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Ligia de Souza Fernandes et al., Der Pharmacia Sinica 2019, Volume:10
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STRUCTURAL PHYSICAL ANALYSIS OF THE PSEUDOTERNARY AND NANOESTRUTURED SYSTEM COMPOSED OF SOYBEAN PHOSPHTYILCOLINE AND HYDROGENATED CASTOR OIL

Ligia de Souza Fernandes[1], Anselmo Gomes de Oliveira[1], Marcelo Gde Freitas Araujo[2] and Maria Virginia Scarpa[1]

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Pseudoternary and nanostructured systems are important resources to increase the solubility and transport lipophilic drugs, besides promoting controlled release of the drug, they can still increase their time permanence in the place of action. The work objective was to evaluate the physical structure of the pseudoternary and nanostructured system composed of soybean phosphatidylcholine and hydrogenated castor oil (tensoactive / cosurfactant, 50:50 ratio), capric triglyceride (oily phase) and acetate buffer pH 4.5 (aqueous phase) for intravaginal administration of drugs using polarized light microscopy (PLM), X-ray diffraction (XRD), small angle x-ray scattering (SAXS) and rheological behavior. PLM was made using polarized light microscope with magnification of 10 and 20 times. XRD and SAXS study evaluated the diffracted beams intensities in relation of the diffraction angles and the rheological behavior was evaluated by continuous and oscillatory tests using a plate/plate geometry (20 mm diameter) and rheometer at 30ºC. The results of the PLM showed anisotropic structures that suggest crystals and "malt of crosses" showing a mixture of crystals and lamellar liquid-crystalline mesophase. Diffractograms presented fine and defined peaks, which also showed crystalline structures probably from system components. SAXS analysis presented the 1:2:3 ratio in the interplanar distances, which sugest lamellar mesophase. The results obtained with MLP, XRD and SAXS are complementary because the lamellar mesophase arrangements and the presence of many crystalline structures were observed in all techniques. Pseudoternary and nanostructured system presented non-Newtonian, anti-thixotropic and pseudoplastic rheological behavior. Oscillatory rheological analysis showed the predominance of the elastic behavior. These behaviors are advantageous for intravaginal administration because at the time application the system are fluid and after the tension applied, it was able to restructure itself by acquiring high viscosity again and promoting greater contact with the vaginal mucosa.

Biography

Ligia de Souza Fernandes has completed her Master's degree from the Paulista State University "Julio de Mesquita Filho" (Faculty of Pharmaceutical Science) and currently is a Doctoral Student (PhD student) in the same university. Her advisor is Maria Virginia Scarpa and their research is based on the development of controlled release systems. She has published one article in an internationally renowned journal. During her Master's degree she has developed a partnership with the University of Porto (Portugal). She has worked in national and multinational pharmaceutical industry in R&D area and physical-chemical quality control. CAPES fund your research since master´s degree.

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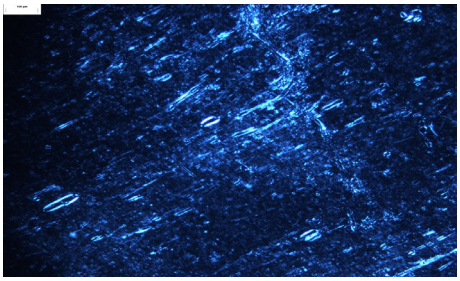
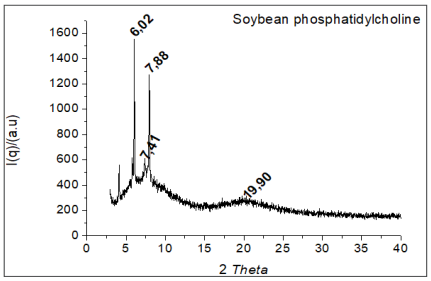
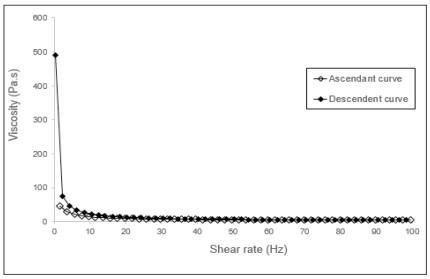


Figure 1: Photomicrograph of the pseudoternary and nanostructured system using 10x magnification (PLM)



