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RESEARCH ARTICLE

Formulation and Characterization of Transethosomal-Loaded Nanoparticles of Irbesartan

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ABSTRACT

To development of transethosome loaded with the poorly water soluble irbesartan which could be utilized for increasing solubility. Transethosome loaded irbesartan are prepared by cold method. Irbesartan were successfully loaded into transethosome and confirmed through vesicle shape, vesicle size, polydispersivity index, zeta potential, calibration curve, entrapment efficiency, FTIR, *in-vitro* drug release, SEM. Transethosome are useful carrier for poorly soluble drug and provide a novel vehicle for delivery of drug.

KEYWORDS

Transethosome, Irbesartan, Solubility, Carrier, Novel vehicle

INTRODUCTION

Irbesartan is an angiotensin receptor blocker used mainly for the treatment of hypertension. Angiotensin 2 the principal pressor agent of rennin angiotensin system is responsible for effects such as vasoconstriction stimulation of synthesis and release of aldosterone. Cardiac stimulation and renal reabsorption of sodium. Irbesartan is a specific competitive antagonist of AT1 receptor with much greater affinity for the AT2 receptor than for the AT2 receptor and no agonist activity. Irbesartan's inhibition of angiotensin 2 binding to the AT1 receptor leads to multiple effect including vasodilation, reduction in the secretion of vasopressin, and reduction in the production and secretion of aldosterone. The resulting effect is a decrease in blood pressure. Irbesartan effectively lowers BP in patients with hypertension without effecting heart rate[1].

The transethosomal system contains the basic components of classical ethosomes and the additional compound, such as penetration enhancers or surfactants in their formula. The research showed that different types of surfactants and penetration enhancers made ethosomal systems with better physico-chemical characteristics. In view of this, nano-carrier drug delivery systems possess high biocompatibility and excellent drug delivery potential[2].

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Material and Method

Material

Irbesartan was obtained as a gift sample. Soya lecithin was purchased by urban platter.

Cholesterol, Tween80, Ethanol was supplied by Thomas baker Mumbai. All the chemicals and solvent were used as analytical grade. Distilled water was used in all the experimental studies.

Method

In the preparation of transethosome loaded irbesartan was prepared by cold method. In a beaker taken the irbesartan, soyalecithin, and cholesterol was dissolved in specified amount of ethanol at 30℃ or room temperature. Tween80 was dissolved in distilled water in a separate beaker. Aqueous phase is added into the organic phase through syringe (22gauge) drop by drop. Stirring are continuing through the magnetic stirrer at 700-1500rpm at 30min. after the stirring process sonication are done by the bath sonicator at 30min. finally transethosome was prepared[3].

Characterization of transethosome

Vesicle shape, Vesicle size and Polydispersity index – Vesicle shape and vesicle size are measured by microtrac nanotrac wave. Dilute the sample (2 drop) with distilled water and calculate them. Polydispersivity index was measured by mastersizer 2000[4].

Zeta potential

Zeta potential measurement of the samples was carried out by using Malvern zeta sizer. It indicates charge present on the surface of treansethosome which is responsible for stability of the formulation and interact with membrane[5].

Entrapment efficiency

The percentage entrapment of the drug added is called entrapment efficiency. Free unentrapped drug from irbesartan transethosomes was separated by centrifugation at 20,000 rpm for 1 h at 4°C using a cooling centrifuge. The pellets that are formed after centrifugation were washed twice with 5 ml of phosphate buffer (pH 7.4) and re-centrifuged again for 1 h. The encapsulation efficiency of the drug was determined after lysis of the pellets with 5 ml of methanol and sonication for 10 min. The concentration of irbesartan in methanol was determined using UV-Visible spectrophotometer at 224nm. Entrapment was determined using the following equation -

$$\% \text{ Entrapment Efficency} = \frac{\text{Total Drug Added} - \text{Free Unentraped Drug}}{\text{Total Drug Added}} X\ 100$$

Calibration Curve

The UV spectrophotometer was utilized for the detection and quantification of Irbesartan. For the preparation of the stock solution, 10 mg of the pure drug was accurately weighed and dissolved in 10 ml phosphate buffer solution 7.4pH and then the volume was made up to 100 ml with phosphate buffer solution 7.4pH to give standard stock solution 100 µg/mL. From the above stock solution, different concentration (10 µg/mL) was prepared by appropriate dilution to prepare 2, 4, 6, 8 and 10µg/mL concentration solution. The sample was filtered and scanned in the range 200-400 nm using a UV spectrophotometer to determine λmax. The absorbance of the above dilution was determined at 224nm λmax on the UV spectrophotometer. The absorbance values corresponding to each concentration were then statistically evaluated and plotted as a standard graph between absorbance and concentration[6].

