International Journal of Pharmaceutics & Drug Research
ISSN: 2347-6346
Available online at http://ijpdr.com

RESEARCH ARTICLE

FORMULATION AND EVALUATION OF TRANSDERMAL PATCHES OF ANTIHYPERTENSIVE DRUG TELMISARTAN

Aakash Mewada[1], Vivekanand Katare*[1], Abhilasha Delouri[1], Prabhat Kumar Jain [2]

[1]Vivekanand College of Pharmacy, Bhopal (M.P.)
[2]Scan Research Laboratories, Bhopal (M.P.)

***Correspondence Info:**
Dr. Vivekanand Katare,
Vivekanand College of Pharmacy, Bhopal (M.P.)

Email:

vivekanandkatare@gmail.com

***Article History:**

Received: 24/10/2022
Revised: 28/10/2022
Accepted: 016/11/2022

ABSTRACT

The purpose of this study was to prepare telmisartan transdermal patch and evaluate them to enhance their transdermal delivery Transdermal drug delivery is a painless, convenient, and potentially effective way to deliver regular doses of many medications. Wide range of drugs can be delivered improved drug uptake Minimal complications and side effects low cost and easy to use. Telmisartan loaded transdermal patches were successfully formulated with different polymeric mixtures. Telmisartan loaded patches showed good chemical and physical compatibility with accepted physicomechanical parameters. Considering the study outcomes, Telmisartan loaded patches showed promising results on laboratory animals that could motivate further research on human, in order to be used effectively and enhance patient's compliance.

Key words: Telmisartan, Transdermal Patch, Formulation, Evaluation.

INTRODUCTION:

Drugs administered in the conventional dosage forms usually produce large range in fluctuations in plasma drug concentrations leading to undesirable toxicity or poor effectiveness. These factors as well as other factors such as repetitive dosing and unpredictable absorption, led to the concept of the controlled drug delivery system or therapeutic system. A dosage form that releases one or more drugs continuously in a predetermined pattern for a fixed period of time, either systemically or to a specified target organ is a controlled drug delivery system. The primary objectives of controlled drug delivery are to ensure safety and to improve efficacy of drugs as well as patient compliance. This is achieved by better control of plasma drug levels and less frequent dosing. Transdermal therapeutic systems are defined as self-contained discrete dosage forms which, when applied to the intact skin, deliver the drug(s), through the skin, at controlled rate to the systemic circulation (Panner Selvamet al., 2010; Kakkar et al., 1991).

The first Transdermal drug delivery (TDD) system, Transderm-Scop developed in 1980, contained the drug Scopolamine for treatment

of motion sickness. The Transdermal device is a membrane-moderated system. The membrane in this system is a microporous polypropylene film. The drug reservoir is a solution of the drug in a mixture of mineral oil and polyisobutylene. This study release is maintained over a three-day period (Chowdary et al., 1995). The purpose of this study was to prepare telmisartan transdermal patch and evaluate them to enhance their transdermal delivery.

MATERIAL AND METHODS

Preparation of Telmisartan loaded patches

In this study, Telmisartan loaded patches were prepared by solvent evaporation method. Glass plates with diameter of 7 cm and total area of 38.5 cm^2 were used. The polymers were accurately weighed and dissolved in 8 ml of dichloromethane: methanol (4:1), by the aid of mechanical stirrer 50 rpm for 10 minutes to form a clear solution, and kept a side. Telmisartan, PEG 400 and dimethyl sulfoxide (DMSO) were added to the above clear solution and mixed for 10 min using mechanical stirrer. The resulted uniform solution was poured into the glass plate and dried at 40ºC in the hot air oven for 24 hr. The dried patches was taken out, wrapped in aluminum foil and stored in a desiccator for next studies. Compositions of formulations are shown in Table (1) (Koteshwar et al., 1995).

Evaluation of transdermal patches

Physicomechanical evaluation

Physical examination

Transdermal patches were visually inspected for their color, clarity, flexibility, homogeneity and smoothness.

Thickness

A digital caliper (Electronic digital Caliber) was used to measure the thickness at three different positions on the same patch of each formulation (Arora and Mukherjee, 2002).

Folding endurance

A strip of specific area 2 cm × 2 cm (4 cm^2) was folded at the same place several times until a visible crack was observed and then broke. The value of folding endurance is the number of times the patch could be folded without breaking (Sankar et al., 2003).

Tensile strength

Universal tensile strength apparatus was used to measure the patches' tensile strength. The test patches were cut into strips of 1 cm width and 6 cm length, and were fixed between the machine grips. Force was gradually applied till the patch broke. The tensile load of the patch was taken directly from the dial reading in kg (Manvi et al., 2003). Tensile strength is calculated as follows:

Tensile strength = Tensile load at break/ Cross section area

Weight uniformity

Weight uniformity was done by weighing 3 different patches of the same formulation. All the patches, selected randomly, should be uniform in size (1cm × 1cm) (Bharkatiya et al., 2010).