Graph 2: Diffractogram of the soybean phosphatidylcholine



Graph 5: Flow curves of the pseudoternary and nanostructured system

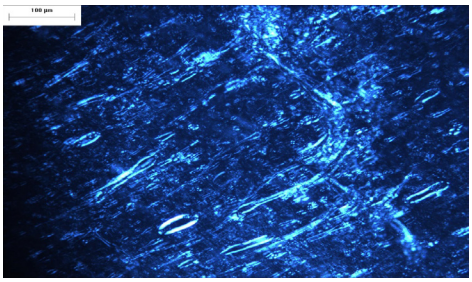
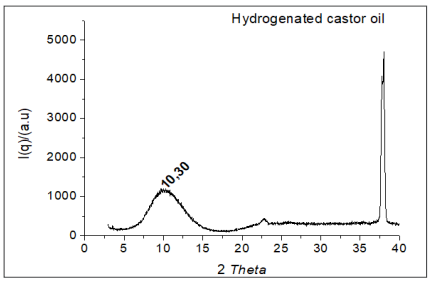
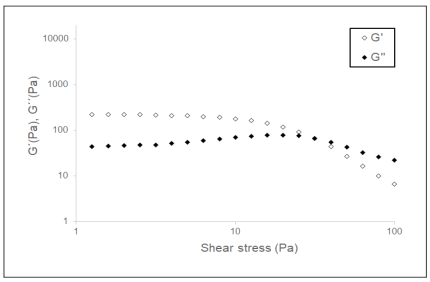


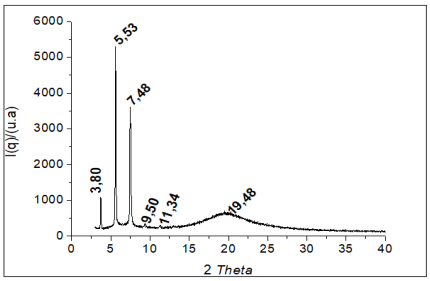
Figure 2: Photomicrograph of the pseudoternary and nanostructured system using 20x magnification (PLM)



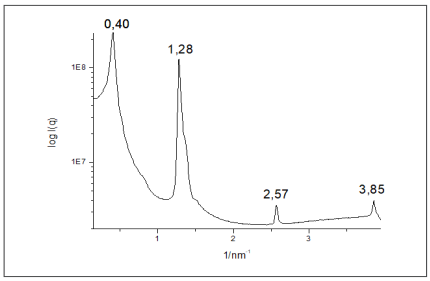
Graph 3: Diffractogram of the hydrogenated castor oil



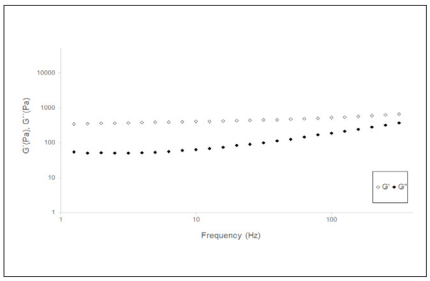
Graph 6 Stress sweep at 30°C ± 1



Graph 1: Diffractogram of the pseudoternary and nanostructured system



Graph 4: SAXS curve of the pseudoternary and nanostructured system and interplanar distances



Graph 7 Frequency sweep at 30°C ± 1

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3D-QSAR PHARMACOPHORE MODELING, VIRTUAL SCREENING AND DOCKING STUDIES FOR LEAD DISCOVERY OF NOVEL SCAFFOLD FOR VEGFR 2 INHIBITORS: DESIGN, SYNTHESIS AND BIOLOGICAL EVALUATION

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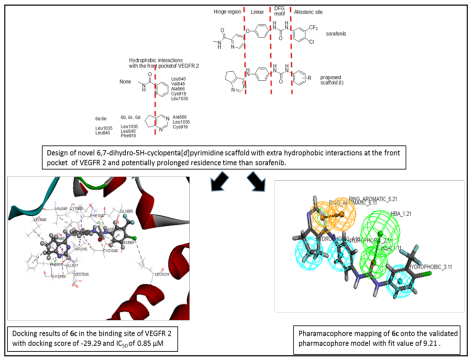
A novel series of 6,7-dihydro-5H-cyclopenta[d]pyrimidine derivatives was successfully designed, synthesized and evaluated as new chemical scaffold with vascular endothelial growth factor receptor VEGFR 2 inhibitory activity. Compounds 6c and 6b showed enzyme inhibition of 97% and 87% respectively and they also exhibited potent dose related VEGFR 2 inhibition with IC50 value of 0.85 µM and 2.26 µM respectively. The design of the 6,7-dihydro-5H-cyclopenta[d]pyrimidine scaffold was implemented via consecutive protocols of molecular modelling, prior to their synthesis and biological evaluation. First, Sorafenib was docked in the binding site of VEGFR 2 to study its binding orientation and affinity, followed by generation of valid 3D QSAR pharmacophore model to be implemented in virtual screening of 3D databases. Structures with promising results of pharmacophore based virtual screening were refined using molecular docking studies into the binding site of VEGFR 2. Design of the novel scaffold was accomplished adopting the results of pharmacophore model generation and molecular docking studies. Different derivatives with the novel scaffold were validated using docking studies and pharmacophore mapping where they exhibited promising results as VEGFR 2 inhibitors to be synthesised and biologically evaluated.6,7-dihydro-5H-cyclopenta[d]pyrimidine is a new scaffold that can be further optimized for synthesis of promising VEGFR 2 inhibitors.



