Summary of papers published per teacher in the Journals notified on UGC care list during the last five years

Sr. No.	Name of Teacher	Academic Year	Number of papers published per teacher in the Journals notified on UGC website during the last five years	Total No of Papers
1	Dr. (Mrs.) S. P. Chaudhari	2021-2022	3	10
2	Dr. N. Saraswat		1	
3	Dr.(Mrs.) P.M. Chaudhari		1	
4	Mr. M.T. Mohite		1	
5	Ms. S. B. Bhagat		1	
6	Ms. S. A. Nikam		1	
7	Mrs. S. H. Dingare		1	
8	Ms. K. U. Chande		1	
9	Dr. N.S.Vyawahare	2020-2021	3	10
10	Dr.(Mrs) S.P Chaudhari		1	
11	Dr. D.S. Shirode		1	
12	Dr. A.V. Kulkarni		1	
13	Dr.(Mrs.) P.M. Chaudhari		4	
14	Dr. N.S.Vyawahare	2019-2020	1	07
15	Dr.(Mrs) S.P Chaudhari		2	
16	Dr.(Mrs.) P.M. Chaudhari		1	
17	D.S. Shirode		1	
18	Dr. P Powar		1	
19	Dr. S.C. Daswadkar		1	
20	Dr. N.S.Vyawahare	2018-2019	6	15
21	Dr.(Mrs) S.P Chaudhari		1	
22	Dr. A.V. Kulkarni		3	
23	Dr. D.S. Shirode		1	
24	Ms. J. R. Chopade		1	
25	Dr.S.C.Daswadkar		1	
26	Ms. S.Rajalakshmi		1	
27	Mr.M.T.Mohite		1	
28	Dr. N.S.Vyawahare	2017-2018	7	43
29	S.Rajalakshmi		3	
30	Ms S. A. Nikam		3	
31	Dr.S.P.Chaudhari		4	
32	Dr. S.C.Daswadkar		3	
33	Mr. A.V.Kulkarni		2	
34	Mr. M.T.Mohite		5	
35	Ms. P.Navya		1	
36	Dr.S.P.Mahaparale		5	
37	Dr.P.M.Chaudhari		3	
38	Dr.D.S.Shirode		2	
39	Ms.S.W.Jadhav		2	
40	Ms. P.V.Powar		2	
41	Ms. N.A.Khatri		1	
			Total Papers	85

Dr N S Vyawahare

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3.3.1 SUMMARY OF PAPERS PUBLISHED IN UGC CARE JOURNALS

Academic Year 2021-2022

Sr. No.	Title of paper	Name of the author/s	Name of journal	Year of publication
1.	Role Safety Profile of Buprenorphine Due to Ceiling Effect in the Era of Abuse Impediment Formulations	Ms. S. B. Bhagat	Journal of Maxillofacial and Oral Surgery	2022
2.	Formulation and in vitro evaluation of bilayer tablets of bicalutamide and koenibine	Dr.(Mrs) S.P Chaudhari	International Journal of Health Sciences	2022
3.	Design and Optimization of Solid Lipid Nanoparticles Based Gel of Tazarotene for Topical Delivery	Dr.(Mrs) P.M.Chaudhari	Indian Journal of Natural Sciences	2022
4.	Biosynthesis of Silver Nanoparticles from Polyphenolic Extract of Baliospermun solanifolium using Central Composite Design	Dr.(Mrs) S.P Chaudhari	Pharmacognosy Research	2022
5.	Biosynthesis of Silver Nanoparticles from Polyphenolic Extract of Baliospermun solanifolium using Central Composite Design	Ms. S. A. Nikam	Pharmacognosy Research	2022
6.	Heterogenecity of helicobacter pyroli in Diabetic And Non-Diabetic patients	Mrs. S. H. Dingare	International journal of health science	2022
7.	Heterogenecity of helicobacter pyroli in Diabetic And Non-Diabetic patients	Ms. K. U. Chande	International journal of health science	2022
8.	Development and validation of RP-HPLC method for quantitative analysis of abiraterone acetate in bulk drug	Dr. (Mrs.) S. P. Chaudhari	Advances in Bioresearch	2022
9.	Isolation of Thymol from Trachyspermum ammi fruits for treatment of diabetes and diabetic neuropathy in STZ induced rats	Dr. N. Saraswat	BioMed Research International	2022
10.	Formulation and Evaluation of Anti-Ageing Face Cream containing Lactic Acid and Cocoa Butter	Mr. M.T. Mohite	GIS science Journal	2022

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Academic Year 2020-2021

Sr.No.	Title of paper	Name of the author/s	Name of journal	Year of publication
1	L-carnitine ameliorates bile duct ligation induced liver fibrosis via reducing the nitrosative stress in experimental animals: preclinical evidences	Dr. N.S.Vyawahare	Heliyon	2021
2	Method Development And Validation For The Simultaneous Estimation Of Thymol And Eugenol By Using Rp-Hplc In Pure And In Emulgel Formulation	Dr.(Mrs) S.P Chaudhari	Indian Drugs	2021
3	Nephroprotective and antioxidant activity of Albizzia lebbeck	Dr. D.S. Shirode	Bulletin of Environment, Pharmacology and Life sciences	2021
4	Nephroprotective and antioxidant activity of Albizzia lebbeck	Dr.(Mrs.) P.M. Chaudhari	Bulletin of Environment, Pharmacology and Life sciences	2021
5	Antihyperglycemic and Antihyperlipidemic effect of Polyherbal Formulation on Alloxan Induced Diabetes in Wistar Rats	Dr. N.S.Vyawahare	Asian Journal of Pharmaceutics	2021
6	Formulation And Characterization Of Econazole Nitrate Loaded Transfersomal Gel For Antifungal Activity	Dr.(Mrs.) P.M. Chaudhari	International Journal of Pharmaceutical Sciences and Research	2021
7	Optimization and Evaluation of In situ Nasal Gel of Donepezil Hydrochloride	Dr.(Mrs.) P.M. Chaudhari	Asian Pacific Journal of Health Sciences	2021
8	Formulation, Optimization And Evaluation Of Muco-Adhesive Vaginal Films Of Tioconazole	Dr.(Mrs.) P.M. Chaudhari	Journal of Advanced Scientific Research	2021
9	Effect of hydroalcoholic extract of Sidaspinosa L. on 2,4,6-trinitrobenzenesulfonic acid induced ulcerative colitis in rats	Dr. N.S.Vyawahare	International Journal of Pharmaceutical Sciences and Research	2021
10	Effect of hydroalcoholic extract of Sidaspinosa L. on 2,4,6-trinitrobenzenesulfonic acid induced ulcerative colitis in rats	Dr. A.V. Kulkarni	International Journal of Pharmaceutical Sciences and Research	2021

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Academic Year 2019-2020

Sr.No	Title of paper	Name of the author/s	Name of journal	Year of publication
1	Hypoglycemic Activity Profile of Polyherbal Formulation (PF) and Potentiation of Hypoglycemic Effect of Metformin in Rodents	Dr. N.S.Vyawahare	International Journal of Pharmaceutical Sciences and Research	2020
2	Development Of Thymol Microsponges Loaded In Situ Gel For The Treatment Of Periodontitis.	Dr.(Mrs) S.P Chaudhari	Current drug delivery	2020
3	Eugenyl Methacrylate Microsponges Loaded with Eugenol Incorporated In Situ Gel for Treatment of Periodontitis	Dr.(Mrs) S.P Chaudhari	Journal of Pharmaceutical Innovation	2020
4	Optimization of Itroconazole Solid Lipid Nanoparticles for Topical Delivery	Dr.(Mrs.) P.M. Chaudhari	Nanoscience& Nanotechnology-Asia	2020
5	Antiulcer effect of Blumea lacera against Gastric ulcers in rats	Dr. D.S. Shirode	Research Journal of Pharmacy and Technology	2020
6	Antiulcer effect of Blumea lacera against Gastric ulcers in rats	Dr. P Powar	Research Journal of Pharmacy and Technology	2020
7	Formulation and Evaluation of Solid Lipid Nanoparticles of Olanzapine for the Treatment of Psychosis	Dr. S.C. Daswadkar	Journal of Drug Delivery and Therapeutics	2020

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Academic Year 2018-2019

Sr.No.	Title of paper	Name of the author/s	Name of journal	Year of publication
1	Synthesis Of 5-Hydroxy-2-Methyl-Naphthalene1,4-Dione Cocrystals With Pyridine-3-Carboxamide Using Electrospray Technology: Physicochemical Characterization And In Vitro Non-Everted Rat Intestinal Absorption Study	Dr. N.S.Vyawahare	The New Journal of Chemistry	2019
2	Hypoglycemic And Antihyperglycemic Prospective Of Marketed And Herbal Resilient Mediators"	Dr. N.S.Vyawahare	Research Journal of Pharmacy and Technology	2019
3	Prospective Of Combination Of Marketed And Herbal Resilient Mediators In The Management Of Diabetes And Its Related Hepatic Impairment"	Dr. N.S.Vyawahare	Research Journal of Pharmacy and Technology	2019
4	Thymol And Eugenol Loaded Chitosan Dental Film For Treatment Of Periodontitis	Dr.(Mrs) S.P Chaudhari	Indian Drugs	2019
5	Effect Of Blumea Lacera On Tissue GSH, Lipid Peroxidation And Hepatic Cells In Ethanol Induced Hepatotoxicity In Rats	Dr. D.S. Shirode	International Journal of Pharmacy and Pharmaceutical Research	2019
6	Effect Of Blumea Lacera On Tissue GSH, Lipid Peroxidation And Hepatic Cells In Ethanol Induced Hepatotoxicity In Rats	Dr. A.V Kulkarni	International Journal of Pharmacy and Pharmaceutical Research	2019
7	Effect Of pH And Gastrointestinal Enzymes On Stability Of Psoralen, Bakuchicin And Bakuchiol Using Simultaneous TLC Densitometric Method And Standardization Of Commercial Formulations Containing Psoralea Cordyfollia Linn.	Ms. J. R. Chopade	Journal of Drug Delivery and Therapeutics	2019
8	Evaluation of Immunomodulatory Activity of of Hydroalcoholic Extract of Sida Spinosa Linn	Dr. N. S.Vyawahare	International Research Journal of Pharmacy	2019
9	Evaluation of Immunomodulatory Activity of of Hydroalcoholic Extract of Sida Spinosa Linn	Mr.A.V.Kulkarni	International Research Journal of Pharmacy	2019
10	Synthesis of 5 - hydroxy - 2 -methyl - naphthalene1,4 -dione cocrystals with pyridine - 3 - carboxamide using electrospray technology: physicochemical characterization and in vitro non -everted rat intestinal absorption study	Dr.N.S.Vyawahare	The New Journal of Chemistry	2019
11	Synthesis of 5 - hydroxy - 2 -methyl - naphthalene1,4 -dione cocrystals with pyridine - 3 - carboxamide using electrospray technology: physicochemical characterization and in vitro non -everted rat intestinal absorption study	Ms. S.Rajalakshmi	The New Journal of Chemistry	2019

12	Development and Evaluation of Fluocinolone Acetonide and Neomycin Sulphate Nanomiemgel for Topical Drug Delivery System	Dr.S.C.Daswadkar	World journal of Pharmaceutical Research	2019
13	Preparation and evaluation of Ketoconazole Niosomal Gel Drug Delivery System By Ultrasonication method	Mr.M.T.Mohite	World journal of Pharmaceutical Research	2019
14	Evaluation of Immunomodulatory Activity of of Hydroalcoholic Extract of Sida Spinosa Linn	Mr. A.V.Kulkarni	International Research Journal of Pharmacy	2019
15	Evaluation of Immunomodulatory Activity of of Hydroalcoholic Extract of Sida Spinosa Linn	Dr.N. S.Vyawahare	International Research Journal of Pharmacy	2019

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Academic Year 2017-2018

Sr. No	Title of paper	Name of the author/s	Name of journal	Year of publication
1.	Current development in novel drug delivery systems of bioactive molecule plumbagin	Dr. N.S.Vyawahare	Artificial Cells, Nanomedicine, and Biotechnology	2018
2.	Current development in novel drug delivery systems of bioactive molecule plumbagin	S.Rajalakshmi	Artificial Cells, Nanomedicine, and Biotechnology	2018
3.	Development and Evaluation of Terbinafine Hydrochloride Polymeric Microsponges for Topical Drug Delivery	Ms S. A. Nikam	Indian Journal of Pharmaceutical Sciences	2018
4.	Evaluation of anticonvulsant activity of Premnaherbacea (roxb.) extracts in pentylenetetrazol and maximal electroshock-induced convulsions in mice	Dr. N.S.Vyawahare	Asian Journal of Pharmaceutical and Clinical Research	2018
5.	Evaluation of anticonvulsant activity of Premnaherbacea (roxb.) root extracts in isoniazid and strychnine-induced convulsions	Dr. N.S.Vyawahare	Asian Journal of Pharmaceutical and Clinical Research	2018
6.	Development and optimization of self emulsifying drug delivery system of bcs class iv drug	Dr.S.P.Chaudhari	Indo American Journal of Pharmaceutical Research	2018
7.	Development and optimization of self emulsifying drug delivery system of bcs class iv drug	Dr. S.C.Daswadkar	Indo American Journal of Pharmaceutical Research	2018
8.	Development and optimization of self emulsifying drug delivery system of bcs class iv drug	Mr. A.V.Kulkarni	Indo American Journal of Pharmaceutical Research	2018
9.	Evaluation of anticonvulsant activity of Premna herbacea (roxb.) extracts in pentylenetetrazol and maximal electroshock-induced convulsions in mice	Dr.N.S.Vyawahare	Asian Journal of Pharmaceutical and Clinical Research	2018
10	Evaluation of anticonvulsant activity of remna herbacea (roxb.) root extracts in isoniazid and strychnine induced convulsion	Dr.N.S.Vyawahare	Asian Journal of Pharmaceutical and Clinical Research	2018
11	Insilico Activity prediction of Thiazolidinediones derivatives	Mr. M.T.Mohite	Asian journal of Pharmaceutical analysis	2018
12	Insilico Activity prediction of Thiazolidinediones derivatives	Ms. P.Navya	Asian journal of Pharmaceutical analysis	2018
13	Development of novel biofunctionalized chitosan decorated nanocochleates as a cancer targeted drug delivery platform	Ms. S.Rajalakshmi	Artificial cells, Nanomedicine and Biotechnology	2018
14	Development of fisetin-loaded foalte functionalized pluronic micelles for breast cancer targeting	Ms. S.Rajalakshmi	Artificial cells, Nanomedicine and Biotechnology	2018

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15	Evaluation of Lepidium Sativum seed mucilage as a binder in tablet formulation	Dr.S.P.Chaudhari	Indo American Journal of Pharmaceutical Research	2018
16	Analytical Method Development and validation of Escitalopram by RP-HPLC Method	Dr.S.P.Mahaparale	Asian J. Pharmaceutical Analysis and Medicinal Chemistry	2018
17	Analytical Method Development and validation of Escitalopram by RP-HPLC Method	Mr. A.V.Kulkarni	Asian J. Pharmaceutical Analysis and Medicinal Chemistry	2018
18	Development and Evaluation of Nanoemulsion As A Carrier For Topical Delivery System By Box -Behnken Design	Dr.P.M.Chaudhari	Asian Journal of Pharmaceutical and Clinical Research	2018
19	Design and Evaluation of Sustained Release Matrix Tablets of Antihyperlipidemic Drug	Dr.P.M.Chaudhari	American Journal of Pharmtech Research	2018
20	Design and Evaluation of Sustained Release Matrix Tablets of Antihyperlipidemic Drug	Dr.N.S.Vyawahare	American Journal of Pharmtech Research	2018
21	Development and Evaluation of Multiparticulate Drug Delivery System for Colon Targeting	Dr.P.M.Chaudhari	International Journal of Pharmacy and Pharmaceutical Research	2018
22	Determination of in vitro antioxidant capacity of albizzia lebbeck leaves	Dr.D.S.Shirode	International Journal of Chemical Science	2018
23	Microscopic and Physicochemical Evaluation of Lagerstroemia lanceolata Wall Leaves	Ms.S.W.Jadhav	Scholars Academic Journal of Pharmacy (SAJP)	2018
24	Evaluation of Anti-Inflammatory Activity of Lagerstroemia lanceolata Wall Leaf Extract	Ms.S.W.Jadhav	Scholars Academic Journal of Pharmacy (SAJP)	2018
25	Formulation and in vitro evaluation of gel based polyherbal vaginal wash	Ms. P.V.Powar	Indian Drugs	2018
26	Study On Natural Food Colorants Extracted From Phyllanthus Reticulum Fruits as A Healthier Alternative To Synthetic Food Color	Ms. P.V.Powar	International Journal of Pharmacy & Technology IJPT	2018
27	Development and Evaluation of Terbinafine Hydrochloride Polymeric Microsponges for Topical Drug Delivery	Ms. S.A.Nikam	Indian Journal of Pharmaceutical Sciences	2018
28	Effect of hydroalcoholic extract of dried fruits of Trapanatans L on animal models of cognitive dysfunction	Dr. N.S.Vyawahare	Indian Drugs	2017
29	Development and evaluation of emulgel for wound healing activity	Dr.S.P.Chaudhari	Indo American Journal of Pharmaceutical Research	2017
30	Development and evaluation of emulgel for wound healing activity	Dr.D.S.Shirode	Indo American Journal of Pharmaceutical Research	2017
31	Analytical method development and validation of ribavirin in pharmaceutical dosage form by RP-HPLC method	Dr.S.P.Mahaparale	European journal of pharmaceutical and medical research	2017
32	Estimation of lornoxicam and diacerein in bulk and pharmaceutical dosage from by simultaneous equation and Q analysis using UV spectroscopic technique	Dr.S.P.Mahaparale	Asian Journal of Pharmaceutical Analysis and Medicinal Chemistry.	2017
33	Formulation and evaluation of lornoxicam emulgel	Dr.S.P.Mahaparale	International Journal of Pharmaceutical Chemistry and Analysis	2017

34	Development and characterization of fast dissolving tablets of Diltiazem HCl	Dr.P.M.Chaudhari	Advance Pharmaceutical Journal	2017
35	Development and characterization of fast dissolving tablets of Diltiazem HCl	Dr.S.P.Chaudhari	Advance Pharmaceutical Journal	2017
36	Evaluation of Antifungal Activity of Fluconazole and Cinnamon oil based Nano-Emulsion	Ms. S.A.Nikam	International Journal of Advanced Pharmaceutics	2017
37	Evaluation of Antifungal Activity of Fluconazole and Cinnamon oil based Nano-Emulsion	Ms. M.t.Mohite	International Journal of Advanced Pharmaceutics	2017
38	Modeling and optimization of drug release from levofloxacin hemihydrate floating matrix tablet using artificial neural network	Ms. N.A.Khatri	World journal of pharmacy and pharmaceutical sciences	2017
39	To study the effect of basic solvent on mercatopurine by suing UV-Spectrophotometer	Mr.M.T.Mohite	European Journal of Pharmaceutical and Medical Research	2017
40	Formulation and evaluation of Topical Antifungal Gel containing Fluconazole	Mr.M.T.Mohite	European Journal of Pharmaceutical and Medical Research	2017
41	To study the effect of anhydrous solvents on methotrexate by using UV-spectrophotometer	Mr.M.T.Mohite	World Journal of Pharmacy and Pharmaceutical Sciences	2017
42	Analytical method development and its validation for determination of Rupatadine HCL in bulk and formulation by U.V Spectrometric method	Ms. S.C.Daswadkar	International Journal of Chemistry, Pharmacy & Technology	2017
43	Study of formulation variables on bioavailability of metformin hydrochloride	Ms. S.C.Daswadkar	European journal of Pharmaceutical and Medical research	2017

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Role Safety Profile of Buprenorphine Due to Ceiling Effect in the Era of Abuse Impediment Formulations

Societies, partners and affiliations

Association of Oral and Maxillofacial Surgeons of India

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LETTER TO THE EDITOR | Published: 26 December 2022

Role Safety Profile of Buprenorphine Due to Ceiling Effect in the Era of Abuse Impediment Formulations

Bhushan Bhagat, Narayan Pandey, Prajwal Jawanjal & Shubhangi B. Bhagat

Journal of Maxillofacial and Oral Surgery (2022) | Cite this article

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Formulation and in vitro evaluation of bilayer tablets of bicalutamide and koenimbine

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***Abstract*---**This work is about developing, optimizing, and testing *In vitro* a bilayer tablet with Koenimbine (KNB) in the immediate release layer and bicalutamide (BCT) in the sustained release layer. Sodium starch glycolate is used as a super disintegrant in the immediate release layer, and the hydrophilic matrix HPMC-K100 is used in the sustained release layer. Bilayer tablet showed initial burst effect to provide dose of immediate release layer Koenimbine to control the acid secretion level and the sustained release of bicalutamide for 24 hours. The prepared bilayer tablet was tested for its precompression parameters, physical properties like hardness, friability, uniformity of weight, uniformity of drug content, swelling index, and *In-vitro* drug release. In 45 minutes, 100.37 ± 0.35 percent of the Koenimbine in the immediate release layer was found to be released. In 24 hours, 98.32% of the bicalutamide in the layer with slow release was found to have been released. Koenimbine makes bicalutamide work better. So, bilayer tablets of koenimbine and bicalutamide were used to get more patients to take their medicine so that prostate cancer could be treated better.

***Keywords*---**prostate cancer, koenimbine, bicalutamide, bilayer tablets.

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RESEARCH ARTICLE

Design and Optimization of Solid Lipid Nanoparticles Based Gel of Tazarotene for Topical Delivery

Shruti Ambadas Talmale[1] and Pallavi Manojkumar Chaudhari[2*]

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[2]Associate Professor, Department of Pharmaceutics, Dr. D. Y. Patil College of Pharmacy, Akurdi, Pune, India.

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ABSTRACT

Solid lipid nanoparticles were used as drug carriers for lipophilic drugs to facilitate the drug release of water-insoluble drugs. Tazarotene was successfully entrapped in lipid Glyceryl Monostearate (GMS), Tween 80 was used as a surfactant and Poloxamer 188 was used as a stabilizer for solid lipid nanoparticles. Tazarotene is useful in treating psoriasis topically. The Box Behnken design showed optimized result at 7.5 minute sonication time, 500 mg stabilizer concentration and 1 mg lipid concentration. It was seen that particle size 30.71 nm, entrapment efficiency 82.89% and in vitro drug release72.87% of batch F7. The hot homogenization method was beneficial for the successful incorporation of the poorly-soluble drug Tazarotene with high entrapment efficiency. The pH of optimized SLN formulation was found to be 5.2. Zeta potential of optimized formulation was -50 mV indicated the potential stability of the prepared colloidal system. The optimized Lyophilized powder of SLN formulation dispersed in carbopol P 934 gel and evaluated. The physical appearance of formulation was found to be smooth, transparent and homogeneous pH (5.8) Viscosity (2618±52.12 cps), Spreadability (6.18±0.05gm in 60 sec).

Keywords: Tazarotene, Solid Lipid Nanoparticles, Topically, Psoriasis, Entrapment Efficiency, Lyophilized, Zeta potential.

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Pharmacogn Res. 2022;14(4):405-411
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Original Article

Biosynthesis of Silver Nanoparticles from Polyphenolic Extract of *Baliospermun solanifolium* using Central Composite Design

Sarika Ankushrao Nikam*, Shilpa Pravin Chaudhari

ABSTRACT

Background: Plant extracts contain a considerable amount of polyphenols. These polyphenols act as reducing, capping, and stabilizing agents in the formation of silver nanoparticles. **Objectives:** The objective of the current study is biosynthesis, optimization, and evaluation of silver nanoparticles from the polyphenolic extract of *Baliospermun solanifolium*. **Materials and Methods:** Central composite design (CCD) was used to synthesize silver nanoparticles (AgNPs) from the polyphenolic extract of Baliospermun. Preliminary screening was done to find out the upper and lower limits for the optimization study. Four independent variables like Silver nitrate concentrations (mM), Baliospermun extract (%), stirring time (min), and stirring rate (RPM) were employed. As per the design expert, 30 experiments were performed and their effects on dependent variables were listed. Analysis of synthesized AgNPs was done by visual observation, UV-vis Spectroscopy, Zeta potential, X-ray Diffraction (XRD), FTIR, FESEM, etc. **Results:** The development of Baliospermun silver nanoparticles was confirmed by a color change from pale yellow to dark brown. Characteristic peak of silver nanoparticles observed at 432 nm. −15 mV Zeta potentials confirmed the stability of silver nanoparticles. The sizes of the produced nanoparticles were measured using a FESEM and ranged from 70 to 140 nm. The crystalline nature of nanoparticles was confirmed with the help of X-ray crystallography. FTIR data strongly revealed the presence of phenolic compounds in the reduction, stabilization, and biosynthesis of AgNPs. **Conclusion:** Major Optimized factors offered by the Central composite design were 10mM $AgNO_3$, 10 % Baliospermun extract, 150 min, and 700 rpm. As per the data collected from FTIR and HPLC, silver nanoparticles could be capped with major phenolic groups like ellagic acid, catechins, and quercetin.
Keywords: Baliospermum, Central composite design, Design expert, Green synthesis, Silver nanoparticles.

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INTRODUCTION

Baliospermum solanifolium (Burm.) Suresh (Family: Euphorbiaceae), popularly known as Danti, is a plant whose phenolic extract is the basis of the current study's attempt to create silver nanoparticles. It is a small shrub that grows up to the height of 1-2m.[1-4] For the generation of nanoparticles, green synthesis uses either biological microbes or plant extracts. It is a low-cost, environment-friendly approach that can readily be scaled up for large-scale synthesis.[2] This study used a green synthesis strategy that includes the use of Baliospermum extract as a reducing and stabilizing agent to successfully create silver nanoparticle structures. Plant extract contains a considerable amount of polyphenols. Polyphenols are secondary metabolites that protect plants from a variety of stresses as well as different bacterial and fungal infections. These polyphenols act as reducing, capping, and stabilizing agents in the formation of silver nanoparticles.[3]

MATERIALS AND METHODS

Materials

Baliospermum solanifolium leaves were collected from rural areas of Nashik district, Maharashtra, India, and authenticated from Agharkar Research Institute, Pune, India. Leaves were collected, washed with distilled water, dried, and stored for further use. Silver nitrate and all other ingredients used in the experimental procedure were procured from Research Lab Fine Chemical, Mumbai, India, and used as received. All the solutions were prepared freshly and stored in the dark to avoid photochemical reactions for the experiments.

