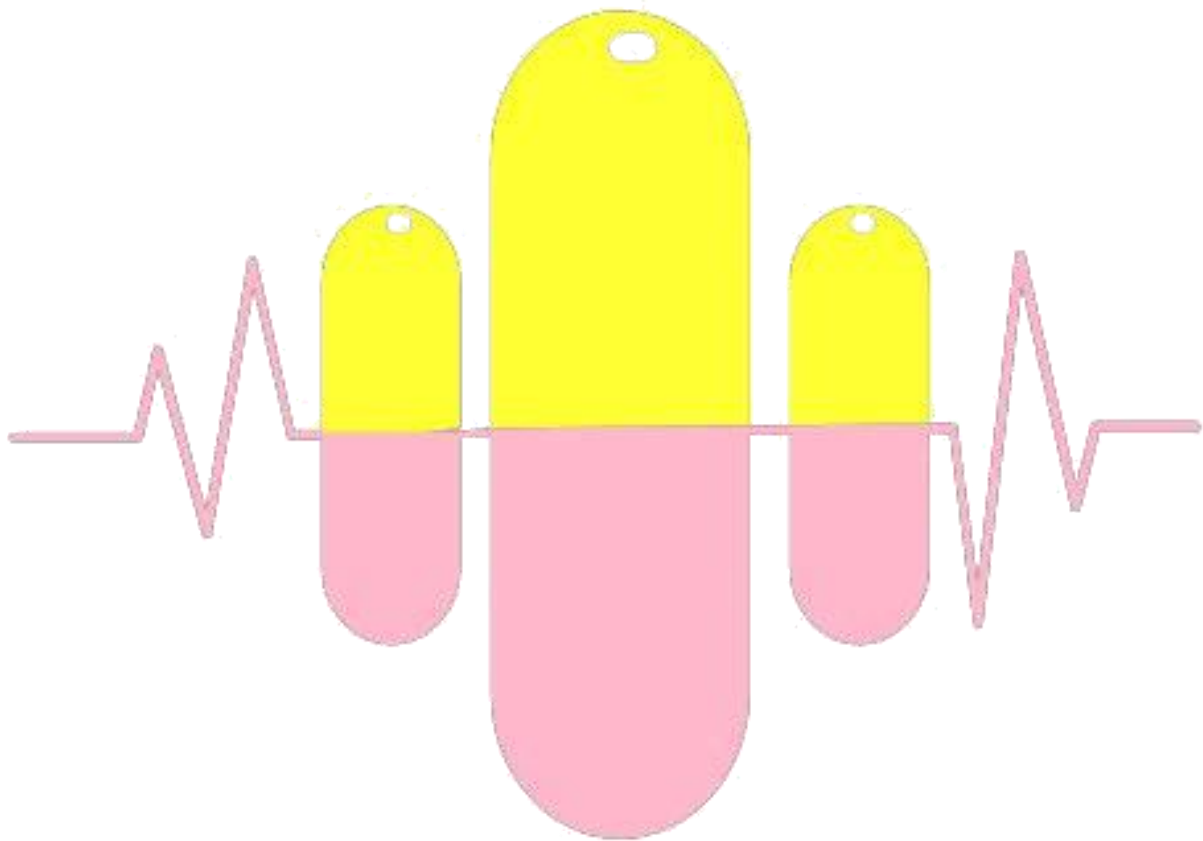




# ***PHARMA NEWS***



**2016-17**



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AVISHKAR 2016-2017

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Second Prize

**HETERO-TRICYCLIC LEAD SCAFFOLD AS NOVEL PDE5A INHIBITOR  
FOR ANTIHYPERTENSIVE ACTIVITY: *IN SILICO* DOCKING STUDIES**

**Deepak Mali\* and Neela Bhatia**

*Department of Pharmaceutical Chemistry  
Bharati Vidyapeeth College of Pharmacy,  
Kolhapur, Maharashtra State, India-416013*

**Abstract**

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,  $\pi$ - 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  $\pi$ - 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.



