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3.3.1 Number of research papers published per teacher in the Journals notified on UGC CARE list during the last five years

3.3.1.B Calendar year 2021

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IJRR
Section: Health Science
ISSN (Print) 2349-3873 | E-ISSN
K. Usha (23119) 98.81
SJIF (2021) 9: 7893

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Formulation and Characterization Floating Matrix Tablets of Methimazole

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ABSTRACT

Introduction: Methimazole is an active pharmaceutical ingredient effectively utilized in hyperthyroidism. Methimazole inhibits peroxidase as well as iodine interactions with thyroglobulin to produce triiodothyronine with thyroxine. Methimazole shows very low protein binding (1-10%) binds to plasma proteins and is easily metabolized by the liver. Gastro retentive drug system improve the pharmacotherapy of the stomach by local release of therapeutic agent results in high concentrations of drug at the gastric mucosa, which further sustained for long

Aim: In this investigation, efforts were given to developing a sustained release floating matrix tablet of Methimazole.

Methodology: Floating matrix tablets of methimazole were prepared by utilizing the direct compression method. Sodium bicarbonate and citric acid were used as gas-forming agents. HPMC K100M along with Ethylcellulose used to retard drug release from the dosage form.

Result: Floating matrix tablets of methimazole were evaluated for different quality control tests to improve the quality of the product. In dissolution study of the floating matrix of methimazole formulation Floating matrix tablet (FLM4) shows maximum drug release 98.88 % at the end of 12 hours while FLM-1 shows least 84.33 %.

Conclusion: In vitro release study of methimazole floating matrix tablets shows that polymer percentage used in the formula is enough to extend the release of the drug for at least 12 hr.

Key Words: Floating Matrix tablet, Methimazole, Sustained Release, FLM, HPMC K100M, Ethyl Cellulose

INTRODUCTION^{1,2}

In a Conventional drug delivery system, periodic doses of therapeutic agents are required. Most of the drugs are formulated by conventional methods for effective drug administration, but some therapeutic agents are unstable or have narrow therapeutic ranges so require modification. These problems were overcome by developing sustained release gastro retentive drug delivery. Gastro retentive drug delivery promising approach not only retard the drug release but also retain the dosage form in the stomach. Gastro retentive drug delivery effectively improves absorption of the drug due to increased residence time in the stomach. Methimazole is absorbed through the whole Gastrointestinal tract and bio-availability are 80-95%. Methimazole is a biologically active agent widely used in hyperthyroidism. It prevents iodine and peroxidase. Methimazole has a biological half-life of 5

to 6 hours so it requires three times a day dosing. Hence an attempt was made to develop floating matrix tablets of Methimazole to improve all characteristics.^{1,2,3}

MATERIAL AND METHODS

Methimazole was purchased from Innova Laboratories, Division of Innova Remedies Pvt. Ltd. Nagpur. HPMC K100M, EC was procured from Molychem, Mumbai. All other reagents and materials were of analytical grade.²

Formulation of Methimazole Floating Matrix Tablets.⁴

The direct compression technique was used to formulate the Methimazole tablets for all batches containing methimazole. Sodium bicarbonate was passed through # 36 sieves.

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ISSN: 2349-3873 (Print)

ISSN: 0973-5241 (Online)

Received: 16.05.2021

Revised: 02.07.2021

Accepted: 24.08.2021

Published: 12.09.2021



2.Name of Faculty: Dr.R.S.Bhambar

DOI: 10.22270/jmpas.V10i6.2096

ISSN NO. 2220-7418

International peer reviewed open access journal

Journal of Medical Pharmaceutical and Allied Sciences



Journal homepage: www.jmpas.com CODEN: JMPACO

Research article

Formulation and evaluation of transdermal patches of drotaverine hydrochloride using mercury substrate method

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ABSTRACT

Aim of the present investigation is to prepare sustained release transdermal patches of Drotaverine hydrochloride. Transdermal drug delivery has ability to bypass liver first pass metabolism and deliver the drug towards systemic circulation. Drotaverine hydrochloride is used to treat the spasticity as muscle relaxant. Mercury substrate method is utilized for formulation of Transdermal patches of Drotaverine Hydrochloride. Ethyl cellulose and Eudragit RL 100 were used to retard the drug release. Dibutyl phthalate used as plasticizer and Dichloromethane as a solvent system. Transdermal patches were evaluated for physical appearance, weight variation, drug content, folding endurance, Fourier-transform infrared spectroscopy (FTIR), Differential scanning calorimetry (DSC) and *in vitro* drug release study. The DSC curve of transdermal patch (TDDS D3) shows a sharp endothermic peak at 208.17°C indicating crystalline structure. The dissolution curve shows that formulation TDDS D3 shows maximum drug release 83.57% at the end of 12 Hrs. For transdermal patches according to 'r' value, Korsmeyer- Peppas model was best suited for drug release but n value obtained from Kors Meyer- Peppas's equation was within 0.5 -1.0 which indicates anomalous releases