Extraction of phenolic compounds from Baliospermum aqueous extracts

Application heat causes increased permeability of plant cells by cell disruption and also breaks the interaction between polyphenol and lipoproteins which causes increasing solubility of polyphenols in water. The maximum amount of polyphenol

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About

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Announcement

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Original Article

Biosynthesis of Silver Nanoparticles from Polyphenolic Extract of *Baliospermun solanifolium* using Central Composite Design

Sarika Ankushrao Nikam*, Shilpa Pravin Chaudhari

ABSTRACT

Background: Plant extracts contain a considerable amount of polyphenols. These polyphenols act as reducing, capping, and stabilizing agents in the formation of silver nanoparticles. **Objectives:** The objective of the current study is biosynthesis, optimization, and evaluation of silver nanoparticles from the polyphenolic extract of *Baliospermum solanifolium*. **Materials and Methods:** Central composite design (CCD) was used to synthesize silver nanoparticles (AgNPs) from the polyphenolic extract of Baliospermum. Preliminary screening was done to find out the upper and lower limits for the optimization study. Four independent variables like Silver nitrate concentrations (mM), Baliospermum extract (%), stirring time (min), and stirring rate (RPM) were employed. As per the design expert, 30 experiments were performed and their effects on dependent variables were listed. Analysis of synthesized AgNPs was done by visual observation, UV-vis Spectroscopy, Zeta potential, X-ray Diffraction (XRD), FTIR, FESEM, etc. **Results:** The development of Baliospermum silver nanoparticles was confirmed by a color change from pale yellow to dark brown. Characteristic peak of silver nanoparticles observed at 432 nm. −15 mV Zeta potentials confirmed the stability of silver nanoparticles. The sizes of the produced nanoparticles were measured using a FESEM and ranged from 70 to 140 nm. The crystalline nature of nanoparticles was confirmed with the help of X-ray crystallography. FTIR data strongly revealed the presence of phenolic compounds in the reduction, stabilization, and biosynthesis of AgNPs. **Conclusion:** Major Optimized factors offered by the Central composite design were 10mM $AgNO_3$, 10 % Baliospermum extract, 150 min, and 700 rpm. As per the data collected from FTIR and HPLC, silver nanoparticles could be capped with major phenolic groups like ellagic acid, catechins, and quercetin.

Keywords: Baliospermum, Central composite design, Design expert, Green synthesis, Silver nanoparticles.

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INTRODUCTION

Baliospermum solanifolium (Burm.) Suresh (Family: Euphorbiaceae), popularly known as Danti, is a plant whose phenolic extract is the basis of the current study's attempt to create silver nanoparticles. It is a small shrub that grows up to the height of 1-2m.[1-4] For the generation of nanoparticles, green synthesis uses either biological microbes or plant extracts. It is a low-cost, environment-friendly approach that can readily be scaled up for large-scale synthesis.[2] This study used a green synthesis strategy that includes the use of Baliospermum extract as a reducing and stabilizing agent to successfully create silver nanoparticle structures. Plant extract contains a considerable amount of polyphenols. Polyphenols are secondary metabolites that protect plants from a variety of stresses as well as different bacterial and fungal infections. These polyphenols act as reducing, capping, and stabilizing agents in the formation of silver nanoparticles.[3]

MATERIALS AND METHODS

Materials

Baliospermum solanifolium leaves were collected from rural areas of Nashik district, Maharashtra, India, and authenticated from Agharkar Research Institute, Pune, India. Leaves were collected, washed with distilled water, dried, and stored for further use. Silver nitrate and all other ingredients used in the experimental procedure were procured from Research Lab Fine Chemical, Mumbai, India, and used as received. All the solutions were prepared freshly and stored in the dark to avoid photochemical reactions for the experiments.

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Heterogeneity of helicobacter pylori in diabetic and nondiabetic patients

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Abstract---Recent years have seen the appearance of fresh pieces of data suggesting a connection between Helicobacter pylori infections and diabetes. A sample of this size would require a random selection of 340 participants, with 176 males and 164 females. They were separated into the two primary categories of diabetes patients and non-diabetic individuals. Among the samples, H. pylori was found in 171 (57 percent), while 169 (43 percent) of the samples tested negative for the presence of H. pylori. The levels of glucose in the blood of diabetic patients were much higher than those of non-diabetic patients whose bodies were infected with bacteria. Of the 171 patients who tested positive for H. pylori, 97 (or 57 percent) did not have diabetes, but 74 (or 43 percent) did have diabetes. The current research shown that the rates of infection differ between age groups, and that this variation is directly related to age. According to the findings of this study, H. pylori infection is more common in males

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Heterogeneity of helicobacter pylori in diabetic and nondiabetic patients

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Advances in Bioresearch

ORIGINAL ARTICLE

Development and validation of RP-HPLC Method for quantitative analysis of Abiraterone acetate in bulk drug

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ABSTRACT

This work was carried out with an aim to develop a simple, accurate, robust, precise and reproducible reverse phase High performance liquid chromatographic method for Abiraterone acetate in bulk drug. In the current developed method, SB C-18, 100x4.6 mm, 2.7 um, was used as stationary phase and eluent was 10 mM Ammonium formate in water: acetonitrile (10:90 v/v). Method validation and different studied parameters such as linearity range, system suitability, precision, accuracy, limit of detection and limit of quantitation were performed as per ICH guidelines. Forced degradation studies were conducted by drug exposure to various stress conditions like UV, water, acid, alkali, & oxidative. The method was found to be linear within the range 10-200 µg/ml with limit of detection 0.050321 ng/ml & limit of quantitation 0.150962 ng/ml whereas percent recovery was found to be 99.98% -100.77%. This method can be utilized for the routine quantitative analysis of Abiraterone acetate in bulk drugs.

Keywords: *Abiraterone acetate, prostate cancer, RP-HPLC, ICH, precision*

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Vijay Y. Jadhav, Shilpa P. Chaudhari. Development and validation of RP-HPLC Method for quantitative analysis of Abiraterone acetate in bulk drug. Adv. Biores. Vol 13 [3] May 2022. 48-54

INTRODUCTION

Abiraterone is steroid progesterone derivative which is FDA approved drug for prostate cancer treatment [1]. Abiraterone acetate is oral prodrug of Abiraterone. It is particularly indicated for treatment of metastatic castration and in metastatic high risk castration sensitive prostate cancer in combination with prednisone [1,2]. It is reported as selective inhibitor of enzymes cytochrome P450 17x-hydrolyase and CYP17 which are involved in testosterone production. Drug is adrenal inhibitor therefore recommended as hormonal therapy in advanced breast and prostate cancer [2]. It is marketed under the brand name Zytiga. Taking into account high utility of drug, this research presents highly reproducible and sensitive RP-HPLC method for Abiraterone acetate.

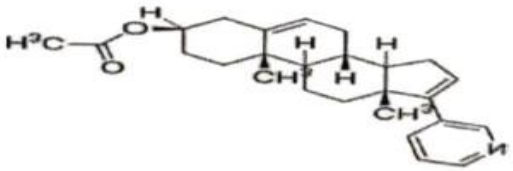

Fig.1. Abiraterone acetate structure

MATERIAL AND METHODS

Chemicals:

Abiraterone acetate received as gift sample from Glenmark Pharmaceuticals. All used chemicals were of AR grade. HPLC grade solvents were used and obtained from MERCK Ltd.

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About this Journal

Aims and scope

BioMed Research International is a peer-reviewed, Open Access journal that publishes original research articles and review articles covering a wide range of subjects in life sciences and medicine. The journal is divided into 56 subject areas.

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Research Article

Isolation of Thymol from *Trachyspermum ammi* Fruits for Treatment of Diabetes and Diabetic Neuropathy in STZ-Induced Rats

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Terpenoids and phenols from *Trachyspermum ammi* (*T. ammi*) have reported some pharmacological actions. The objective of the work was to isolate the active constituent, its identification by spectroscopic techniques, and evaluation of the antidiabetic and neuroprotective activity from *T. ammi* on STZ Wistar rats. The dried fruits of *T ammi* were kept in a hydrodistillation apparatus to collect essential oil. The isolated fraction went through TLC, UV, FTIR, HPLC, HRMS, C^{13}, and ^1H NMR for characterization. Two dosage concentrations from the isolated compound were prepared as 10 and 20 mg/kg for treatment groups. The groups were tested for thermal and mechanical hyperalgesia, writhing, grip strength, spontaneous locomotor test, neuromuscular coordination tests, and histopathological and lipid profile analysis. Diabetes was induced by streptozotocin (45 mg/kg i.p.) and 12 weeks of treatment-induced diabetic neuropathy in Wistar rats. Biomarkers were evaluated to understand the neuropathic protection of thymol on STZ-treated Wistar rats. The biomarker studies (SOD, NO, LPO, Na^+K^+ATPase, and TNF-α) further confirmed thymol's diabetic neuropathy protective action. This study suggests that isolated compound thymol was antidiabetic and neuroprotective as it has shown controlled glucose levels defensive nerve damage in STZ Wistar rats. $P < 0.05$ level of significance was observed in the levels of endogenous biomarkers, fasting blood glucose levels, actophotometer response, and response latency in treated groups compared to the diabetic group, whereas $P < 0.001$ level of significance during lipid profile levels, thermal algesia, and neuromuscular comparison tests was noted in treated groups compared to the diabetic group.

1. Introduction

Patients suffering from diabetes mellitus (DM) observe a severe condition of peripheral nerve dysfunction called diabetic neuropathy (DN) [1, 2]. In India, the prevalence is higher (4.3%) compared to the western countries where 1%–2% population of DM faces these conditions. This could be due to the probability that Asian Indians are prone to the condition of insulin resistance [3–5]. Around 2/3rd of the diabetic population suffers from clinical or subclinical neu-

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Formulation and Evaluation of Anti-Aging Face Cream containing Lactic Acid and Cocoa Butter

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L-carnitine ameliorates bile duct ligation induced liver fibrosis via reducing the nitrosative stress in experimental animals: preclinical evidences

Vikram Nimbalkar [1], Neeraj Vyawahare [2]

Affiliations + expand

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Research article

L-carnitine ameliorates bile duct ligation induced liver fibrosis via reducing the nitrosative stress in experimental animals: preclinical evidences

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ABSTRACT

Bile duct ligation (BDL) has been extensively used in studying the mechanisms of fibrogenesis and anti-fibrotic drugs. Considering the liver regenerative capacity and the diverse results from BDL, the present study aimed to evaluate the protective effect of L-carnitine on bile duct ligation-induced liver fibrosis in experimental rats. Rats were randomly divided into seven groups (n = 6). The bile duct was ligated and serum aspartate transaminase (AST), alanine transaminase (ALT), total bilirubin and albumin, hepatic hydroxyproline (HP), reduced glutathione (GSH), and malondialdehyde (MDA) and cytokines were measured. iNOS expression was measured by using Western blot and finally, liver tissue was processed for histopathological analysis (H&E staining)". The level of iNOS was increased in the control group, whereas a decrease in the level of iNOS was found in the L-carnitine treated group. In the present study, we found that bile duct ligation in rats showed an increase in body and liver weight, while treatment with carnitine showed normal body and liver weight. Serum AST, ALT, total bilirubin, HP, GSH, MDA, and cytokines were increased in bile duct ligated rats. In addition, L-carnitine treated rats showed a reduction in oxidative stress as well as inhibiting the release of cytokines in a dose-dependent manner and showed protection against bile duct ligation. The study concludes that L-carnitine has a protective effect against the liver fibrosis induced by bile duct ligation.

1. Introduction

Excessive accumulation of extracellular matrix (ECM) proteins owing to extraordinary chronic liver disorders, along with viral hepatitis, alcoholic or non-alcoholic steatohepatitis, is characterized by liver fibrosis [1]. The genesis of liver fibres is a complex mechanism between cells and molecular processes. While particular diseases may potentially contribute to liver fibrosis. The end product of a mismatch between ECM synthesis and degeneration can be known to be liver fibrosis. For ECM homeostasis, the equilibrium between matrix metalloproteinases (MMPs) and tissue metalloproteinase inhibitors (TIMPs) is crucial [2, 3]. Several studies have identified the functions of various biochemical markers such as aspartate transaminase, alanine transaminase, hydroxyproline, and complete bilirubin [4, 5]. These are primary biomarkers that are involved in liver fibrosis pathogenesis. The role of cytokines in the progression of liver fibrosis was important instead of these biomarkers, and the concentration of different cytokines was elevated during disease progression [6, 7]. Carnitine (Hydroxy-trimethylaminobutyrate), originally isolated from muscle in 1905 and named after the Latin word Carne (flesh or meat), is a quaternary amine occurring in almost all types of animals, in addition to various microorganisms and many higher plants [8]. In the mealworm (Tenebriomolitor) and many other larvae of the same family, L-Carnitine tended to serve as a nutrient and was thus called Vitamin BT. Limited quantities of vitamin BT are necessary to ensure these insects' natural growth and development. However, since humans and other higher organisms can synthesize L-carnitine, Vitamin BT is simply a misnomer. L-carnitine, on the other hand, is classified as a vitamin-like substance rather than a vitamin [9, 10].

Several pharmacological activities with effectiveness in lung fibrosis have been documented with L-carnitine [11], uremic anemia [12], anti-inflammatory and anti-arthritic activity [13], anti-cancer activity [14], and hepatoprotective activity [15]. Bile duct ligation (BDL) induced liver fibrosis has been extensively used as an animal model for the pre-clinical activity of new therapeutic agents for studying mechanisms of fibrogenesis and anti-fibrotic drugs [16]. The current study aimed to assess the protective effect of L-carnitine in bile duct ligation-induced liver fibrosis in rats by measuring biochemical markers, cytokine levels, and antioxidant enzyme levels.

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METHOD DEVELOPMENT AND VALIDATION FOR THE SIMULTANEOUS ESTIMATION OF THYMOL AND EUGENOL BY USING RP-HPLC IN PURE AND IN EMULGEL FORMULATION

Vinita Patole C.[a]* and Shilpa Chaudhari P.[a]

(Received 25 May 2019) (Accepted 29 January 2020)

ABSTRACT

An attempt was made to develop a simple, selective, rapid and precise high-performance liquid chromatography (HPLC) method for simultaneous estimation of thymol and eugenol. Analysis was performed on a C_{18} column with the mobile phase consisting of solvent %A (water) and solvent %B (acetonitrile) with the following gradient: 0–1 min, 80 % A, 20 % B; 1–7 min, 40 % A and 60 % B; 7–12 min, 10 % A and 90 % B; and 12–15min, 80 % A and 20 % B at a flow rate of 0.6 mL/min. The compounds were well separated on a Thermo Scientific Hypersil BDS RP C_{18} column (4.6 mm × 150 mm, dp = 5 µm) and ultraviolet detection at 280 nm. The retention times of eugenol and thymol were 10.5 min and 11.6 min, respectively. Validation of the proposed method was carried out according to the guidelines of the International Council on Harmonization (ICH). The linearity of the method is good for thymol and eugenol over the concentration range of 1–50 ppm, and the r^2 values were 0.9996 for both thymol and eugenol. The calculated limit of detection (LOD) value was 0.5ppm and the limit of quantification (LOQ) value was 1ppm for both the analytes. The intra and interday relative standard deviation (RSD) of the retention time and peak areas was less than 3 %.The established method was appropriate, and the two markers were well resolved, enabling efficient quantitative analysis of thymol and eugenol.

Keywords: Thymol,eugenol, simultaneous, gradient, validation, emulgel

ABBREVIATIONS

HPLC: high performance liquid chromatography, WHO: World Health Organization, TLC: thin layer chromatography, HPTLC: high performance thin layer chromatography, LOQ: limit of quantification, LOD: Limit of detection, RSD: relative standard deviation, LQC : lower quantitation limit, MQC: medium quantitation limit, HQC :higher quantitation limit, ICH: International Conference on Harmonization

INTRODUCTION

During the last decade, the use of herbal drugs and alternative medicine has increased greatly. Herbal medicinal products are defined as any medicinal products exclusively containing one or more herbal active substances. The World Health Organization (WHO) reports that 80% of the world population relies on drugs of natural origin[1]. Natural products have been investigated more thoroughly as promising agents for prevention of oral diseases. Even though several agents are commercially available, they produce undesirable side-effects such as vomiting, diarrhea and tooth staining[2,3]. Hence, the search for alternative products continues, and natural phytochemicals isolated from plants that are used in traditional medicines are considered good alternatives to synthetic chemicals and antibiotics[4-5], especially for plaque-related diseases such as dental caries and periodontal diseases. The link between oral diseases and the activities of microbial species that form part of the micro biota of the oral cavity is well established[6]. In this context, two major natural phytophenolic compounds namely, thymol (2-isopropyl-5-methylphenol) and eugenol (4-allyl-2-methoxy phenol) and known for their wide spectrum of antimicrobial activities against oral pathogens, have been investigated Fig.1[7,5]. Thymol (2-isopropyl-5-methylphenol) is the main monoterpene phenol in essential oils that is extracted from thyme and other kinds of plants[8]. It is identified for its anti-inflammatory, antioxidant, local anesthetic, antiseptic and antimicrobial properties[9].The protective nature of thymol against caries and plaques makes it a suitable agent in the field of dental drugs[10]. Eugenol (4-allyl-2-methoxy

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Indian Drugs

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Nephroprotective and antioxidant activity of *Albizzia lebbeck.*

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ABSTRACT
Nephrotoxicity is the renal injury due to medication. More than 50 % of complicated patients develop acute kidney injury after frequent use of drugs. Various drugs as adverse effect are responsible for nephrotoxicity like aminoglycoside antibiotics, anticancer drugs etc. The present study was designed to evaluate the nitric oxide scavenging activity and nephroprotective activity of 70% ethanolic extract of leaves of Albizzia lebbeck (EELAL) against Cisplatin (6mg/kg.i.v.) induced nephrotoxicity in albino rats. Preliminary phytochemical screening, in vitro antioxidant model, acute oral toxicity was performed. The degree of nephrotoxicity/protection was determined by measuring the level of Physical parameter (wet kidney weight), biochemical markers (BUN and serum creatinine) and in vivo antioxidant parameters i.e. Glutathione (GSH) and Lipid peroxidation (LPO). The 70 % EELAL significantly decrease the activity of tissue lipid peroxidation and Biochemical markers (BUN and serum creatinine) while it significantly increased in nitric oxide scavenging activity and tissue GSH levels in dose dependent manner. Histopathology studies and physical parameter also supported these results. The nephroprotective and antioxidant properties may be attributed to the polyphenolic compounds like flavonoids and tannins that are present in the leaves of Albizzia lebbeck
***Keywords**: Albizzia lebbeck, Cisplatin, Antioxidant, Nephrotoxicity*

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INTRODUCTION

There are various drugs such as Cisplatin, Gentamicin and Paracetamol, which are used for their therapeutic effects but they produce nephrotoxicity as adverse effect. Gentamicin causes nephrotoxicity about 13-30% to treated patients [1]. Overdose of paracetamol lead to kidney failure in experimental animals and humans in severe case to death [2]. Cisplatin act as chemotherapeutic agent for solid tumors but it also causes the serious adverse effect such as nephrotoxicity [3]

As natural products show significant contribution and less adverse effect for the treatment of various diseases. On the basis of literature survey and availability of plant to native practitioner, *Albizzia lebbeck* plants were selected for nephroprotective activity. *Albizzia lebbeck* Benth belongs to family Mimosaceae. It useful in opthalmia, aphrodisiac [4], allergic disorders [5], chronic cough, bronchitis [6], inflammation, scrofula, skin disease, leprosy, leucoderma, seminal weakness, ophthalmopathy and poisoning. The leaves of the plant *Albizzia lebbeck* are rich in vicenin II, β-sitosterol, echinocystic acid and flavon. etc [5]. The modern literature revealed that the plant is reported to possess nootropic [7, 8], anxiolytic [8], anticonvulsant [9, 10], antifertility [11], antidiarrheal activity [12], anti-inflammatory (bark) [13, 14], antiulcer [15] and hepatoprotective [16].

The purpose of the present study was to evaluate the ability of 70% ethanolic extract of leaves of *Albizzia lebbeck* (EELAL) to protect renal tissue against Cisplatin induced nephrotoxicity in rats.

MATERIAL AND METHODS

Plant Material

The *Albizzia lebbeck* leaves were collected from fields of Anand, Gujarat. It was authenticated by Prof. G.C. Jadeja, Dept of Agricultural Botany, Anand Agricultural University. The 70% ethanolic extract was prepared by using 70% ethanol in a soxhlet apparatus after de-fatting with petroleum ether. Preliminary phytochemical result of 70% EELAL exhibited the presence of saponins glycoside, tannin and flavonoids in it. So EELAL was further selected for acute oral toxicity and nephroprotective study.

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ORIGINAL ARTICLE **OPEN ACCESS**

Nephroprotective and antioxidant activity of *Albizzia lebbeck.*

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ABSTRACT

Nephrotoxicity is the renal injury due to medication. More than 50 % of complicated patients develop acute kidney injury after frequent use of drugs. Various drugs as adverse effect are responsible for nephrotoxicity like aminoglycoside antibiotics, anticancer drugs etc. The present study was designed to evaluate the nitric oxide scavenging activity and nephroprotective activity of 70% ethanolic extract of leaves of Albizzia lebbeck (EELAL) against Cisplatin (6mg/kg.i.v.) induced nephrotoxicity in albino rats. Preliminary phytochemical screening, in vitro antioxidant model, acute oral toxicity was performed. The degree of nephrotoxicity/protection was determined by measuring the level of Physical parameter (wet kidney weight), biochemical markers (BUN and serum creatinine) and in vivo antioxidant parameters i.e. Glutathione (GSH) and Lipid peroxidation (LPO). The 70 % EELAL significantly decrease the activity of tissue lipid peroxidation and Biochemical markers (BUN and serum creatinine) while it significantly increased in nitric oxide scavenging activity and tissue GSH levels in dose dependent manner. Histopathology studies and physical parameter also supported these results. The nephroprotective and antioxidant properties may be attributed to the polyphenolic compounds like flavonoids and tannins that are present in the leaves of Albizzia lebbeck

Keywords: *Albizzia lebbeck, Cisplatin, Antioxidant, Nephrotoxicity*

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INTRODUCTION

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Antihyperglycemic and Antihyperlipidemic Effect of Polyherbal Formulation on Alloxan-induced Diabetes in Wistar Rats

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ORIGINAL ARTICLE

Antihyperglycemic and Antihyperlipidemic Effect of Polyherbal Formulation on Alloxan-induced Diabetes in Wistar Rats

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Abstract

Objective: The polyherbal formulation (PHF) containing different herbal extracts has been used to treat diabetic patients by Ayurvedic professionals in India. It has been well documented that the polyherbal plant extracts more productively diminish the elevated blood glucose level as compared with the single plant extract. **Methodology:** The PHF contains the concentrated extracts of *Syzygium cumini*, *Annona squamosa*, *Momordica charantia*, *Tinospora cordifolia*, *Gymnema sylvestre*, and *Curcuma longa*. The present study reports the impact of PHF alone and with metformin on various preclinical models of hyperglycemia. **Results:** PHF treatment with alone and in combination with metformin evoked a noteworthy antihyperglycemic impact on glucose loaded ($P < 0.05$), epinephrine-induced hyperglycemia ($P < 0.05$), and alloxan-induced diabetic rats ($P < 0.05$). PHF treatment also modifies glucose tolerance curve pattern both in normal and in diabetic rats. The treatment with polyherbal formulation was significantly improved architecture of β-islets of Langerhans as compared with the diabetic rats. The PHF significantly decreased ($P < 0.05$) triglyceride, cholesterol, and high-density lipoprotein as compared to diabetic control group. The dynamic phytoconstituents present in this PHF are flavonoids, phenolic compound, triterpene saponins like gymnemic acids, and gymnemasaponins advance the arrival of insulin and postpone the assimilation of glucose. **Conclusion:** PHF treatment in combination with metformin is found to be useful in the management of preclinically induced diabetes mellitus.

Key words: Antidiabetic activity, epinephrine, glucose metabolism, glucose utilization, polyherbal formulation

INTRODUCTION

Diabetes mellitus (DM) is an incessant metabolic condition which prompts increment glucose level in blood, because of non-appearance or imperfection in insulin creation or lack in insulin combination, likewise observed in sugar, fat, and protein metabolism. Prolong and persistent hyperglycemia causes the genuine complications such as nephropathy, retinopathy, and full-scale vascular entanglements such as hypertension, atherosclerosis, cardiovascular failure, and stroke. The WHO revealed that 422 million people across the globe experiencing DM, the quantity of patient, increasing 522 million in the year 2030.[1,2]

The radical change in the present-day way of life, which incorporates sporadic dozing, altered diet regimes, smoking and drinking habits, may cause the lopsidedness between insulin creation and blood glucose which lead to created DM. The enormous exploration on the diabetes and its inconvenience, yet no single hypothesis is accessible for complete treatment for DM and its complication. Traditional herbal assumes a significant job in the treatment of diabetes. It was demonstrated that 5–6 plant extracts detailing has significantly diminished the dose of insulin and hypoglycemic drugs.[3] The various investigations on polyherbal formulation (PHF) have expounded proficient

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FORMULATION AND CHARACTERIZATION OF ECONAZOLE NITRATE LOADED TRANSFERSOMAL GEL FOR ANTIFUNGAL ACTIVITY

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Keywords:

Econazole nitrate, Transfersomes, Phospholipid, Edge activators, Antifungal activity

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ABSTRACT: The aim of the present work is to formulate and characterize Econazole nitrate (EN) loaded transfersomal gel for antifungal activity. EN is a broad-spectrum imidazole antifungal agent that belongs to BCS Class II. Due to poor solubility, EN is incompletely absorbed after oral administration and bioavailability vary among individuals. Topical treatment of fungal infections is usually preferred, but the barrier is to cross stratum corneum, so formulating the drug in Transfersomes (TFs) solved this problem. TFs are a highly adaptable, stress-responsive, complex aggregate, and self-regulating membrane. EN loaded transfersomes were prepared by a thin-film hydration method. The EN-loaded transfersomes were optimized by the three factors and two levels Box-Behnken design using Design-Expert software (version 12). Independent formulation variables such as concentrations of phospholipid and two edge activators were evaluated. The prepared TFs were evaluated with respect to particle size, % entrapment efficiency, and % drug release. The prepared EN loaded TFs particle size ranging from 0.28 to 0.71 µm, entrapment efficiency in between 31.5 to 75%, and drug release 80.01 to 95.9%. The optimized F12 TFs batch was formulated by incorporating it into a Carbopol-940 gel base. The EN-loaded transfersomal gel was further evaluated for antifungal activity against *Candida albicans*. The result showed that the antifungal activity of the EN-loaded TFs was significantly higher than the marketed product (Daktarin® Gel 2% w/w). Therefore EN loaded transfersomal gel has the ability to penetrate the skin, overcoming the stratum corneum barrier.

INTRODUCTION: Superficial fungal infections are common diseases of all ages and both sexes that occur in the skin, nails, and mucous membrane [1]. The high predominance of superficial infections shows that 20-25% of the world's population has skin mycoses, making these ones of the most usual forms of infection [2].