***In-vitro* Drug Release**

In-vitro drug release was measured by using franz diffusion cell. A cellophane dialysis membrane with molecular weight cut-off of 8000 daltons was hydrated with phosphate buffer saline 7.4pH. Vesicular formulation of 1ml of irbesartan was placed in the donor compartment. The receptor compartment was filled with 7.4pH and stirred with a magnetic bead at 300-400rpm and the temperature of the system was maintained at 32± 1℃ to mimic human skin. 1ml aliquot was withdrawn at predetermined time intervals and was

immediately replaced with an equal volume of fresh buffer. All samples were analyzed for irbesartan content by U.V spectrophotometry at 224nm[7].

FTIR studies

FTIR spectra of Irbesartan, lecithin, formulation and physical mixture were recorded by using Thermoscintific FTIR spectroscopy in the range of 4000-500 cm-1. A 10 mg sample was mixed with potassium bromide (200-400 mg) and compressed. The compressed disc was placed in the light path and spectra were obtained. After conducting the exhibition, important themes were identified related to the major working groups[8, 9].

SEM studies

A scanning electron microscope (SEM) is a type of electron microscope that produces images of a sample by scanning the surface with focused electrons. Different magnification of transethosome can be measured for surface morphology[10, 11].

Result

Vesicle shape, Vesicle size and Polydispersity index

The result of the vesicle shape, vesicle size and polydispersity index are given in Fig No. 2 and Table No. 1 respectively. Vesicle shape of the transethosome is irregular. Vesicle size of F4 formulation are optimized range is 104.63nm±0.90 and polydispersivity is 20.73.

Zeta potential

The result of the zeta potential is given in Fig No. 1 and Table No. 1 respectively. The zeta potential of the optimized formulation F4 was found to be -23.9mV which indicate stable formulation.

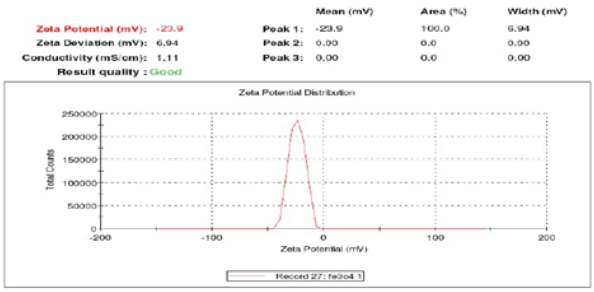

Fig.: 1 Zeta Potential of F4

Table No. 1: Vesicular Size, PDI, Zeta Potential, % Entrapment Efficiency

Serial No.	Formulation Code	Particle Size (Nm)	Polydispersity Index(Mw)	Zeta Potential	% Entrapment Efficiency
1	F1	137.5 ±0.90	22.63	-49.3	50.24
2	F2	154.7 ±0.83	48.61	-42.7	54.57
3	F3	215.06±0.16	51.64	-35.9	58.90
4	F4	104.63±0.33	20.73	-23.9	76.0
5	F5	186.35±0.66	35.45	-10.7	67.53
6	F6	114.56±0.43	57.91	-27.5	70.07

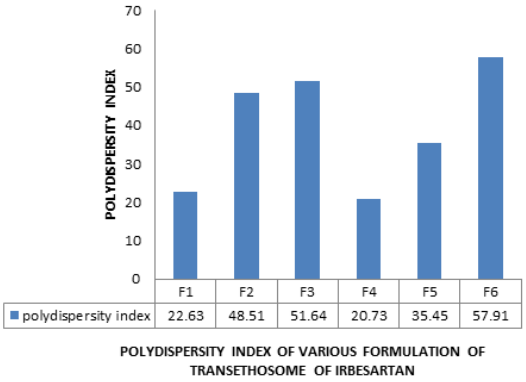

Fig.: 2 Polydispersity Index

ENTRAPMENT EFFICIENCY

The result of the entrapment efficiency is given in Fig No.3 and Table No.1 respectively. The formulation F4 shows the highest entrapment efficiency is 76%.