Keywords: Transdermal patch, Drotaverine Hydrochloride, Eudragit, Ethyl cellulose, TDDS

Received - 04/10/2021, Reviewed - 25/10/2021, Revised/ Accepted- 11/12/2021

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INTRODUCTION

In Transdermal drug delivery system drug is delivered to systemic circulation with least variation. Transdermal drug delivery system is one of the widely used approaches for drug application. It reduces dosing frequency and improves the bioavailability of drug. The primary object of transdermal drug delivery is to ensure safety, efficiency of drugs and patient compliance. This is achieved by better control of plasma drug level and less frequent dosing^{1, 2}. Controlled drug delivery requires frequent dosing results in fluctuation in plasma drug concentration. Transdermal patches are adhesive patches which deliver drug through the skin. Transdermal patches are available in different sizes and shapes. Drotaverine hydrochloride shows smooth muscle relaxant activity mediated via inhibition of phosphodiesterase IV, specific for smooth muscle. It has a rapid and direct action on the smooth muscle. It acts to correct cyclic AMP and Calcium imbalance at the spastic site, thereby relieving smooth muscle spasm and pain. The average half-life of drotaverine is 6-10hrs. Oral bioavailability of Drotaverine hydrochloride ranges from 25-91%^{3, 4}. Drotaverine and its metabolite are 80% to 93% protein bound. Drotaverine and its metabolite are 80% to 93% protein bound and volume of distribution (v_d) is 193-195 litres. Drotaverine is extensively metabolized in the liver and excreted in the urine and faeces.

MATERIAL AND METHOD

Tizanidine Hydrochloride was purchased from Blue Cross Pharmaceuticals, Nashik, India. Eudragit RL, Ethyl Cellulose was procured from Molychem, Mumbai. All other reagent and materials were of analytical grade.

Formulation of Drotaverine Hydrochloride Transdermal Patch

Transdermal patches of Drotaverine Hydrochloride were prepared by using mercury substrate method. Transdermal drug delivery system is one of the widely used approach for drug application. Polymers were weighed (total weight was 900 mg) in appropriate ratio and dissolved in 10 ml of dichloromethane which was used as solvent. Then Drotaverine Hydrochloride was added slowly in the polymeric solution and thoroughly stirred in the magnetic stirrer to get a uniform solution. In mixture 0.3 ml or 5 drops of dibutyl phthalate was added which acts as a plasticizer. Solution was spread on mercury placed on a glass Petri dish. Funnel was placed in inverted position to get uniform evaporation. The Petri dish was dried at room temperature for 24 hrs. After complete drying the films were removed by utilizing sharp blade. Films were cut into size of 2x2 cm² patches, stored and wrapped in butter paper until its use.⁵





A Review on Antimicrobial Activity of *Psidium guajava* L. Leaves on Different Microbial Species, Antioxidant Activity Profile and Herbal Formulations

Rayjude Meghana S.¹, Bhambar R. S.¹, Attarde Daksha L.¹

¹Department of Pharmacognosy, Mahatma Gandhi Vaidya Mahavidyalaya's Pharmacy College, Panchavati, Nashik-422003, Maharashtra, India.

Abstract :

Psidium guajava Linn. Family- Myrtaceae (guava) is a short tree or shrub and folk medicinal plant. It is found in all over India. Each part of the guava tree is useful and has biological importance. Many phytochemicals are present in guava leaves such as flavanoids, alkaloids, tannins, saponins, glycosides, oil and fats, steroids, phenols, proteins, carbohydrates. It is used in various diseases like cough, diabetes, cardiac diseases, diarrhea, wound healing. Guava has various biological activities like antispasmodic, antimicrobial, hepato-protective, antioxidant, anti-diarrheal, anti-inflammatory, anti-allergy. Guava leaves contain quercetin in higher amount (2.15%), which gives antibacterial and antioxidant activity. Antioxidant activity of guava leaves is checked by various methods such as Oxygen Radical Absorbance Capacity using Fluorescein (ORAC-FL), Hydroxyl Radicals, 2,2-diphenyl-1-picrylhydrazyl (DPPH), 2,2'-azino-bis(3-ethylbenzothiazoline-6-sulphonic acid) (ABTS), Nitric Oxide Scavenging Activity, Nitrite Scavenging Activity, Reducing Power Assay. Guava leaves show better antimicrobial activity against fungal strain, gram positive, gram negative bacteria, yeast, moulds, bacteria isolated from urine samples, scabob shrimp and different microbial species such as *Escherichia coli*, *Salmonella enteritidis*, *Bacillus cereus*, *Staphylococcus aureus*, *Saccharomyces cerevisiae*, *Aspergillus niger*, *Vibrio* and *Aeromonas* species, *Lactobacillus acidophilus*, *Acetivulgaris*, periodontal pathogens. Guava leaves are also rich in phenolic and flavanoid content.

Keywords : Antimicrobial activity, Antioxidant activity, *Psidium guajava* L.

