETING

Drashti G Pathak[1], Shailesh T Prajapati[2] and Sarjak P Pathak[2]

[1]Parul Institute of Pharmacy and Research, Parul University, Gujarat
[2]Shri Sarvajanik Pharmacy College, Gujarat Technological University, Gujarat

Risperidone nanoemulsion using different mucoadhesive agent as nasal drug delivery system was prepared to produce quick effect as compared to that of oral route. Solubility of drug was determined in different vehicles. Pseudo ternary phase diagram were generated using Acrysol K 150 as oil, tween 80 as a co-surfactant, and caproyl PGMC as a surfactant. The four formulations were prepared by the spontaneous emulsification method and were further characterized for their percentage transmittance, droplet size and zeta potential. Ex vivo diffusion study of the optimized batch was carried out using goat nasal mucosa. Histopathological study of the optimized batch was studied. Optimized formulation was found to possess the mean globule size 149 mm and zeta potential -17.3 mV. *Ex vivo* study revealed that at the end of 4 h, 93.76% of the dose was diffused successfully. In the histopathological study, formulation treated mucosa did not show any damage to the epithelium layer.

drashti72009@gmail.com

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FAST DISSOLVING DRUG DELIVERY SYSTEMS

Gulay Yelken Demirel[1, 2]

[1]Yeditepe University, Istanbul, Turkey
[2]Sanovel Pharmaceuticals, Istanbul, Turkey

Fast-dissolving formulations represent excellent opportunities for life cycle management to the pharmaceutical companies. Fast dissolving technologies have many advantages like ease of swallowing, administration without water, quick onset of action for improving both patient convenience and compliance as benefits for the patient; extended life cycle, product differentiation, patent protection as benefits for pharmaceutical companies. But there are some challenges for formulation development studies like taste-masking, disintegration time, moisture sensitivity, friability, packaging and intellectual property issues, especially for the generic companies. The technologies are under patent protection like Zydis® , Flashtab®, OraSolv® and DuraSolv™, WOWTAB®. One of the major issues is taste-masking problem that may be overcome with using cyclodextrins, polymer coating, flavouring and sweetening agent, microencapsulation techniques. There are some modified excipients for providing both taste-masking and productability properties in the formulation like Ludiflash®, Pharmaburst®. From the analytical development point of view, there are a number of different methods from conventional dosage forms which are determined in the pharmacopoeias and for comparison and assessment of taste masking, electronic tongue may be a good opportunity which was developed by Alpha M O S. In the sense of generic companies, developing a fast dissolving tablets version of an existing immediate-release product means that the two formulations must be bioequivalent and this can be challenging for *in-vivo* studies especially if the method of taste masking retards the dissolution rate of the active ingredient after disintegration. What about the future of fast dissolving technologies? Orally disintegrating extended Release (ODT-ER) dosage forms are providing all of the benefits of these two drug delivery technologies in a single pharmaceutical product and oral rapid films also may be a good alternative, especially for the OTC market.

gulayelken@gmail.com

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PROTECTIVE EFFECT OF HYDROALCOHOLIC EXTRACT OF PORTULACA OLERACEA AGAINST CADMIUM INDUCED NEPHROTOXICITY

Javad babaei
Valiasr Hospital, Iran

The recent investigations showed that *Portulaca Oleracea (P. oleracea)* has antioxidant with anti-inflammatory effects. This study was carried out to investigate the effects of methanolic extract of *P. oleracea* against cadmium-induced nephrotoxicity in rats. Male albino Wistar rats were randomly divided into nine experimental groups, as follows: Group 1 as a negative control group were treated with normal saline; group 2 received single dose of 2 mg/kg cadmium for two consecutive weeks; groups 3-5 received a methanolic extract of *P. oleracea* in doses of 400, 600 and 800 mg/kg and 2 mg/kg cadmium, respectively for two consecutive weeks. All administrations were performed intraperitoneally. Blood urea nitrogen (BUN) and serum creatinine (Scr), were used to assess nephrotoxicity. Furthermore, the histopathological observations were used to evaluate the changes of tissue. The findings showed that the administration of cadmium leads to a significant increase in the levels of BUN and Scr in comparison to normal saline group ($p < 0.05$). Treated group by the extract of *P. oleracea* significantly altered these changes to almost normal ($P<0.05$). In addition, these findings were supported and confirmed by histological examination. These results suggest that *P. oleracea* extract may be useful in cadmium-induced renal toxicity and might serve as a novel preservative to limit renal injury.

