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FORMULATION AND EVALUATION OF LEVOCETERIZINE HYDROCHLORIDE TRANSDERMAL PATCHES.

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ABSTRACT

Transdermal drug delivery system is a therapeutic system designed to transfer drugs through intact skin for systemic treatment. It offers controlled drug release pattern by a simple application to the skin's surface, eliminating the vagaries influencing the gastrointestinal absorption associated with oral administration and providing for more efficient drug utilization. Levoceterizine hydrochloride belongs to the category of anti histamine used in the treatment of various allergic diseases. Administration of these agent via transdermal route can bypass various disadvantages caused by oral administration and maintain relatively constatant plasma drug level for long time therapy. Different polymers Different polymers like hydroxypropylmethyl cellulose (HPMC), polyvinyl pyrrolidine (PVP) individually or in combination have been tried. All the patches were prepared by adding glycerin as plasticizer. Methanol is used as a common solvent. The prepared patches were evaluated for physicochemical parameters like thickness, weight variation, folding endurance, water absorption capacity, moisture content, tensile strength, percentage elongation, drug content.

KEYWORDS: Levoceterizine Hydrochloride, polymers, Transdermal drug delivery system.

INTRODUCTION

Transdermal drug delivery system can deliver the drugs through the skin portal to systemic circulation at a predetermined rate and maintain clinically the effective concentrations over a prolonged period of time. Transdermal drug delivery is hardly an old technology, since 1800's and the technology is no longer just adhesive patches. Due to recent advances in

technology and the ability to apply the drug to the site of action without rupturing the skin membrane, transdermal route is becoming a widely accepted route of drug administration. The transdermal route of drug delivery is becoming increasingly popular with the demonstration of the percutaneous absorption of a large number of drugs. Transdermal therapeutic systems have gained lot of importance during past one decade & have been proposed as an attractive non-invasive method to achieve drug input into systemic circulation.

Factors Affecting Transdermal Drug Delievery System

1. Skin condition
2. Skin age
3. Blood flow
4. Regional skin sites
5. Skin metabolism
6. Species differences

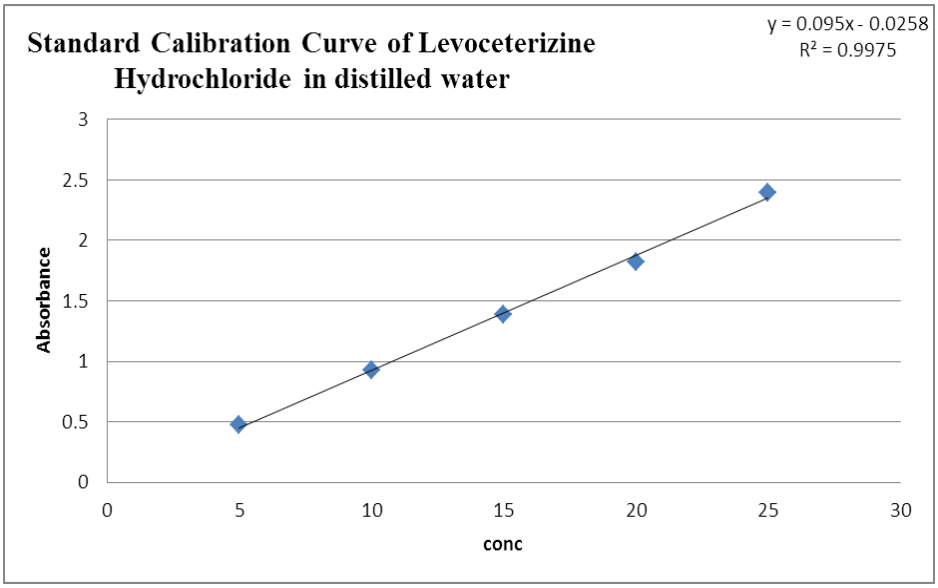
MATERIAL AND METHODS

Preparation of drug loaded transdermal film

Methanolic solution containing polymers as per the formula was performed. The glycerine (10%w/w) and Levocetrizine Hydrochloride (10mg) were added into the polymeric solution and homogenized. The films were prepared on rectangular glass moulds by solvent evaporation technique. The area of film was 18cm$^{2.}$ The polymeric solution was poured on the glass surface and covered with glass funnel to control the rate of evaporation during drying. Drying was carried out for 24hrs at room temperature. The dried films were wrapped in aluminum foil and placed in closed containers and stored at room temperature.