INTRODUCTION :

Guava (*Psidium guajava* Linn. Family-Myrtaceae) is a tropical and subtropical tree or shrub. It is native to Mexico, America. Guava is known for different names in different regions, in English Guava; in Cambodia Trabekstrok; in French Araca, Aracaiba; in Maharashtra Pera; in Gujarat Jamrud; in Assam Madharium; in Deccan Guava or Jara or Laljara or Safedjara. Height of the tree is up to 7 to 10 m high. Bark of the tree is smooth, pale pinkish brown or buff with grey patches. Stem is irregularly fluted when old. Leaves are opposite, about 10-15 cm long, elliptic or oblong in shape. Flowers are white in color, 2.5-3.8 cm in diameter. Fruit is globose or pyriform berry 5 cm length or more. Stem, bark, roots and leaves are astringent. Fruit is laxative (1),(2). It contains various phytochemicals in different extracts describe in Table 1 (12), (13), (15), (16). Concentration of phytochemicals shows in Table 2 (14). Fruit contains vitamin A, vitamin C, iron, phosphorus, calcium and minerals. Quercetin content is very high in guava which gives spasmolytic activity. It is also used in cough (3). It has many pharmacological or biological activities such as antimicrobial, anti-diarrheal, anti-inflammatory, anti-allergic, hepato-protective, anti-diabetic, antispasmodic, sedative, hypertension, obesity, antioxidant etc. It has better activity against ulceration (4).

Chemical composition of guava leaves

Guava leaves contains tannins and polyphenols in high amount. Podunculagin, castalagin, casuarinin and stenophyllanin A, these are the polyphenols present in the guava leaves which exhibit the anti-bacterial activity. Penta-O-galloyl-β-D-glucose (PGG), (-)-epigallocatechin gallate (EGCG) and alkyl gallate such as isoamyl galate

(IG) and n-octyl gallate, these are tannins and polyphenols present in guava leaves (13). It contains resin, sugars, triterpenes, ellagitannins, flavan-3-ols, proanthocyanidins (5). Guava leaves contains high amount of essential oil. It is the rich source of β-caryophyllene. It contains 20.34% of β-caryophyllene (6). Hyperoside, isoquercitrin, reynositrin, guajaverin, avicularin, 2,4,6-trihydroxy-3,5-dimethylbenzophenone 4-O-(6"-O-galloyl)-β-D-glucopyranoside present in Chinese guava leaves (7). Guava leaves contains high amount of quercetin that is 2.15% which gives antibacterial activity. It also contains kaempferol that is 0.02% (8). Quercetin content is 0.18-0.393 % quantified by HPTLC-UV (9). Two flavanoid glycosides i.e morin-3-O-α-L-lyxopyranoside & morin-3-O-α-L-arabopyranoside and flavanoid (guajavarin) are present in guava leaves (10). It contains pentacyclic triterpenoids such as guajanoic acid, saponin, carotenoids, lectins, leucocyanidin, ellagic acid, amritoside, β-sitosterol, uvcol, oleulonic acid & uraclic acid (11).

Anti-microbial activity of guava leaves

Bijul Biswas et al. studied the antimicrobial activity of guava leaf extract against *Escherichia coli*, *Salmonella enteritidis* (gram -ve bacteria) and *Bacillus cereus*, *Staphylococcus aureus* (gram +ve bacteria) are used. Well diffusion method is used for the evaluation. Methanol and ethanol extract shows antibacterial activity against gram positive bacteria. Methanol extract shows 8.27 & 12.3 mm mean zone of inhibition and ethanol extract shows 6.11 & 11.0 mm mean zone of inhibition against *Bacillus cereus*, *Staphylococcus aureus*. Methanol, ethanol, n-hexane and water extract does not show antibacterial activity against gram negative bacteria. Tannins are polyphenolic compounds, which inhibit the protein



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Rayjode Meghana S. et al / J. Pharm. Sci. & Res. Vol. 13(7), 2021, 408-411

ISSN:0975-1459

Journal of Pharmaceutical
Sciences and Research
www.jpsr.in

A Review on Antimicrobial Activity of *Psidium guajava* L. Leaves on Different Microbial Species, Antioxidant Activity Profile and Herbal Formulations

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5.Name of Faculty:Dr.D.L.Attarde




Human Journals

Review Article

June 2021 Vol.:21, Issue:3

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A Review on *Annona squamosa* L.: Pharmacognosy, Phytochemical Analysis, and Pharmacological Activities



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Submitted: 22 May 2021
Accepted: 29 May 2021
Published: 30 June 2021



www.ijppr.humanjournals.com

Keywords: *Annona squamosa*, Custard Apple, Sitaphal, Annonaceae

ABSTRACT

Annona squamosa is commonly known as custard apple and Sitaphal, belonging to Annonaceae. This review deals with detailed Pharmacognostical, phytochemical, quantitative analysis and pharmacology of plant. Sitaphal is a multipurpose tree with edible fruits and an important source for medicine and industrial products. Researchers found that plant contains Alkaloids, Flavonoids, Carbohydrates, Tannins, Saponins and Steroids by performing the chemical test. TLC and HPTLC techniques used for qualitative determination and to detect a possible number of components in various extracts. Researchers used different spraying reagents to confirm phytochemicals. In GC/MS analysis, 15 compounds were detected from methanolic leaves extract. The total phenolic content of methanolic extract of leaves and bark was determined by Folin-Ciocalteu method. The total flavonoid content of methanolic extract of leaves and bark was determined by Aluminium chloride method. The researcher evaluated different plant extracts for Antidiabetic, anti-cancer, Insecticidal, Antimicrobial, Antioxidant, Anti-HIV as biological activities.