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Candidiasis is a primary or secondary infection caused by Candida species and has become more prevalent than *Escherichia coli* and *Pseudomonas*, *Aspergillus* species. Candidiasis is now the fourth most common fatal infection in the world [3, 4].

It may affect almost any skin surface on the body and most likely occur in warm, humid, wrinkled areas, including the armpits and the groin [5]. Econazole nitrate (EN) is a wide spectrum antifungal agent that has an imidazole group that is effective against *Candida albicans*. The EN interferes with the ergosterol synthesis by obstructing the enzyme Cytochrome P-450, which increase the permeability of cell that results in

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Optimization and Evaluation of *In situ* Nasal Gel of Donepezil Hydrochloride

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Abstract

Introduction: The nasal route has been explored as a route of administration, due to the benefits it offers. The formulation in the form of in situ gel has been utilized for the local and systemic effect. This type of formulation first exists in sol form, but once they are administered, it undergoes gelation to form gel, and this approach can be used for successful drug delivery system. **Methods:** Thus, in the present study, formulation of in situ gel for nasal administration for donepezil hydrochloride (HCL), by the use of 32 factorial designs, to improve its nasal bioavailability, was developed by increasing its nasal retention time and arrive at an optimized formulation. The formulation was developed by the use of cold method, by incorporation of thermoreversible polymer poloxamer 407 and mucoadhesive agent tragacanth. The in situ gel was later evaluated for different parameters such as pH, gelation time gelation temperature, gel strength, drug content, mucoadhesion, viscosity, in vitro drug diffusion, and stability. **Results:** Based on results obtained, F5 formulation was found to be optimum. The concentration of 22.5% Poloxamer 407 with 0.07% tragacanth showed promising nasal drug delivery system for donepezil HCl, with enhanced residence time due to increase in viscosity and mucoadhesion characteristics. **Conclusion:** The use of in situ gel formulation thus can effectively and safely improve the nasal residence time and absorption of donepezil HCl.

Keywords: Factorial design, *In situ* gel, Nasal route, Poloxamer 407, Tragacanth

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Introduction

Alzheimer's disease (AD) is one of the types of central nervous brain disease that is featured by different symptoms that cannot be ignored. The symptoms include defeat of cognitive functions (such as memory, thinking ability, and learning), obstruction in conduct of daily activities, alter intellectual functions, and it's predicted that this disease may double by the year 2040.[1] The major cause of dementia is the AD. It is characterized by degeneration of cholinergic neurons and synaptic loss that result in deficiency of cholinergic transmission and acetylcholine levels. Hence, cholinesterase inhibitors catalyze breakdown of acetylcholine in synaptic cleft that helps in enhancement of acetylcholine for the treatment of AD.[2]

Thus, to deliver drugs to the central nervous system (CNS), nasal route can be one of the non-invasive routes that overcome the blood–brain barrier (BBB). Hanson and Frey have proposed intranasal delivery as an important novel route to bypass the BBB to deliver therapeutic agent to brain.[3] Number of studies has focused on the nasal route for CNS delivery of drug.[4] This non-invasive nasal to brain delivery of drugs provides advantages over other routes of administration, with good patient compliance. In general, in market, the acetyl-cholinesterase inhibitors are available in oral dosage forms. The oral dose of these cholinesterase inhibitors in the market is once a daily tablet or capsule (5 mg or 10 mg/day) but these cholinesterase inhibitors suffer from different gastrointestinal side effects such as nausea and diarrhea muscle convulsions. There are number of cholinesterase inhibitors that have been used to improve the levels of acetylcholine in brain.[5] One of them is donepezil hydrochloride (DPZ), is reversible acetylcholine inhibitor, and helps to produce neuroprotective effect. With that, it possesses few side effects than other inhibitors, so it can be considered as first line of treatment of AD. Hence, there is a need to develop a formulation that will deliver cholinesterase inhibitors for the management of AD.[5]

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Thus, the aim of this study was to develop *in situ* nasal gel, by application of 3^2 factorial designs that helped to characterize and reach an optimized formulation.

Materials And Methods

Donepezil HCL was obtained gift sample from Cipla Pharmaceutical and Research Center, Patalganga, Navi Mumbai. Poloxamer 407 was purchased from Evonik Catalysts India Private Ltd., Mumbai. Tragacanth, glycerin, and benzalkonium chloride were purchased from Research Fine Lab, Mumbai.

Methods

Optimization of the in situ gels using factorial design

On the basis of the results obtained from preliminary trials and use of Design–Expert software, 3^2 a factorial design was constructed based on two independent variables, namely,

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ISSN 0976-9595 Research Article

FORMULATION, OPTIMIZATION AND EVALUATION OF MUCO-ADHESIVE VAGINAL FILMS OF TIOCONAZOLE

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ABSTRACT

Vaginal candidiasis is considered a frequent opportunistic mucosal infection and the second most common cause of vaginitis after bacterial vaginosis. In this work, different vaginal films based on different concentrations of polymer chitosan, hydroxyl propyl methyl cellulose containing tioconazole, were developed and thoroughly characterized to improve the conventional therapeutics of vaginal candidiasis. Mechanical properties, swelling, adhesiveness and antifungal activity were evaluated. The purity of drug was analyzed by Differential scanning calorimetry (DSC) and Fourier transform infrared spectroscopy (FT-IR). Films showed homogeneous surfaces and presented similar mechanical properties and adhesiveness. Time-kill studies displayed that films were active against *Candida albicans* and does not cause any harm to natural vaginal flora *i.e. Lactobacilli Acidophilus*. The system based on 2% chitosan and 1% hydroxyl propyl methyl cellulose with 20% PEG 400 as plasticizer presented fast antimicrobial activity as well as the lowest swelling these indicates that films based on chitosan and hydroxyl propyl methylcellulose could be a promising alternative dosage form for the treatment of vaginal candidiasis.

Keywords: Tioconazole, chitosan, HPMC, Vaginal Candidiasis.

1. INTRODUCTION

Vaginal candidiasis is considered a frequent opportunistic mucosal infection in women, being the second most common cause of vaginitis after bacterial vaginosis. The disease affects 70-75% women at least once in their lifetime and around 50% of patients experience a recurrence. Although different Candida species may produce this disease, *Candida albicans* is the most prevalent yeast causing this infection. Vaginal candidiasis is commonly treated using azole antifungals, such as fluconazole, miconazole, itraconazole, clotrimazole, econazole, ketoconazole and tioconazole [1].

Tioconazole (TCZ), (1[2-(2-chloro-3-thienyl) methoxy-2-(2,4-dichlorrophenyl) ethyl]-H-imidazole) is an imidazole antifungal agent with a broad spectrum of activity against a variety of microorganisms. This drug has been shown to hold higher activity against *C. albicans* than clotrimazole, econazole, ketoconazole and miconazole [2]. This could be due to the fact that TCZ possesses antifungal activity even when yeast cells are in the stationary phase, while common antifungal agents such as ketoconazole and miconazole display with antimicrobial activity only when yeasts are in the growth phase.

The effectiveness of a treatment is not only determined by the antifungal compound type, but also by the development of an adequate dosage form, which is determinant in the biological activity of a therapeutic system. Particularly, pharmaceutical dosage forms for local vaginal delivery need to remain in the site of infection as long as possible and to be able to release the active compound according to the treatment. The use of conventional vaginal formulations such as creams, gels, pessaries, and foams is discouraged due to their poor retention in the vaginal tract by the tract's self-cleansing action. Other conventional formulations such as vaginal tablets and ovules show good retention abilities, but both are rigid and may produce discomfort. Alternative bioadhesive vaginal formulations such as films are suitable forms to achieve effective drug release for extended periods of time [3, 4]. In addition; these films present more flexibilitythan tablets and ovules, which may improve patient's compliance. Several biocompatible polymers such as chitosan (CH) and hydroxyl propylmethyl cellulose (HPMC) have been employed to develop mucoadhesive films. Hydroxypropyl methyl cellulose (HPMC) is a non ionic polymer, is a semi-synthetic cellulose derivative usually employed in the

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EFFECT OF HYDROALCOHOLIC EXTRACT OF *SIDA SPINOSA* L. ON 2,4,6-TRINITRO-BENZENESULFONIC ACID INDUCED ULCERATIVE COLITIS IN RATS

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Keywords:

Sida spinosa L., 2,4,6-trinitrobenzenesulfonic acid (TNBS), ulcerative colitis, Inflammatory bowel disease

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ABSTRACT: The present study aimed to evaluate the effect of hydroalcoholic extract of *Sida spinosa* L. (HYSS) in colitis induced in rats by intrarectal administration of TNBS by clinical, morphological and biochemical alterations. The HYSS administered at three different concentrations 100, 200 and 400 mg/kg, p.o. and sulfasalazine (50 mg/kg, p.o) as reference standard for 10 days in colitis induced rats. TNBS administration caused induction of colitis resulting in significant reduction in percentage body weight, increased stool consistency score, macroscopic score, colon weight, weight to length ratio, ulcer area, ulcer index *etc*. It also caused elevation of oxidative stress *i.e.* increased MDA, MPO level and depleted GSH level. It also resulted in histological changes in colon architecture suggestive of extensive mucosal damage associated with intermittent inflammatory changes and infiltration of inflammatory cells in mucosa and submucosa. HYSS at 200 & 400 mg/kg significantly restores loss of percent body weight, reduced stool consistency score, ameliorate macroscopic changes, histological changes, colon weight to length ratio, ulcer index, reduced MPO, MDA level and restores GSH level when compared to TNBS induction control group. Results of present study indicates the anti-inflammatory and immunomodulatory potential of HYSS to heal TNBS induced colitis in rats.

INTRODUCTION: Inflammatory bowel disease (IBD) is a chronic immune-inflammatory disorder of the gastrointestinal tract. It consists of Crohn's disease (CD) involving inflammation of small and large bowel and ulcerative colitis (UC) characterize by severe inflammation of the large bowel. The common symptoms are recurrent diarrhea, abdominal pain and some may experience complications like deep ulcerations, bowel obstruction, infections, anemia, weight loss, malnutrition, colon cancer, *etc*. [1]

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Although the etiology is unknown, evidence from reported literature suggested important features of IBD inflammation are mediated by cells of the acquired immune system like overly aggressive T-cell responses against environmental factors, altered proinflammatory cytokines such as TNF-α, interleukins (IL), anti-inflammatory cytokines (IL-4 and IL-10), glycosaminoglycan content in gastric mucosa, increased oxidative stress, intestinal permeability, *etc*. [2] The incidences and prevalence of IBD have increased worldwide, affecting approximately 0.5% of the general population within the age range of 10 and 30 years [3]. Apart from regular clinical manifestations, loss of education, difficulty in gaining employment, associated psychological alterations are other major issues that suggest immediate address for this complaint.

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A. V. Kulkarni [*1] and N. S. Vyawahare [2]

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HYPOGLYCEMIC ACTIVITY PROFILE OF POLYHERBAL FORMULATION (PF) AND POTENTIATION OF HYPOGLYCEMIC EFFECT OF METFORMIN IN RODENTS

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ABSTRACT: Polyherbal formulation (HF) containing different herbs have been used to treat diabetic patients by ayurvedic practitioners in India. The present study reports the effect of HF alone and with metformin on different rat models of hyperglycemia. HF treatment with HF alone and in combination with metformin elicited a significant hypoglycemic effect on epinephrine hyperglycemia and alloxan diabetic rats. HF treatment also altered the glucose-tolerance curve pattern both in normal and diabetic rats. Further, HF treatment alone and with metformin increased liver glycogen, glucose transfer in the liver, enhanced glucose uptake process in peripheral muscles, and also improved architecture of β-islets of Langerhans of diabetic rats. The combination of HF with metformin elicited a greater degree of hypoglycemic activity, which was reflected both on blood glucose level as well as other biochemical parameters studied. The hypoglycemic effect of HF may be mediated through pancreatic as well as extrapancreatic systems. Further, HF combination with metformin seems to be useful in diabetes mellitus and needs to be investigated on human subjects to establish such potentiation hypoglycemic effect of metformin.

INTRODUCTION: Diabetes mellitus (DM) is a group of metabolic disorders characterized by abnormalities in carbohydrate and lipid metabolism [1], which leads to hyperglycemia, hypertriglyceridemia and hypercholesterolemia resulting from defects in insulin secretion or action or both [2]. The disease is managed clinically with insulin and oral hypoglycemic agents like sulphonylureas and biguanides. The biguanide phenformin was withdrawn from US market in 1997 following reports of the relatively high incidence of lactoacidosis [3] and later replaced by metformin.

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These drugs are too expensive, and thus, beyond the reach of diabetes mellitus (DM) patients, especially in developing countries. Oral hypoglycemic drugs play an important role in the treatment of non-insulin-dependent DM. But none have been unequivocally successful in maintaining euglycemia and avoiding complications during diabetes. One of the late complications of uncontrolled DM is the excessive formation of advanced glycosylated end products (AGE) [4].

Despite several advances in therapeutics and a better understanding of the disease, diabetes remains a significant cause of morbidity and mortality [5]. Ancient Indian medicine recommends various plants and mineral preparation in the treatment of DM. Herbal medicines have stood the test of time for their safety, efficacy, cultural acceptability, and lesser side effects.

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Development of Thymol Microsponges Loaded *in situ* Gel for the Treatment of Periodontitis

Vinita C Patole [1], Shilpa P Chaudhari [1]
Affiliations + expand

PMID: 32753013 DOI: 10.2174/1567201817666200804111614

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RESEARCH ARTICLE

Development of Thymol Microsponges Loaded *In situ* Gel for the Treatment of Periodontitis

Vinita C. Patole[1*] and Shilpa P. Chaudhari[1]

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Abstract: ***Objective*:** Periodontitis is an oral disease categorized by disturbance of periodontal tissue and the creation of periodontal pockets. Thymol (TH) loaded microsponge *in situ* gelling systems was formulated for local action in the periodontal cavity for the management of periodontitis.

***Method*:** Solvent evaporation technique was utilized for the preparation of microsponges. A Fractional factorial design (FFD) was used to screen the high risk variables impacting the characteristics of the (TH) microsponges and further optimized using Box-Behnken design. The optimized microsponges were then characterized by DSC, SEM, antimicrobial activity, *in–vitro* release, and then incorporated in the *in situ* gelling system. A ligature model was used to induce periodontitis in Sprague Dawley rats.

***Results*:** The microsponges showed good characteristics, such as particle size, entrapment efficiency, and mucoadhesiveness of 45 µm, 92.99±0.2%, 96±0.26%, respectively. SEM revealed the spherical morphology of the microsponges with sustained release of TH for 10h and antimicrobial activity against *S.mutans* and *C.albicans*. Treatment with thymol loaded *in situ* gel (THLMG) showed a decrease in gingival inflammation and tooth mobility as well as in serum biochemical parameters like serum C-reactive proteins, leucocyte count, alkaline phosphatase, and tartrate-resistant acid phosphatase, when compared to disease group. The histopathological study of the periodontium confirmed a significant reduction of inflammation and alveolar bone destruction ($p < 0.05$) in rats.

***Conclusion*:** THLMG decreased the infiltration of inflammatory cells and prevented osteoclastogenesis and osteoblast apoptosis, which further favored a decrease in inflammation and alveolar bone loss in periodontitis. Thus, THLMG could be a better alternative to synthetic antimicrobials and antibiotics to treat periodontitis.

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Keywords: Thymol, microsponges, periodontitis, Fractional Factorial design, Box-Behnken Design, *in situ* gel, experimental induced periodontitis.

1. INTRODUCTION

Periodontitis is an inflammatory disease of the periodontium resulting due to the complex interaction of bacteria residing in the subgingival plaque and innate inflammatory response, causing the destruction of periodontal tissues and alveolar bone [1]. It is regarded as the second most common dental disease and its worldwide prevalence makes it a serious health concern. Researchers have perceived that periodontal infections are linked to serious conditions like cardiovascular diseases [2], preterm low birth weight [3], respiratory diseases [4], and diabetes [5]. The treatment for periodontitis includes eradication of the subgingival pathogens in the dental plaque, eliminating inflammation, and reducing alveolar bone loss [6]. The host response modulators like non-steroidal anti-inflammatory drugs (NSAIDs) and bisphosphonates are used in the treatment of periodontitis to reduce inflammation [7]. However, the side effects associated with them like gastric irritation limit their use. The developing resistance among the oral pathogens to the available synthetic antimicrobials and antibiotics and their associated side effects has pointed out the need to explore the natural products for preventing periodontitis [8].

In search for these natural ingredients as substitutes for synthetic chemicals to fulfill the current need of the food industry, pharmaceuticals, and nutraceuticals, the global population is nowadays more focused on natural products. Thymol (TH) (2- isopropyl 5-methyl phenol) is a monoterpene phenol that is present in certain Lamiaceae families [9]. The source of this dietary monoterpene phenol includes plants, such as Thymus vulgaris [10], Trachyspermum ammi [11], and Nigella sativa seeds [12]. Many national authorities have considered TH as generally recognized as safe (GRAS) [13]. Studies report that TH is effective against a board spectrum of bacteria [14] and reported to be specifically effective against the clinical isolates of oral pathogens residing in the

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Eugenyl Methacrylate Microsponges Loaded with Eugenol Incorporated In Situ Gel for Treatment of Periodontitis

Vinita C. Patole & Shilpa P. Chaudhari

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ORIGINAL ARTICLE

Eugenyl Methacrylate Microsponges Loaded with Eugenol Incorporated In Situ Gel for Treatment of Periodontitis

Vinita C. Patole[1] · Shilpa P. Chaudhari[1]

Abstract

Purpose The study was aimed to formulate eugenol-loaded polymeric microsponges using eugenyl methacrylate (Eg-MA) incorporated in situ gelling system for the treatment of periodontitis.

Method Eg-MA monomer was first synthesized by reacting eugenol with methacryloyl chloride, which acted as a monomer and cross-linking agent for preparing microsponges of Eg-MA using suspension polymerization method. The formation of Eg-MA monomer was confirmed by FTIR. A 3^2 Box–Behnken design was applied to optimize Eg-MA polymeric microsponges which were characterized by SEM and antimicrobial activity. An optimized batch of polymeric microsponges was incorporated into in situ gelling system which was evaluated on the basis of pH, viscosity, gelling temperature, and mucoadhesion on the goat buccal mucosa. Ligature-induced experimental periodontitis (EPD) was induced in rats to assess the efficacy of the formulation.

Results SEM revealed the spherical shape of Eg-MA microsponges with antibacterial activity against *Streptococcus mutans* and potential to load eugenol with a drug content of 96.38 ± 0.09%. The study of the eugenol-loaded microsponges in situ gel showed sustained release of the drug up to 24 h with a mucoadhesive strength of 31 ± 0.13 N. Treatment with eugenol-loaded Eg-MA polymeric microsponges decreased tooth mobility and gingival inflammation in rats. The histological studies of the periodontium also confirmed decrease in the infiltration of inflammatory cells and alveolar bone destruction ($p < 0.05$) in EPD rats.

Conclusion Thus, a novel formulation using Eg-MA with intrinsic antibacterial property was used to formulate eugenol-loaded polymeric microsponges in situ gel for the management of periodontitis.

Keywords Periodontitis · Microsponges · Box–Behnken design · In situ gel · Experimental periodontitis

Introduction

Periodontitis is an inflammatory process affecting the periodontium, periodontal ligaments, and alveolar bone [1]. Gingivitis, the accumulation of dental plaque on the surface of the tooth, if left untreated may progress to periodontitis, which eventually leads to tooth mobility and loss [2]. The treatment of periodontitis is focused on the reduction of the bacterial load and calculus in the periodontal pocket [3].

The oral administration of antibiotics is well established and documented in the management of periodontitis but results in many systemic side effects, including gastrointestinal disorders, development of bacterial resistance, superimposed infections, and patient non-compliance [4–6]. The confinement of the disease to the periodontal cavity, ease of insertion of the medical device, and the availability of gingival cervical fluid acting as leaching medium for drug release and distribution in the pocket make intrapocket drug delivery the best option for the treatment of periodontitis [7]. The available intrapocket drug delivery systems, including films, fibers, and strips, are associated with discomforts in the periodontal cavity [8–10]. Therefore, multiparticulate systems such as microsponges could be a better alternative to achieve controlled release of the active ingredient, high entrapment efficiency, and enhanced stability and can provide effective intrapocket delivery for prolonged treatment in periodontitis. Microsponges are uniform, spherical, porous polymeric microspheres with numerous intersected voids with the particles in the size range from 5 to 300 μm. They have a total pore density of approximately 1 mL/g and pore length of 10 ft which enables maximum retention of drug, thereby increasing

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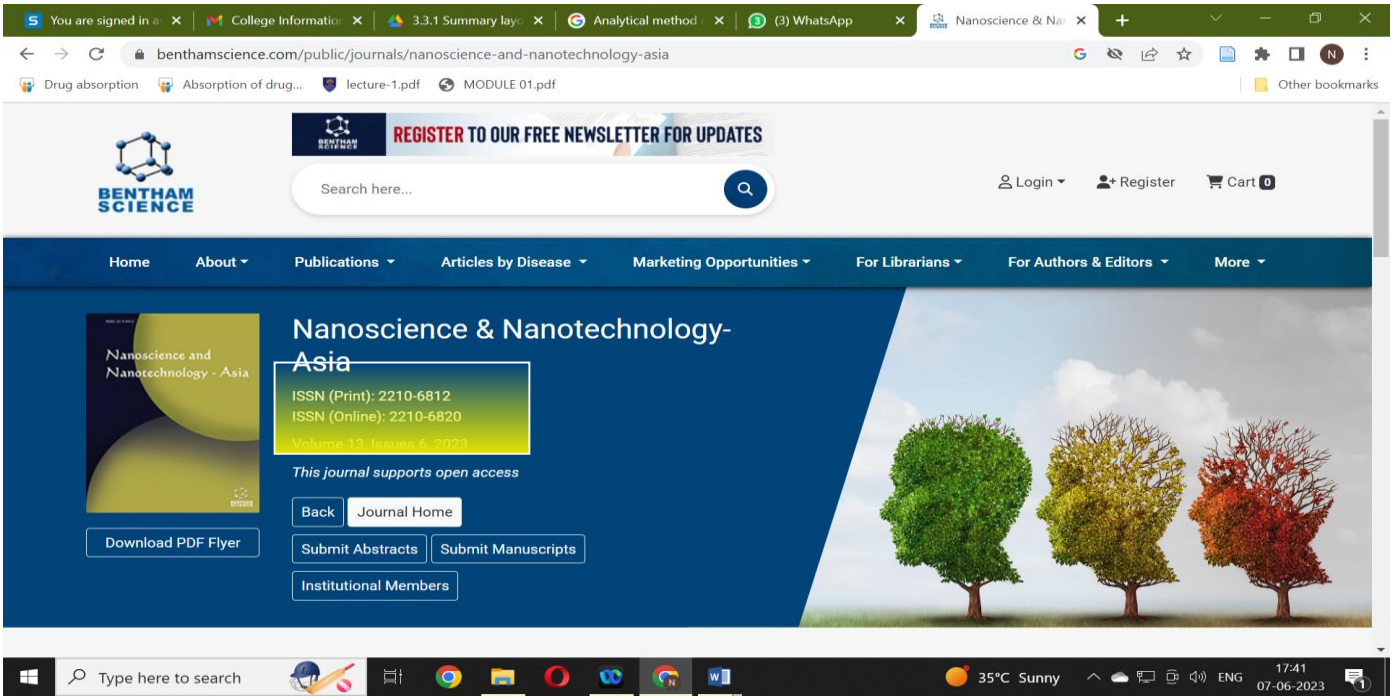

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RESEARCH ARTICLE

BENTHAM SCIENCE

Optimization of Itroconazole Solid Lipid Nanoparticles for Topical Delivery

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Abstract: ***Introduction*:** The objective of this study was to formulate water-insoluble drug Itraconazole (ITZ) into Solid Lipid Nanoparticles (SLNs) for topical delivery.

***Methods*:** The drug-loaded SLNs were prepared by Microemulsion method using cholesterol (CH) and Lubritab (LU) and further characterized for different parameters like particle size, zeta potential, drug entrapment efficiency *etc*. The mean particle size with Lubritab SLN was in the range 155.01-161.67 nm, whereas for Cholestrol SLN it was in the range of 218.87-230.16 nm. SEM showed spherical nature of the SLNs.

***Results*:** The entrapment efficiency of SLN was found to be more for cholesterol as compared to Lubritab. The crystalline properties of drug was reduced in SLNs as evaluated by X-ray diffraction (XRD). *Ex vivo* study indicated the ITZ-SLN exhibited high concentration.

***Conclusion*:** The permeability of drug was studied by use of Franz-diffusion cell, and permeation of drug through Lubritab SLN (ITZ LU) was higher than that of Cholesterol SLN (ITZ CH). The formulated ITZ-SLNs exhibited clear zone.

Keywords: Itroconazle, solid lipid nanoparticles, optimization and entrapment efficiency, permeability, cholesterol, antifungal agents.

1. INTRODUCTION

Infections may be due to bacteria or fungi; both pose problems to the patients. Mycoses, a fungal infection of skin and nails needs to be treated by antifungal agents. These antifungal agents can be given in different dosage forms like gels, creams, powder, but these are inefficient to penetrate through the skin barrier, that is stratum corneum, so there is a need of formulating such a dosage form, that will overcome this barrier [1]. So, in this regard, Solid Lipid Nanoparticles (SLN) are gaining importance, due to their characteristics of being made of lipid carriers in the submicron size range (50-500 nm) of biocompatible and biodegradable solid lipids at room and body temperature [2]. There are a number of advantages of SLN over other dosage forms namely it can be formulated in controlled drug release, targeted drug delivery, protection from chemical degradation, reduced toxicity and large-scale production [3].

The common drug used for fungal infections is Itraconazole (ITZ). It is orally active triazole antifungal agent used for several fungal strains such as *Candida albicans, Candida topicalis* in for topical candidiasis. Itraconazole shows very low water solubility. Thus, in this study, an attempt was made to prepare solid lipid nanoparticles of ITZ for treatment of fungal infection topically. Researchers have worked by preparing ITZ SLN, for ocular and topical delivery. The drug for ocular delivery was prepared by the melt-emulsion sonication and low temperature-solidification method and evaluated for different parameters. They found that the SLNs were spherical in shape. Differential Scanning Calorimetry (DSC) and X-ray diffraction measurements showed a decrease in crystallinity of drug in the SLN formulations. The modified Franz-diffusion cell and freshly excised goat corneas were used to test drug corneal permeability. Permeation of itraconazole from stearic acid-SLNs was higher than that obtained with palmitic acid-SLNs. SLNs showed antimicrobial efficacy of formulations [4]. In another research, ITZ SLN for topical delivery was prepared, by hot homogenization technique by varying the concentration of lipids. The formulations were also characterized by different studies. The results suggested that the SLN system could be proposed as an innovative carrier system to administer itraconazole topically for antifungal therapy [5]. Thus, the aim of our study was to prepare ITZ SLN by microemulsion method, for fungal infection, using optimization techniques, and to study the effect of lipid on entrapment efficiency, particle size and drug release.