Biography

Nahla A H Farag is a Professor of Pharmaceutical Medicinal Chemistry, Head of department, Faculty of Pharmacy at Misr International University (MIU), Cairo, Egypt since 2015. She has completed PhD in Pharmaceutical Medicinal Chemistry from Faculty of Pharmacy, Cairo University, Cairo, Egypt in 2001. She has established a new course in computer aided drug design for senior undergraduate students in MIU since 2013 till now. She has also established a Drug Design Center with highly advanced computer labs and high trained teaching assistant team for post graduate and undergraduate teaching course and workshops for advanced researches in molecular modeling techniques.

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SYNTHESIS OF NSAIDs DERIVATIVES OF TRYPTAMINE

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The non-steroidal anti-inflammatory drugs (NSAIDs) are medications widely used to relieve pain, reduce inflammation, and bring down a high temperature. NSAIDs are used to relieve symptoms of headaches, painful periods, sprains and strains, colds and flu, arthritis, and other causes of long-term pain. We have used five members of the NSAIDs family as ketoprofen, naproxen, fenoprofen, flurbiprofen and carprofen to obtain a series of new compounds interesting for analysing their biological activity. Because of the number of contraindications and the incompatibility of the most of the NSAIDs with other drugs, it is of interest of obtaining new organic compounds enclosing a profen residue in the structure of its molecule. Tryptamine is a bicyclic heterocycle and is the most important and best characterized member of the indole amine family. The tryptamine scaffold is regarded as a privileged structure, due to its broad applications for designing medicinal agents. The tryptamine and its analogues have been reported to display varied pharmacological activities, such as antimigraine, antibacterial, antitumor etc. In considering the significant biological activities of tryptamine and also of the NSAIDs, it is interesting the obtaining of new compounds structurally containing a tryptamine moiety as well as aryl propionic (NSAIDs) residue attached thereto. In searching of easy and eco-friendly method for obtaining of the target compounds we have found described in the literature method. The method uses amines and carboxylic acids for obtaining amide bonds using DCC as dehydrating agent. N, N-dicyclohexylcarbodiimide (DCC) is a dehydrating agent commonly used for the synthesis of esters, amides or anhydrides. DCC reacts with the carboxyl group of aryl propionic derivative to produce an activated acylation agent that reacts with the amino group of the tryptamine molecule to form an amide bond. The resulting five new compounds (Reaxys) are characterized by their melting points, IR, 1H- and 13C-NMR spectra.

Biography

Iliyan Ivanov has completed MSc (1990), PhD (2003) University of Plovdiv, Bulgaria. His research interests include synthetic application of α-amidoalkilation reaction and development of new methods for obtaining of N-heterocyclic compounds. He has developed a new alternative method for the synthesis of isoquinoline analogues. Subsequently, the method has been successfully applied for the synthesis of novel beta-carboline, quinazolinone, isochroman and other N- and O- heterocyclic derivatives. He is the Author of more than 60 publications in the field of synthesis of heterocyclic compounds.

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Stanimir Manolov has received his BSc of Computer chemistry (2008), MSc (2009) and PhD of Organic chemistry (2015) degrees from University of Plovdiv (Bulgaria). He works as an Assistant Professor of Organic chemistry from Mar' 2012. In Feb' 2016, he was appointed as a Chief Assistant Professor of Organic Chemistry at University of Plovdiv "Paisii Hilendarski". His research in the group of Prof Iliyan Ivanov is focused on the development of new synthetic methodologies of biologically active N and O containing natural compounds.