**PHYTOCHEMICAL AND MEDICINAL STUDY OF LANTANA CAMARA LINN.
(VERBENACEAE) - A REVIEW**

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Received: 25 May 2021, Revised and Accepted: 02 August 2021

ABSTRACT

Lantana camara is a plant from the family - Verbenaceae. It is found in many states of India, mostly in Jammu-Kashmir, Himachal Pradesh, Tamil Nadu, South India, Uttar Pradesh, and several parts of Maharashtra and other countries also. Mainly in disturbed areas, including roadside, railway tracks, and canals. It is an ornamental plant but, in ancient times, it was used traditionally. The plant having various traditional uses. Parts of plant extracts are used traditionally such as the healing of wounds, cuts, skin itches, and eczema. The plant containing many more phytoconstituents such as alkaloids, glycosides, saponins, steroids, terpenoids, carbohydrates, flavonoids, and coumarins. It has various pharmacological activities antioxidant, antimicrobial, antibacterial, antifungal, antiulcerogenic, anthelmintic, anti-hyperglycemic, anti-inflammatory, analgesic, anticancer antitubercular, etc. It also having mosquito larvicidal activity. This review article was written by the study of many research and review articles from 1956 to March 2021 in which 72 articles were cited. This article reviewed different phytochemicals present in *L. camara*. The review draws attention to the traditional uses, analytical work, pharmacological activities, and toxicology of this plant and also the potential uses of this plant.

Keywords: *Lantana camara*, Verbenaceae, Antioxidant, Antibacterial, Anti-inflammatory, etc.

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INTRODUCTION

Lantana camara is a flowering ornamental plant. It is used in several traditional medicinal preparations and is well known to cure several diseases. It is a major source of various classes of bioactive natural metabolites. From ancient times, flowers are used as pectoral for children, leaves, and fruits of that plant can be used externally in various skin diseases, cuts, and wounds. Stems and roots are used for gargles and toothaches as a toothbrush. The present article is reviewed that the phytochemical, analytical, pharmacological activities, and toxicology of *L. camara* Linn. [1-3].

Synonyms [4,5]

Marathi	Ghaneri, Tantani
Hindi	Raimuniya
English	Spanish flag, Wild sage
Tamil	Unnichedi
Kannada	Kakke, Natahu
Telugu	Pulikampa
Manipuri	Samballei, Nongballei
German	Wandelroschen
Arabic	Multawiat Em Kalthoom, Mina Shajary
Brazil	Cambara de espinto
Spanish	Cinco negritos
French	Lantamier, Verbene
Malaysia	Ayam, Big sage, Black sage

Order	Lamiales
Family	Verbenaceae
Genus	<i>Lantana</i>
Species	<i>Lantana camara</i>

Geographical distribution

The *Wild sage* is found in many states in India such as Jammu-Kashmir, South India, and Tamil Nadu, in different parts of Maharashtra, and also in Himachal Pradesh and Uttar-Pradesh. It is found in the Caribbean and Central and northern South America also now dispersed in about 60 tropical and subtropical countries and also temperature parts of the world. It extends from the innate range of the Greater Antilles, the Bahamas, and Bermuda also on the lesser Antilles, through Trinidad and Aruba. It is usually found in beach areas of the United States from South America to northern Mexico and from Georgia through Texas as well as Peru and Brazil and possibly Northern Argentina and Bolivia. It has adapted to the most suitable habitats in tropical and subtropical Africa, Australia, and Asia. It is also found in many African countries including South Africa, Uganda, Kenya, and Tanzania [8-11].

Plant description [12-14]

L. camara is a low erect or subscaudent vigorous shrub with a tetragonal stem, a strong odor of black currents, and stout recurved pickles. The plant is found up to height 1 to 3 m and width of 2.5 m. Images of plants, flowers, fruits, front, and dorsal view leaf as shown in Fig. 1.



7.Name of Faculty:Dr.S.A.Katti

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	A novel series of 2,4,6-trisubstituted 1,4-dihydropyridine derivatives was designed and utilized for the computational studies for predicting absorption, distribution metabolism, elimination (ADME), pharmacological profile, toxicity and molecular docking of these derivatives. Some of the derivatives were found to have significant antihypertensive activity without toxicity.	Search
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8.Name of Faculty:Dr.A.Y.Pawar



Journal of Pharmaceutical Research International

33(42B): 130-152, 2021; Article no.JPRI.73306

ISSN: 2458-9119

(Print name: British Journal of Pharmaceutical Research, Peer ISSN: 2231-2919,
NLM ID: 101631759)

Formulation & Evaluation of Naringin Nanoethosome by Cold Method

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Authors' contributions

This work was carried out in collaboration among all authors. All authors read and approved the final manuscript.