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RESEARCH ARTICLE

Antiulcer effect of *Blumea lacera* against Gastric ulcers in rats

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ABSTRACT:
The effect of 70 % ethanol extract of aerial part of *Blumea lacera* (BLEE) was investigated in rats to evaluate antiulcer activity against ethanol, indomethacin and pyloric ligation induced ulcer models. Pretreatment with BLEE (200mg/kg and 400mg/kg) has shown dose dependant decrease in ulcer index in all the experimental models of ulcers and also reduced the total acidity, free acidity, gastric volume and increased the pH (pyloric ligation model) with respect to control. Hence, it is concluded that BLEE possess significant antiulcer activity.

KEYWORDS: *Blumea lacera*, Antiulcer activity, ethanol, indomethacin, pyloric ligation.

INTRODUCTION:

Blumea lacera is an erect annual herb with a strong odour of terpentine[1], stem erect, upto 0.9 m in height, ash coloured, usually densely glandular-pubescent[2]. It is described in Ayurveda as bitter, astringent, acrid, thermogenic, errhine, styptic, ophthalmic, digestive, anthelmintic, liver tonic, expectorant, febrifuge, antipyretic and stimulant[3]. Recent studies have shown antimicrobial[3], analgesic, hypothermic and tranquillizing activities. Campesterol has been isolated from aerial parts[4]. Our literature survey revealed that the antiulcer activity of BLEE was not investigated; hence these activity have been investigated in the present study.

MATERIALS AND METHOD:

Plant Material and Extraction procedure:

The aerial parts of *Blumea lacera* were collected from fields of Siddhant college of Pharmacy, Pune. It was identified and authenticated by Prof. S. S. Deokule, Dept of Botany, University of Pune, Pune. The aerial parts of plant were shade dried at room temperature and pulverized.

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The powder obtained was subjected to successive soxhlet extraction with the solvents with increasing order of polarity i.e petroleum ether, chloroform and ethanol. Preliminary phytochemical investigation showed the presence of steroids, flavonoids and saponins in BLEE. Hence, BLEE was selected for the present study.

Animals used:

Wistar albino rats (180-220g) and mice (18-25g) of either sex were used for the study. Approval from the institutional animal Ethical committee (1554/PO/a/11/CPCSEA) for usage of animal in the experiment was obtained as per the Indian CPCSEA guidelines.

Acute Toxicity studies:

The acute toxicity for BLEE were determined on albino mice, maintained under standard conditions. Fixed dose method of OECD Guide line No.420 given by CPCSEA[5] was adopted for toxicity studies.

ANTI-ULCER ACTIVITY:

Indomethacin induced ulcer:

The albino rats of either sex weighing between 180 – 220gm were divided into 4 groups of 6 animals each and fasted for 24 hrs prior to experiment with water *ad libitum*. The animals of group 1 were pretreated with vehicle and the animals of group 2 were treated with standard i.e. lansoprazole 8mg/kg. Similarly, the animals of group 3 and 4 were pre-treated with BLEE i.e 200 mg/kg and 400mg/kg p.o. respectively. Indomethacin (30mg/kg p.o) was administered to the animals of all the groups after 60 minutes of respective treatments. The

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Journal of Drug Delivery and Therapeutics

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Open Access Research Article

Formulation and Evaluation of Solid Lipid Nanoparticles of Olanzapine for the Treatment of Psychosis

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ABSTRACT

Solid lipid nanoparticles (SLN) are typically spherical with an average diameter between 1 nm to 1000 nm in range. It is alternative carrier systems to tradition colloidal carriers, such as liposomes emulsions and polymeric micro and nanoparticles. Olanzapine (OZP) is an atypical antipsychotic agent which is used for treatment of Schizophrenia. Its oral bioavailability is around of 40%. OZP is a class II drug so it having low aqueous solubility. To overcome that problem and to increase its bioavailability, the solid lipid nanoparticles of olanzapine are prepared. Formulation batches designed by modifying type of surfactant (Span 80, Tween 80), concentration of surfactant, Concentration of co-surfactant, type of lipid (glyceryl monostearate, Stearic acid), Lipid concentration, speed of stirring and time of stirring using customised design of DOE. The SLN were prepared by high speed homogenization technique, and then characterized by particle size analysis, Drug entrapment efficiency and Drug diffusion study. A formulation containing GMS as a lipid stabilised with tween 80 as surfactant show good drug release, smaller particle size, as compared with other formulations with different lipid and surfactant. The present research findings indicate that OZP loaded solid lipid Nano particulate system for delivery of OZP with better efficacy with minimum adverse effects.

Keywords: Olanzapine, SLN, GMS, high speed homogenization and DOE.

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INTRODUCTION

A drug's therapeutic efficacy depends on four fundamental pathways of drug transport and modification in the body, absorption, distribution, metabolism and excretion. Failure in therapy includes insufficient drug concentration due to poor absorption, rapid metabolism and elimination, poor drug solubility and high fluctuation of plasma levels due to unpredictable bioavailability[1, 2]. A promising strategy to overcome these problems involves the development of suitable drug colloidal carrier system. Among the colloidal carrier systems the solid lipid nanoparticles have many advantages as compare to other colloidal carrier systems[3].

Solid Lipid Nanoparticles (SLN) recently gained significant attention as potential alternate colloidal drug delivery system for lipid emulsions and liposomes. The advantage of SLN is, it gives more flexibility in controlling to drug release and protects the encapsulated ingredients from the degradation. Also it gives selective bio distribution, in vivo and in vitro drug stability, and better bioavailability[3, 4].

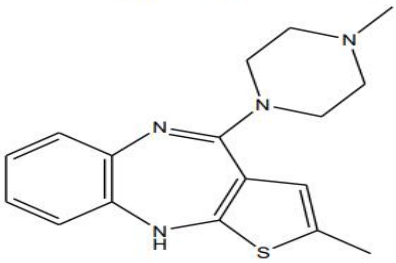

Figure 1 Chemical structure of OZP API

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Synthesis of 5-hydroxy-2-methyl-naphthalene-1,4-dione cocrystal with pyridine-3-carboxamide using electrospray technology: Physicochemical characterization and *in-vitro* non-everted rat intestinal absorption study

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RESEARCH ARTICLE

Hypoglycemic and Antihyperglycemic Prospective of marketed and Herbal Resilient Mediators

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ABSTRACT:
Diabetes is drumming worldwide to not only developed countries but developing countries too. Many combinations and Antidiabetic drugs are available in the market which claims effective management of blood glucose levels. One must study how the effectively and accuracy of the same scientifically. Ayurveda is the longest and strongest mother source for all treatments of numerous ailments. In Ayurveda a separate section called as Rasayana Chikista is meant for antiaging as well as rejuvenation. Curcumin is the major conqueror molecule which has shown the promising effect toward various ailments. Ginger is also Rasayana Herb. *Allium sativum* that is Garlic is best known for its antibacterial activity as well as lipid lowering activity. Here we would like to test and evaluate comparative status of various marketed and herbal Antidiabetic drugs. Different animal models claim different mechanism which is quite comparable to several diabetic conditions of patient.

KEYWORDS: Diabetes, Marketed and Herbal drugs, Comparison, OGTT, Hypoglycemia.

INTRODUCTION:

Diabetes is a complex disease and measure meager to be treated smartly. Diabetes is playing with public health from decades. Diabetes is drumming worldwide to not only developed countries but developing countries too [1-4]. There are total four types of diabetes mellitus. All are distinct from each other but it achieves severe damage to health. First one is insulin dependent diabetes in which pancreas is damaged due enormous reasons. In second type its non- insulin dependent due resistance to insulin by the cells [5-7]. Third and fourth type is reversible as due gestation that is pregnancy and change in hormonal levels & drug induced respectively. [7-9]. Hur, Kyu Yeonet, al. very precisely mentioned the metabolic disorders its characteristics and causes. When anomalous biochemical replies in the body modifies the typical metabolic processes.

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It can also be demarcated as hereditary solitary gene incongruity, maximum of which are autosomal ebbing. [10] So many combinations and antidiabetic drugs are available in the market which claims effective management of blood glucose levels. One must study how the effectively and accuracy of the same scientifically.

Ayurveda is the longest and strongest mother source for all treatments of numerous ailments. In Ayurveda a separate section called as Rasayana Chikista is meant for antiageing as well as rejuvenation. [11,12] Turmeric is one of the Ayurveda Rasayana herb. Turmeric is mainly known as Haldi, *Curcuma Longa* scientifically and Haridra Spiritually [13,14,15]. This plant is basically from Zinger family and contains many enchanted molecules which can do wonders in the future. Curcumin is the major conqueror molecule which has shown the promising effect toward various ailments.

Ginger is the folk medicine scientifically known as *Zingiber officinale*. Turmeric and Ginger are from same family widely known as *Zingiberaceae* [16,17]. Ginger is effective against many bacteria's so its majorly used for the treatment of fever and stomach infections as

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RESEARCH ARTICLE

Prospective of combination of Marketed and Herbal Resilient mediators in the Management of Diabetes and its related Hepatic Impairment

Aniket Garud[1*], Dr. N S Vyawahare[2]

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ABSTRACT:

Diabetes is a metabolic syndrome which covers wide array of organ damage. It mainly causes Diabetic Retinopathy, Neuropathy, Nephropathy Cardiovascular damages, and Hepatic impairment. Diabetic hepatopathy is also an important cause of hospitalizations and mortality. In this study we compared various marketed Antidiabetic combinations by using STZ induced diabetic rats. From herbal Nano Curcumin, Allicin and Supercritical fluid extract of ginger was used in combination with Metformin. Fasting Blood glucose, Lipid characteristics, ALT, AST, ALP Enzyme estimation, HbA1C, and Histopathological sections of liver were studied. In conclusion we can pretend that Ayurveda definitely has answer to diabetic complications.

KEYWORDS: Diabetic Rats, Diabetic Hepatopathy, STZ induced diabetes, Rasayana therapy.

INTRODUCTION:

From various biomedical fields diabetes is getting much more attention, specialy molecular basis to study the cure for it. Modern revisions possibly will deliver implements for the use of numerous genes as targets for risk impost, therapeutic approaches and prophecy of complications [1]. Type I diabetes is Insulin dependent diabetes mellitus and Type II refers to Insulin non-dependentdiabetes mellitus.ADA (American Diabetes Association) and WHO (World Health Organization) says on no account established cure has yet been found diabetes [2,3,4]. Nevertheless, treatment modalities embrace control of obesity as a prime drive with lifestyle amendments, oral hypoglycemic agents, and insulin sensitizers like biguanides like metformin.These are the agents that reduce insulin resistance, which are still recommended for first line content of a prescription particularly for obese patients [5].

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Apart from obesity many authors proposes the contribution of stress on blood glucose levels [6]. Therefore some authors suggest that strategies like shielding β-cell function and improving insulin sympathy should work fine. These two are the mechanisms which can hit the bull's eye. Weight burden forfeiture and physical commotion with some medications, are thought to be improve both insulin secretion and sensitivity [8]. As traditional drug therapies has failed to protect from the diabetic complications which took place though controlled blood glucose levels dramatically [7]. Many research papers fantastically elaborate the detailed mechanism of various molecular pathways responsible for the diabetic complications, which includes Activation of Protein Kinase-C, Hexosamine Pathway, Polyol Pathway [9] & formation of Advance Glycation End Products [10]. Liver disease causes major deaths in diabetes. In Verona Diabetes Study which was based on population Liver cirrhosis was the fourth principal basis of death and calculated as 4.4% for diabetes-related deaths [11]. Mainly diabetic liver damage takes account of cirrhosis, hepatocellular carcinoma, abnormal liver enzymes, NAFLD (Non-alcoholic fatty liver disease) and acute liver failure. The management of diabetes mellitus in patients with liver damage is ideally thorny due to liver-related alterations in drug metabolism, impending interactions between the drugs, and formation of

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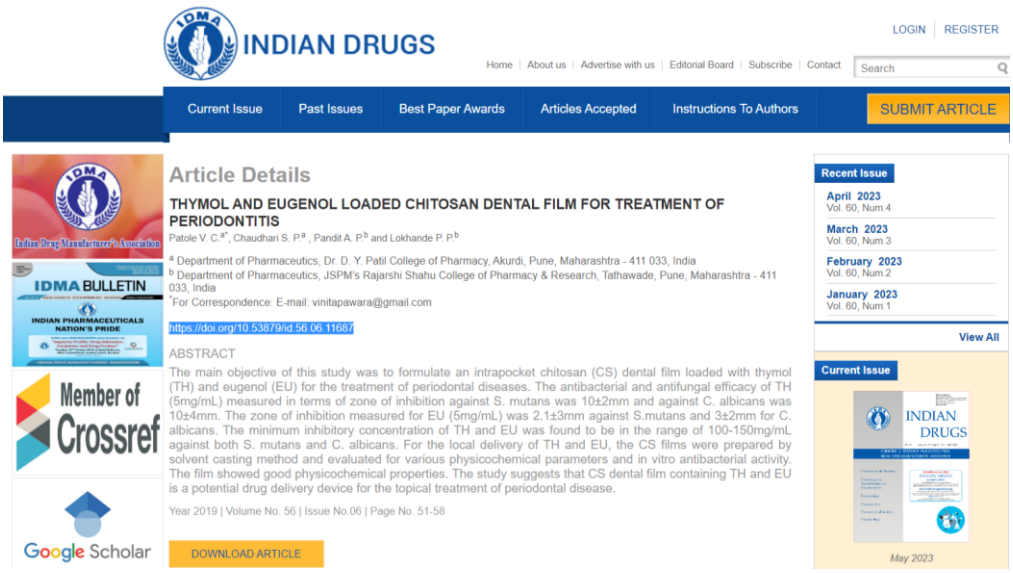

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Article Details

THYMOL AND EUGENOL LOADED CHITOSAN DENTAL FILM FOR TREATMENT OF PERIODONTITIS

Patole V. C.[a*], Chaudhari S. P.[a], Pandit A. P.[b] and Lokhande P. P.[b]

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ABSTRACT

The main objective of this study was to formulate an intrapocket chitosan (CS) dental film loaded with thymol (TH) and eugenol (EU) for the treatment of periodontal diseases. The antibacterial and antifungal efficacy of TH (5mg/mL) measured in terms of zone of inhibition against S. mutans was 10±2mm and against C. albicans was 10±4mm. The zone of inhibition measured for EU (5mg/mL) was 2.1±3mm against S.mutans and 3±2mm for C. albicans. The minimum inhibitory concentration of TH and EU was found to be in the range of 100-150mg/mL against both S. mutans and C. albicans. For the local delivery of TH and EU, the CS films were prepared by solvent casting method and evaluated for various physicochemical parameters and in vitro antibacterial activity. The film showed good physicochemical properties. The study suggests that CS dental film containing TH and EU is a potential drug delivery device for the topical treatment of periodontal disease.

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ABSTRACT

The main objective was to formulate an intrapocket Chitosan (CS) dental film loaded with Thymol (TH) and Eugenol (EU) for the treatment of periodontal diseases. The antibacterial and antifungal efficacy of TH (5ug/mL) measured in terms of zone of inhibition against *S.mutans* was 10±2mm and against *C.albicans* was found to be 10±4mm. The zone of inhibition measured for EU (5ug/mL) was 2.1±3mm against *S.mutans* and 3±2mm for *C.albicans*. The minimum inhibitory concentration of TH and EU was found to be in the range of 100-150ug/mL against both *S. mutans* and *C. albicans*. For the local delivery of TH and EU, the CS films were prepared by solvent casting method and evaluated for various physicochemical parameters and in vitro antibacterial activity. The film showed good physicochemical properties. The study suggests that CS dental film containing TH and EU is a potential drug delivery device for the topical treatment of periodontal disease.

Keywords: Dental film; Periodontal disease; TH; EU; *S. mutans; C. albicans.*

INTRODUCTION

The occurrence of oral pathogens is associated with periodontal disease and dental caries. Dental caries or tooth decay is among the most prevalent human diseases, as common as common cold[1]. Caries is characterized by localized and irreversible destruction of the tooth disease that progresses slowly[2]. Periodontal disease refers to any disorder of the tissues surrounding and supporting the teeth, i.e. the periodontium. India suffers a lot of disparities in terms of oral health care. About 95% of the Indian population suffers from periodontal disease[3]. Considering the recent scenario there is the urgent need for action, to be taken to promote sound oral health, prevent dental caries and periodontal diseases, and give importance to activities that promote oral health[4]. Studies have demonstrated that the initiation and progression of the oral disease is primarily due to the increased proliferation of opportunistic microorganisms[5]. A large variety of microorganisms are associated with the oral disease. The clinical efficacy of systemic antibiotic therapy is well established in the management of dental problems but the high oral dose required to achieve the effective concentration in the gingival cervical fluid limits its use. Also repeated long term use of systemic antibiotics is associated with potential adverse effects, namely gastrointestinal disorders, development of bacterial resistance, superimposed infections, patient non-compliance etc[6-7-8]. Topical agents like mouthwashes, gels, pastes, dentifrices can help in controlling the microbial plaque and mucosal infections but cannot penetrate into the deep periodontal pockets. Also the application of topical agents depends on first order kinetics which requires high initial concentration and multiple applications to maintain their sufficient level in GCF for sustained effectiveness[9]. To overcome all these limitations, controlled local delivery within the periodontal pocket can effectively target the microbes in the periodontal pockets as well as maintain therapeutic concentration within the crevicular fluid for a longer period of time. To achieve intrapocket- localized drug delivery, a mucoadhesive, biodegradable, biocompatible, and non-toxic polymer providing controlled drug delivery for prolonged time is desirable. CS is a natural polymer consisting of (1,4)-linked 2-amino-deoxy-b-D-glucan, deacetylated derivative of chitin, obtained from crustacean shell exhibits all the above features. In addition to this, it has antimicrobial and antiplaque activity against oral pathogens[10-11-12]. Also, CS has flimogenic properties and can form transparent films with good mechanical properties[13]. The delivery system has the advantage of dissolving within the pocket itself without the need for removal. The intrapocket localized drug delivery minimizes the systemic uptake of antibiotics thereby reducing their

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Original Article

EFFECT OF *BLUMEA LACERA* ON TISSUE GSH, LIPID PEROXIDATION AND HEPATIC CELLS IN ETHANOL INDUCED HEPATOTOXICITY IN RATS

DEVENDRA S. SHIRODE[1*], ASHISH V. KULKARNI[1], BRIJENDRA B. JAIN[2]

[1]Department of Pharmacology, Dr. D. Y. Patil College of Pharmacy, Akurdi, Pune 411044, [2]Indrayani Institute of Pharmaceutical Education and Research, Talegaon Dabhade, Pune 410507

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ABSTRACT

Objective: To evaluate hepatoprotective effects of ethanol extract of aerial part of *Blumea lacera* (BLEE) against ethanol-induced hepatotoxicity in rats.

Methods: The *in vivo* antioxidant activity of BLEE was assessed by determining the tissue glutathione (GSH) and lipid peroxidation (LPO) levels. The BLEE at the doses of 200 and 400 mg/kg and silymarin 100 mg/kg administered to the ethanol challenged rats. The effects of BLEE and silymarin on Physical and Biochemical Parameters were measured. Similarly, histopathological changes of the liver were studied.

Results: The BLEE showed *in vivo* antioxidant activity. A significant (P<0.001) decrease in SGOT, SGPT, ALP, total and direct bilirubin was observed in BLEE treated group at doses i.e. 200 mg/kg and 400 mg/kg as compared to intoxicated group. Liver damage in animal pretreated with BLEE was minimal with distinct preservation of structures and the architectural frame of the hepatic cells.

Conclusion: These findings demonstrated the hepatoprotective effects of BLEE against ethanol-induced liver damage.

Keywords: *Blumea lacera*, Hepatoprotective, *In vivo* antioxidant, Ethanol

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INTRODUCTION

Liver is the first and major organ to metabolize all foreign compounds, and it is susceptible to many different diseases [1]. Chronic alcohol intake produces a variety of physiological changes and damages to the liver [2]. At least 80 % of heavy drinkers were reported to develop steatosis, 10-35% alcoholic hepatitis, and approximately 10 % liver cirrhosis [3]. Jaundice and hepatitis are two major disorders of liver that increase the risk for mortality. Currently, treatment options for hepatotoxicity are very limited [4]. Modern medicine does not so far have fully effective care, particularly for hepatitis [5]. There has been a great deal of interest for the role of complementary and alternative medicines in the treatment of various acute and chronic diseases. Medicinally, natural products have made a significant contribution for the treatment of hepatotoxicity. Our literature survey revealed that the hepatoprotective activity of BLEE was not investigated; hence, these activities have been investigated in the present study.

Blumea lacera is an annual herb traditionally claimed as liver tonic, digestive, anthelmintic, expectorant, antipyretic etc [6-8]. Campesterol has been isolated from aerial parts [9] Recent studies have shown antimicrobial [8], analgesic, hypothermic and tranquilizing activities [9]. Hence the present study was planned to exploit the safety and efficacy of a *Blumea lacera* for hepatoprotective activity.

MATERIALS AND METHODS

Plant material and preparation of BLEE

The aerial parts of *Blumea lacera* were collected from fields of Sudumbare village, Taluka Maval Pune, Maharashtra during the month of December. It was identified and authenticated (Voucher number 043) by Prof. S. S. Deokule, Dept of Botany, University of Pune, Pune. The aerial parts of plant were shade dried at room temperature and pulverized. The powder obtained was subjected to successive soxhlet extraction with the solvents with increasing order of polarity i. e petroleum ether, chloroform and ethanol. Preliminary phytochemical investigation showed the presence of steroids, flavonoids and saponins in BLEE. Hence, BLEE was selected for the present study.

Chemicals and reagents

Formalin (Nice), Thiobarbituric acid (TBA) (Loba chemie), Dithiobisnitrobenzoate (DTNB) (Sigma Co.), Trichloroacetic acid (TCA)–(SRL) Disodium hydrogen phosphate (Qualigen), Liquid paraffin (Nice), Silymarin (Micro labs) Chemical Kits–SGOT, SGPT, Total Bilirubin, Direct Bilirubin, ALP (Span diagnostics)

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Open Access Research Article

Effect of pH and Gastrointestinal Enzymes on Stability of Psoralen, Bakuchicin and Bakuchiol using Simultaneous TLC Densitometric Method and Standardization of commercial formulations containing *Psoralea cordyfollia* Linn.

Jyotsna R. Chopade*[1], Kakasaheb R. Mahadik[2], L. Sathiyanarayanan [2], Ajinkya Nikam[2],

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[2] Department of Pharmaceutical chemistry, Bharati Vidyapeeth University - Poona College of Pharmacy, Pune - 411038. Maharashtra, India.

ABSTRACT

Psoralea corylifolia is used for treatmet of skin diseases such as psoriasis, vitiligo. Psoralen is responsible for its effectiveness against psoriasis. Bakuchicin and Bakuchiol are DNA polymerase and topoisomerase II inhibitors. To study the effect of pH and gastrointestinal (GI) enzymes on Psoralen, Bakuchicin and Bakuchiol from *Psoralea corylifolia* Linn using a simple, sensitive, accurate and robust high performance thin layer chromatographic (HPTLC) method. The method was performed on silica gel 60 $F_{254}$with n- Hexane : Ethyl acetate (7.5 : 2.5 v/v) as the mobile phase. Densitometric scanning at 285 nm for Psoralen, Bakuchicin and Bakuchiol was used. The method was validated as per the guidelines of International Conference on Harmonization (ICH). In addition the applicability of the method was tested for the standardization of both mono and polyherbal formulations containing the above markers. The R_f values of 0.37, 0.48 and 0.63 were obtained for Psoralen, Bakuchicin and Bakuchiol respectively. The linearity range of 20-120 ng spot^{-1}, 20-120 ng spot^{-1} and 80-280 ng spot^{-1} with good correlation coefficients of r^2 = 0.998, 0.998 and 0.999 were obtained for Psoralen, Bakuchicin and Bakuchiol respectively. The method was applied for the *in vitro* stability studies of above markers in simulated gastric and intestinal fluids to study the effect of pH and GI enzymes. Psoralen was found to be most stable in the simulated physiological fluids whereas other two compounds showed instability. The method was found to be precise, robust and suitable for the routine quality control analysis of plant extracts and polyherbal formulations.

Keywords: *Psoralea corylifolia* Linn, Leguminoceae, HPTLC, Enzymatic stability

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Abbreviations :

P.corylifolia: *Psoralea corylifolia*
SGF : Simulated Gastric Fluid
SIF : Simulated Intestinal Fluid
HPTLC : High performance thin layer chromatography
ICH : International Conference on Harmonization
GI : Gastro Intestinal

1. INTRODUCTION

Psoralea corylifolia Linn. (Fam: leguminoceae) is the most popular herb used in Indian traditional medicines since ancient time. It has been officially listed in Chinese Pharmacopoeia[1]. The different parts of the plant such as seeds, seed oil, roots and leaves are used for therapeutic effects [2]. The plant is widely exploited since ages for its effect against several skin diseases, such as psoriasis, leukoderma, and leprosy[3]. It also possess anthelmintic, laxative, diuretic, aphrodiasic, antipyretic, analgesic, anti-staphylococeal and antifungal activity [4,5,6].

Psoralea corylifolia Linn contains wide variety of phytochemicals such as Psoralen, Angelicin, Bakuchiol, Psoralidin, Isopsoralen [7,8,9] etc. The flavonoids present in the seed are Corylifolin, Bakuchicin, Psoralidin, Bavachin, Corylifolinin, Bavachinin Corylin, Corylidin [10,11,12,13] Psoralen (Fig.1a) bakuchicin (Fig 1b) and bakuchiol (Fig 1c) are considered to be the main constituents responsible for pharmacological activities of the plant.

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Research Article

EVALUATION OF IMMUNOMODULATORY ACTIVITY OF HYDROALCOHOLIC EXTRACT OF *SIDA SPINOSA* LINN.

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ABSTRACT

Immunomodulatory effect of hydroalcoholic extract of *Sida spinosa* Linn was evaluated in swiss albino mice to justify the traditional claims. The assessment of immunomodulatory activity of specific immunity was carried out in immune suppressed mice by testing the humoral response to sheep RBCs in hemagglutination antibody (HA) titer and determination of total leukocyte count whereas effect on nonspecific immunity was studied by phagocytic activity of carbon particle in carbon clearance test. Immunosuppression was induced in mice by using cyclophosphamide (100 mg/kg/day, p.o.) while Levamisole (50 mg/kg/day, p.o.) was used as reference standard immune stimulating agent. The extract was administered orally at three dose levels i.e. 100, 200 and 400 mg/kg/day for the period of 21 days. The extract showed a significant ($p < 0.01$ and $p < 0.001$) increase in both primary and secondary HA titer at the dose of 200 and 400 mg/kg respectively when compared to cyclophosphamide induction control. Extract restored the cyclophosphamide induced myelosuppression in mice and showed significant ($p < 0.01$ and $p < 0.001$) increase in total leukocyte count at dose of 200 and 400 mg/kg respectively when compared to cyclophosphamide induction control. The extract also showed significant ($p < 0.01$) increase in phagocytic index at 200 and 400 mg/kg. In conclusion, present study validated traditional claims of the hydroalcoholic extract of *Sida spinosa* L. as an immunomodulatory agent.