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THE CORRELATION OF OXIDANT AND ANTIOXIDANT ENZYMES IN CARDIOVASCULAR PATIENTS IN IRAQ

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Background: Oxidative stress (OS) was defined as an imbalance between the production of reactive oxygen species (ROS) and antioxidant defences in the cell, which leads to oxidative damage of cell and caused many disorders and diseases.

Aims: To identify the interactions and relationships between oxidant and antioxidant enzymes with risk factors in patients admitted to Cardiac Care Unit and statistically evaluated to improve the medical approach to these cases.

Materials & Methods: Patients between (35-70) years admitted to Cardiac care unit at Ibn Sina Hospital Educational in Ninawa Governorate, Iraq. We are included in research. Information and risk factors as age, body mass Index, gender, smoking, chronic diseases history, treatment, sport, length of Cardiac care stay and educational status were recorded also compared with healthy people age between (35-70) years. Blood samples were taken and the serum was separated and used to estimate the following biochemical parameters: activity of enzymes (Peroxidase, lacto peroxidase, and myeloperoxidase, glutathione-S transfers, glutathione peroxidase, arylesterase and catalase), also the concentration of Malondialdehyde (MDA), total lipids, total cholesterol, triglyceride, lipoproteins and the risk factor ratio were determined by using BIOLABO kit, also data analyzed by using statistical software package; SPSS version, a $p<0.05$ is considered as significant.

Results: The results demonstrated a significant decrease in the activity of antioxidant enzymes (glutathione-S transfers, glutathione peroxidase, arylesterase and catalase) in patient's group comparison to control. The results also showed a significant increase in the activity of oxidant enzymes (Peroxidase, lacto peroxidase, and myeloperoxidase) in the serum of cardiovascular patients group for both sexes in comparison with control. The results also indicated a significant increase in the concentration of malondialdehyde (MDA), total lipids, total cholesterol, triglyceride, Very low density lipoprotein-cholesterol (VLDL-C), Low density lipoprotein-cholesterol (LDL-C) and the risk factor ratio (total Cholesterol/ HDL) in serum patients . While a significant decrease in the concentration of high density lipoprotein-cholesterol (HDL-C) in serum patients compared with control. Correlation coefficients between oxidant and antioxidant enzymes were examined in control and cardiovascular patients. The results showed that there was a significant positive correlation between the activity

Biography

Thikra A Allwsh has completed her PhD from Mossul University. She has published more than 30 papers in reputed journals and has been serving as an Editorial Board Member of repute. She is serving as a Professor of Biochemistry University of Mossul. She is the Head of the Biochemistry and then supervised many Doctoral and Master's studies. She has participated in the discussion of a large number of students of Master's and Doctorate and also participated in many conferences and seminars in the field of Biochemistry as well as supervision of the projects of undergraduate students.

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of Myeloperoxidase, Peroxidase and lactoperoxidase, also a significant positive correlation between the activity of glutathione peroxidase, glutathione s-transferase and the concentration of glutathione also a significant negative correlation with concentration of MDA in control and patients group.

Conclusion: These results provide an evidence of a major role for antioxidant enzymes in cardiovascular disease. Also, in this review; we summarize the cellular oxidant and antioxidant enzymes and regulation of the reducing and oxidizing (redox) state in health and disease states.

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THE PRESENT POLICY OF THE NATIONAL HEALTHCARE SYSTEM IN SUDAN

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The strategy of price liberalisation and privatisation had been implemented in Sudan over the last decade and has had a positive result on government deficit. The investment law approved recently has good statements and rules on the above strategy in particular to pharmacy regulations. Under the pressure of the new privatisation policy, the government introduced radical changes in the pharmacy regulations. To improve the effectiveness of the public pharmacy, resources should be switched towards areas of need, reducing inequalities and promoting better health conditions. Medicines are financed either through cost sharing or full private. The role of the private services is significant. A review of reform of financing medicines in Sudan is given in this study. Also, it highlights the current drug supply system in the public sector, which is currently the responsibility of Central Medical Supplies Public Corporation (CMS). In Sudan, the researchers did not identify any rigorous evaluations or quantitative studies about the impact of drug regulations on the quality of medicines and how to protect public health against counterfeit or low quality medicines, although it is practically possible. However, the regulations must be continually evaluated to ensure the public health is protected against by marketing high quality medicines rather than commercial interests, and the drug companies are held accountable for their conduct.