**AVISHKAR 2016-2017**

**Event Organized by Shivaji University**

**Third Prize**

**SOLID SELF MICRO-EMULSIFYING FORMULATION OF MIRTAZAPINE FOR IMPROVED BIOAVAILABILITY**

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


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*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 furthest 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  $82.86 \pm 0.02$  to  $97.53 \pm 0.05$  %. 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.




**AVISHKAR 2016-2017**  
**Event Organized by Shivaji University**  
**Second Prize**

**DESIGN AND DEVELOPMENT OF LEADS FROM NATURAL SCAFFOLDS TARGETING ESTROGEN  
RECEPTOR-A IN BREAST CANCER BY FRAGMENT BASED APPROACH**

Arvindekar Snehal A.<sup>1</sup>, Bhatia Neela M.<sup>1</sup>, Bhatia Manish S.<sup>1</sup> *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- $\alpha$  using software VLife MDS ver 4.6. The compounds having highest negative score comparable with the ER- $\alpha$  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.



**AVISHKAR 2016-2017**  
**Event Organized by Shivaji University**  
**Second Prize**

**DEVELOPMENT OF AGRO-BASED TOPICAL FORMULATIONS OF ARJUNA PLANT  
CONTAINING TRITERPENOIDS FOR CARDIOVASCULAR DISEASES**

***Gaikwad D. T.<sup>\*</sup>, Gaikwad S. V., More H. N. and Jadhav N. R.***

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

**Abstract**

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 reduce 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.



**AVISHKAR 2016 - 2017**

**Event Organized by Shivaji University**

**Second Prize**

**Development of Alternatives to Animal Experimentation for Preclinical Bioactivity Assessments**

**Taniya D. Mhetar<sup>\*</sup>, Dr. M. S. Bhatia**

*Department of Pharmaceutical Chemistry*

*Bharati Vidyapeeth College of Pharmacy,*


*Kolhapur, Maharashtra State, India-416013*

**Abstract**

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.



**AVISHKAR 2016-2017**  
**Event Organized by Shivaji University**  
**First Prize**

**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 Pharmaceutic*  
*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 shows *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.



### PRIZES WON (2016-17)

Sr. No.	Event	Name of student/s	Class	Prize	Certificate/ Trophy
1.	<b>Soft Skill and Personality Development Program:</b> Seed Infotech, Ltd. 24 <sup>th</sup> August 2016 to 07 <sup>th</sup> September 2016 and 27 <sup>th</sup> Feb to 11 <sup>th</sup> March 2017.	Mr. Vivek Dhuri Miss Sanskruti Patil Mr. Suraj Kutre Miss. Poonam Jadhav	Final Year B. Pharm. T. Y. B. Pharm. S. Y. B. Pharm. F. Y. B. Pharm.	Participation Certificates (Representative of all classes)	4 Certificates
<b>Indian Council Of Medical Research (ICMR) New Delhi, sponsored Two days National Seminar on 'Pharmacovigilance and Herbal Therapeutics'</b> organized by K.E.S.R. College of Pharmacy, Kasegaon on 26th and 27th August 2016.					
2.	Poster Presentation	Mr. Shreysh Powar	Final Year B. Pharm.	1 <sup>st</sup> Prize	1 Certificate and 1 Trophy
3.	Poster Presentation	Mr. Vinayak Patil	Final Year B. Pharm.	1 <sup>st</sup> Prize	1 Certificate
4.	Poster Presentation	Miss. Trupti Ghatge	Final Year B. Pharm.	Consolation prize	1 Certificate
5.	Poster Presentation	Miss. Mayuri Hajare,	Final Year B. Pharm.	Consolation prize	1 Certificate
6.	Poster Presentation	Miss. Mrunalini Joshi	Final Year B. Pharm.	Consolation prize	1 Certificate