Keywords: *Sida spinosa* L., Immunomodulation, Hemagglutination antibody titer, Phagocytic index.

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This also suggest that there is need to develop more safe, effective and patient friendly drug/s may be from alternative system of medicine that can be termed as ideal immunomodulator[10]. Discovery of clinically useful effective and patient friendly drugs like Atropine from *Atropa belladonna*, Quinine from the bark of the Cinchona tree, Digoxin from *Digitalis purpurea*, Vinblastine, Vincristine from *Catharanthus roseus*, Capsaicin from Capsicum species, Paclitaxel from *Taxus brevifolia* and Galantamine from *Galanthus caucasicus*, carbenoxolone from *Glycyrrhiza glabra*, gefarnate from Cabbage etc. gives indication towards exploitation of traditional claim in scientific way[11,12]. These reports created strong background to explore traditional claims which are still not well documented scientifically.

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New Journal of Chemistry

Synthesis of 5-hydroxy-2-methyl-naphthalene-1,4-dione cocrystals with pyridine-3-carboxamide using electrospray technology: physicochemical characterization and *in vitro* non-everted rat intestinal absorption study

Check for updates

Rajalakshmi Solaimalai, *[a] Gajanan Shinde,[b] Abhay Dharamsi[a] and Niraj Vyawahare[c]

Author affiliations

Abstract

Plumbagin (PL), 5-hydroxy-2-methyl-naphthalene-1,4-dione, a bioactive natural lipophilic molecule, has several pharmacological activities. However, its utility as a potential drug molecule has been limited due to low aqueous solubility and in turn bioavailability. Herein we report cocrystal synthesis of PL with nicotinamide (NIC, pyridine-3-carboxamide) coformer using electrospray technology in order to improve the biopharmaceutical properties of PL. A methanolic solution containing PL and NIC was electrosprayed to obtain PL–NIC cocrystals. The prepared cocrystals were characterized using powder X-ray diffractometry, differential scanning calorimetry, Fourier transform infrared spectroscopy, FT-Raman spectroscopy, scanning electron microscopy, saturation solubility and intrinsic dissolution studies. The bioavailability of the cocrystals was assessed using the non-everted rat intestinal sac model.

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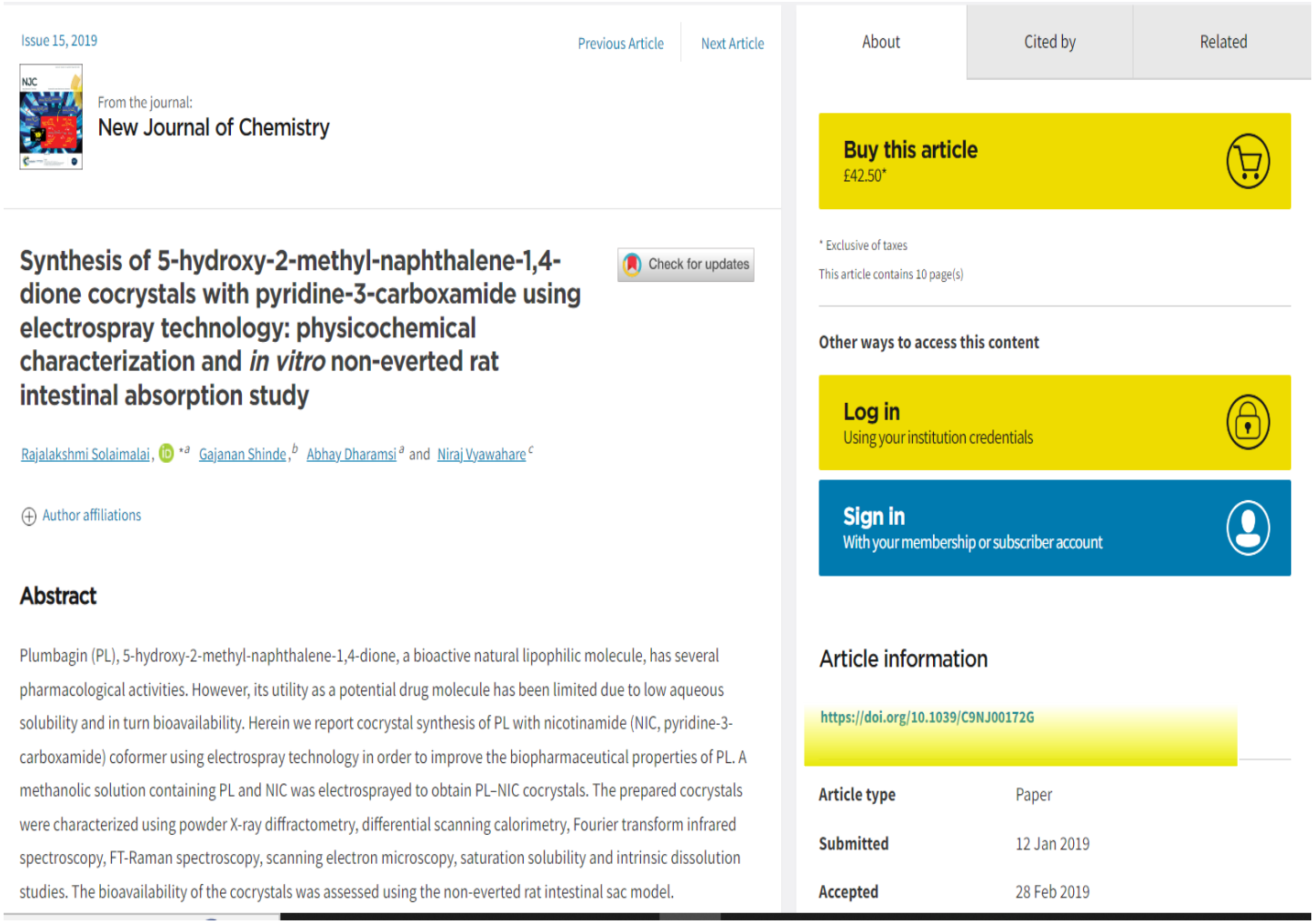

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DEVELOPMENT AND EVALUATION OF FLUOCINOLONE ACETONIDE AND NEOMYCIN SULPHATE NANOMIEMGEL FOR TOPICAL DRUG DELIVERY SYSTEM

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ABSTRACT

Objective: The skin enacts as the effective barrier which prevents permeation of numerous drug through it. Nanomiemgel is a combination of two novel drug delivery systems i.e. nano-micelles and nano-emulsions. This combination provides synergistic approach providing the benefit of prolonging the duration of action, increased incidence on skin thereby increasing the contact time, maintaining steady state concentration, improving solubility of poorly soluble drugs and decreasing the toxicity. **Method**: Firstly the nano-emulsion is formed by sonication method with the aid of surfactant mixture of tween 80 and oleic acid. Further the nano-micelles are formed by solvent evaporation method. The gel was formed by using carbopol and triethanolamine in which both the nano-emulsion and nano -micelles are incorporated. **Results:** The nano-emulsion, nano-micelles and nanomiemgel were evaluated for physical appearance, pH and viscosity was found to be within critical limits. The in-vitro release for

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PREPARATION AND EVALUATION OF KETOCONAZOLE NIOSOMAL GEL DRUG DELIVERY SYSTEM BY ULTRASONICATION METHOD

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ABSTRACT

Objective: Niosomal drug delivery system is an effective as well as the advanced path marching towards novel drug delivery system. Ketoconazole is known as an azole antifungal that acts by inhibiting the growth of fungus. The main objective of the study is to achieve control release and prolong the action of ketoconazole by formulating as niosomes. **Method:** In this study the niosomes are formulated by using sonication technique and it is further converted to a gel drug delivery system so as to obtain control release. The results of this study showed that CHO content and the type of surfactant increased drug release rate from niosomes. Formulation consisting the surfactant and CHO ratio 1:0.2 showed higher drug release. **Results:** The λ_{max} of drug was found to be 246 nm and the Beer Lambert's range was found to be 10-50 ug/ml, the globule size of the niosomes by optimum batch was found to be 65.50nm, the viscosity of the niosomes was found to be 450 cps. pH of the optimum formulation was found to be 6. The in-vitro release showed 98.22%drug release in 5 hours. **Conclusion:** From all these studies which were carried out, it was concluded that a gel formulation containing niosomes loaded with Ketoconazole provides control release and long duration of action than containing Ketoconazole in non-niosomal form and it can be developed successfully to improve the antifungal activity.

KEYWORDS: Niosomes, Antifungal Agent, Surfactant, sonication.

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Current development in novel drug delivery systems of bioactive molecule plumbagin

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ABSTRACT

Plumbagin (PLB), a member of the quinine family, mainly found in the plant *Plumbago zeylanica* Linn., potentially exhibit anticancer, anti-inflammatory, anti-oxidant, antifungal, neuroprotective, hypolipidemic and antibacterial activities. However, it has been well known that the application of PLB was limited owing to its water insolubility, instability and poor bioavailability. For decades, many attempts have been made to compensate for these disadvantages with the development of improved delivery platforms as the feasible approaches. This review aims to describe the various studies supporting the biopharmaceutical aspects of PLB. In addition, it includes a section devoted to discussing the challenges associated with the drug and strategies to improve the properties of PLB such as solubility, stability and bioavailability. Also, this paper summarizes the recent works on the design and development of novel delivery systems of PLB such as liposomes, niosomes, microspheres, nanoparticles, micelles, complexization, metal nanoparticles, crystals modification, etc., with the goal of harnessing the true difficulties of this multifunctional agent in the clinical arena.

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KEYWORDS
Phytomolecule; plumbagin; biopharmaceutics; novel drug delivery system

Introduction

In modern drug discovery era, various chemically synthesized new molecules are approved by FDA and are coming on the market, having wide therapeutic efficacy but this therapy causes serious adverse effects which could be life threatening. Conventional therapy provides non-targetability in tissues and organs due to peak and valley fluctuations of plasma drug concentration, and a frequent dose of administration can produce troublesome for allopathic medicines lead to poor patient compliance. In ancient times, herbal remedies and natural extract are consumed by people to cure various diseases. These herbal remedies contain hundreds of phytoconstituents which is working simultaneously against the disease. In recent times, the interest of people in phytopharmaceuticals has been increasing day-by-day among physicians and patients, and it is evident from the global market of herbal medicine and phytopharmaceuticals that has increased from $26 billion from 2011 and $32 from 2016 [1]. Various dietary products and supplements are also derived from the natural origin are also gaining more interest in the industry and the global market for phytopharmaceuticals. Some phytoconstituents derived from the natural origin are having a poor solubility and low bioavailability resulting in a narrow therapeutic index which hinders its novel efficiency, so formulation scientist is working on targeting and controlled drug release of phytoconstituents to provide better therapeutic effect and increased patient compliance.

Novel drug delivery systems for phytomedicine

In the past few decades, considerable attention has been focused on the development of novel drug delivery system (NDDS) for phytoconstituents. The novel carriers should ideally fulfil two prerequisites. Firstly, it should deliver the drug at a rate directed by the needs of the body over the period of treatment. Secondly, it should channel the drug to the site of action. Conventional dosage forms including prolonged-release dosage forms are unable to meet none of these. In phytoformulation research, developing novel drug delivery system such as polymeric nanoparticles and nanocapsules, liposomes, solid lipid nanoparticles, microemulsion, microspheres and nanoemulsion, etc. have a number of advantages for phytoconstituents, including enhancement of solubility and bioavailability, protection from toxicity, enhancement of pharmacological activity, enhancement of stability, improving tissue macrophages distribution, sustained delivery, protection from physical and chemical degradation, etc. Thus, the novel drug delivery systems of phytoconstituents have a potential future for enhancing the activity and overcoming problems associated with plant medicines [2].

Plumbagin

Plumbagin (PLB), a plant-based secondary metabolite belonging to 1,4-naphthoquinone, is the most important class in the

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Current development in novel drug delivery systems of bioactive molecule plumbagin

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ABSTRACT

Plumbagin (PLB), a member of the quinine family, mainly found in the plant *Plumbago zeylanica* Linn., potentially exhibit anticancer, anti-inflammatory, anti-oxidant, antifungal, neuroprotective, hypolipidemic and antibacterial activities. However, it has been well known that the application of PLB was limited owing to its water insolubility, instability and poor bioavailability. For decades, many attempts have been made to compensate for these disadvantages with the development of improved delivery platforms as the feasible approaches. This review aims to describe the various studies supporting the biopharmaceutical aspects of PLB. In addition, it includes a section devoted to discussing the challenges associated with the drug and strategies to improve the properties of PLB such as solubility, stability and bioavailability. Also, this paper summarizes the recent works on the design and development of novel delivery systems of PLB such as liposomes, niosomes, microspheres, nanoparticles, micelles, complexization, metal nanoparticles, crystals modification, etc., with the goal of harnessing the true difficulties of this multifunctional agent in the clinical arena.

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Research Paper

Development and Evaluation of Terbinafine Hydrochloride Polymeric Microsponges for Topical Drug Delivery

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The objective of the present study was to develop and evaluate sustained delivery of terbinafine hydrochloride from topical polymeric microsponges. Microsponges of ethyl cellulose containing terbinafine hydrochloride were prepared by quasi emulsion solvent diffusion method. Effect of drug polymer ratio on active drug content, particle size and entrapment efficiency were studied. Drug polymer ratio greatly affects properties (entrapment efficiency, active drug content, particle size) of microsponges. Terbinafine hydrochloride microsponges showed highest actual drug content, entrapment efficiency and smaller particle size, so 1.5:1 ratio of drug and polymer was selected for optimization study. Optimization study was carried out by taking internal phase volume, stirring rate, emulsifier concentration as independent variables and their effects on entrapment efficiency, particle size were studied. It was found that as stirring speed increases, the particle size decreases and entrapment efficiency increases, while as volume of dichloromethane increases, particle size decreases. Morphology of obtained microsponges was revealed by scanning electron microscope and was found to be porous and spherical. Optimized formulation of microsponge was dispersed in Carbopol gel and evaluated for drug content, pH, viscosity and *in vitro* drug release. Release of drug was found to be sustained through microsponge gel as compared to marketed product and pure drug gel. *Ex vivo* drug deposition study was carried using rat abdominal skin. Drug deposition was found to be satisfactory. Prepared polymeric microsponges could be a potential topical drug delivery system in antifungal therapy.

Key words: Microsponges, terbinafine HCl, quasi emulsion solvent diffusion method, microsphere, topical drug delivery system, ethyl cellulose

Topical therapy is one of the attractive modes for the management of the cutaneous infections. Advantages of these delivery systems are; it releases drug to the site of infection and minimization of the risk of systemic side effects. Conventional dermatological products typically provide active ingredients in relatively high concentrations but with a short duration of action. This may lead to a cycle of short term overmedication followed by long-term under medication. Due to this, conventional dermatological products have drawbacks like rash, irritation, itching, redness, allergic reaction. The need exists for system to maximize the amount of time that an active ingredient is present either on skin surface or within the epidermis, while minimizing its transdermal penetration into the body[1,2].

Microsponges are polymeric delivery systems consisting of porous microspheres of an inert polymer that can entrap active ingredients and control their release rate. Microsponges are true sponge like spherical particles containing a large number of interconnecting voids within a non-collapsible structure with large porous surface. Because of interconnected void spaces microsponges get large surface area to hold drug. Microsponge technology allows an even and sustained rate of release, reducing irritation while maintaining efficacy[1,2]. Microsponge can be prepared with two methods i.e. one step process (liquid-liquid polymerization method) and two-step process (quasi emulsion solvent diffusion method)[1,2]. Most common and feasible method used for preparation

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Research Article

EVALUATION OF ANTICONVULSANT ACTIVITY OF *PREMNA HERBACEA* (ROXB.) EXTRACTS IN PENTYLENETETRAZOL AND MAXIMAL ELECTROSHOCK-INDUCED CONVULSIONS IN MICE

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ABSTRACT

Objective: In the present study, three different extracts of *Pemna herbacea* (Roxb.) were evaluated for its anticonvulsant activity against pentylenetetrazol (PTZ) and maximal electroshock (MES)-induced convulsions in mice.

Methods: The shed-dried powder of *P. herbacea* roots was passed through a sieve and subjected to extraction using Soxhlet apparatus with 70% ethanol, petroleum ether, and chloroform to get respective extracts named as ethanolic extract of *P. herbacea*, petroleum ether extract of *P. herbacea*, and chloroform extract of *P. herbacea* (PHC). Preliminary phytochemical analysis and acute oral toxicity study were done. Thereafter, the extracts were analyzed for PTZ- and MES-induced convulsions.

Results: The results revealed that PHC at the doses 200 and 400 mg/kg was effective against both, i.e., PTZ- and MES-induced convulsions. Overall PHC 400 mg/kg was most effective, as it significantly delayed onset of convulsions ($p<0.01$) and reduced % mortality (50%) in PTZ model, while in MES model, it showed the highest reduction in duration of hind limb extension ($p<0.01$) and percentage protection (33.33%).

Conclusion: The results reported anticonvulsant potential of PHC against both PTZ- and MES-induced convulsions suggesting mixed mechanism of action which may be attributed to different phytochemicals acting simultaneously.

Keywords: Anticonvulsant, *Premna herbacea* (Roxb.), Maximal electroshock, Pentylenetetrazole.

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INTRODUCTION

Convulsion is one of the most common and chronic neurological disorders in human beings with an incidence rate of approximately 1% of the total population [1,2]. It is characterized by recurrent and unpredictable interruptions of normal brain function that is epileptic seizures [3]. The current therapeutic treatment of epilepsy with modern anticonvulsant drugs is associated with variety of side effects, dose-dependent toxicity, especially, on chronic administration and teratogenic effects [4-6]. Moreover, approximately 30% of the patients exhibit reoccurrence of the symptoms which is the most important concern to address [7]. This increasing occurrence of epilepsy is attributed to an increase in stress, change in lifestyle, altered food habits, excessive alcohol consumption, and concomitant drug administration [8,9]. Suggesting need for the discovery of new drug. India has one of the richest medical plant traditions in the world, and the traditional Indian medicinal system has always exemplified the phenomena of symbiosis [10]. As per literature survey, approximately 25,000 effective plant-based formulations used in folk medicine and known to rural communities in India [11,12]. The roots of *Pemna herbacea* are traditionally being used to prevent and control convulsions but have not been well documented scientifically. On the other hand, the scientific validation for its other claims such as analgesic, anti-inflammatory, and antiulcer [13] suggests conducting preclinical evaluation for scientific validation of its anticonvulsant potential to give newer, safer, and effective anticonvulsant drug.

MATERIALS AND METHODS

Preparation of extracts

P. herbacea (Roxb.) plant material, i.e., roots was collected from Southern Ghats region and authenticated by Dr. K. Madhawa Chetty at Sri Venkateswara University, Tirupati. These roots were shade dried and powdered. The powder of *P. herbacea* roots was passed through a sieve (No. 40) and subjected to extraction using Soxhlet apparatus with 70% ethanol, petroleum ether, and chloroform at a temperature <20°C to get respective extracts named as ethanolic extract of *P. herbacea* (PHE) and petroleum ether extract of *P. herbacea* (PHP). After filtration, dark brown extracts were evaporated at 50°C [14].

Animal selection

Swiss albino mice (18–25g) of either sex were procured from M/s. National Toxicological Center, Pune. The mice were housed separately in the animal house and were fed on a standard pellet diet and provided water *ad libitum*. After approval of the Institutional Animal Ethics Committee from the National Toxicology Center, Pune, the studies were performed.

Drugs and chemicals

Pentylenetetrazol, Sigma, St. Louis, USA, diazepam Ranbaxy, India, and phenytoin sodium, M. J. Pharmaceuticals, Gujarat.

These drugs and chemical were purchased from local vendor.

Statistical analysis and calculations

Data were expressed as mean±standard error of the mean and statistically analyzed using one-way analysis of variance followed by Tukey–Kramer multiple comparisons test.

Methods

Preliminary phytochemical analysis

Preliminary phytochemical analysis was carried out using established methods to record the presence of phytochemicals [15].

Determination of acute toxicity study (LD_{50})

The acute toxicity of the extracts (PHE, PHP, and chloroform extract of *P. herbacea* [PHC]) was performed using albino mice as per the OECD guideline no 423 [16].

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Research Article

EVALUATION OF ANTICONVULSANT ACTIVITY OF *PREMNA HERBACEA* (ROXB.) ROOT EXTRACTS IN ISONIAZID AND STRYCHNINE-INDUCED CONVULSIONS

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ABSTRACT

Objective: The present investigation was to evaluate the anticonvulsant potential of three different extracts of roots of *Premna herbacea* (Roxb.) in mice. The preclinical screening models such as isoniazid (INH)- and strychnine (STR)-induced convulsion were selected for the study.

Methods: The three different extracts of *P. herbacea*, i.e., ethanolic (PHE), petroleum ether (PHP), and chloroform (PHC) were prepared as per standard procedures and evaluated at three different doses (100, 200, and 400 mg/kg) and screened with above-mentioned INH and STR-induced convulsions.

Results: In INH model, PHC 200 mg/kg and 400 mg/kg showed dose-dependent delay in onset of convulsion ($p<0.05$ and $p<0.01$) along with protection of 33.33% of mice. The PHP 400 mg/kg also showed a significant delay in the onset of convulsion ($p<0.05$) along with protection of 16.66% of mice. In STR-induced model, none of the extracts was effective to delay the onset of convulsion; however, PHC 400 mg/kg protected 16.66% of mice.

Conclusion: The results confirmed dose-dependent anticonvulsant activity of *P. herbacea* PHC in INH-induced convulsions.

Keywords: Anticonvulsant, *P. herbacea* root extract, Strychnine, Isoniazid.

 DOI: http://dx.doi.org/10.22159/ajpcr.2018.v11i12.28174

INTRODUCTION

Epilepsy is the disorder of the brain characterized by recurrent seizure of cerebral origin [1]. It is the second most common chronic neurological condition observed worldwide [2]. It affects approximately 1% of population which results in 3% of cumulative incidences [3,4].

The prevalence of epilepsy is higher in the developing countries such as India than developed countries [5]. Despite the availability of a large number of antiepileptic drugs in modern medicine, approximately 30% of patients show poor response to the therapy, especially long-term administration leading to recurrence [6,7]. High-cost, wide range of untoward effect, and development of tolerance are few other limitations [8]. Hence, search is continued to develop newer, more effective, and safe anticonvulsant agent.

Medicinal plant with traditional claim and being used since long has become the preferred target for development if an ideal drug [9]. Inherently margin, better effect, and wider safety on complaints with complex pathogenesis mostly due to the synergistic action of multiple phytoconstituents which increase advantages of medicinal plants [10].

As per literature survey, *Premna herbacea* is an important medicinal plant traditionally claimed for its usefulness in a variety of conditions including epilepsy. Further, its claim as an analgesic, anti-inflammatory, and antiulcer has been validated scientifically [11,12]. The roots of *P. herbacea* have been claimed to be useful in convulsions, however, yet not documented scientifically [13]. Hence, it was thought worthwhile to study the anticonvulsant activity of *P. herbacea* in mice to confirm the previous claim and its scientific documentation suggesting its potential anticonvulsant activity with lesser side effects.

MATERIALS AND METHODS

Preparation of extracts

The entire plant of *P. herbacea* (Roxb.) was collected from the Southern Ghats region and authenticated by Dr. K. Madhawa Chetty, at Shri Venkateswara University, Tirupati. The roots of the collected plants were carefully separated shade-dried and powdered. This powder was passed through a sieve (No. 40) and then subjected to extraction using Soxhlet apparatus with 70% ethanol (100 g in 500 mL), petroleum ether and chloroform at a temperature <20°C. After filtration, dark brown extract was evaporated at 50°C [14].

Animals

Swiss albino mice (18–25 g) of either sex were procured from M/s. National Toxicological Center, after obtaining the approval of the Institutional Animal Ethics Committee (RP-19/1516). The mice were acclimatized to the laboratory environment, provided with standard pellet diet and water *ad libitum*.

Drugs and chemicals

Pentylenetetrazol was purchased from Sigma, St. Louis, USA, isoniazid (INH) and strychnine (STR) from Sigma, St. Louis, USA, and diazepam from Ranbaxy, India. All chemicals and drugs were made available by local vendor.

Statistical analysis and calculations

Results were expressed as mean ± standard error. Statistical analysis was performed using one-way analysis of variance followed by Dunnett's test. $P<0.05$ was considered as statistically significant.

Methods

Preliminary phytochemical analysis

Preliminary phytochemical analysis of all three extracts was carried out using established methods to record the presence of phytochemicals [15].

Determination of acute toxicity study (LD_{50})

The acute toxicity for all three extracts was performed as per the OECD guideline no 423 [16].

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DEVELOPMENT AND OPTIMIZATION OF SELF EMULSIFYING DRUG DELIVERY SYSTEM OF BCS CLASS IV DRUG

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Abstract Download PDF (212) Google Scholar

The present study aims to develop Self-emulsifying Drug Delivery System (SEDDS) to increase bioavailability of drug and dissolution characteristics of dosage form. Primarily screening of different oils, surfactants and cosurfactants for solubility of drug was done. Based on solubility study Olive oil (oil) and Tween 80 (surfactant) Labrafil 1944 CS as cosurfactant were selected for further study. Optimization of SEDDS formulation was done by two methods firstly using design expert applying Mixture composite design and secondly by plotting Ternary diagram to find out optimum concentration of the oil and surfactant. Batches of SEDDS were prepared using Olive oil as oil, Tween 80 as surfactant and Labrafil 1944 CS and evaluated for Droplet size, Drug content, phase separation study and % Transmittance, Drug release. From the mixture composite design F3 formulation showed better drug release (100%) and lowest globule size (2.0 um) as compared to other batch of liquid SEDDS. Hence F3 formulation was considered as optimized formulation and was selected for further study. To form more stable and acceptable dosage form of drug, Solid Self Emulsifying Drug Delivery System (S-SEDDS) were prepared. The Development of S-SEDDS was attempted using kaolin and microcrystalline cellulose by Adsorption carrier technique.K3 and M3 were further analysed for in vitro dissolution studies. From dissolution study it was observed that there was an increase in solubility and decreases dissolution rate of Azithromycin dihydrate as compared to pure drug. K3 formulation had shown good dissolution profile and high similarity with marketed formulation than M3 formulation. The optimize formulation was then evaluated for its bioavailability study .The bioavailability studies for SEDDS formulation and marketed formulation were carried out using wistar abino rats. Hence F3 S-SEDDS K3 formulation containing Olive oil, Tween 80, Labrafil M 1944 CS and Kaolin may possibly be used to improve the bioavailability and dissolution characteristics of Azithromycin dihydrate.