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GROWTH POTENTIAL OF BIOSIMILARS IN EMERGING COUNTRIES

Abu Zafor Sadek
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In view of the global changes in disease pattern, reduced health budget, patent expiry of some high valued products and side effects of chemical drugs, global pharmaceutical giants are concentrating on biotech products among which anticancer, cardiovascular, antidiabetic, antiasthmatic, antiarthritic products are specially important. However, developing a biotech product involved huge cost which is possible only by research based top companies. Realizing the fact, many pharmaceutical companies tried to imitate the original biotech products after patent expiry and became successful which bring a breakthrough in terms of health cost. These imitated products are termed as biosimilar products. Although the history of biosimilars started at European Union (EU) in 2006 with single product but currently it has been recognized everywhere in the world and EU have highest 19 biosimilar products. United States Food & Drug Administration (USFDA) was little conservative with biosimilars; nevertheless, they approved the first biosimilar 09 years after EU approval and presently they have three biosimilars which are playing significant role in price cutting of branded biologics. They also have so many biosimilars under pipeline. Emerging economies especially China and India are very aggressive with biosimilars. Considering easy regulation, cheap labor and related cost factors they are in little advantageous than others. Under Pharmaceutical Benefits Scheme, Australian government is promoting biosimilars and they already approved nine biosimilars. Japan, Korea, Canada, South Africa are also encouraging biosimilars. However, it is worth mentioning that in spite of enormous potentiality and rapid growth till to date, biosimilar market is insignificant compared to total pharmaceutical market and success of biosimilars will depend on the acceptance by the physicians, treatment cost reduction, trust on manufacturer, proper information, drug substitution, efficacy, safety etc. Considering present stumpy growth in pharmaceuticals, geographical location, economic growth, drug policies, expertise etc emerging economies may be an impressive hub for rapid growth of biosimilar products. Therefore, this study will concentrate to determine the growth potential of biosimilars in emerging countries.

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UNDERSTANDING THE NOCEBO EFFECT CAN HELP OPTIMIZING TREATMENT OUTCOMES WITH BIOSIMILARS

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Many theories have tried to explain the well-known PLACEBO effect of some inactive ingredients as an outcome of patient's expectations. The expanded use of generics and now the increasing use of biosimilars have brought a new definition to the attention of clinicians who tend to describe the correlation between negative expectations or negative communications with negative subjective treatment outcomes as the NOCEBO effect, a phenomenon that can cause the induction or the worsening of symptoms by sham or active therapies may account for some adverse events (AEs) reported by patients following treatment. Nocebo responses may occur as unintended result of the requirement for healthcare professionals to explain possible complications and side effects when initiating treatment. Misleading or over negative communications may set negative expatiations at the patients' level which may ultimately trigger negative perceptions of treatment outcomes and a tendency to overreport adverse events and to withdraw from treatment regimens. Proper fact-based explanations by health care professionals coupled with strategies to reassure and engage patients upon initiating or switching to a biosimilar is a key in ensuring better treatment outcomes and sustainability on biosimilars to ensure broader access for patients to complex biologics and reduce the financial burden on health care systems.

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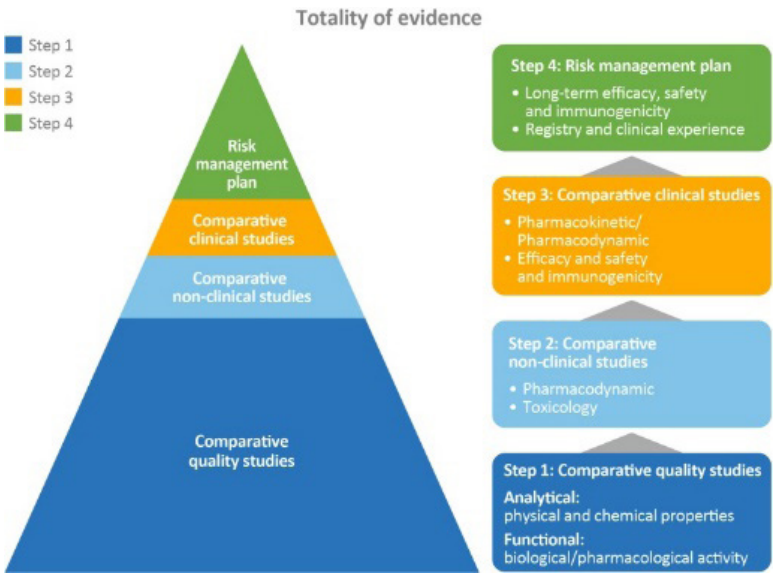