Standard curve for Levocetrizine Hydrochloride

100mg of Levocetrizine Hydrochloride was accurately weighed and dissolved in 100ml volumetric flask containing distilled water. Volume was made up to the mark and labeled as stock-I. 1ml of stock-I was taken and diluted to 100ml in a volumetric flask with distilled water and marked as stock-II. Aliquots of 2ml, 4ml, 6ml, 8ml and 10ml of stock-II solution were diluted to 10ml in a volumetric flask to get solutions containing 2µg/ml, 4µg/ml, 6µg/ml, 8µg/ml and 10µg/ml. Then the absorbance was measured in UV spectrophotometer at 231nm against distilled water as a blank.



Compatibility study using FT-IR

Bruker FT-IR was used for Infrared spectrums of pure drug (Levocetrizine Hydrochloride) and its physical mixtures with polymers (Ethyl cellulose, Methyl cellulose, Hydroxyl Propyl methyl Cellulose, Poly vinyl Pyrrolidone) using KBr pellatisation method to investigate any possible interaction between the drug and the used polymers.

Formulation Table of Levoceterizine Hydrochloride.

Sr.no.	Name of Ingredient	Formulation				
		F1	F2	F3	F4	F5
1	Levoceterizine Hydrochloride(mg)	10	10	10	10	10
2	Methanol(ml)	10	10	10	10	10
3	Hydroxypropylmethyl cellulose(mg)	100	75	50	25	0
4	Polyvinyl Pyrrolidine(mg)	0	25	50	75	100
5	Polyethylene Glycol(PEG) 400(ml)	5	5	5	5	5
6	Dibutyl phthalate(ml)	0	5	5	5	0

Evaluation of Transdermal patches

The prepared Transdermal films F1 to F5 were evaluated for the following parameters:

Thickness

Thicknesses of all membranes were measured by using Screw Gauze at five different points on each membrane and average reading was noted.

Flatness

A transdermal patch should possess a smooth surface and should not constrict with time. This can be demonstrated with flatness study. For flatness determination, one strip was cut from

the centre and two from each side of patches. The length of each strip was measured and variation in length was measured by determining percent constriction. It was calculated by,

$$\% \, Constriction = \frac{l1 - l2}{l2} \times 100$$

Weight variation

Individually 5 films of each formulation were accurately weighed and the average weight and standard deviations were calculated out.

Folding endurance

A specific area of strip is cut and repeatedly folded at the same place till it broke. The number of times the film could be folded without breaking gave the value of folding endurance.

Tensile strength

Tensile strength of the films was determined by using simulated House filed universal testing machine. The sensitivity of the machine was 1mm. It consists of two load cell jaws. The upper one was fixed and lower one was movable. The film of specific size (18 cm2) was fixed between these grips. Weight was applied to lower jaw gradually, while measuring the strength till the films breaks. The tensile strength of the film was taken directly in kilograms and extension of film in mm.

Tensile strength = Maximum applied force/ Minimum cross sectional area

= m × g / b × t kg / mm²

Drug content

As with all controlled release drug delivery systems, the final product evaluated for a TDDS must include content uniformity determinations. This test involves the assay of individual units of a specified no. of dosage forms in order to determine homogeneity in their content. The discs which were used for weight variation were evaluated for their drug content individually. The drug containing films were dissolved in sufficient quantity of solvent and volume was made up to 10 ml with the solvent. From this 1 ml was taken and diluted to 10 ml with solvent and the drug content was determined spectrophotometrically. Based on the concentration obtained and the dilution factor, the drug content in each film was calculated.

RESULT AND DISCUSSION

Preformulation Studies

The solubility of the drug in a given vehicle determines the acive concentration at which the drug could be presented on to the surface of skin. Hence, a good solubility in a chosen vehicle ensures the movement of the drug through delivery system.

Melting point determination

The melting points were found to be in the range of to 210°C to 220°C.

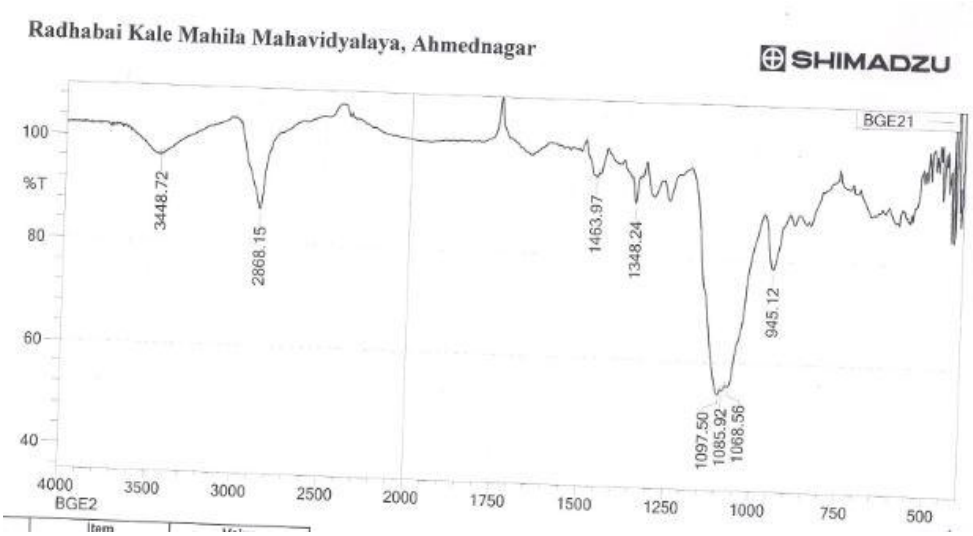
The reported melting point is 215°C.