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EVALUATION OF ANTICONVULSANT ACTIVITY OF *PREMNA HERBACEA* (ROXB.) EXTRACTS IN PENTYLENETETRAZOL AND MAXIMAL ELECTROSHOCK-INDUCED CONVULSIONS IN MICE

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ABSTRACT

Objective: In the present study, three different extracts of *Premna herbacea* (Roxb.) were evaluated for its anticonvulsant activity against pentylenetetrazol (PTZ) and maximal electroshock (MES)-induced convulsions in mice.

Methods: The shed-dried powder of *P. herbacea* roots was passed through a sieve and subjected to extraction using Soxhlet apparatus with 70% ethanol, petroleum ether, and chloroform to get respective extracts named as ethanolic extract of *P. herbacea*, petroleum ether extract of *P. herbacea*, and chloroform extract of *P. herbacea* (PHC). Preliminary phytochemical analysis and acute oral toxicity study were done. Thereafter, the extracts were analyzed for PTZ- and MES-induced convulsions.

Results: The results revealed that PHC at the doses 200 and 400 mg/kg was effective against both, i.e., PTZ- and MES-induced convulsions. Overall PHC 400 mg/kg was most effective, as it significantly delayed onset of convulsions ($p<0.01$) and reduced % mortality (50%) in PTZ model, while in MES model, it showed the highest reduction in duration of hind limb extension ($p<0.01$) and percentage protection (33.33%).

Conclusion: The results reported anticonvulsant potential of PHC against both PTZ- and MES-induced convulsions suggesting mixed mechanism of action which may be attributed to different phytochemicals acting simultaneously.

Keywords: Anticonvulsant, *Premna herbacea* (Roxb.), Maximal electroshock, Pentylenetetrazole.

 DOI: http://dx.doi.org/10.22159/ajpcr.2018.v11i12.29006

INTRODUCTION

Convulsion is one of the most common and chronic neurological disorders in human beings with an incidence rate of approximately 1% of the total population [1,2]. It is characterized by recurrent and unpredictable interruptions of normal brain function that is epileptic seizures [3]. The current therapeutic treatment of epilepsy with modern anticonvulsant drugs is associated with variety of side effects, dose-dependent toxicity, especially on chronic administration and teratogenic effects [4-6]. Moreover, approximately 30% of the patients exhibit reoccurrence of the symptoms which is the most important concern to address [7]. This increasing occurrence of epilepsy is attributed to an increase in stress, change in lifestyle, altered food habits, excessive alcohol consumption, and concomitant drug administration [8,9]. Suggesting need for the discovery of new drug. India has one of the richest medical plant traditions in the world, and the traditional Indian medicinal system has always exemplified the phenomena of symbiosis [10]. As per literature survey, approximately 25,000 effective plant-based formulations used in folk medicine and known to rural communities in India [11,12]. The roots of *Premna herbacea* are traditionally being used to prevent and control convulsions but have not been well documented scientifically. On the other hand, the scientific validation for its other claims such as analgesic, anti-inflammatory, and antiulcer [13] suggests conducting preclinical evaluation for scientific validation of its anticonvulsant potential to give newer, safe, and effective anticonvulsant drug.

MATERIALS AND METHODS

Preparation of extracts

P. herbacea (Roxb.) plant material, i.e., roots was collected from Southern Ghats region and authenticated by Dr. K. Madhava Chetty at Sri Venkateswara University, Tirupati. These roots were shade dried and powdered. The powder of *P. herbacea* roots was passed through a sieve (No. 40) and subjected to extraction using Soxhlet apparatus with 70% ethanol, petroleum ether, and chloroform at a temperature <20°C to get respective extracts named as ethanolic extract of *P. herbacea* (PHE) and petroleum ether extract of *P. herbacea* (PHP). After filtration, dark brown extracts were evaporated at 50°C [14].

Animal selection

Swiss albino mice (18–25g) of either sex were procured from M/s. National Toxicological Center, Pune. The mice were housed separately in the animal house and were fed on a standard pellet diet and provided water *ad libitum*. After approval of the Institutional Animal Ethics Committee from the National Toxicology Center, Pune, the studies were performed.

Drugs and chemicals

Pentylenetetrazol, Sigma, St. Louis, USA, diazepam Ranbaxy, India, and phenytoin sodium, M. J. Pharmaceuticals, Gujarat.

These drugs and chemical were purchased from local vendor.

Statistical analysis and calculations

Data were expressed as mean±standard error of the mean and statistically analyzed using one-way analysis of variance followed by Tukey–Kramer multiple comparisons test.

Methods

Preliminary phytochemical analysis

Preliminary phytochemical analysis was carried out using established methods to record the presence of phytochemicals [15].

Determination of acute toxicity study (LD_{50})

The acute toxicity of the extracts (PHE, PHP, and chloroform extract of *P. herbacea* [PHC]) was performed using albino mice as per the OECD guideline no 423 [16].

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EVALUATION OF ANTICONVULSANT ACTIVITY OF *PREMNA HERBACEA* (ROXB.) ROOT EXTRACTS IN ISONIAZID AND STRYCHNINE-INDUCED CONVULSIONS

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Received: 02 June 2018, Revised and Accepted: 10 August 2018

ABSTRACT

Objective: The present investigation was to evaluate the anticonvulsant potential of three different extracts of roots of *Premna herbacea* (Roxb.) in mice. The preclinical screening models such as isoniazid (INH)- and strychnine (STR)-induced convulsion were selected for the study.

Methods: The three different extracts of *P. herbacea*, i.e., ethanolic (PHE), petroleum ether (PHP), and chloroform (PHC) were prepared as per standard procedures and evaluated at three different doses (100, 200, and 400 mg/kg) and screened with above-mentioned INH and STR-induced convulsions.

Results: In INH model, PHC 200 mg/kg and 400 mg/kg showed dose-dependent delay in onset of convulsion ($p<0.05$ and $p<0.01$) along with protection of 33.33% of mice. The PHP 400 mg/kg also showed a significant delay in the onset of convulsion ($p<0.05$) along with protection of 16.66% of mice. In STR-induced model, none of the extracts was effective to delay the onset of convulsion; however, PHC 400 mg/kg protected 16.66% of mice.

Conclusion: The results confirmed dose-dependent anticonvulsant activity of *P. herbacea* PHC in INH-induced convulsions.

Keywords: Anticonvulsant, *P. herbacea* root extract, Strychnine, Isoniazid.

 DOI: http://dx.doi.org/10.22159/ajpcr.2018.v11i12.28174

INTRODUCTION

Epilepsy is the disorder of the brain characterized by recurrent seizure of cerebral origin [1]. It is the second most common chronic neurological condition observed worldwide [2]. It affects approximately 1% of population which results in 3% of cumulative incidences [3,4].

The prevalence of epilepsy is higher in the developing countries such as India than developed countries [5]. Despite the availability of a large number of antiepileptic drugs in modern medicine, approximately 30% of patients show poor response to the therapy, especially long-term administration leading to recurrence [6,7]. High-cost, wide range of untoward effect, and development of tolerance are few other limitations [8]. Hence, search is continued to develop newer, more effective, and safe anticonvulsant agent.

Medicinal plant with traditional claim and being used since long has become the preferred target for development if an ideal drug [9]. Inherently margin, better effect, and wider safety on complaints with complex pathogenesis mostly due to the synergistic action of multiple phytoconstituents which increase advantages of medicinal plants [10].

As per literature survey, *Premna herbacea* is an important medicinal plant traditionally claimed for its usefulness in a variety of conditions including epilepsy. Further, its claim as an analgesic, anti-inflammatory, and antiulcer has been validated scientifically [11,12]. The roots of *P. herbacea* have been claimed to be useful in convulsions, however, yet not documented scientifically [13]. Hence, it was thought worthwhile to study the anticonvulsant activity of *P. herbacea* in mice to confirm the previous claim and its scientific documentation suggesting its potential anticonvulsant activity with lesser side effects.

MATERIALS AND METHODS

Preparation of extracts

The entire plant of *P. herbacea* (Roxb.) was collected from the Southern Ghats region and authenticated by Dr. K. Madhava Chetty, at Shri Venkateswara University, Tirupati. The roots of the collected plants were carefully separated shade-dried and powdered. This powder was passed through a sieve (No. 40) and then subjected to extraction using Soxhlet apparatus with 70% ethanol (100 g in 500 mL), petroleum ether and chloroform at a temperature <20°C. After filtration, dark brown extract was evaporated at 50°C [14].

Animals

Swiss albino mice (18–25 g) of either sex were procured from M/s. National Toxicological Center, after obtaining the approval of the Institutional Animal Ethics Committee (RP-19/1516). The mice were acclimatized to the laboratory environment, provided with standard pellet diet and water *ad libitum*.

Drugs and chemicals

Pentylenetetrazol was purchased from Sigma, St. Louis, USA, isoniazid (INH) and strychnine (STR) from Sigma, St. Louis, USA, and diazepam from Ranbaxy, India. All chemicals and drugs were made available by local vendor.

Statistical analysis and calculations

Results were expressed as mean ± standard error. Statistical analysis was performed using one-way analysis of variance followed by Dunnett's test. $P<0.05$ was considered as statistically significant.

Methods

Preliminary phytochemical analysis

Preliminary phytochemical analysis of all three extracts was carried out using established methods to record the presence of phytochemicals [15].

Determination of acute toxicity study (LD_{50})

The acute toxicity for all three extracts was performed as per the OECD guideline no 423 [16].

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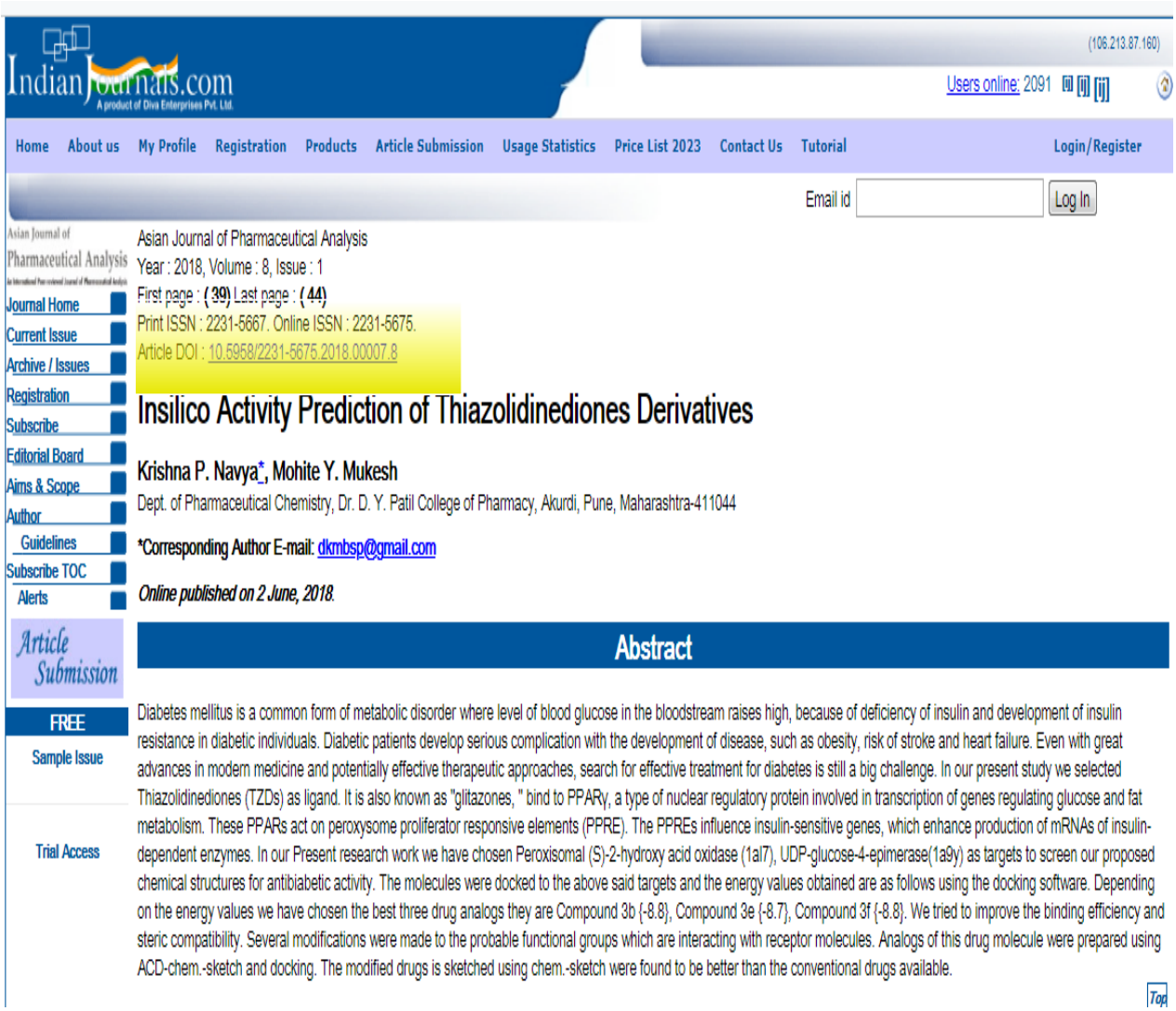

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Insilico Activity Prediction of Thiazolidinediones Derivatives

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Online published on 2 June, 2018.

Abstract

Diabetes mellitus is a common form of metabolic disorder where level of blood glucose in the bloodstream raises high, because of deficiency of insulin and development of insulin resistance in diabetic individuals. Diabetic patients develop serious complication with the development of disease, such as obesity, risk of stroke and heart failure. Even with great advances in modern medicine and potentially effective therapeutic approaches, search for effective treatment for diabetes is still a big challenge. In our present study we selected Thiazolidinediones (TZDs) as ligand. It is also known as "glitazones, " bind to PPARγ, a type of nuclear regulatory protein involved in transcription of genes regulating glucose and fat metabolism. These PPARs act on peroxysome proliferator responsive elements (PPRE). The PPREs influence insulin-sensitive genes, which enhance production of mRNAs of insulin-dependent enzymes. In our Present research work we have chosen Peroxisomal (S)-2-hydroxy acid oxidase (1al7), UDP-glucose-4-epimerase(1a9y) as targets to screen our proposed chemical structures for antibiabetic activity. The molecules were docked to the above said targets and the energy values obtained are as follows using the docking software. Depending on the energy values we have chosen the best three drug analogs they are Compound 3b {-8.8}, Compound 3e {-8.7}, Compound 3f {-8.8}. We tried to improve the binding efficiency and steric compatibility. Several modifications were made to the probable functional groups which are interacting with receptor molecules. Analogs of this drug molecule were prepared using ACD-chem.-sketch and docking. The modified drugs is sketched using chem.-sketch were found to be better than the conventional drugs available.

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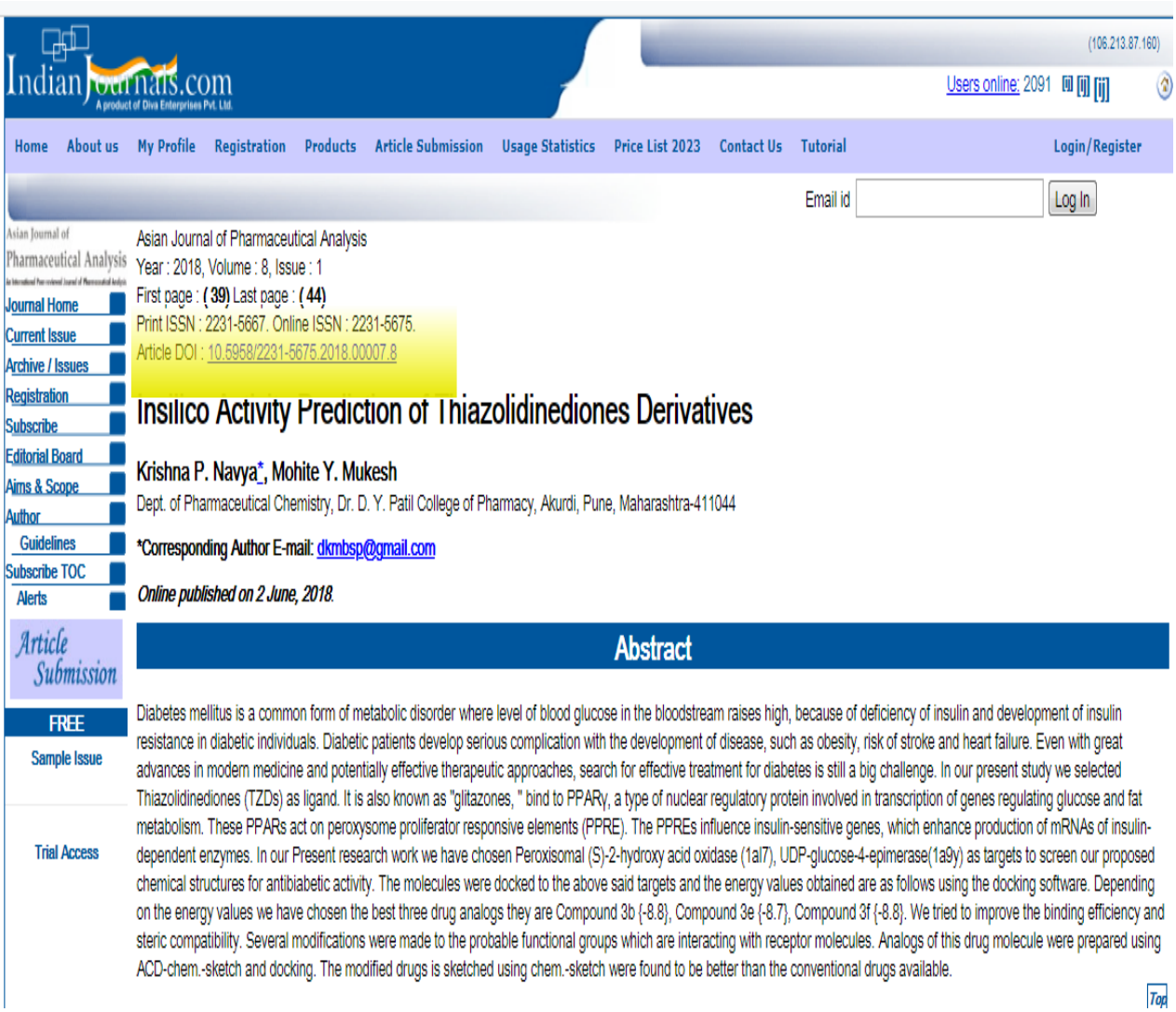

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Development of novel biofunctionalized chitosan decorated nanocochleates as a cancer targeted drug delivery platform

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ABSTRACT

A new family of biofunctionalized chitosan decorated nanocochleates-mediated drug delivery system was developed that involves uniquely combining nanocochleates with anticancer drug for controlled drug release, targeted delivery, improved bioavailability with reduced toxicity. This system was developed by loading of doxorubicin (DOX) to nanocochleates (DOX-NC) through conversion of negatively charged dimyristoylphosphatidylcholine (DMPC) phospholipid and cholesterol-bearing vesicles on addition of calcium ions, followed by encapsulation DOX-NC with folic acid conjugated chitosan (FA-CHI-DOX-NC). The release of DOX indicated strong pH dependence and implies hydrogen-bonding interaction between nanocochleates and DOX. Formulated FA-CHI-DOX-NC demonstrated higher *in-vitro* anticancer activity in folate overexpressed human breast cancer MCF-7 cells. The targeting effect for the FA-CHI-DOX-NC was also demonstrated. The concentration of the drug needed for growth inhibition of 50% of cells in a designed time period (GI50) was 9.1 µg/ml for DOX while it was decreased by 31.68% for the DOX-NC (6.2 µg/ml). Furthermore, the GI50 value of FA-CHI-DOX-NC was 4.4 µg/ml, i.e. a 51.64% decrease was observed as compared to DOX solution. Moreover, bioavailability of DOX from FA-CHI-DOX-NC increased by 4-fold with long circulation time, slower plasma elimination and no sign of tissue toxicity as compared to DOX solution. The proposed strategy is advantageous in terms of targeted drug delivery and has high potential to address the current challenges in drug delivery. Thus, the prepared new carrier offers a novel formulation that combines the unique properties of a biodegradable material, chitosan and nanocochleates for biomedical applications.

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KEYWORDS
Vesicles; nanocochleates; controlled release; cancer chemotherapy; pharmacokinetics; doxorubicin

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EVALUATION OF LEPIDIUM SATIVUM SEED MUCILAGE AS A BINDER IN TABLET FORMULATION

S. P. Chaudhari, H. N. Dhende

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Abstract Download PDF (73) Journal DOI : 10.5281/zenodo.2530472 Google Scholar

The aim of the current study was to extract the mucilage from Garden Cress (Lepidium Sativum Linn) seeds and to investigate the compressional behavior of mucilage in tablet formulation in comparison with standard binder such as starch using Heckel and Kawakita plot. Using paracetamol as model drug granules was prepared with different concentration (1%, 2%, and 3%) of the mucilage and starch by wet granulation method. The granules and tablets were evaluated for their flow properties, hardness, weight variation, thickness etc., and found to have hardness and disintegration time slightly more compared to starch as binder and hence satisfactory to prepare compressed tablets. The study revealed that the Lepidium sativum mucilage compared favourably with the standard starch as binder but plasticity of starch is more than Lepidium sativum mucilage as binder.

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Asian Journal of Pharmaceutical Analysis and Medicinal Chemistry

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ANALYTICAL METHOD DEVELOPMENT AND VALIDATION OF ESCITALOPRAM BY RP-HPLC METHOD

Sonali P. Mahaparale*[1], Ashish V. Kulkarni[1], Rasika P. Karandikar[1]

[1]*Department of Quality Assurance Technique, Dr. D. Y. Patil College of Pharmacy, Akurdi, Pune, Maharashtra, India.

ABSTRACT

A simple, precise, accurate, rapid and sensitive Reverse-Phase High Performance Liquid Chromatography method for the estimation of Escitalopram in tablet dosage form was developed and validated. Detection was carried out at 240 nm. The mobile phase methanol: water (90:10 v/v) pH 3.0 is adjusted with formic acid at a flow rate of 1.0 ml/min. The retention time of Escitalopram was found to be 2.80 min. The standard curve was linear (R^2>0.9950) over the concentration range of 2-20 µg/ml. The analytical method developed was validated as per ICH guidelines. The selectivity, robust and reliable as accuracy, precision, recovery and other validation parameters were within the limits as specified by the guidelines. The peaks were symmetrical in nature with acceptable tailing factor. The method can be very useful for the therapeutic drug monitoring (TDM), in bioequivalence studies, for pharmacokinetics study and also in toxicology and biomedical investigations.

KEYWORDS

Escitalopram, Reverse phase HPLC, Accuracy, Precision, Robustness, LOD, LOQ and Specificity.

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INTRODUCTION

Escitalopram is used as Antidepressant[1]. Chemically it is (s)-1-[3-(dimethylamino) propyl]-1-(4-flurophynyl) 1, 3 dihydroisobenzofuran-5-carbonitrile[1]. Its molecular formula and molecular weight are $C_{20}H_{21}FN_2O$ and 324.392 g/mol respectively. Escitalopram is freely soluble in methanol, isotonic saline solution, sparingly soluble in water and ethanol. Literature survey reveals that many analytical methods such as UV spectrophotometric[2,3] and HPLC methods[3-7] are reported for determination of Escitalopram

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ANALYTICAL METHOD DEVELOPMENT AND VALIDATION OF ESCITALOPRAM BY RP-HPLC METHOD

Sonali P. Mahaparale*[1], Ashish V. Kulkarni[1], Rasika P. Karandikar[1]

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KEYWORDS

Escitalopram, Reverse phase HPLC, Accuracy, Precision, Robustness, LOD, LOQ and Specificity.

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INTRODUCTION

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Research Article

DEVELOPMENT AND EVALUATION OF NANOEMULSION AS A CARRIER FOR TOPICAL DELIVERY SYSTEM BY BOX-BEHNKEN DESIGN

PALLAVI M CHAUDHARI[1]*, MADHAVI A KUCHEKAR[2]

[1]Department of Pharmaceutics, Dr. DY Patil College of Pharmacy, Akurdi, Maharashtra, India. [2]Department of Quality Assurance Techniques, Dr. DY Patil College of Pharmacy, Akurdi, Maharashtra, India. Email: pallavic26@gmail.com

Received: 31 March 2018, Revised and Accepted: 03 May 2018

ABSTRACT

Objective: The aim of this study was to develop a nanoemulsion for topical delivery.

Methods: Topical nanoemulsion was prepared by homogenization method. Box-behnken design was utilized to study the effect of oil, surfactant and Co-surfactant, on droplet size, entrapment efficiency and drug release. Nabumetone a non-steroidal anti-inflammatory drug was incorporated in castor oil with Tween 80 and Polyethylene glycol 600 to form the nanoemulsion by homogenization method. The nanoemulsion was further subjected to different evaluation parameters and *ex-vivo* study. The crystalline nature of drug was confirmed by powder X-ray diffraction studies. Drug-excipient compatibility was confirmed by Fourier transform infrared (FTIR) spectroscopy, differential scanning calorimetry (DSC), respectively.

Results: The average globule size of nabumetone-containing nanoemulsion decreased with decrease in concentration of oil and surfactant. Nanoemulsion was evaluated by pH, rheology, globule size, zeta potential, scanning electron microscopy, DSC, FTIR spectroscopy, and stability. *In vitro* drug release shows maximum 94.35% permeation rate through cellophane membrane and *ex-vivo* drug release shows 86.32% permeation rate through goat skin.

Conclusion: Thus, the nanoemulsion formulated showed good results regarding topical delivery.

Keywords: Nabumetone, Castor oil, Box-Behnken design, Globule size, Drug release.

 DOI: http://dx.doi.org/10.22159/ajpcr.2018.v11i8.26359

INTRODUCTION

The term "nanoemulsion" refers to a thermodynamically stable, isotropically clear dispersion of two immiscible liquids, such as oil and water, stabilized by an interfacial film of surfactant molecules [1,2]. A nanoemulsion is considered to be a thermodynamically or kinetically stable liquid dispersion of an oil phase and a water phase, in combination with a surfactant. The dispersed phase typically comprises small particles or droplets, with a size range of 50 nm–500 nm, and has very low oil/water interfacial tension. Because the droplet size is <25% of the wavelength of visible light, nanoemulsions are transparent. The nanoemulsion is formed readily and sometimes spontaneously, generally without high-energy input. In many cases, a cosurfactant or cosolvent is used in addition to the surfactant, oil phase, and the water phase [3].