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EVALUATION OF DRUG-EXCIPIENTS INTERACTION IN THE FORMULATION OF DAPAGLIFLOZIN, A NOVEL ORAL ANTIDIABETIC DRUG, FILM-COATED TABLETS

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Shahre Daru Pharmaceutical Co, Iran

Studies of drug-excipient compatibility represent an important phase in the preformulation stage of the development of all dosage forms. In summary, knowledge of drug-excipient interactions is a necessary prerequisite to the development of dosage forms that are stable and of good quality. Drug-excipient compatibility studies have been used as an approach for accepting/rejecting excipients for use in pharmaceutical formulations, thus allowing the rapid optimization of a dosage form with respect to patentability, processing, drug release, elegance, and physicochemical stability. To assess the drug-excipients compatibility, the analytical techniques like differential scanning calorimetry (DSC) and Fourier Transform infrared spectroscopy (FT-IR) and high performance liquid chromatography (HPLC) were adopted. Dapagliflozin is indicated for the management of diabetes mellitus type 2, and functions to improve glycemic control in adults when combined with diet and exercise. Dapagliflozin is a sodium-glucose cotransporter 2 inhibitor, which prevents glucose reabsorption in the kidney. Using dapagliflozin leads to heavy glycosuria (glucose excretion in the urine), which can lead to weight loss and tiredness. Dapagliflozin was approved by the FDA on Jan 08, 2014. Dapagliflozin is not recommended for patients with type 1 diabetes mellitus or for the treatment of diabetic ketoacidosis. In the present study, the possible interactions between Dapagliflozin and some excipients (Microcrystalline cellulose, lactose, anhydrous, crospovidone, silicon dioxide, magnesium stearate and film coating materials) were evaluated by examining the pure drug or drug-excipient powder mixtures which were stored under different conditions (40 ± 2ºC, RH 75 ± 5%) and different period (30, 90 and 180 days) using DSC, FT-IR and HPLC. No concrete evidence of interaction was observed between drug and the excipients.On the basis of the results obtained from DSC, FT-IR and HPLC studies, all the excipients used were found to be compatible with the drug and can be used for the development of formulation.

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SYNTHESIS AND EVALUATION OF ANALGESIC, ANTI-INFLAMMATORY AND ANTI-BACTERIAL ACTIVITY OF BETA AND MESO 5,10,15,20-TETRAPHENYLPORPHYRINS SCHIFF BASES AND THEIR METAL COMPLEXES

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[1]University of Swat, Pakistan
[2]University of Malakand, Pakistan

Series of novel β and meso 5,10,15,20-tetraphenylporphyrins Schiff bases were synthesized via Schiff base condensation reaction, the β -linked 5,10,15,20-tetraphenylporphyrins Schiff bases were synthesized starting from β-formyl 5,10,15,20-tetraphenylporphyrin and amino alkanes in moderate yields. While meso-linked 5,10,15,20-tetraphenylporphyrins Schiff bases were synthesized via refluxing 5-(4-aminophenyl)-10,15,20-triphenylporphyrin and different aromatic benzal dehyde. The two newly synthesized series of porphyrin Schiff bases were compared and characterized on the basis of their chemical properties, stability and spectral data. The properties of these new β -linked 5,10,15,20-tetraphenylporphyrin Schiff bases and meso linked 5,10,15,20-tetraphenylporphyrin were investigated and were observed with different stability. The rotational stability of these β-linked and meso-linked 5,10,15,20-tetraphenylporphyrin Schiff bases deduced by 1HNMR, was calculated and all newly synthesized compounds were further characterized by UV-VIS spectroscopy and high resolution mass spectroscopy. They were further tested for their potential analgesic and anti-inflammatory activities in acetic acid induced writhing test in mice and carrageenan induced paw edema in rats. The compounds were also evaluated for antibacterial activity in disc diffusion method. Compounds 1a 1b 1c 1d showed significant analgesic and anti-inflammatory activity at 10 and 30 mg/kg (b.w), comparable to the standard reference drugs. Furthermore, all the tested compounds possessed significant anti-bacterial activity against both gram positive and gram negative bacteria. The analgesic, anti-inflammatory and anti-bacterial activities of the tested compounds were found comparable to reference drugs. These compounds can serve as precursors for the development of clinically useful analgesics, anti-inflammatory and anti-bacterial agents.