Dr.babaei1981@yahoo.com

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PROPERTY IMPROVEMENT OF PHARMACEUTICAL APIS USING CO-CRYSTALLIZATION AS A UNIQUE APPROACH OF PARTICLE ENGINEERING

Mihir K Rawal
Dean [Faculty of Pharmacy]

Majority of APIs in existence and in the drug discovery process belong to poorly water soluble class. Poor physicochemical properties of API results in to poor bioavailability. Now a day, industry is fighting with the bioavailability issues of APIs. Not only the physicochemical properties but also the mechanical properties of API should be in favor to achieve the successful formulation. Flow and compactibility of particles or powder are the most important consideration in the solid dosage manufacture. Majority of research works are based on the improvement of bioavailability of API using various approach. Very few or none of the works have been done to improve physicochemical as well as mechanical properties simultaneously. Co-crystallization is a particle engineering technique which can be used to modify and improve both the properties simultaneously. Pharmaceutical industries are more interested in the process of manufacturing the dosage forms with minimum steps, less time consuming and of course less laborious way. Co-crystallization technique can lead to the better formulation as an oral drug delivery with fast processing.

rmihir@yahoo.com

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MICRO EMULSION FOR IMPROVED SKIN DELIVERY AND IN VIVO ANTI-INFLAMMATORY EFFECT

Praca FSG[1], Bentley MVLB[1] and Medina WSG[2]

[1]University of São Paulo, Brasil
[2]University of Padre Albino, Brasil

We have designed a microemulsion (ME) containing Ketoprofen (KET) for anti-inflammatory effect evaluated using the rat paw edema model. The ME was prepared by adding propylene glycol (PG) loaded with 1% KET/water (3:1, w/w), to a mixture of sorbitan monooleate and polysorbate 80 (47.0%) at 3:1 (w/w) and canola oil (38.0%). The physicochemical characterization of KET-loaded ME involved particle size and zeta potential determination, entrapment efficiency, calorimetric analysis, and *in vitro* drug release. The *in vivo* anti-inflammatory study employed male Wistar rats. Measurement of the foot volume was performed using a caliper immediately before and 2, 4, and 6 h after injection of Aerosil. KET-loaded ME showed particle size around 20 nm, with zeta potential at -16 mV and entrapment efficiency at 70%. Moreover, KET was converted to the amorphous state when loaded in the formulation and it was shown that the drug was slowly released from the ME. Finally, the *in vivo* biological activity was similar to that of the commercial gel, but ME better controlled edema at 4 h. These results demonstrated that the ME formulation is an alternative strategy for improving KET skin permeation for anti-inflammatory effect. Furthermore, our findings are promising considering that the developed ME was loaded with only 1% KET, and the formulation was able to keep a similar release profile and *in vivo* effect compared to the commercial gel with 2.5% KET. Therefore, the KET-loaded developed herein ME is likely to have a decreased side effect compared with that of the commercial gel, but both presented the same efficacy.

wasigame@gmail.com

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KNOWLEDGE OF BIOLOGY, CHEMISTRY AND PHYSICS CAN BE CRYSTALLISED INTO CONCEPTS BOOST AN ACTIVATOR OF STUDENTS ACROSS