Nabumetone is used to reduce pain, swelling, and joint stiffness from arthritis. This medication is known as a nonsteroidal anti-inflammatory drug (NSAID). NSAIDs are one of the numerous drugs having the limitation of poor aqueous solubility and bioavailability. In addition, prominent gastrointestinal (GI) side effects such as ulceration and high first pass metabolism are the prime obstacles that led to commercial withdrawal of certain drugs of this class-like nabumetone. Nowadays trying to overcome GI side effects by the topical delivery of NSAID. Skin has been shown to be a suitable delivery route for drugs formulated topically during the past few years. NSAID promotes local analgesic as well as, topical application of cyclooxygenase inhibitors suppresses ultraviolet (UV) radiation-II mediated cutaneous inflammation. Therefore, application of nabumetone topically has another important role in inhibiting UV-mediated inflammation. Duration of action of nabumetone can be increased by improving its release patterns from formulation and systemic anti-inflammatory effects without major GI side effects. Nowadays, the nanoemulsions are the potential drug delivery system for oral and parenteral administration of drugs like NSAID. It was found that nanoemulsions could be a very good carrier for topical delivery of highly lipophilic drugs.

It was also found that excipient which is used to manufacture nanoemulsion helps to augment the solubilizing and permeation capacity. Because of the smaller droplets contained in nanoemulsion thereby facilitate close contact with the stratum corneum. That is why, the amount of encapsulated agent penetrating into the viable skin facilitates the drug transport by changing the vehicle/stratum corneum partition coefficient [4,5].

MATERIALS AND METHODS

Materials

Nabumetone was gifted from Cipla Patalganga Pvt., Ltd., Mumbai, India. Castor oil was purchased from local market, polyethylene glycol (PEG); tween 80 was gifted from SD fine chemicals laboratory, Mumbai, India, and all other chemicals used were of analytical grade.

Methods

Emulsification study

Screening of surfactant

Surfactant selection was done on the basis of percentage of transparency (%Transparency) and ease of emulsification. Briefly, 2 ml of each surfactant was added to the selected 2 ml of oil phase. The mixture was gently heated at 50°C homogenization of the components.

Each 1 ml mixture was then diluted with distilled water in a stoppered conical flask. Ease of emulsification was judged by the number of flask inversion required to yield a homogenous emulsion. Emulsion is allowed to stand for 2 h and their % transparency was evaluated by UV visible spectrophotometer using distilled water as a blank at 236 nm [6].

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Design and Evaluation of Sustained Release Matrix Tablets of Antihyperlipidemic Drug

Pallavi M Chaudhari*[1], Neeraj S. Vyawahare[1], Sneha B. Phad[1]
1.Dr. D. Y.Patil College of Pharmacy, Akurdi, Pune, Maharashtra, India

ABSTRACT

The aim of present work was to design and evaluate sustained release matrix tablets of antihyperlipidemic drug. In the present investigation, polymers used in different combinations such as Eudragit RL100 and HPMC E5 in the ratio of 1:1, 1:2, 1:3 and vice versa with PVP K25 using direct compression technique were prepared. The tablets were evaluated for physical parameters like thickness, hardness, friability, weight variation, and in vitro release studies. The FTIR study indicated that the drug is stable in formulation. The maximum drug release was found to be 94.41% over a period for 12 hours for F4 batch, thus concluded that as the concentration of Eudragit RL100 is increased the drug release decreased. The drug release mechanism followed non-fickian transport from both polymer matrices. All the formulations were stored at 25^{0}C/60% RH and 45^{0}C/75% RH for 3 months. It showed that all the formulations were physically and chemically stable.

Keywords: Sustained release, direct compression, Matrix tablets, Simvastatin, EudragitRL100, HPMC E5.

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ABSTRACT

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Development and Evaluation of Multiparticulate Drug Delivery System for Colon Targeting

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Development and Evaluation of Multiparticulate Drug Delivery System for Colon Targeting

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Keywords: Multiparticulate, Colon Targeting, Pellets, Eudragit L-30 D-55, Eudragit S 100 Polyvinyl pyrrolidone K-30, Korsmeyer peppas

ABSTRACT

In the present research work, pellets of proton pump inhibitor drug that is Omeprazole used in the treatment of peptic ulcer has been utilized as a model drug and different approaches were tried to get a delayed release site-specific and stable formulation using Eudragit L-30 D-55, S 100 polymers. For this purpose, nonpareil seeds were used, where initial drug layer was loaded on it, then with an intermediate coat and finally a functional coating was done using R & D Coater. The drug layer consists of a combination of drug and polymers of hydroxyl propyl methyl cellulose/ hydroxyl propyl cellulose. The intermediate layer was composed of Polyvinylpyrrolidone K-30. And finally, the functional coating contained pH dependent Eudragit polymers to have delivery of the drug to the colon. After formulation of the batches, pellets were further evaluated for different parameters like flow properties, particle size, friability, assay, dissolution etc. Batch B6 was the optimized formulation. It followed the Korsmeyer peppas kinetic model. Dissolution and assay studies conducted on all other formulations showed similar release profile and a promising approach, for colon targeting.

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Determination of *in vitro* antioxidant capacity of *Albizia lebbeck* Leaves

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Abstract
Potential research on natural products has expanded a wide popularity due to the potential of discovering bioactive molecules. The antioxidant properties confined in plants have been proposed as one of the tool for the observed beneficial properties for various diseased conditions. Therefore, the present study has been accomplished to phytochemical testing and evaluation of antioxidant capacity of 70% ethanolic extract of *Albizia lebbeck* Leaves (EEAL). The antioxidant property of 70% EEAL was tested by using reducing power and free radical (superoxide, hydroxyl and nitric oxide) scavenging models (*in vitro*). *Albizia lebbeck* ethanolic extract has shown dose dependent antioxidant activity in all the models of the study (i.e. 82.03%-reducing power, 79.12%-superoxide, 49%-hydroxyl scavenging activity at 100mcg concentration). The 70% EEAL possess significant antioxidant activity. The antioxidant property may be attributed to the polyphenolic compounds like flavonoids and tannins that are present in the 70% EEAL.

Keywords: *Albizia lebbeck*, free radical, antioxidant activity, phytochemical investigation

1. Introduction
Free radical and antioxidant system are present in the balance form in the human body. Free radicals are unpaired electrons and generated during various metabolic reaction, exposure to ionizing radiation and by the influence of many xenobiotics. Antioxidant systems scavenge/quench the free radical. Excess generation of free radical overtakes the antioxidant defense of the cells. This leads to various physiological disorders such as cancer, atherosclerosis and ageing [1]. Several antioxidant of plant origin are experimentally proved and used as effective protective agents against free radicals [2, 3].
Albizia lebbeck benth. (Mimosaceae) is a large, erect, unarmed and deciduous tree. Upon literature review it was found that, the leaves are used in opthalmia [4]. The bark is used in bronchial asthma & other allergic disorders [5]. The flowers are useful in chronic cough & bronchitis [6]. The seeds are aphrodisiac [4], useful in inflammation, scrofula, skin disease, leprosy, leucoderma, chronic catarrh, seminal weakness, ophthalmopathy & poisoning [5]. The leaves of the plant *Albizia lebbeck* are rich in flavon., echinocystic acid, β-sitosterol and vicenin II etc [5]. The modern literature revealed that the plant is reported to possess anti-flammatory [7], nootropic [8, 9], anxiolytic [9], anticonvulsant [10, 11], antifertility [12] and antidiarrheal activity (seed) [13]. The present study was undertaken with the aim to assess the antioxidant activity of *Albizia lebbeck* Leaves.

2. Material and Method
2.1 Plant Material & Preparation of 70% EEAL
The leaves of plant *Albizia lebbeck* were collected from fields of Anand, Gujarat in the month of December 2010. It was identified and authenticated by Prof. G.C. Jadeja, Dept of Agricultural Botany, Anand Agricultural University.
The leaves were shade dried at room temperature to maintain the phytoconstituents and pulverized. The 70% ethanolic extract (12.82%) was prepared by using 70% ethanol in a soxhlet apparatus after de-fatting with petroleum ether.
Preliminary phytochemical investigation showed the presence of flavonoids, saponins in 70% EEAL as shown in Table. No. 01.

2.2 Determination of Reducing power of 70 % ethanolic *Albizia lebbeck* extract:
The reducing power of 70% EEAL were determined according to the method of Oyaizu (Oyaizu, 1986)[14]. Different doses of 70% EEAL were mixed in 1 ml of distilled water so as to get 20µg-100µg concentration. This was mixed with phosphate buffer (2.5 ml, 0.2 M, pH 6.6) and potassium ferricyanide (2.5ml, 1%). The mixture was incubated at 50°C for 20 minutes. A portion (2.5 ml) of trichloroacetic acid (10%) was added to the mixture, which was then centrifuged at 3000 rpm for 10 minutes. The upper layer of the solution (2.5 ml) was mixed with distilled water (2.5 ml) and $FeCl_3$ (0.5 ml, 0.1%), and the absorbance (OD) was measured at 700nm. The % reducing power was calculated by using the formula (Figure 1):

$$\% \text{ increase in absorbance} = \frac{\text{Test OD} - \text{control OD}}{\text{Control OD}} \times 100$$

2.3 Superoxide anion scavenging activity
Measurement of Superoxide anion scavenging activity of 70% EEAL was done by using the method explained by Nishimiki and modified by Ilhami *et al* [15]. About 1 ml of nitroblue tetrazolium (NBT) solution (156µM NBT in 100 mM

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Pharmacognosy & Phytochemistry

Microscopic and Physicochemical Evaluation of *Lagerstroemia lanceolata* Wall Leaves

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Original Research Article

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Abstract: The aim of the present study was to perform the microscopic evaluation of *Lagerstroemia lanceolata* Wall. Leaves Fresh *L. lanceolata* Wall. Leaf was studied macroscopically and microscopically. Preliminary phytochemical investigation of the plant specimen was done along with other WHO parameters for the standardization of drug. The Scanning Electron Microscopy (SEM) of leaf and powder of the drug was done. The detailed microscopy revealed the presence of uniseriate unicellular covering trichomes, anomocytic stomata, calcium oxalate crystals, palisade cells, xylem vessels. The SEM revealed the nature of stomata, trichomes, epidermis, collenchyma and cuticle layer. The Physiochemical parameters such as ash values, loss on drying, extractive values, fluorescence powder analysis were also determined. The microscopic, SEM and physicochemical analysis of the *L. lanceolata* leaf is useful in standardization for quality, purity and sample identification.

Keywords: *L. lanceolata*, Scanning Electron Microscopy (SEM), physicochemical analysis.

INTRODUCTION

Lagerstroemia lanceolata Wall. (Lythraceae) is a moderate to large deciduous tree, sometimes attaining 30 metres in height and 2.4 to 3.0 metres in girth with a clean cylindrical bole of 12 to 15 metres. It is found from Bombay to Kerala and in the hills of Deccan Peninsula upto an altitude of 1,200 metres. Bark is smooth, greenish or yellowish white, exfoliating in papery strips; leaves elliptic – lanceolate or broadly ovate, 6.2 to 10.0 cm x 1.8 to 5.0 cm, coriaceous, glabrous, shining above, usually white or greyish blue; flowers small, white, in large panicles; capsules ellipsoid, seeds winged[1].

The wood is most commonly used for building construction, bridges, ships and boats. The leaves are used as green manure in arecanut gardens. Tannin is present in leaves[1].

Authenticity, purity and assay are important attributes for assuring the quality and standardization of herbal drugs. Hence, in this work we report an attempt of standardization of *L. lanceolata* leaf by performing Pharmacognostic evaluation, microscopic evaluation and scanning electronic microscopy.

MATERIALS AND METHODS

Chemicals

Phloroglucinol, glycerine, hydrochloric acid, chloral hydrate, potassium hydroxide and all other chemicals used in the study were of analytical grade.

Plant material

L. lanceolata leaves were collected from Maharashtra Forest Department, Tansa Wildlife Sanctuary, Tansa WLS, Shahapur.

Macroscopic and microscopic evaluation

The macroscopy and microscopy of plant were studied according to the method of Brain *et al.* [2]. Transverse sections and ground powders were observed under a microscope to determine the anatomical and histological characteristics [3,4].

SEM of leaves and powder

Fresh leaf samples on both sides observed by using FEI (Field Emission Ion)-Quantum 200 SEM with microscope Control Software., LFD – Large Field Detector, Light source is electron beam by tungsten filament. During SEM study, some parameters were adjusted such as high voltage range in between 200 V and 30 kV, Magnification range variable use in between 30× and 100,000×, Pressure Range is between 10 Pa

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Pharmacy

Evaluation of Anti-Inflammatory Activity of *Lagerstroemia lanceolata* Wall Leaf Extract

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Abstract: The objective of the study was to evaluate the anti-inflammatory effect of *Lagerstroemia lanceolata* W. leaf methanolic extract along with quantitative determination of phenolic and flavonoid compounds. Quantitative determination of phenolic compounds present in *Lagerstroemia lanceolata* W. leaves was done by the modified Folin-Ciocalteau method. Acute oral toxicity of methanolic extract of *Lagerstroemia lanceolata* W. leaves was carried out. Anti-inflammatory effect was studied with the dose of 100, 200 and 400 mg/kg, p.o by carrageenan induced paw edema in rats. Quantitative analysis of *L. lanceolata* leaf extracts was performed in which the Total phenolic content was determined for ethyl acetate extract (3.472 mg/100 mg of dried extract) & methanolic extract (2.090 mg/100 mg of dried extract) which is compared against standard gallic acid. Total flavonoid content of methanolic extract is 1.475 mg/100 mg of dried extract which is compared against standard quercitin. Methanolic extract of *L. lanceolata* leaf showed a significant anti-inflammatory activity. The results of the experimental study confirmed that methanolic extracts of *Lagerstroemia lanceolata* W. has good quantity of phenolic and flavonoidal compounds present which may be responsible for its anti-inflammatory effect.

Keywords: *Lagerstroemia lanceolata*, Anti-inflammatory, total phenolic content & flavonoids.

INTRODUCTION

The Lythraceae is a small family of some 22 genera, which range in habit from herbs to shrubs and trees. They mainly occur in tropical regions, the representatives in temperate regions predominantly grow in damp to wet habitats [1]. *Lagerstroemia lanceolata* Wall is a plant belonging to this family. It is a moderate sized to large deciduous tree, sometimes attaining 30 m. in height and 2.4-3.0 m in girth, with a clean cylindrical bole of 12-15m. The tree grows well on hill slopes and in valleys preferring crystalline rock to laterite. It is usually found in mixed deciduous forests, but isolated specimens occur in evergreen forests. It attains its best development in regions of heavy rainfall, e.g. in Kanara, Malabar and Coorg regions of India. The phytoconstituents present in the plant are phenols, flavonoids, glycosides and terpenoids. Some of these phytoconstituents are useful in the treatment of inflammation.

Generally the phytochemicals present in plants possess strong antioxidant ability as well as anti-inflammatory action, which are also the basis of other bioactivities and health benefits [2-9]. The pathophysiological process involved in pain is a complex process which is mediated by a variety of signaling molecules produced by leucocytes, macrophages and mast cells along with activation of complement factors that bring about edema formation as a result of extravasation of fluid and proteins and accumulation of leucocytes at the inflammatory site [10-14]. Non-steroidal anti-inflammatory drugs (NSAIDs) are used worldwide for the treatment of inflammation and pain, however, these drugs have too many side effects which has limited their use [15]. Therefore, there is a need to develop new and more substantial drugs with lesser side effects. The Western Ghat region of India is a rich source of flora and fauna which are used against various diseases in different systems of medicines [16].

The present study was planned to study the quantitative analysis of phenolic and flavonoidal compounds and to explore the anti-inflammatory potential of the methanolic extract obtained from the *Lagerstroemia lanceolata* W. leaves.

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STUDY ON NATURAL FOOD COLORANTS EXTRACTED FROM PHYLLANTHUS RETICULUM FRUITSAS A HEALTHIER ALTERNATIVE TO SYNTHETIC FOOD COLOR

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Abstract

Natural dyes find use in coloring of textiles, drugs, cosmetics and food products due to their nontoxic effect and the research has led to revive the production of natural food dyes on commercial scale in innumerable sectors considering health sensitive applications. The Phyllanthus reticulatus fruit has concentrated source of valuable nutrients, as well as bioactive constituents of therapeutic interest highlighting its importance as a biocolorant. In present study, the aqueous fruit extract of Phyllanthus reticulatus (Euphorbiaceae) commonly known as a roast potato plant, is used as a food colorant. The aqueous fruit extract was used for phytochemical evaluation, pH stability, thermostability, photostability, storage stability, Sun fastness test and TLC analysis. The obtained results suggest that very aesthetically pleasing colorants can be derived from Phyllanthus reticulatus fruit extractis a suitable organic replacements for the chemical colorants used in the food industry.

Author Keywords

Natural dyes, Phyllanthus reticulatus, Phytochemical evaluation, PH stability, Thermostability, Photostability, Storage stability, Sun fastness test, TLC analysis

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Research Paper

Development and Evaluation of Terbinafine Hydrochloride Polymeric Microsponges for Topical Drug Delivery

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Abstract

The objective of the present study was to develop and evaluate sustained delivery of terbinafine hydrochloride from topical polymeric microsponges. Microsponges of ethyl cellulose containing terbinafine hydrochloride were prepared by quasi emulsion solvent diffusion method. Effect of drug polymer ratio on active drug content, particle size and entrapment efficiency were studied. Drug

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Publication Type	Journal
Subject Area, Categories, Scope	Drug Discovery (Q4); Pharmaceutical Science (Q4); Pharmacology (Q4)
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Article Details

EFFECT OF HYDROALCOHOLIC EXTRACT OF DRIED FRUITS OF TRAPA NATANS L ON ANIMAL MODELS OF COGNITIVE DYSFUNCTION

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ABSTRACT

In the traditional system of medicine, the dried fruits of Trapa natans L var bispinosa (TB) have been employed clinically for their nutritional and medicinal property like nervine tonic. The effect of hydroalcoholic extract of fruits of Trapa natans L var bispinosa was investigated for its nootropic activity using various experimental paradigms of learning and memory, viz. transfer latency (TL) on elevated plus-maze, passive avoidance response (PAS), scopolamine induced amnesia (SIA) and brain acetylcholineestrase activity in albino mice. The investigation reported that TB 500 mg/kg significantly reduced the TL on 2nd and 9th day while TB 250 mg/kg was found effective on 9th day. TB 250 and 500 mg/kg significantly increased the step down latency in the PAS at acquisition and retention test. The extract also significantly attenuated the amnesic effects of scopolamine on the TL and SDL. The brain AchE levels were not altered with the pretreatment TB. In present investigation TB extract showed significant facilitatory effect on aversively motivated learning and memory in mice. moreover it attenuates the scopolamine induced memory disruption in mice

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DEVELOPMENT AND EVALUATION OF EMULGEL FOR WOUND HEALING ACTIVITY

Shilpa Chaudhari, Devendra S Shirode, Pooja Narevkar
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Abstract Download PDF (79) Journal DOI : 10.1044/1980-iajpr.170746 Google Scholar

Abstract

The study was designed with the aim to evaluate metformin and atorvastatin for its wound Healing activity.Two different formulations of Metformin emulgel and atorvastatin emulgel were formulated using Design expert 9.0 .The drugs were first screened for its solubility in various surfactant, co-surfactants and oils. The solvents having maximum solubility was selected for the microemulsion formulation. LAS (Linear Alkyl benzene Sulfonate), Span 80 and Almond oil were selected as Surfactant, co-surfactant and oil respectively. Micro-emulsion formulation was optimized using ternary phase diagram, globule size and percent transmittance. F1 and F43 formulations were optimized for Metformin and atorvastatin respectively. This optimized micro-emulsion was than utilize to form Emulgel. Lecithin and Sepineo P 600 was used as gelling agent for the formation of Emulgel. Emulgel was then evaluated for spreadability, viscosity and drug release.The optimized formula contained 3% sepineo P600 and 1% penetration enhancer i.e., Oleic acid. This optimized gel with good spreadability was further assessed for in-vivo wound healing activity using excision model. The results showed better wound healing for metformin gel compared to standard Betadine Ointment and Atorvastatin emulgel. Hence study concludes Metformin gel can be a promising therapy to treat Diabetic foot ulcer.

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DEVELOPMENT AND EVALUATION OF EMULGEL FOR WOUND HEALING ACTIVITY

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Abstract | Download PDF (79) | Journal DOI : 10.1044/1980-iajpr.170746 | Google Scholar

Abstract

The study was designed with the aim to evaluate metformin and atorvastatin for its wound Healing activity.Two different formulations of Metformin emulgel and atorvastatin emulgel were formulated using Design expert 9.0 .The drugs were first screened for its solubility in various surfactant, co-surfactants and oils. The solvents having maximum solubility was selected for the microemulsion formulation. LAS (Linear Alkyl benzene Sulfonate), Span 80 and Almond oil were selected as Surfactant, co-surfactant and oil respectively. Micro-emulsion formulation was optimized using ternary phase diagram, globule size and percent transmittance. F1 and F43 formulations were optimized for Metformin and atorvastatin respectively. This optimized micro-emulsion was than utilize to form Emulgel. Lecithin and Sepineo P 600 was used as gelling agent for the formation of Emulgel. Emulgel was then evaluated for spreadability, viscosity and drug release.The optimized formula contained 3% sepineo P600 and 1% penetration enhancer i.e., Oleic acid. This optimized gel with good spreadability was further assessed for in-vivo wound healing activity using excision model. The results showed better wound healing for metformin gel compared to standard Betadine Ointment and Atorvastatin emulgel. Hence study concludes Metformin gel can be a promising therapy to treat Diabetic foot ulcer.

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Research Article

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ANALYTICAL METHOD DEVELOPMENT AND VALIDATION OF RIBAVIRIN IN PHARMACEUTICAL DOSAGE FORM BY RP-HPLC METHOD

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ABSTRACT

A simple, sensitive and rapid reverse phase high performance liquid chromatographic method was developed for estimating stability of Ribavirin in tablet dosage form. Chemically, Ribavirin is 1-beta-D-Ribofuranosyl-1, 2, 4-triazole-3-carboxamide. The chromatographic separation of Ribavirin was achieved using C18 (250x4.6mm) column with a mobile phase containing a mixture of Acetonitrile: Water (60:40 v/v). The flow rate was 1ml/min and effluent was monitored at 218.0 nm. The retention time for Ribavirin was found to be 2.70 min. The relative standard deviation for intraday and interday precision in tablet was always less than 2%. The method was validated for linearity, range, precision, accuracy, specificity, selectivity, intermediate precision, ruggedness, robustness, stability and suitability.

KEYWORDS: Ribavirin, Reverse phase HPLC, Accuracy, Precision, Robustness, LOD, LOQ, Specificity.

INTRODUCTION

Ribavirin (RIB) is used as Antiviral[1]. Chemically it is 1-beta-D-Ribofuranosyl-1, 2, 4-triazole-3-carboxamide.[1] Its molecular formula and molecular weight are C8H12N4O5 and 244.2 respectively. Ribavarin is extremely water soluble. It is more stable in acidic medium. Literature survey reveals that many analytical methods such as UV spectrophotometric[2,3] and HPLC methods[1,4] are reported for determination of Ribavarin individually from pharmaceutical dosage form and HPLC[5] methods are reported for determination of RIB with other drugs in combined dosage form.

The aim of this work was to develop a simple, accurate, reproducible and sensitive method for determination of Ribavarin using rapid, convenient and simple reverse phase HPLC method.

MATERIALS AND METHODS

Chemicals and reagents

Pure sample of Ribavarin was procured from Emcure Pharmaceuticals Ltd., Pune. Methanol (HPLC grade), Ortho-phosphoric acid (AR grade) and Acetonitrile (HPLC grade) were obtained from Qualigen Laboratories Pvt. Ltd., Mumbai.

S. No.	Instrument	Make/Model
1	HPLC	Agilent 1120 Compact LC
2	UV Spectroscopy	Shimadzu-1700 UV/VIS
3	Balance	LC/GC
4	Ultrasonic bath	Life care

Instruments used

Optimization of Chromatographic conditions

Optimization of the mobile phase was performed based on resolution, asymmetric factor and peak area obtained for Ribavirin. The combination of mobile phase methanol: water (50:50, 70:30 and 80:20 (v/v) was tried. The mobile phase Acetonitrile: water (50:50, 60:40, 80:20 v/v) was also tried. Acetonitrile: Water (60:40 v/v) at a flow rate of 1.0 ml/min was found to be satisfactory and gave symmetric and well resolved peaks for Ribavirin. The chromatogram was recorded at 218.0 nm as spectrum of Ribavirin showed maximum response at this wavelength.

Chromatogram showed symmetrical peaks with good shapes; tailing factor for Ribavirin was within range & the resolution of standard drug was satisfactory. Retention time for Ribavirin was found to be 2.70 min. The system suitability parameters observed by using this mobile phase are reported.

Preparation of mobile phase

HPLC grade Acetonitrile & HPLC grade Water (60:40v/v) was filtered through 0.45 µm membrane filter & sonicated on ultrasonic bath for 15 min

Preparation of standard stock solution

Ribavirin standard stock solution was prepared by transferring 10 mg of Ribavirin working standard into a 100 ml volumetric flask, approximately 30 ml of HPLC grade distilled water was added and sonicated for 20

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ESTIMATION OF LORNOXICAM AND DIACEREIN IN BULK AND PHARMACEUTICAL DOSAGE FROM BY SIMULTANEOUS EQUATION AND Q-ANALYSIS USING UV SPECTROSCOPIC TECHNIQUE

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ABSTRACT

We have developed two simple accurate and economic UV spectrophotometric methods for the simultaneous estimation of Lornoxicam and Diacerein in bulk and pharmaceutical formulation. The solvent used is methanol and the λ max or the absorption maxima of the Lornoxicam and Diacerein was found to be 382 nm and 341 nm respectively. Two wavelengths were selected at wavelengths 341 nm and isobestic point 274 nm, in absorbance ratio method. The Beer- Lambert's law followed in the concentration range of 2-10 µg/ml and 10-50 µg/ml for Lornoxicam and Diacerein respectively. These two methods can be used for the analysis of both drugs in pharmaceutical dosage form and quality control study.

KEYWORDS

Lornoxicam, Diacerein, UV spectrophotometer, Simultaneous equation method and Q-analysis method.

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INTRODUCTION

Lornoxicam is used as non-steroidal anti-inflammatory and analgesic[1]. Lornoxicam is used in the treatment of various types of pain, especially resulting from inflammatory diseases of the joints, osteoarthritis, surgery, sciatica, and other inflammations. Chemically, Lornoxicam is (3E)-6-chloro-3-[hydroxy(pyridin-2-ylamino)methylene]-2-methyl-2,3-dihydro-4Hthieno[2, 3 e] [1, 2]thiazin-4-one 1, 1-dioxide[1] as shown in Figure No.1.

