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Objective of study was to evaluate the phosphodiesterase 5A inhibitory potential and identify lead scaffolds of antihypertensive phytochemicals using in silico docking studies. In this perspective, 269 antihypertensive phytochemicals were selected. Sildenafil, was used as the standard. Virtual screening was carried using vLife MDS 4.4 software.

Based on docking score, π- stacking, H- bond and ionic interactions, 237 out of 269 molecules, shows one or more kind of the above interactions. As the screening was from random and diversified phytochemicals, we had targeted the chemical structures having tricycles in it. 82 out of 237 molecules, containing one or more kind of tricycles, were taken for further analysis and rest were dropped. Based on heteroatom/s in phytochemical structure, 14 N- containing tricyclic molecules were selected for lead scaffold identification. 3 considerable π- stacking and 1 H- bond interactions are observed in these compounds indicating that aromatic ring and heteroatom in the tricycle are minimum requirements that scaffolds should have to interact with PDE5A.

In silico docking studies revealed that nitrogen containing hetero-tricyclic lead scaffolds namely pyridoindole, tetrahydro-pyridonaphthyridine and dihydro-pyridoquinazoline are novel PDE5A inhibitors for antihypertensive activity. The identified lead scaffolds may provide antihypertensive lead molecule after its optimization.
SOLID SELF MICRO-EMULSIFYING FORMULATION OF MIRTAZAPINE FOR IMPROVED BIOAVAILABILITY

Udaykumar S. Patil*, Harinath N. More, Arati A. Khot, Namdeo R. Jadhav

Department of Pharmaceutics
Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra State, India-416013

Abstract

Improvement of bio-availability of drugs with extensive first pass metabolism and which are slightly soluble presents one of the furthermost challenge in drug formulations. One of the most admired and commercially viable formulation approach for this challenge is solid self-micro emulsifying drug delivery system (S-SMEDDS). We can apply S-SMEDDS approach to BCS class I and III to improve bioavailability if drug is having enzymatic degradation and gut wall efflux because of its possible absorption through lymphatic system thereby bypass the liver. Mirtazapine (MTZ) is an antidepressant drug slightly soluble in water and has poor bioavailability due high first pass metabolism. MTZ is slightly soluble in water but it belongs to BCS class-I because it is potent drug with maximum dose of 45 mg. So an attempt has been made to enhance its bioavailability by formulating SMEDDS. There are many techniques to convert liquid SMEDDS to solid, but an adsorption technique is simple and economic. Hence aim of present study was to develop S-SMEDDS of MTZ using Neusilin US2 as solid carrier. Liquid SMEDDS was prepared using Myritol, Tween 80 and PEG 400 as oil, surfactant and co-surfactant and was converted to S-SMEDDS by adsorbing it on Neusilin US2. Prepared S-SMEDDS was evaluated for flow properties, drug content, reconstitution properties, DSC, SEM, in-vitro drug release and in-vivo bioavailability study in rabbits. Results showed that prepared S-SMEDDS have good flow property with drug content within range of 82.86 ± 0.02 to 97.53 ± 0.05 %. Dilution study by visual observation showed that there was spontaneous micro emulsification and no sign of phase separation. Droplet size of optimized formulation was found to be 254.8 nm with polydispersity index 0.100. DSC thermogram and PXRD showed that crystallization of MTZ was inhibited. SEM photograph showed smooth surface of S-SMEDDS with less aggregation. Drug releases from S-SMEDDS were found to be significantly higher as compared with that of plain MTZ. In-vivo bioavailability study revealed that bioavailability of MTZ from optimized S-SMEDDS formulation is 2.24 fold higher than plain MTZ. Study concluded that S-SMEDDS can effectively formulated by adsorption technique with enhanced dissolution rate and bioavailability of MTZ.
DESIGN AND DEVELOPMENT OF LEADS FROM NATURAL SCAFFOLDS TARGETING ESTROGEN RECEPTOR-α IN BREAST CANCER BY FRAGMENT BASED APPROACH

Arvindekar Snehal A.¹, Bhatia Neela M.¹, Bhatia Manish S.¹ Department of Pharmaceutical Chemistry Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra State, India-416013

Abstract

In India, breast cancer is one of the common cancers found in women. By 2030, younger girls and women are likely to become prime candidates for developing breast cancer in Indian society. Fragment-based lead discovery (FBLD) also known as fragment-based drug discovery (FBDD) is a new approach used for finding lead compounds as part of the drug discovery process, which has potential to speed the rate of discovery. It is based on identifying small chemical fragments, which may bind only weakly to the biological target, and then growing them or combining them to produce a lead with a higher affinity.