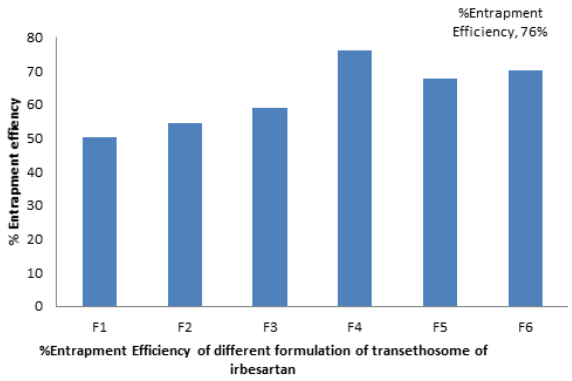

Fig. :3 % Entrapment Efficiency of F4

Calibration Curve

Table No. 2: Calibration Curve of Irbesartan

serial no.	concentration (µg/ml)	absorbance
1	2	0.171
2	4	0.353
3	6	0.508
4	8	0.705
5	10	0.854

The result of the calibration curve is given in Table No.2 and Fig No.4 respectively. Calibration curve of irbesartan in the phosphate buffer 7.4pH was prepared and take the absorbance at 224nm**.**

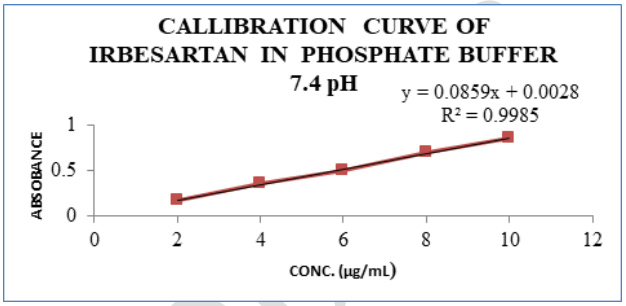

Fig.: 4 Calibration Curve

In-Vitro Drug Release

The results of the *in-vitro* drug release are given in Table No. 3 and Fig No. 5 respectively. The formulation (F4) was optimized in which after 8 hours %cumulative drug release is 45%.

Table No. 3: % Cumulative Drug Release

TIME (h)	% CUMULATIVE DRUG RELEASE
1	5
2	12
3	19
4	27
5	32
6	36
7	41
8	45

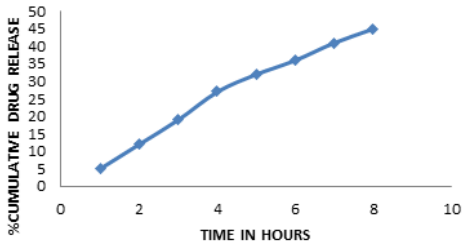

Fig.: 5 *In-vitro* Drug Release

FTIR studies

The result of the FTIR studies is given in Fig No.6. FTIR spectra of Irbesartan, lecithin, formulation and physical mixture were recorded by using Thermoscintific FTIR spectroscopy in the range of 4000-500 cm-[1].

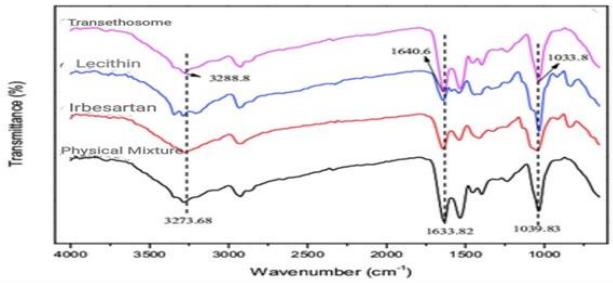

Fig.: 6 FTIR studies

SEM studies

The results of the SEM studies are given in Fig No. 7. A scanning electron microscope (SEM) is a range is about 200nm.

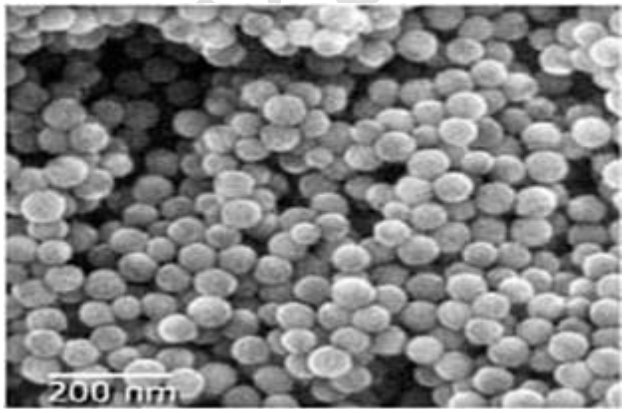

Fig.: 7 SEM studies

Conclusion

Irbesartan loaded with transethosome formulations were successively prepared using cold method. Characterization of transethosome as vesicle shape, vesicle size, PDI, zeta potential, entrapment efficiency, calibration curve of UV, % drug release, FTIR, SEM as responses.

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