Article information

DOI: 10.9734/JPRI/2021/V33I42B32433

Editorial:

(1) Dr. Paolo Angelini, University of Perugia, Italy.

Reviews:

(1) Raul H. Morales-Borges, San Juan Bautista School of Medicine, USA.

(2) K P Srivastava, J. P. University, India.

Complete Peer review History: <https://www.ediarticle4.com/review-history/73306>

Received 20 June 2021

Accepted 24 August 2021

Published 31 August 2021

Original Research Article

ABSTRACT

Naringin is a flavonoid which shows various pharmacological effects, such as, anti-inflammatory and antioxidant, cholesterol lowering activity, free radical scavenging activity. Although naringin is easily found in citrus fruits but has lower bioavailability, biodistribution and undergoes biotransformation to naringenin. To overcome this, the main objective of this work is to formulate nanoethosome formulation containing naringin. The use of nanoethosomes as vesicle drug carrier having ability to increase solubility, improve biodistribution, slows the biotransformation which improves the activity of naringin for treating neurological disorder. The ethosomes were formulated by varying the variables such as concentrations of soya lecithine, polyethylene glycol, and ethanol. The formulations were evaluated with entrapment efficiency, and particle size. Results specify that prepared nanoethosomes of naringin shows decreased particle size, better entrapment efficiency as compared to rigid ethosomes. The F4 was selected as optimized formulation which was further characterized for vesicle size determination. The F4 shows vesicles size of 145.9 nm having 83.9% entrapment efficiency. The nanoethosomes were proved to be significantly superior in

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Journal of Pharmaceutical Research International

33(44A): 197-208, 2021; Article no.JPRI.73911

ISSN: 2456-9119

**(Past name: British Journal of Pharmaceutical Research, Past ISSN: 2231-2919,
NLM ID: 101631759)**

Determination of Pickering Nanoemulsion by Eudragit RI-100 Nanoparticle as Oral Drug Delivery for Poorly Soluble

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Authors' contributions

This work was carried out in collaboration among all authors. All authors read and approved the final manuscript.

Article Information

DOI: 10.9734/JPRI/2021/v33i44A32607

Editor(s):

(1) Dr. Giuseppe Murdaca, University of Genoa, Italy.

Reviewers:

(1) D. J. Sen, Techno India University, India.

(2) Sujit Biswas, University of Houston, USA.

Complete Peer review History: <https://www.sdiarticle4.com/review-history/73911>

Original Research Article

Received 04 July 2021
Accepted 14 September 2021
Published 17 September 2021

ABSTRACT

Objective: The purpose of this research study was to develop Ketoprofen-loaded Pickering nanoemulsion with the help of polymeric nanoparticles [NPs]. The pickering nanoemulsion formulation is developed using Eudragit RL 100, which has the greater ability to stabilize the formulation as well as it better controls the release of drug upon oral administration.

Method: In the present study, Ketoprofen - loaded Pickering nanoemulsion were prepared using an ultrasonic emulsification process. For the preparation of the Nanoemulsion, an aqueous phase of the nanodispersion of nanoparticle is used while Captex -300 and drug premix is used as oil phase. The nanoemulsion is formulated by using a probe sonicator with different ratios of aqueous phase and oil phase. The preformulation study of polymer or drug is done by FTIR and DSC and the drug - polymer compatibility was confirmed by FTIR. The prepared formulation was evaluated for physical appearance, pH, Viscosity, *In vitro* drug release, Particle size, Zeta Potential, Polydispersivity index, and transmission electron microscopy and stability. The Formulation is optimized for the different concentrations of the aqueous phase and oil phase with concentrations of drug and polymer.

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ORIGINAL ARTICLE

Year : 2021 | Volume : 10 | Issue : 2 | Page : 198-208

Solid SMEDDS: An approach for dissolution rate enhancement using telmisartan as model drug

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Date of Submission 26-Feb-2021

Date of Acceptance 16-Oct-2021

Date of Web Publication 17-Dec-2021



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Source of Support: None, Conflict of Interest: None