7.	<b>Delivered Lecture</b> on English Speaking and Personality Development at BKCL Center for Training of English and Development in Oct. 2016	Miss. Nupur Shah	Final Year B. Pharm.	Cash Prize	-
<b>Kolhapuri Jagat Bhari Talent hunt Competition</b> organized by IAM ENTERTAINER, Kolhapur on 23 <sup>rd</sup> Oct 2016.					
8.	Mehandi Competition	Miss . Sadaf Mutawalli	T. Y. B. Pharm.	1 <sup>st</sup> Prize	1 Certificate and 1 Trophy (Not Submitted)
<b>AVISHKAR 2016: Research Project Competition</b> , Organized by Shivaji University, Kolhapur on 29 <sup>th</sup> Dec. 2016					
9.	<b>Pure Science</b> (Teacher Category)	Mr. D.P.Mali	-	2 <sup>nd</sup> Prize	1 Certificate
10.	<b>Agriculture and Animal Husbandry</b> (Teacher Category)	Mr. D. T. Gaikwad	-	3 <sup>rd</sup> Prize	1 Certificate
11.	<b>Medicine and Pharmacy</b> (Teacher Category)	Mr. U. S. Patil	-	3 <sup>rd</sup> Prize	1 Certificate
12.	<b>Agriculture and Animal Husbandry</b> (Research Scholar)	Miss. T. A. Powar	Ph.D. Research Scholar	1 <sup>st</sup> Prize	1 Certificate (Not Submitted)
13.	<b>Agriculture and Animal Husbandry</b> (Research Scholar)	Mr. Nitin Salunkhe	Ph.D. Research Scholar	2 <sup>nd</sup> Prize	1 Certificate (Not Submitted)
14.	<b>Medicine and Pharmacy</b> (Research Scholar)	Mrs. Snehal Arvindekar	Ph.D. Research Scholar	1 <sup>st</sup> Prize	1 Certificate (Not Submitted)



15.	<b>Medicine and Pharmacy</b> (PG)	Mr. Shivaratna Khare	S. Y. M. Pharm.	3 <sup>rd</sup> Prize	1 Certificate
16.	<b>Agriculture and Animal Husbandry</b> (UG)	Miss. Trupti Ghatge	Final Year B. Pharm.	2 <sup>nd</sup> Prize	1 Certificate
17.	<b>Medicine and Pharmacy</b> (UG)	Mr. Shreysh Powar	Final Year B. Pharm.	3 <sup>rd</sup> Prize	1 Certificate
<b>Inter-collegiate Chemistry Student's Conference 2017</b> organized by Rajaram college, Kolhapur Colleges on 24 <sup>th</sup> & 25 <sup>th</sup> January 2017.					
18.	Model Presentation	Mr. Vishwajit Kore	T. Y. B. Pharm.	2 <sup>nd</sup> Prize	1 Certificate
19.	Model Presentation	Miss. Shewta Kitture	T. Y. B. Pharm.	2 <sup>nd</sup> Prize	1 Certificate
20.	Model Presentation	Miss. Mrunali Mannurkar	T. Y. B. Pharm	2 <sup>nd</sup> Prize	1 Certificate
21.	Lecturership event	Miss. Mrunali Mannurkar	T. Y. B. Pharm	2 <sup>nd</sup> Prize	1 Certificate
22.	Poster Presentation	Mr. Deepak Shanbag	T. Y. B. Pharm	3 <sup>rd</sup> Prize	1 Certificate
<b>All India Pharmacy Quiz Competition</b> February 2017 organized by Madras Medical College, Chennai					
23.	Quiz competition	Mr. Vivek Dhuri	Final Year B. Pharm.	5 <sup>th</sup> Prize 1000/- Cash Prize	1 Certificate



24.	Quiz competition	Miss. Trupti Ghatge	Final Year B. Pharm.	5 <sup>th</sup> Prize 1000/- Cash Prize	1 Certificate
Maharashtra Times Kolhapur 4 <sup>th</sup> Anniversary Debate Competition					
25.	Debate Competition	Mr. Vishwajit Kore	T. Y. B. Pharm	Consolation prize	1 Certificate