Rahul H

National AIDS Research Institute (NARI), Pimpri-Chinchwad, Maharashtra, India

Concept mapping instructional approach as an activator of students' performance in the teaching and learning of excretion was investigated. The quasi experimental design was employed. Purposive sampling technique was used to select three intact biology classes of SHS 2 students with a total sample size of 108. The two main instruments used for data collection were General Knowledge in Biology Performance Test (GKBPT) and Students' Performance Test in Excretion (SPTE) with K-R 20 reliability coefficient of 0.812 and 0.866 respectively. Point Bi-serial correlation, Wilcoxon Signed Rank test, effect size, chi-square and Kruskal-Wallis H test were employed to analyse the quantitative data collected using the students' achievement scores. The study showed that the effect size of the students' performance in the concept mapping of the post-test scores was better than that of the pre-test scores. The instructional approach did not only improve students' achievement in the biology course but also helped the students to retain the concept learned for longer period. Based on the result, recommendations have been made.

rahulhajare@rediffmail.com

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AN EX VIVO ABOMASAL OVINE MODEL TO STUDY THE IMMEDIATE IMMUNE RESPONSE IN THE CONTEXT OF HAEMONCHUS CONTORTUS LARVAL-STAGE

Saeed El-Ashram
Foshan University, Guangdong province, China

We have set up an *ex vivo* ovine abomasal model, which can mimic the multicellular process to explore the early steps in haemonchine nematode infection using RNA-seq technology. Ovine abomasal explants were collected for histological and transcriptional analysis, supernatants collected to quantitate lactate dehydrogenase (LDH) enzymes. A total of 233 were substantially induced genes between L4-inoculated and uninoculated-control tissues, respectively. However, a total of 14 were considerably down-regulated genes between the 51 aforementioned tissues. Fifteen pathways were annotated by Kyoto Encyclopedia of Genes, and Genomes pathway analysis accounted for the significant percentage in immediate response to larval-stage of *H. contortus*. Key genes up-regulated in response to the addition of L4-inoculum of *H. contortus* were IL-6, IL-8, C1q, atypical chemokine receptor-3, chemokine ligand-2, manganese superoxide dismutase, integrin alpha-7, -8, -9 , integrin subunit beta-1, integrin subunit beta 6, intercellular adhesion molecule-1 and actin alpha-1. This study shows for the first time that galectin-1 is up-regulated in an *ex-vivo* abomasal segment model exposed to L4-inoculum of *H. contortus* following 6 h of incubation. The abomasal segment model has been shown to be a suitable tool to study the haemonchine larval-stage effects on the ovine abomasal tissues prior to *in vivo* assessment.

saeed_elashram@yahoo.com

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FORMULATION AND EVALUATION OF CHRONOTHERAPEUTIC PULSATLE DRUG DELIVERY SYSTEM

Sagar D Kadam[1], Shashikant Dhole[2] and Sohan Chitlange[3]

[1]Savitribai Phule Pune University, H S B P V T's GOI, College of Pharmacy, Kashti, Maharashtra, India
[2]S N Dhole Savitribai Phule Pune University, P E S, Modern College of Pharmacy, Pune, India
[3]Savitribai Phule Pune University, Dr D Y Patil Institute of Pharmaceutical Sciences & Research, Pune, India

The aim of present investigation was to develop press coated tablet for pulsatile drug delivery of Salbutamol sulphate using hydrophilic and hydrophobic polymers. The drug delivery system was designed to deliver the drug at such a time when it could be most needful to patient of rheumatoid arthritis. The press coated tablets containing salbutamol sulphate in the inner core was formulated with an outer shell by different weight ratio of hydrophobic polymer (micronized ethyl cellulose powder) and hydrophilic polymers (Glycinemax Husk or sodium alginate). The release profile of press coated tablet exhibited a lag time followed by burst release, in which outer shell ruptured into two halves. Authors also investigated factors influencing on lag time such as particle size and viscosity of ethyl cellulose, outer coating weight and paddle rpm. The surface morphology of the tablet was examined by a scanning electron microscopy. Differential scanning calorimeter and Fourier transformed infrared spectroscopy study showed compatibility between salbutamol sulphate and coating material.