DOI: 10.4103/jrpts.JRPTPS_6_20



Abstract

Bioavailability improvement of poorly water-soluble drugs is a challenging task for many of the drug candidates. In recent years, an area that is ahead in popularity for different formulation expertise is the use of lipid-based carriers to formulate self-emulsifying drug delivery systems (SEDDS) for enhancing the oral bioavailability of lipophilic drugs. The self-microemulsifying drug delivery systems (SMEDDS) are thermodynamically stable and isotropic solutions containing an oil, surfactant, co-surfactant (CoS; or solubilizer), and mixtures of drug which forms oil-in-water microemulsions when incorporated in water and stirred. Different techniques are available to convert liquid-self-microemulsifying drug delivery systems (L-SMEDDS) to solid among which an adsorption technique is economical and very simple. The solid-self-microemulsifying drug delivery systems (S-SMEDDS) of telmisartan (TEL) was developed in the present study which is a poorly water-soluble drug. Different formulations of L-SMEDDS were developed using Capmul PG 8 as oil, Cremophor RH 40 as a surfactant, and Transcutol P as a CoS and were later transformed to S-SMEDDS. The formulations were assessed for dilution study by visual observation, differential scanning calorimetry, analysis of solid S-SMEDDS morphologically, *in vitro* dissolution test, zeta potential measurement, etc. Significantly higher drug release was observed from S-SMEDDS as compared to plain TEL. Hence, it can be concluded that the adsorption technique is a promising approach for the formulation of S-SMEDDS with improved dissolution rate and concomitantly bioavailability.

Keywords: SMEDDS, solubility, telmisartan, zeta potential

How to cite this article:

Pawar AY, Harak YS, Tambe SR, Talele SG, Sonawane DD, Derle DV. Solid SMEDDS: An approach for dissolution rate enhancement using telmisartan as model drug. J Rep Pharma Sci 2021;10:198-208



11. Name of Faculty: Dr. A. Y. Pawar



Journal of Pharmaceutical Research International

33(42B): 102-123, 2021; Article no. JPRI.73307

ISSN: 2456-9119

(Past name: British Journal of Pharmaceutical Research, Past ISSN: 2231-2919, NLM ID: 101631759)

Formulation and Evaluation of Miconazole Nitrate Loaded Nanoparticles for Topical Delivery

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Authors' contributions

This work was carried out in collaboration among all authors. All authors read and approved the final manuscript.

Article Information

DOI: 10.9734/JPRI/2021/3342B32432

Editor(s):

(1) Dr. Syed A. A. Rizvi, Nova Southeastern University, USA.

Reviewers:

(1) Tenderweath Clement Jackson, University of Uyo, Nigeria.

(2) Omail Yousef Elkhawaga, Mansoura University, Egypt.

Complete Peer review History: <https://www.sdiarticle4.com/review-history/73307>

Original Research Article

Received 20 June 2021

Accepted 26 August 2021

Published 31 August 2021

ABSTRACT

The aim of the present work was to formulate and evaluate Miconazole nitrate (MN) polymeric nanoparticles (NPs) for systemic delivery of the active ingredient after topical administration. The Solvent evaporation approach was used to make nanoparticles for topical delivery of MN. Particle size, entrapment efficiency and SEM were all measured in MN-SLN. A consistent size distribution (PI 0.300) was used to generate aqueous NPs dispersions with a mean particle size less than 250 nm. After 3 months of storage, the produced semi-solid systems had a mean particle size of less than 250 nm and a PI of less than 0.500. The F5 formulation was been chosen as the model formulation from among the nine nanoparticle formulations developed (F1 to F9). The reason for this was that, according to the ICH stability guidelines, formulation F5 was judged to be optimal and stable. The F5 formulations of miconazole nanoparticles shows the highest entrapment efficiency (93.28%) and drug loading (86.64%). In conclusion, there are two major advantages of using miconazole nanoparticle drug delivery systems. i.e., they are topical preparations that assemble in the hair follicles and wrinkles to produce a systemic and local action. It is possible that nanoparticles will be the most effective treatment for fungal skin infections.

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Evaluation of Some Phenolic Acids in Diabetic Neuropathy

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ABSTRACT

Background: Streptozotocin (STZ) induced neuropathy is widely used preclinical model for diabetic neuropathy (DN). DN is majorly resulted due to nitrosative and oxidative stress induced by hyperglycemia. Phenolic acids are polyphenols with free radical scavenging anti-inflammatory and neuroprotective action. **Methods:** In this study STZ (55mg/kg, i.p) was administered in male Wistar rats and animals with hyperglycemia (fasting blood glucose \geq 200mg/dl) were used for further study. Behavioural changes cold allodynia, mechanical hyperalgesia, heat hyperalgesia, mechanical allodynia were assessed weekly. Motor Nerve Conduction Velocity (MNCV) was also evaluated. Reduced Glutathione and Malondialdehyde were estimated to indicate oxidative stress. C-Reactive Protein (CRP), Insulin assay, serum electrolytes (Na⁺, K⁺), TNF- α , IL-6 and INF- γ were also estimated. Isolated sciatic nerve was histopathologically studied to support the results. **Results:** Treatment with syringic acid (SY) 12.5, 25, 50 mg/kg and of Sinapic acid (SP) 5, 10, 20 mg/kg orally for 6 weeks has shown to reduce blood glucose level. Behavioural changes were found to be improved weekly by SY and SP in dose dependent manner. 6 weeks treatment with SY and SP was able to increase antioxidant GSH and reduce MDA level in cell. Gabapentin, SY and SP treated animals have shown decrease in TNF- α , IL-6 and INF- γ and CRP. Insulin and serum electrolytes were found to be normalised in treated groups. Histopathological study has revealed protective effect of gabapentin, SY and SP by showing reverted neuronal damage. **Conclusion:** In conclusion, syringic acid and sinapic acid have antihyperglycemic, antioxidant and neuroprotective effect in diabetic neuropathy.