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GROWING USE OF mAbs

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Background & Aim: Monoclonal antibodies (mAbs) have been growing and evaluating since last three decades as powerful human therapeutics. First mAb to be approved by FDA is Muromonab, a murine CD3 antibody, which is used to prevent kidney transplant rejection. First chimeric mAb was approved by FDA in 1997 for the treatment of lowgrade B cell lymphoma (Rituximab). Then, humanized and fully human monoclonal antibodies are presented into the drug markets. Since then, both the number of different mAbs, and the number of indications to use mAbs have been growing increasingly. As of Mar' 2017, FDA has approved approximately 60 therapeutic mAbs. Also, mAbs are approved for the treatment of diverse diseases related to various systems such as cardiovascular, respiratory, hematology, kidney, immunology and oncology. In this study, we aim to define the amount of mAb usage, and mAb usage trends in a single university hospital for a 17 years period (2001-2018).

Materials & Methods: We have evaluated the medical records of our tertiary center, retrospectively in order to define the changes of the amount of mAb usage, and mAb utilization trends. Also, we want to define the clinics which used mAbs most commonly.

Results: We have found that the amount of mAbs used have been increasing since 2001 (in 2001, only four packages of mABs were used, meanwhile in 2018, 14653 packages of mAbs have been used). The biggest amount of mAbs is utilized by haematology clinics, both for pediatric population and adults (140017 packages adult haematology clinic, 2789 packages for paediatric haematology clinic). Haematology clinics are followed by nephrology, and oncology clinics, respectively.

Conclusion: With the advent of mAbs technology, the indications and usage of mAbs have been increasing every day. The invention of biosimilars can also increase the affordability, as well as the utilization of mAbs.

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PATIENT, PHYSICIAN AND PHARMACIST PERSPECTIVES: A WIDER WORLD VIEW

Stephen Murby
Alliance for Safe Biologic Medicines, USA

Patients need to make informed judgements on the value of biologic and biosimilar medicines as well as actively engage in discussion and decision-taking with other stakeholders involved with their healthcare, most particularly with physicians and pharmacists. Patients must have access to clear and impartial information about what biologic and biosimilar medicines are and what the growing availability of these medicines will mean for them. Patients must be assured of the regulatory systems in place to ensure safety, quality and efficacy and need to actively participate in post-approval monitoring and risk management, including pharmacovigilance. Around the world many governments, regulators, healthcare systems and practitioners are finding themselves challenged by the emergence of biosimilars, indeed in many countries, it is the patient organisations that are on the front foot when it comes to getting biosimilars on the agenda. The alliance for safe biologic medicines has conducted seven major surveys involving more than twenty countries focussed on the views and attitudes of physicians and pharmacists. Several interestingly consistent responses have been received from the various survey samples. Resultant observations include: USA physicians support labels with data to learn about and evaluate biosimilars; European doctors have insufficient knowledge of biosimilars; Canadian physicians feel strongly about the need to retain sole prescription authority; and USA hospital pharmacists are more likely to be "Very familiar" with biosimilars than retail pharmacists. For over a decade, the International Alliance of Patients' Organisations has been working with peak patient groups and regulators around the world to address the substantial knowledge gap. Patient groups believe patients have the right to expect that the life of the patient remains the primary guiding principle of biosimilar policy discussion above potential cost savings. This presentation will canvas these issues and will focus on the most recent ASBM being a survey of physicians in Australia published in 2016 and revealing a mismatch between government policy and physician practice.

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GLOBALIZATION OF BIOSIMILARS

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With over 100 products currently available as potential biosimilar candidates, there is a dire need to develop a dossier that will be acceptable globally, if we are to make biosimilars accessible, available and affordable. Generally, if a product is approvable in US, the barrier to EU entry is lowered significantly, not the other way. I have filed citizen petitions to FDA to change its evaluation process to bring the EU and US requirements closer; this advise includes removing bridging studies, modifying the analytical similarity testing because of mistakes in the guideline, which the FDA withdrew after receiving my petition; change the PK testing protocols; adopt more *in vitro* immunogenicity testing and minimize in-patient studies. A few years ago, EMA would not consider any filing without testing in patients, now they do, just like the FDA does. Similar to how the International Conference on Harmonization (ICH), there is a dire need to develop global guidelines that will be acceptable to all development countries. I am presenting an outline of this guideline, as I have submitted to FDA and EMA for consideration.