Properties	Result Observed	Reported Result
Colour	white	White
Odour	odourless	odourless
appearance	Fine powder	Fine powder
Melting point	225^0 C	215-220^0 C

Evaluation table of formulation.

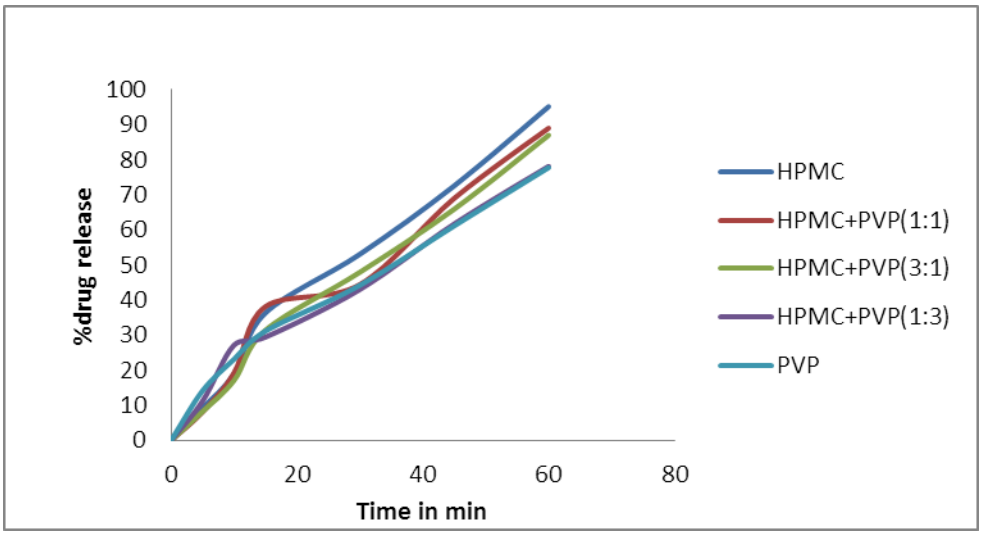
Formulation	Tensile strength	Thickness (mm)	Folding endurance	Surface pH	Drug content uniformity
F1	1.165	0.29	125	5.43	85.16
F2	1.164	0.22	153	5.66	92.85
F3	1.163	0.23	102	5.56	86.35
F4	1.165	0.20	155	5.71	92.45
F5	1.167	0.30	111	5.74	88.12

IR Spectrum of Levoceterizine Hydrochloride



Sr.no.	Wavenumber(cm^{-1})	Functional group
1	3448.72	O-H stretching
2	2868.15	C-H stretching
3	1463.97	C=C stretching
4	1348.24	C-N stretching
5	1068.56	C-O Carbonyl group

Drug release of Levoceterizine Hydrochloride



CONCLUSION

Transdermal drug delivery system was developed by using Levoceterizine Hydrochloride as a drug. The rational behind choosing this drug was that all physicochemical properties of drug were suitable for administering drug via transdermal route. The transdermal patches were prepared by solvent evaporation method. The prepared patches were evaluated for thickness, wt.uniformity, flatness, drug content, folding endurance, in-vitro diffusion study, drug-polymer interaction study, percentage moisture uptake and surface P^H.

The formulated patches had good appearance and physical characteristics (no cracks, uniform thickness, mass and drug content) and showed high characteristics. The optimized HPMC-K4M and PVP patches (Formulation F_5) showed the highest drug release rate of the drug in-vitro and more drug permeation and it showed drug release by Higuchi matrix model and drug release mechanisms by anomalous diffusion. The obtained results suggested that the formulations can be promising therapeutic systems for the Transdermal delivery of Levoceterizine hydrochloride to avoid the disadvantages of parenteral and oral route.

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