sagarkadam1111@gmail.com

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ANTIBODY PROTEASES AS A NOVEL BIOMARKER AND A UNIQUE TARGET TO SUIT TRANSLATIONAL TOOLS TO BE APPLIED FOR BIOENGINEERING AND BIOPHARMA

Sergey Suchkov[1, 2, 3], Noel Rose[4], Aleks Gabibov[5] and Harry Schroeder[6]

[1]I M Sechenov First Moscow State Medical University, Moscow, Russia
[2]A I Evdokimov Moscow State Medical & Dental University, Moscow, Russia
[3]EPMA (European Association for Prediction, Prevention and Personalized Medicine), Brus-sels, European Union
[4]Johns Hopkins Center for Autoimmune Disease Research, PAHO/WHO Collaborating Cen-ter for Autoimmune Disorders, Johns Hopkins Medical Institutions, Baltimore, Maryland, USA
[5]Institute for Bioorganic Chemistry, Russian Academy of Sciences, Moscow, Russia
[6]Division of Immunology & Rheumatology-UAB, Birmingham, Alabama, USA

Catalytic Abs (catAbs) are multivalent im-munoglobulins (Igs) with a capacity to hy-drolyze the antigenic (Ag) substrate. In this sense, proteolytic Abs (Ab-proteases) rep-resent Abs to provide proteolytic effects. Abs against myelin basic protein/MBP with proteolytic activity exhibiting sequence-specific cleavage of MBP is of great value to monitor demyelination whilst in multiple sclerosis (MS). The activity of Ab-proteases was first registered at the subclinical stages 1-2 years prior to the clinical illness. And the activity of the Ab-proteases revealed significant correlation with scales of demy-elination and the disability of the patients as well. So, the activity of Ab-proteases and its dynamics tested would confirm a high subclinical and predictive (translational) value of the tools as applica-ble for personalized monitoring protocols. Of tremendous value are Ab-proteases di-rectly affecting remodeling of tissues with multilevel architectonics (for instance, my-elin). By changing sequence specificity one may reach reduction of a density of the negative proteolytic effects within the mye-lin sheath and thus minimizing scales of demyelination. Ab-proteases can be pro-grammed and re-programmed to suit the needs of the body metabolism or could be designed for the development of new cata-lysts with no natural counterparts. Further studies are needed to secure artificial or edited Ab-proteases as translational tools of the newest generation to diagnose, to moni-tor, to control and to treat and rehabilitate MS patients at clinical stages and to prevent the disorder at subclinical stages in persons-at-risks to secure the efficacy of regenerative manipulations.

ssuchkov57@gmail.com

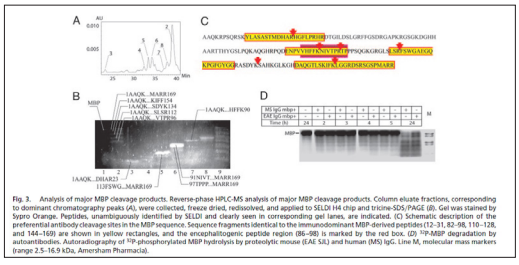

Fig. 3. Analysis of major MBP cleavage products. Reverse-phase HPLC-MS analysis of major MBP cleavage products. Column eluate fractions, corresponding to dominant chromatography peaks (A), were collected, freeze dried, redissolved, and applied to SELDI H4 chip and tricine-SDS-PAGE (B). Gel was stained by Sypro Orange. Peptides, unambiguously identified by SELDI and clearly seen in corresponding gel lanes, are indicated. (C) Schematic description of the preferential antibody cleavage sites in the MBP sequence. Sequence fragments identical to the immunodominant MBP-derived peptides (12–31, 82–98, 110–128, and 144–169) are shown in yellow rectangles, and the encephalitogenic peptide region (86–98) is marked by the red box. (D) ^{32}P-MBP degradation by autoantibodies. Autoradiography of ^{32}P-phosphorylated MBP hydrolysis by proteolytic mouse (EAE SJL) and human (MS) IgG. Line M, molecular mass markers (range 2.5–16.9 kDa; Amersham Pharmacia).