Moisture uptake

4 cm2 patches were weighed separately and kept at 80-90 % relative humidity using saturated solution of potassium chloride in desiccators. Three days later; the patches were taken out and weighed (Tanwar et al., 2007). The percentage of moisture uptake was calculated as given below :

% Moisture uptake = [Final weight – Initial weight/ Initial weight] x 100

Moisture loss

4 cm^2 patches were weighed separately and kept in a desiccator containing calcium

chloride at room temperature for 24 hr. The patches were weighed again after 3 days.12 The percent moisture content was calculated by following formula:

% Moisture content = [Initial weight – Final weight / Final weight] x 100

Drug content

A 100 ml graduated flask containing phosphate buffer pH 7.4 was used to assess the Telmisartan content. 1 cm^2 patch was added to the flask and shaked for 4 hr in a mechanical shaker. The resulted solution was filtered, diluted with phosphate buffer pH 7.4 and examined for the drug content. A blank solution consisting of the placebo patches was used. The drug was estimated at λ_{max} 246 nm and the drug content was calculated.

Results and Discussion

The transdermal patches were prepared by solvent evaporation techniques, where the polymers were dissolved in the selected solvent and Telmisartan was mixed homogenously. The visual examination was performed on Telmisartan transdermal patches, where smooth, flexible and transparent patches were obtained.

Evaluation of transdermal patches

The physicomechanical characteristics of the prepared patches are shown in (Table 2).

Thickness

Thickness of patches ranged from 0.12±0.32mm to 0.13±0.08 mm with low standard deviation indicating uniformity of the Telmisartan patches.

Weight

The weight ranged from 18.81±0.24to 18.98±0.66 mg/cm^2.

Drug content

Drug content determination ranged between 1.31±0.61and 1.31±.051 mg/cm^2 showing an even distribution of Telmisartan in the patches.

Folding endurance

Folding endurance values were ranged between 98±5.7 and 96±11.3, which are sufficient for optimum flexibility and integrity of the patches.

Tensile strength

Tensile strength measurements varied between 0.19±0.22 to 0.21±0.03 kg/cm^2.The value of tensile strength decreased by increasing the amounts of HPMC. The moisture absorption percentage laid between 3.91±0.23 to 4.83±0.39 %, on the other hand, moisture loss percentage ranged between 9.03±0.88 to 10.15±1.13%.

Table 1: Composition of prepared Telmisartan transdermal patches

Formulation	Telmisartan (mg)	HPMC (mg)	PVP (mg)	RS100 (mg)	PEG400 (mg)	DMSO (mg)
F1	40	350	50	-	120	30
F2	40	350	-	50	120	30

Table 2: Physicomechanical evaluation of Telmisartan transdermal patches

Formula	Thickness (mm ± SD)	Weight (mg/cm²)	Drug content (mg/ cm²)	Folding endurance (Value ±SD)	Tensile strength (kg/cm² ± SD)	Moisture absorption (% ± SD)	Moisture loss (% ± SD)
F1	0.13±0.08	18.98±0.66	1.31±.05	98±5.7	0.19±0.02	4.83±0.39	10.15±1.13
F2	0.12±0.32	18.81±0.24	1.31±0.61	96±11.3	0.21±0.03	3.91±0.23	9.03±0.88

CONCLUSION

Transdermal drug delivery is a painless, convenient, and potentially effective way to deliver regular doses of many medications. Wide range of drugs can be delivered improved drug uptake Minimal complications and side effects low cost and easy to use. Telmisartan loaded transdermal patches were successfully formulated with different polymeric mixtures. Telmisartan loaded patches showed good chemical and physical compatibility with accepted physicomechanical parameters. Considering the study outcomes, Telmisartan loaded patches showed promising results on laboratory animals that could motivate further research on human, in order to be used effectively and enhance patient's compliance.

REFERENCES

1. Panner Selvam, R., Singh, A.K., Sivakumar, T. (2010). Transdermal drug delivery systems for antihypertensive drugs - A review, IJPBR. 1(1): 1-8.
2. Kakkar, A.P., Gupta, A. (1991). Gelatin Based Transdermal Therapeutic System, Indian Drugs. 29 (7), 308-315.
3. Chowdary, K.P.R., Naidu, R.A.S. (1995). Transdermal Drug Delivery, A Review of Current Status. Indian Drugs. 32(9): 414422.
4. Koteshwar, K.B., Udupa, N., Kumar, V. (1995). Design and Evaluation of Captopril Transdermal Preparations, Indian Drugs, 15 (29): 680-685.
5. Arora, P., Mukherjee, B. (2002). Design Development Physicochemical and in-vitro Evaluation of Transdermal Patches Containing Diclofenac Diethylammonium

Salt, Journal of Pharmaceutical Sciences. 91(9), 2076-2089.

6. Sankar, V., Velrajan, G., Palaniappan, R. Rajasekar, S. (2003). Design and Evaluation of Nifedipine Transdermal Patches, Indian journal of Pharmaceutical, Sciences. 65(5): 510-515.
7. Manvi, F.V., Dandagi, P.M., Gadad, A.P., Mastiholimat, V.S., Jagdeesh, T. (2003). Formulation of Transdermal Drug Delivery System of Ketotifen Fumarate, Indian journal of Pharmaceutical Sciences. 65(3): 239-243.
8. Bharkatiya, M., Nema, R.K., Bhatnagar, M. (2010). Designing and Characterization of Drug free patches for Transdermal Application, IJPSDR. 2(1): 35-39.
9. Tanwar, Y.S., Chauhan, C.S., Sharma, A. (2007). Development and Evaluation of Carvidilol Transdermal Patches, Acta Pharm. 57: 151–159.