The present research envisages with the identification of fragments of natural product with breast cancer activity. In this perspective, 225 compounds from the all possible natural sources including hantupeptin A (marine source), flavonoids, curcumin (plant source) from the literature were docked with ER-α using software VLife MDS ver 4.6. The compounds having highest negative score comparable with the ER-α standard drug were selected for further interaction study. On the basis of the interaction studies, the fragments of natural products involved in the interactions of amino acid residues essential for anti-estrogenic activity were identified. These fragment analyses could lead to the further development of anti-estrogenic leads for the treatment of breast cancer by growing them or by attaching them with linker moiety.
The purpose of this research is to promote agro-based products. The skin (cutis) is a complex structure and is classified as a nonlinear viscoelastic material. It is the largest organ of the body. Arjuna is a tree bark, used medicinally in ayurveda for cardiovascular health pertaining to the heart itself. The traditional medical forms provide drug delivery with peaks, often above the required dose. So we need to focus towards the newer system to overcome these limitations. Thus topical skin delivery will reduces first pass effect and dosing problem hence improves patient compliance.

The transdermal patches were prepared by solvent evaporation technique. The transdermal patches were composed of HPMC: Eudragit RL100 in requisite ratio. Chitosan gel was prepared by dissolving 1 g of chitosan powder in 0.1M sodium acetate buffer. Arjuna extract (0.5 g) was incorporated into the gel. Different formulations were prepared by incorporating different permeation enhancers to the final gel.

In vitro diffusion studies were performed by using a Franz diffusion cell with a receptor compartment capacity of 22 ml. Cellulose acetate, acetate ester of cellulose has been fabricated as semi-permeable membranes for biomedical application. Skin was obtained from a local abattoir of freshly slaughtered goat. Then the skin was hydrated in normal saline excised skin was mounted between the half cells with the dermis in contact with receptor fluid, phosphate buffer and was equilibrated for 1 hr. The concentration of triterpenoid arjunolic acid was determined by spectrophotometrically at 276 nm.

Transdermal patch and skin gel of Arjuna bark extract was successfully prepared by using solvent HPMC K100, ERL100 and chitosan hence it gives time modulate control drug delivery which ultimately reduces the dosing frequency. It is finally concluded that transdermal patch formulations possessing more controlled release with systematic manner with a more release time comparatively gel formulations. From different implemented experimental conditions and evaluations it can be concluded that development of transdermal patch and skin gel will be novel attributes for time controlled delivery of Arjuna extract.
Bioactivity assessment or simply bioassays are methods used for estimation of the potency of substances by observing their pharmacological effects on living animals, isolated tissues or cells and comparing the effect of these substances of unknown potency to the effect of a standard. It is essential in the development of new drugs and in monitoring side effects and determines concentration or purity or bioactivity. Number of new drugs have been and are being discovered. Drug discovery is a continuous process and very time consuming. For rapid drug discovery process in vitro bioassays and similar alternatives to animal experiments can help save a lot of time and also improve the precision of the outcome of experiments.

The present study deals with development of various bioassays which may serve as alternatives to animal experimentation. Phosphodiesterase 5 is an enzyme associated with smooth muscle relaxation activity via regulation of the cyclic GMP pathway. Here an in vitro model is developed by isolating the target enzyme from goat lung tissue which is used to assess the bioactivity of cardiovascular drugs. Similarly the chick embryo culture has been optimized to study the anti-angiogenic activity of drugs and drug like substances with anticancer activity. An microbial assay also has been developed for estimation of essential bioavailable minerals like copper and magnesium from natural sources.

Development of such precise alternative bioassays to animal experimentation could prevent the cruelty to animals and also reduce the cost of drug development process.
Investigation of Effect of *Jatropha Curcas* Latex as an Inhibitor for Ostwald Ripening in Lyophilized Felodipine Nanosuspension

Trupti Pawar* Ashok Hajare Harinath More and Neela Bhatia  
Department of Pharmaceutical Sciences  
Bharati Vidyapeeth College of Pharmacy, Kolhapur, Maharashtra State, India-416013