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LIRAGLUTIDE 3.0 MG FOR WEIGHT LOSS, IS IT MORE EFFECTIVE IN DIABETIC OR NON-DIABETIC PATIENTS? A SYSTEMATIC REVIEW AND META-ANALYSIS

Shimaa Elsayad Ahmed
Egypt

Background: Liraglutide is an analogue of Glucagon-Like Peptide-1 (GLP-1) which was approved for treatment of type 2 diabetes mellitus at doses of 1.2 and 1.8 after that was approved at dose of 3.0 mg for treatment of obesity in combination with diet and exercise. Efficacy of liraglutide for weight loss was studied for diabetic and non-diabetic patients as well. The objective of this review is to determine if liraglutide is more effective in diabetic versus non diabetic patients.

Methods: We conducted a systematic review and meta-analysis of randomized clinical trials (RCT) comparing efficacy of liraglutide 3.0 mg for weight loss among diabetic and non-diabetic patients. We searched PubMed, Ovid Medline, Google Scholar and Cochrane Library databases relevant published studies in English from Mar' 2008 until Mar' 2018. We estimated standard mean difference (Std. MD) with 95% confidence intervals using random effects model and assessed for heterogeneity (I2).

Results: We screened a total of 42 studies related to liraglutide efficacy for weight loss from which four studies (with 4678 patients) studies met our inclusion criteria. One of them studied liraglutide efficacy in weight loss in diabetic patients, while the other three studied liraglutide efficacy in weight loss in non-diabetic patients. Weight loss in non-diabetic patients was statistically higher (Std. MD 0.80, CI 0.74 to 0.86, [P=0.49I2=0%]

Discussion: This meta-analysis of RCTs showed that weight loss due to liraglutide use in non-diabetic patients was statistically higher than it in diabetic patients.

Other: More trials on diabetic patients using liraglutide for weight loss are required. Also more trials on variant ethnicities are required.

shimaa_e_fattouh@yahoo.com

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HYPERGLYCEMIA INDUCES SPATIAL WORKING MEMORY AND SOCIAL MEMORY IMPAIRMENTS IN SWISS ALBINO MICE

Usman Adamu Garkuwa[1, 2], Yusuf Tanko[2], Alhassan AWahab[2], Nura G Adamu[3], Buhari Ibrahim[1], Bello Y Adamu[1], Abbas Ibrahim[1] and Ahamd A Ladan[1]

[1]Bauchi State University, Gadau, Nigeria
[2]Ahmadu Bello University, Zaria, Nigeria
[3]Gombe State University, Nigeria

Cognitive deficit is an emerging health concern in diabetic patients and hyperglycemia and reactive oxygen species are well believed to be among the prime candidates mediating the behavioral impairments and memory deficits. The study was conducted to evaluate the effect of hyperglycemia on spatial working memory and social memory on Swiss albino mice. The animals were divided into three (3) groups of six each (n=6). Group I served as normal control and received distilled water, group II, and III were hyperglycemic. Hyperglycemia was induced using Alloxan (150 mg/kg). All administrations were done intraperitoneally. A digital glucometer was used to determine the blood glucose level. Spatial working memory and social memory were assessed using spontaneous alternation in the Y-maze and novel object recognition task (NORT) respectively. The results showed that hyperglycemia significantly ($p < 0.05$) induces spatial working memory and social memory deficits when compared to control. This study demonstrated that hyperglycemia induces cognitive impairment in both spatial working memory and social memory.

garkus_ua@yahoo.com