Key words: Phenolic acids, Neuropathy, Hyperalgesia, Allodynia, Nerve conduction velocity, Antioxidants, Cytokines.

INTRODUCTION

Streptozotocin (STZ) is well established and reliable to induce diabetic neuropathy. STZ is nitrosoureas antibiotic used as anticancer. STZ selectively destructs pancreatic β cells at dose of 45 to 70 mg/kg (i.v or i.p) and after 3-4 days, in rats causes hyperglycemia to induce diabetes.¹ Diabetic neuropathy is majorly resulted due to nitrosative and oxidative stress induced by hyperglycemia. Thus formed reactive oxygen species (ROS) can cause sensory and motor nerve conduction defects.² In animal models of diabetes mellitus (DM), STZ is suitably used to study disease pathogenesis and its complications.³

As modern medicines prominently show adverse effects, natural drugs are safer therapeutic alternative to treat neuropathy. Various plants and their phytoconstituents are selectively studied in the treatment of neuropathy in rats.⁴ Phenolic acids are polyphenols, having anti-inflammatory and free radical scavenging action, have been proven as neuroprotective.⁵ In accordance with these effects of various phenolic acids, unravelled members of this class can be evaluated through rational research plan. Syringic acid (SY) is useful in treatment of diabetes, cardiovascular diseases, cancer and cerebral ischemia. It is having antioxidant,

Submission Date: 30-08-2020;

Revision Date: 24-12-2020;

Accepted Date: 03-02-2021

DOI: 10.56304/ijper.56.1a.48

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www.ijper.org



13.Name of Faculty:Mr.K.V.Bhambar

DOI: 10.22270/jmpas.V10i6.2096

International peer reviewed open access Journal

ISSN NO. 2320-7418

Journal of Medical Pharmaceutical and Allied Sciences

Journal homepage: www.jmpas.com CODEN: JMPACO



Research article

Formulation and evaluation of transdermal patches of drotaverine hydrochloride using mercury substrate method

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ABSTRACT

Aim of the present investigation is to prepare sustained release transdermal patches of Drotaverine hydrochloride. Transdermal drug delivery has ability to bypass liver first pass metabolism and deliver the drug towards systemic circulation. Drotaverine hydrochloride is used to treat the spasticity as muscle relaxant. Mercury substrate method is utilized for formulation of Transdermal patches of Drotaverine Hydrochloride. Ethyl cellulose and Eudragit RL 100 were used to retard the drug release. Dibutyl phthalate used as plasticizer and Dichloromethane as a solvent system. Transdermal patches were evaluated for physical appearance, weight variation, drug content, folding endurance, Fourier-transform infrared spectroscopy (FTIR), Differential scanning calorimetry (DSC) and *in vitro* drug release study. The DSC curve of transdermal patch (TDDS D3) shows a sharp endothermic peak at 208.17°C indicating crystalline structure. The dissolution curve shows that formulation TDDS D3 shows maximum drug release 83.57% at the end of 12 Hrs. For transdermal patches according to 'r' value, Korsmeyer-Peppas model was best suited for drug release but n value obtained from Kors Meyer- Peppas' equation was within 0.5 -1.0 which indicates anomalous releases

Keywords: Transdermal patch, Drotaverine Hydrochloride, Eudragit, Ethyl cellulose, TDDS

Received - (04/10/2021), Reviewed - 25/10/2021, Revised/ Accepted- 11/12/2021

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INTRODUCTION

In Transdermal drug delivery system drug is delivered to systemic circulation with least variation. Transdermal drug delivery system is one of the widely used approaches for drug application. It reduces dosing frequency and improves the bioavailability of drug. The primary object of transdermal drug delivery is to ensure safety, efficiency of drugs and patient compliance. This is achieved by better control of plasma drug level and less frequent dosing¹. Transdermal drug delivery requires frequent dosing results in fluctuation in plasma drug concentration. Transdermal patches are adhesive patches which deliver drug through the skin. Transdermal patches are available in different sizes and shapes. Drotaverine hydrochloride shows smooth muscle relaxant activity mediated via inhibition of phosphodiesterase IV, specific for smooth muscle. It has a rapid and direct action on the smooth muscle. It acts to correct cyclic AMP and Calcium imbalance at the spastic site, thereby relieving smooth muscle spasms and pain. The average half-life of drotaverine is 6-10hrs. Oral bioavailability of Drotaverine hydrochloride ranges from 25-91%.²⁻⁴ Drotaverine and its metabolite are 80% to 95% protein bound. Drotaverine and its metabolite are 80% to 95% protein bound and volume of distribution (V_d) is 193-195 litres. Drotaverine is extensively metabolized in the liver and excreted in the urine and faeces.