Abstract

A major conundrum associated with the nanosuspension is its stability. Particles in nanosuspension are more prone to aggregation due to ostwald ripening. Addition of inhibitor (stabilizer) in formulation having lesser aqueous solubility can inhibit ostwald ripening. Present investigation deals with use of *Jatropha curcas* latex (JCL) as a natural inhibitor in development of stable nanosuspension prepared by wet milling technique using $3^2$ factorial design. Inhibitory effect of *J. curcas* was studied in comparison with hydroxy propyl methyl cellulose (HPMC) and sodium lauryl sulphate (SLS). The ability of *J. curcas* to stabilize the nanosuspension was predicted by studying molecular interaction between the felodipine and latex using molecular docking. The prepared nanosuspension was lyophilized and characterized to particle size, zeta potential, saturation solubility, dissolution rate, morphology study, in-vitro diffusion study, while initial crystalline state was evaluated by differential scanning calorimetry and powder x-ray diffraction study. Stability studies show *J. curcas* inhibits ostwald ripening with improved stabilization of nanosuspension in comparison with Sodium lauryl sulphate and Hydroxy propyl methyl cellulose. Inhibition of ostwald ripening was attributed to molecular interactions like hydrogen bonding and hydrophobic bonding interactions between felodipine and latex. Initial crystalline state of drug is preserved followed by particle size reduction, with increase in saturation solubility, dissolution velocity and diffusion rate of the drug from the nanosuspension than that of the plain drug suspension and marketed formulation. *J. curcas* latex serves as natural inhibitor to prepare many formulations with minimized toxicity, as it is biodegradable and has low toxicity than synthetic inhibitors.
<table>
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<tr>
<th>Sr. No.</th>
<th>Event</th>
<th>Name of student/s</th>
<th>Class</th>
<th>Prize</th>
<th>Certificate/Trophy</th>
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<td>1.</td>
<td><strong>Soft Skill and Personality Development Program</strong>: Seed Infotech, Ltd. 24th August 2016 to 07th September 2016 and 27th Feb to 11th March 2017.</td>
<td>Mr. Vivek Dhuri, Miss Sanskruti Patil Mr. Suraj Kutre, Miss. Poonam Jadhav</td>
<td>Final Year B. Pharm. T. Y. B. Pharm. S. Y. B. Pharm. F. Y. B. Pharm.</td>
<td>Participation Certificates (Representative of all classes)</td>
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<td>Mr. Shreysh Powar</td>
<td>Final Year B. Pharm.</td>
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<td>1 Certificate and 1 Trophy</td>
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<td>5.</td>
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**Indian Council Of Medical Research (ICMR) New Delhi, sponsored Two days National Seminar on Pharmacovigilance and Herbal Therapeutics** organized by K.E.S.R. College of Pharmacy, Kasegaon on 26th and 27th August 2016.
   Miss. Nupur Shah  
   Final Year B. Pharm.  
   Cash Prize  


8. **Mehandi Competition**  
   Miss. Sadaf Mutawalli  
   T. Y. B. Pharm.  
   1st Prize  
   1 Certificate and 1 Trophy (Not Submitted)


9. **Pure Science (Teacher Category)**  
   Mr. D.P. Mali  
   2nd Prize  
   1 Certificate

10. **Agriculture and Animal Husbandry (Teacher Category)**  
    Mr. D. T. Gaikwad  
    3rd Prize  
    1 Certificate

11. **Medicine and Pharmacy (Teacher Category)**  
    Mr. U. S. Patil  
    3rd Prize  
    1 Certificate

12. **Agriculture and Animal Husbandry (Research Scholar)**  
    Miss. T. A. Powar  
    Ph.D. Research Scholar  
    1st Prize  
    1 Certificate (Not Submitted)

13. **Agriculture and Animal Husbandry (Research Scholar)**  
    Mr. Nitin Salunkhe  
    Ph.D. Research Scholar  
    2nd Prize  
    1 Certificate (Not Submitted)

14. **Medicine and Pharmacy (Research Scholar)**  
    Mrs. Snehal Arvindekar  
    Ph.D. Research Scholar  
    1st Prize  
    1 Certificate (Not Submitted)
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<th>Medicine and Pharmacy (PG)</th>
<th>Mr. Shivaratna Khare</th>
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<td>17.</td>
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**Inter-collegiate Chemistry Student’s Conference 2017** organized by Rajaram college, Kolhapur Colleges on 24th & 25th January 2017.

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<th>Mr. Vishwajit Kore</th>
<th>T. Y. B. Pharm.</th>
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<td>T. Y. B. Pharm</td>
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<td>T. Y. B. Pharm</td>
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**All India Pharmacy Quiz Competition** February 2017 organized by Madras Medical College, Chennai

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<th>Final Year B. Pharm.</th>
<th>5th Prize 1000/- Cash Prize</th>
<th>1 Certificate</th>
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24. Quiz competition | Miss. Trupti Ghatge | Final Year B. Pharm. | 5<sup>th</sup> Prize | 1 Certificate
| | | | 1000/- Cash Prize |

Maharashtra Times Kolhapur 4<sup>th</sup> Anniversary Debate Competition

25. Debate Competition | Mr. Vishwajit Kore | T. Y. B. Pharm | Consolation prize | 1 Certificate