Diacerein is an anti-inflammatory agent. It works by blocking the actions of interleukin-1 beta, a

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Original Research Article

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Formulation and evaluation of lornoxicam emulgel

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Abstract

Transdermal route of administration of drug is effective route of administration for different kind of indications. The purpose of present investigation was to develop Lornoxicam emulgel for systemic effect and to avoid side effects and minimize frequency of administration. Lornoxicam (NSAIDS) is a cox-1 and cox-2 inhibitor used in the treatment of pain, inflammations rheumatoid arthritis. Emulgel of lornoxicam was formulated using triethanolamine (5%) as solvent, carbopol 934 and carbopol 940 as gelling agents and various preservatives formulated gel was evaluated with respect to different physiochemical parameters such as ph, viscosity, Spreadability % drug content. All the prepared emulgel showed acceptable physical properties like homogeneity, colour, consistency, ph value, Grittiness, Spreadability, Extrudability % drug content. The results of *in vitro* drug release showed that carbopol 940 (0.4 gm) based emulgel gave better release. Also it was found that the gelling agent concentration had the most pronounced effect on the drug release from the emulgel.

Keywords: Lornoxicam, Carbopol, Hydroxyl Propyl methyl cellulose, Anti-inflammatory activity

Introduction

The delivery of drugs across the skin is widely acceptance among patients and termed as Topical drug delivery. It is a viable administration route for low molecular weight, potent therapeutic agents susceptible to first pass metabolism.[1] Topical drug delivery is referred to as a localized drug delivery system anywhere in the body through rectal, ophthalmic, vaginal and skin as topical routes. Skin is one of the most readily accessible organs of human body for topical administration and is main route of topical drug delivery system.[1-3] In developing a transdermal delivery system, two criteria are considered: one is minimizing the lag time and other is achieving adequate flux across the skin in skin permeation.[1,4]

To minimize these limitations an emulsion based approach is used, so that a hydro-phobic moiety can be incorporated and used through gels. When emulsion and gels are used in combined form the dosage forms are called as emulgels.[5,6] Emulgels for dermatological use have several properties such as easily spreadable, greaseless, emollient, easily removable, non-staining and transparent.[7]

Lornoxicam is a highly potent non-steroidal anti-inflammatory drug, used for the variety of inflammatory conditions. The mechanism of action of Lornoxicam is an inhibition of prostaglandin synthesis through the inhibition of cyclooxygenase (COX) enzymes. Like other Non Steroidal Anti-inflammatory (NSAIDs) drugs, common side effect of Lornoxicam is GI irritation. So delivery of the Lornoxicam through the skin for inflammation is desireable7. To increase therapeutic efficacy of topically applied drug, it is required to employ physical and chemical enhancers8. An attempt has been made, to enhance the permeation of Lornoxicam by using physical enhancers and chemical enhancers in gels made using Carbopol 934 to study the topical delivery of Lornoxicam through the skin.

Materials and Method

Lornoxicam was provided by Naprod Life Science P. LTD (India), Carbopol 934, Carbopol 940, HPMC, Triethanolamine, (S.D fine chemicals Pvt. Ltd, Mumbai). All other chemicals and reagents used were of analytical grade. Deionized distilled water was used throughout the study.

Solubility study: The solubility of Lornoxicam drug was determined in phosphate buffer, distilled water, triethanolamine (5%) and chloroform solution in water by shake flask method. Briefly, an excess amount of lornoxicam is added to each vial containing 10 ml of selected solubilizers. The mixtures were subjected to the mechanical agitation for 72 hours in isothermal shaker at 25°C followed by the filtration through whatmann's filter paper prior to UV.[8]

Emulgel Preparation

Step 1: Formulation of emulsion either O/W or W/O
Step 2: Formulation of gel base
Step 3: Incorporation of emulsion into gel base with continuous stirring.

The Gel was prepared by dispersing Carbopol 940, Carbopol 934 and HPMC separately in purified water with constant stirring and then the pH was adjusted to 6 to 7 using Triethanolamine.

The oil phase of the emulsion was prepared by dissolving cetosterol alcohol, propyl paraben in light liquid paraffin and Glycerine monostearate while the aqueous phase was prepared by dissolving methyl paraben and Glycerine in purified water. Both the aqueous and oily phases were separately heated at 70°

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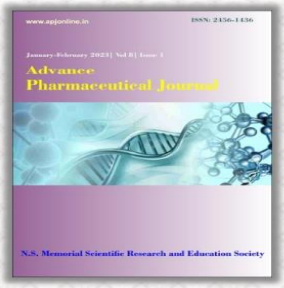

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Advance Pharmaceutical Journal (APJ) publish the latest developments in multidisciplinary areas of pharmaceutical sciences, biology and work related to medicines. The scope of Journal further includes mechanistic studies at the molecular level with innovative ideas that bridge different fields are considered primarily. The journal also includes studies related to new analytical techniques, methods development, phytochemical studies and in vivo studies of phytopharmaceuticals.

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Research Article

Development and characterization of fast dissolving tablets of Diltiazem HCl

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Received: 20 March 2017 Revised: 10 April 2017 Accepted: 16 April 2017

Abstract

Objective: An attempt was to formulate fast dissolving tablet of Diltiazem HCl by using different synthetic superdisintegrants. **Material and methods**: The formulations were analyzed using Differential Scanning Calorimetry, FTIR spectroscopy. **Results and conclusion**: In all cases it was observed that as the concentration of superdisintegrants increased there was less disintegration time and more dissolution. Indion 414 formulation showed less disintegration time and more dissolution rate while other formulations showed more disintegration time and less dissolution rate, compared to Indion 414.

Keywords: Fast dissolving tablet, superdisintegrants, Indion 414

Introduction

Recent advance in novel drug delivery system aims to enhance the safety and efficacy of the drug molecule by formulating a dosage form being used for administration. Difficulty in swallowing is experienced by patient such as pediatric, geriatric, bedridden, disabled and mentally ill, including motion sickness and sudden episodes of allergic attacks, hence resulting in higher incidence of non-compliance and ineffective therapy. To improve the quality of life and treatment compliances of such patients fast disintegrating or orally disintegrating tablets dosage form is a better alternative for oral medication (Kuchekar et al., 2003; Yutaka et al., 2002).

The role of Superdisintegrants and their performance are of critical importance in formulation of such tablets. In more recent years, increasing attention has been paid to formulating not only fast dissolving and/or disintegrating tablets that are swallowed, but also orally disintegrating tablets that are intended to dissolve and/or disintegrate rapidly in water and to be swallowed (Seager et al., 1998).

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There are many methods for formulation of rapidly disintegrating/dissolving dosage forms. Direct compression method being one of the method of the ease of preparation and cost-effectiveness. Probably one of the least recognized advantages of direct compression is the optimization of tablet disintegration, in which each primary drug particle is liberated from the tablet mass and available for dissolution (Dandagi et al., 2006; Fini et al., 2008; Hisakadzu et al., 2002).

Diltiazem hydrochloride is a BCS Class-I drug. It is very bitter and has an after taste. Diltiazem hydrochloride is a calcium channel blocker generally indicated for the treatment of angina and hypertension, and it is extensively metabolized, predominantly due to hepatic metabolism. At present, there is no fast dissolving tablet in the market; the drug is marketed as immediate sustained-release tablets, extended sustained-release capsules, and injections. The formulation of fast dissolving tablet will show rapid onset of action and avoid the hepatic metabolism.

Materials and methods

Materials

All the chemicals used in this research were of standard pharmaceutical grade. Diltiazem HCl was procured as a gift sample from Ajanta Pharma, Aurangabad. Indion 414 and Indion 234 (Ion Exchange India Ltd, Mumbai), Sodium starch glycolate, Crosscarmellose,

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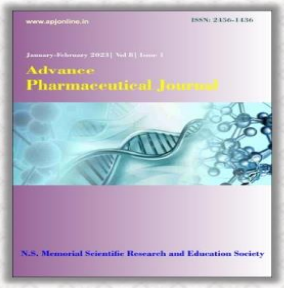

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Research article

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EVALUATION OF ANTIFUNGAL ACTIVITY OF FLUCONAZOLE AND CINNAMON OIL BASED NANO-EMULSION

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ABSTRACT

Fluconazole is antifungal drug act by inhibiting cytochrome P450 enzyme and found hydrophobic nature with dissolution related problems. On the account of few physico-chemical disadvantages, we performed work on a novel cinnamon oil based nanoemulsion drug delivery system. The drug-loaded formulation used cinnamon oil, Smix and water at a ratio of 15:30:55 v/v and was checked for various parameter of the internal system including conductivity and droplet size. The surfactant concentration was reduced to a great extent using sonicator as high energy method at a lab scale, that reduces of gastrointestinal irritation. Also, sonication helps in the breakdown of course emulsion to fine droplets (nanoemulsion). The particle size reduction to about 65 ± 2.15nm as determined by dynamic light scattering technique would enable easy permeation through capillaries. Thus, we propose that the formulated system would serve as excellent oral drug delivery system for fluconazole.

Keywords: Fluconazole, Antifungal, Cinnamon oil, Emulsion, Nanoemulsion.

INTRODUCTION

Fluconazole is a triazole antifungal drug used in treatment of superficial and systemic fungal infections [1,2]. Although fungi and human cells are eukaryotic and are similar at biological level, this drug adroitly differentiates between these two and works by inhibiting fungal cytochrome P450 enzyme 14ά-demethylase and thereby avert the formation of essential component of cytoplasmic membrane of fungi [3]. The mammalian demethylase is less sensitive to fluconazole as compared to the fungal demethylase. Fluconazole is active against a wide spectrum of fungal species that include Blastomyces, Epidermophyton, Coccidiodes, Cryptococcus Candida, Histoplasma, Microsporum and Trichophyton and has prolonged half-life, good bioavailability of upto 90% and easy absorption after oral administration and hence distributed in different sites of the body [4,5]. This drug is fungistatic when administered in low dosage and this leads to the incomplete destruction of fungi that result in the emergence of resistant strains [6]. Fluconazole is an inhibitor of cytochrome P450 particularly the isozyme CYP2C9. Hence, in theory, this drug decreases the metabolism and as a result increases the concentration of drugs metabolized by this enzyme. Fluconazole is hydrophobic and poses dissolution related problems [7]. Skin allergies, liver damage, hormonal imbalance, prolonged QT intervals, Anaphylaxis are some common side effects. Fluconazole is majorly eliminated by urine, hence patients with disturbed renal function are at risk of high dosage. This drug is unprotected against bodily chemicals and has more potency of drug interactions.

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Research article

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EVALUATION OF ANTIFUNGAL ACTIVITY OF FLUCONAZOLE AND CINNAMON OIL BASED NANO-EMULSION

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MODELING AND OPTIMIZATION OF DRUG RELEASE FROM LEVOFLOXACIN HEMIHYDRATE FLOATING MATRIX TABLET USING ARTIFICIAL NEURAL NETWORK

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ABSTRACT

Artificial neural network is employed for the modeling of drug release of Levofloxacin Hemihydrate floating matrix tablet. Based on the modeling of drug release, optimized formulation is estimated and compared to the experimental data. ANN is trained, tested and validated by a set of experimental data in which different concentration of HPMC K4M, Eudragit RS100, Sodium Alginate, Guar gum and release time are taken as input parameters while percent drug release is taken as target data. Multi-Layer Perceptron (MLP) with Back-Propagation learning algorithm is used to specify the model. The performance of the model having different hidden nodes and different hidden layers is evaluated using root mean square criteria. The best model is selected to predict drug release from a given input data so that optimized formulation can be selected. The results show that ANN can be used to model drug release and an optimal tablet formulation can be estimated.

KEYWORD: Artificial Neural Network, Modeling, Optimization, Levofloxacin Hemihydrate, Drug Release.

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Research Article

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TO STUDY THE EFFECT OF BASIC SOLVENT ON MERCAPTOPURINE BY USING UV-SPECTROPHOTOMETER

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ABSTRACT

Three precise and economical UV methods have been studied for estimation of Mercaptopurine in bulk formulation. Method A involves measurement of UV absorbance in Zero order derivative & Method B involves first order derivative are at 219 & 299 nm respectively. Method C deals with Area Under Curve measurement (AUC method), which involves the calculation of integrated value of absorbance with respect to wavelength between 306-315 nm. The drug follows Beer-Lambert's law in the concentration range of 1-10 µg/ml in all three methods. Results of analysis were validated statistically and were found to be satisfactory. Thus proposed anhydrous solvent i.e. Sodium hydroxide and methods can be successfully applied for estimation of Mercaptopurine in routine analytical work.

KEYWORDS: Mercaptopurine, Sodium hydroxide, Zero Order derivative, First order derivative, Area Under Curve method (AUC), UV Spectrophotometer.

INTRODUCTION

Mercaptopurine is 3,7-dihydropurine-6-thione. It is an oral anti-cancer drug. Mercaptopurine was originally developed and continues to be used for chemotherapy, either alone or in combination with other agents. It is effective for the treatment of a number of cancers, including: Acute lymphoblastic leukemia (ALL), Acute promyelocytic leukemia (APL), Ulcerative colitis, Lymphoblastic lymphoma, and Crohn's disease. Mercaptopurine is thought to affect cancer by following pathway. For cancer, Mercaptopurine converted to thioinosinic acid, by hypoxanthine-guanine phosphoribosyl-transferase and then metabolised to thioguanine ribonucleotide and deoxyribonucleotide; incorporation of these compounds into RNA and DNA results in the antitumor effect of the drug. The drug is official in Indian pharmacopoeia, USP and BP.

The method was validated according to the ICH guidelines.[10,11]

MATERIALS AND METHODS

Materials

Mercaptopurine was obtained as gift sample from Aribindo pharmaceuticals and distilled water and Sodium Carbonate (Anhydrous) were used as a solvent in the study.

Instrument

A Shimadzu UV-1700 UV/VIS Spectrophotometer was used with 1cm matched quartz cells were used for spectral measurements.

Stock Solution

Accurately about 5 mg of Mercaptopurine was weighed and transferred to 50 ml volumetric flask; 20 ml of Sodium hydroxide solution (0.1 N) was added to dissolve the drug completely with vigorous shaking. Then the volume was make up with the distilled water up to the mark.

Method a

The Zero order derivative spectra at n=0 showed a sharp peak at 219 nm (Fig. 1). The absorbance difference at n=1 (dA/dλ) was calculated by the inbuilt software of the instrument which was directly proportional to the concentration of the standard solution. A calibration curve was plotted taking the absorbance difference (dA/dλ) against the concentration of Mercaptopurine. The coefficient of correlation (r^2), slope and intercept values of this method are given in table 1.

Method b

The First order derivative spectra at n=1 showed a sharp peak at 299 nm (Fig. 2). The absorbance difference at n=1 (dA/dλ) was calculated by the inbuilt software of the instrument which were directly proportional to the concentration of the standard solution. In the first order derivative spectra the standard drug solutions were

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Research Article

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FORMULATION AND EVALUTION OF TOPICAL ANTIFUNGAL GEL CONTAINING FLUCONAZOLE

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ABSTRACT

The present research has been undertaken with the aim to develop a topical gel formulation of Fluconazole. Fluconazole is an imidazole derivative and used for the treatment of local and systemic fungal infection. The oral use of Fluconazole is not much recommended as it has many side effects. Commercially Fluconazole topical gel preparation are not available in the market, thus this formulation is made for better patient compliance and to reduce the dose of drug and to avoid the side effects like liver damage and kidney damage. The gel was formulated by changing the polymer ratio. Formulation were evaluated for % yield, spreadability, extrudability, washability and viscosity in-vitro drug release study, skin irritation study, stability testing and finally it was concluded that formulation F3 was the best formulation among these formulation.

KEYWORDS: Fluconazole, Carbopol 934p, HPMC.

INTRODUCTION

Fungal infection of skin is now-a-days one of the common dermatological problem. The physicians have a wide choice for treatment from solid dosage to semisolid dosage form and to liquid dosage formulation. Among the topical formulation clear transparent gels have widely accepted in both cosmetics and pharmaceuticals.[1] Topical treatment of dermatological disease as well as skin care, a wide variety of vehicle ranging from solids to semisolids and liquids preparations is available to clinicians and patients. Within the major group of semisolid preparations, the use of transparent gels has expanded both in cosmetics and in pharmaceutical preparation.[2] For many decades treatment of an acute disease or a chronic illness has been mostly accomplished by delivery of drugs to patients using various pharmaceutical dosage forms, including tablets, capsules, pills, suppositories, cream, gel, ointments, liquids, aerosols and injectables, as drug carriers. Delivery of drugs to the skin is an effective and targeted therapy for local dermatological disorders. This route of drug delivery has gained popularity because it avoids first pass effects, gastrointestinal irritation, and metabolic degradation associated with oral administration. Due to the first past effect only 25-45% of the orally administered dose reaches the blood circulation. In order to bypass these disadvantages the gel formulations have been proposed as topical application. Gels are defined as "semisolid system in which a liquid phase is constrained within a polymeric matrix in which a high degree of physical and chemical cross-linking introduced". Fluconazole is a synthetic antifungal agent of the imidazole class; it works by slowing the growth of fungi that cause infection. It is used to treat fungal infection. Triazole drug targets the fungal-specific synthesis of membrane lipids. Fluconazole inserts preferentially into fungal membranes and disrupts their function. 5-fluorocytosine targets fungal specific DNA replication.[3] Hydroxypropyl methylcellulose (HPMC), Carbapol 934p, has been used as hydrophilic polymers topically in gel drug delivery system.[4]

MATERIALS AND METHODS[5,6]

Material

Fluconazole, HPMC, carbopol934, trimethanolamine, glycerine, methyl paraben, propyl paraben, water.

Method

Polymer (like Carbopol 934p or HPMC) and purified water were taken in a beaker and allowed to soak for 24 hrs. To this required amount of drug (2 gm) was dispersed in water and then Carbopol 934p or HPMC was then neutralized with sufficient quantity of Triethanolamine. Glycerine as moistening agent, methyl paraben and Propyl paraben as preservatives were added slowly with continuous gently stirring until the homogenous gel was formed. Gel formulations of Fluconazole were prepared using different concentrations of carbopol934, HPMC.

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TO STUDY THE EFFECT OF ANHYDROUS SOLVENT ON METHOTREXATE BY USING UV-SPECTROPHOTOMETER

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ABSTRACT

Three simple, precise and economical methods for UV have been developed for determination of Methotrexate in bulk formulation. Method A involves measurement of UV absorbance in Zero order derivative and Method B involves first order derivative are at 258 and 250 nm respectively. Method C deals with Area Under Curve measurement, which involves the calculation of integrated value of absorbance with wavelength range between 249-267 nm. The drug follows Beer-Lambert's law in the concentration range of 10-50 µg/ml in all three methods. Results of analysis were validated statistically and found to be satisfactory. Thus proposed anhydrous solvent i.e. Sodium Carbonate and methods can be successfully applied for estimation of Methotrexate in routine analytical work.

KEYWORDS: Methotrexate, Sodium Carbonate, Zero Order derivative, First order derivative, Area Under Curve method (AUC), UV- spectrophotometer.

INTRODUCTION

Methotrexate (MTX) is L-Glutamic acid, N-[(4{[(2,4-Diaminopteridin-6-yl)methyl]-methyl-amino}benzoyl) amino] pentanedioic acid. It is drug used to cure cancer against cancerous cells in body. Methotrexate was originally developed and continues to be used for chemotherapy, with or without combination with other agents. It is used for the treatment of lymphoma, lung, breast, trophoblastic neoplasms and leukemia, Methotrexate also affect rheumatoid arthritis by two different mechanisms. For cancer, Drug competitively inhibits dihydrofolate reductase enzyme(DHFR), that inhibits tetrahydrofolate synthesis.[1-3] The Methotrexate drug is official in IP[4], BP[5] and USP.[6]

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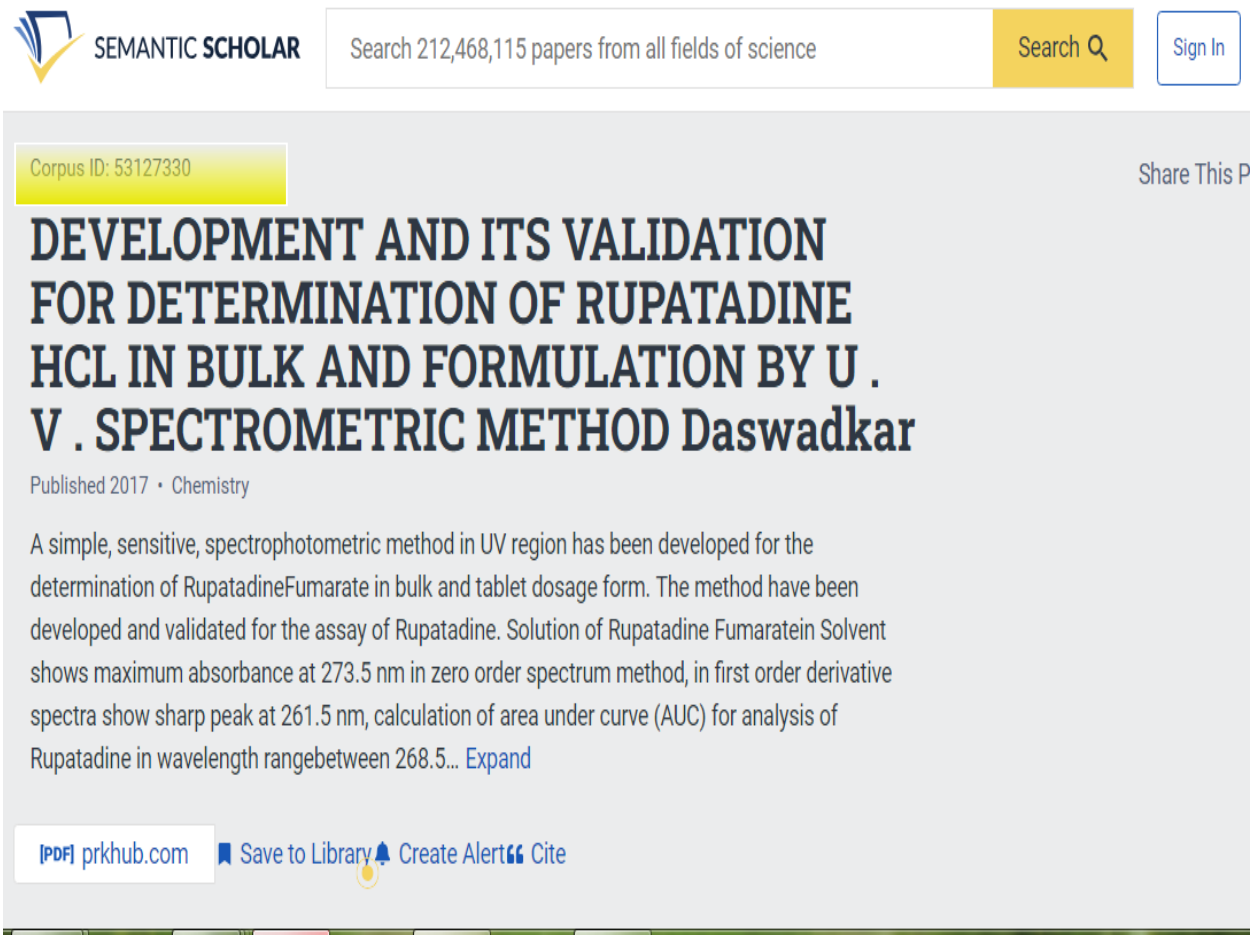

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STUDY OF FORMULATION VARIABLES ON BIOAVAILABILITY OF METFORMIN HYDROCHLORIDE

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ABSTRACT

The present study concentrates on the improving bioavailability of Metformin HCl which is a BCS-III drug. An attempt was made to enhance the intestinal permeability of Metformin by forming solid dispersions using β-CD, Pluronic F127 and Gelucire 50/13. The optimize solid dispersion along with dependent and independent variables like Gelucire 50/13, Pluronic F 127, which are waxy non –ionic surfactants as lubricant as well as absorption enhancer with soluble and insoluble diluents were used to formulate the formulation using design expert 9. Twenty three formulations of immediate release tablet were prepared by using steam granulation method. All the formulation was evaluated for Content uniformity, Permeability coefficient, Hardness, Friability and Disintegration Time. Of which F8 formulation was optimized as it shows high permeability than other formulations. This F8 fomulation on comparison with Marketed formulation showed more *in-vivo* bioavailabilty. Thus from this study it can be concluded that use of waxy non –ionic surfactants along with β-cyclodextrin played a significant role in improving the permeability and thus bioavailability of Metformin Hydrochloride.

KEYWORDS: Metformin Hydrochloride, Permeability Coefficient, immediate release, isolated goat intestine.

INTRODUCTION

Oral route is most popular for systemic effect due to its easy of ingestion, pain, avoidance, versatility and most importantly, patient compliance. Immediate Release Tablets are those tablets which are designed to disintegrate and release their medication with no special rate controlling features, such as special coatings and other techniques.[1] The main aim in the process of drug development is to obtain a drug product with a good oral bioavailability.

Diabetes is a chronic disease that occurs either when the pancreas does not produce enough insulin or when the body cannot effectively use the insulin it produces. Insulin is a hormone that regulates blood sugar. Hyperglycemia, or raised blood sugar, is a common effect of uncontrolled diabetes and over time leads to serious damage to many of the body's systems, especially the nerves and blood vessels.[2]

The number of people with diabetes has risen from 108 million in 1980 to 422 million in 2014. The global prevalence of diabetes among adults over 18 years of age has risen from 4.7% in 1980 to 8.5% in 2014. Diabetes prevalence has been rising more rapidly in middle- and low-income countries. Diabetes is a major cause of blindness, kidney failure, heart attacks, stroke and lower limb amputation.

In 2014, 8.5% of adults aged 18 years and older had diabetes. In 2012 diabetes was the direct cause of 1.5 million deaths and high blood glucose was the cause of another 2.2 million deaths.[3]

Metformin hydrochloride (HCl) is a first line drug for Diabetes Mellitus but having low bioavailability. It is BCS class III drug, having high solubility and low permeability through intestinal mucosa, so it is necessary to increase the permeability of the drug and thus bioavailability. Metformin HCl to enhance its absorption by oral ingestion is the most convenient and commonly used route of drug delivery. Metformin HCl acts by the initial activation of AMPK a liver enzyme that plays an important role in insulin signaling, whole body energy balance and the metabolism of glucose and fats. This causes the increased peripheral utilization of glucose may be due to improved insulin binding to insulin receptors. Metformin HCl administration also increases AMPK activity in skeletal muscle. AMPK is also known to cause Glucose transporter type 4(GLUT4) deployments to the plasma membrane, resulting in insulin-independent glucose uptake.[4]

Other antidiabetic agents lack efficacy and also have undesirable side effects. For instance, Insulin secretagogues result in weight gain, hypoglycemia and inability to protect β cells from death. Thiazolidinediones result in weight gain and kidney

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