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MOLECULE OF MILLENNIUM-TAURINE AND ITS ANALOGUES: A NEW CLASS OF THERAPEUTICS IN HUMAN WELFARE

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Chemicals are not single minded, in differ environment they may be friend or foe. Human body is a reservoir of a large number of molecules with diverse nature of chemical identities influencing the mind and mood. Amino acids constitute a large portion with such agents; one of such agent is Taurine (2-aminoethanesulfonicacid). Its content is high in several human tissues like, heart, brain, liver, kidney and eye. Taurine is 0.1% of total body weight amounting 70 g in a normal human of 70 kg. It has beneficial actions in epilepsy, hypertension, congestive heart failure, liver, eye and in some others. Its preventing role is increasing in various life threaten diseases. Bone loss in women is an old age problem, where it has helping hand. It has been patented for several symptoms and diseases and found to have clinical utility. But being an amino acid, therapeutic use confronts limitations; restricted permeability, higher doses and many more necessitate relooking for the development of pro-drugs (analogues) of taurine exploiting various structural alterations in carbon chain, amino and sulfonic ends. A large number of taurine derivatives have been reported with partial to marked activity. Taurine derivatives like taltarimide, acomprosate and tauromustine are already in use as anticonvulsant, anti-alcoholic and anti-cancer agents. Taurine is now part of several energy drinks, functional food, nutriceuticals and anti-ageing formulas. The in depth analysis of these analogues and their biological actions can provide certain clues for further consideration. In presentation attempts have been made to provide synopsis, synthesis and symbiosis of its chemical and biological actions, which may facilitate further research in this area. The successful journey of these heterocycles to clinical utility is a healthy and happy sign and an index of bright future in alleviating such suffering.

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EPIDERMAL GROWTH FACTOR RECEPTOR (EGFR) TARGETED MULTIFUNCTIONAL PHOTOSENSITIZERS FOR BLADDER CANCER IMAGING (FLUORESCENCE/PET) AND THERAPY

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Roswell Park Cancer Center, USA

Recurrence reduction and complete tumor eradication are critical for survival of bladder cancer patients. We have developed novel multifunctional agents for image-guided (PET/fluorescence) photodynamic therapy (PDT) for treating bladder cancer with low and high expression of epidermal growth factor receptor (EGFR). A photosensitizer (PS)-erlotinib conjugate recently developed in our laboratory showed high selectivity to EGFR positive bladder cancer tumor cells (UMUC-3), with enhanced PDT efficacy than the related non-targeted analog. We have used both active and passive approaches for improved tumor-specificity. These results provide an opportunity to select the PS (combination with radioactive and non-radioactive analog) for imaging bladder cancer and subsequent treatment by fluorescence-guided surgery, a see and treat approach in combination with PDT and/or chemotherapy (U S Patent application: submitted). Depending on the stage of cancer, we propose to follow use of PDT with intra-vesical therapy for non-muscle invasive bladder cancer (NMIBC), or as a part of multimodality bladder preservation therapy for muscle-invasive bladder cancer (MIBC). In a parallel study, we have shown that 3D culture system derived from lung and head/neck cancer patients helps to determine tumor-specificity of the PS and the photo-induced STAT3 dimerization can be used as a biomarker in optimizing the PDT-treatment of bladder cancer. We expect that translating these key findings into clinical practice will create a paradigm shift in treatment for loco-regional control of disease. Recent results from our laboratories will be presented.

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UNLOCKING NICOTINIC SELECTIVITY: DIRECT C–H FUNCTIONALISATION OF (–) CYTISINE

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This talk will cover the synthesis of new ligands that are specific for key neuronal nicotinic acetylcholine receptor (nAChR) subtypes. This, in turn, links to an ability to target, for example, the high affinity nicotine receptor in brain (the 4, 2 nAChR) and that has implications for treating tobacco addiction and smoking cessation. It is important to understand the society and health challenge that tobacco addiction presents, so there will be some coverage of this and current therapeutic approaches and that touches on the business drivers that are also (inevitably) involved. The talk will also discuss the synthetic chemistry explored and developed around cytisine, a naturally occurring nicotinic partial agonist that was the inspiration for a current smoking cessation agent (varencline) but that is also used widely in its own right. Total synthesis had been used to access a number of interesting ligands but this has been superseded by an approach based on direct and highly effective C-H activation of cytisine itself. The outcomes of that chemistry will be described as well as the associated pharmacology that characterised the selectivity profiles observed. Computational studies have also played a central role both to elucidate the mode of action of a ligand's interaction with the receptor protein associated with the immediate region of the binding site but also at a full receptor scale, to understand how ligand binding and subsequent protein perturbation leads to activation (opening) of ion channel. This, in turn, has led to development of a general mechanism for signal transduction within the therapeutically-important Cys-loop family of receptors.

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