MATERIAL AND METHOD

Tizanidine Hydrochloride was purchased from Blue Cross Pharmaceuticals, Nashik, India. Eudragit RL, Ethyl Cellulose was procured from Molychem, Mumbai. All other reagent and materials were of analytical grade.

Formulation of Drotaverine Hydrochloride Transdermal Patch

Transdermal patches of Drotaverine Hydrochloride were prepared by using mercury substrate method. Transdermal drug delivery system is one of the widely used approach for drug application. Polymers were weighed (total weight was 900 mg) in appropriate ratio and dissolved in 10 ml of dichloromethane which was used as solvent. Then Drotaverine Hydrochloride was added slowly in the polymeric solution and thoroughly stirred in the magnetic stirrer to get a uniform solution. In mixture 0.3 ml or 5 drops of dibutyl phthalate was added which acts as a plasticizer. Solution was spread on mercury placed on a glass Petri dish. Funnel was placed in inverted position to get uniform evaporation. The Petri dish was dried at room temperature for 24 hrs. After complete drying the films were removed by utilizing sharp blade. Films were cut into size of 2x2 cm² patches, stored and wrapped in butter paper until its use.⁵

Journal of medical pharmaceutical and allied sciences, Volume 10 - Issue 6, 2096, October - November 2021, Page - 3978-3980

3978





IJCR
Section: Healthcare
ISI Impact Factor
(2019-20) 4.420
IC Value (2019) 98.04
SJIF (2021) 7.091

Crossref IJCR

Formulation and Characterization Floating Matrix Tablets of Methimazole

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ABSTRACT

Introduction: Methimazole is an active pharmaceutical ingredient effectively utilized in hyperthyroidism. Methimazole inhibits peroxidase as well as iodine interactions with thyroglobulin to produce triiodothyronine with thyroxine. Methimazole shows very low protein binding (1-10%) binds to plasma proteins and is easily metabolized by the liver. Gastro retentive drug system improve the pharmacotherapy of the stomach by local release of therapeutic agent results in high concentrations of drug at the gastric mucosa, which further sustained for long

Aim: In this investigation, efforts were given to developing a sustained release floating matrix tablet of Methimazole.

Methodology: Floating matrix tablets of methimazole were prepared by utilizing the direct compression method. Sodium bicarbonate and citric acid were used as gas-forming agents. HPMC K100M along with Ethylcellulose used to retard drug release from the dosage form.

Result: Floating matrix tablets of methimazole were evaluated for different quality control tests to improve the quality of the product. In dissolution study of the floating matrix of methimazole formulation Floating matrix tablet (FLM4) shows maximum drug release 96.88 % at the end of 12 hours while FLM-1 shows least 84.33 %.

Conclusion: In vitro release study of methimazole floating matrix tablets shows that polymer percentage used in the formula is enough to extend the release of the drug for at least 12 hr.

Key Words: Floating Matrix tablet, Methimazole, Sustained Release, FLM, HPMC K100M, Ethyl Cellulose

INTRODUCTION^{1,2}

In a Conventional drug delivery system, periodic doses of therapeutic agents are required. Most of the drugs are formulated by conventional methods for effective drug administration, but some therapeutic agents are unstable or have narrow therapeutic ranges so require modification. These problems were overcome by developing sustained release gastro retentive drug delivery. Gastro retentive drug delivery promising approach not only retard the drug release but also retain the dosage form in the stomach. Gastro retentive drug delivery effectively improves absorption of the drug due to increased residence time in the stomach. Methimazole is absorbed through the whole Gastrointestinal tract and bio-availability are 80-95%. Methimazole is a biologically active agent widely used in hyperthyroidism. It prevents iodine and peroxidase. Methimazole has a biological half-life of 5

to 6 hours so it requires three times a day dosing. Hence an attempt was made to develop floating matrix tablets of Methimazole to improve all characteristics.^{1,2,3}

MATERIAL AND METHODS

Methimazole was purchased from Innova Laboratories, Division of Innova Remedies Pvt. Ltd. Nagpur. HPMC K100M, EC was procured from Molychem, Mumbai. All other reagents and materials were of analytical grade.⁷

Formulation of Methimazole Floating Matrix Tablets.²

The direct compression technique was used to formulate the Methimazole tablets for all batches containing methimazole. Sodium bicarbonate was passed through # 36 sieves.

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ISSN: 2231-2196 (Print)

ISSN: 0973-5241 (Online)

Received: 16.03.2021

Revised: 02.07.2021

Accepted: 24.08.2021

Published: 12.09.2021





Research article

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Received - 04/10/2021, Reviewed - 25/10/2021, Revised/ Accepted- 11/12/2021

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IJCRR
Section: Healthcare
ISI Impact Factor
(2019-20) 4.628
IC Value (2019) 99.91
SJIF (2020) 7.892

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ISSN: 2231-2196 (Print)

ISSN: 0973-5241 (Online)

Received: 16.05.2021

Revised: 02.07.2021

Accepted: 24.08.2021

Published: 12.09.2021



PRINCIPAL
MGV's Pharmacy College
Panchavati, Nashik-422 003