JOURNAL OF SCIENTIFIC RESEARCH IN ALLIED SCIENCES
ISSN NO. 2455-5800
Contents available at www.jusres.com

Preparation of Globular Agglomerates of Angiotensin II Receptor Blocker Drug by Dispersal Technique

Sunil Kumar Garg[1], Prashant Soni[2]
1) *Dr. APJ Abdul Kalam College of Pharmacy, Bhopal (M.P.)*
2) *Sarvepalli Radhakrishnan University, Bhopal (M.P.)*

ARTICLE INFO

Article History
Received: May 2026
Accepted: June 2026

Keywords: Bioavailability, Solubility, Solvent

Corresponding Author
***Sunil Kumar Garg**

ABSTRACT

ORIGINAL RESEARCH ARTICLE

Improving oral bioavailability of the drugs which are agreed as solid dosage forms remains a confront for the formulation scientists due to solubility problems. Choice of method for solubility augmentation depends upon drug characteristics like solubility, melting point, physical nature, chemical nature, absorption site, pharmacokinetic behavior, dosage form requirement like tablet or capsule formulation, strength, immediate or modified release, regulatory requirements like maximum daily dose of any excipients and/or drug, approved excipients, analytical accuracy and so forth. Although these techniques have commonly been used to increase dissolution rate of the drug, there are practical limitations with these techniques, the desired bioavailability enhancement may not always be achieved.

INTRODUCTION

The most challenging task for research scientist is to formulate a successful drug product of a poorly soluble drug. This occurs mainly because of poor bioavailability. Improving oral bioavailability of the drugs which are given as solid dosage forms remains a challenge for the formulation scientists due to solubility problems. Limited drug absorption resulting in poor bioavailability is paramount amongst the potential problems that can be encountered when delivering an active agent via the oral route. Poorly water-soluble drugs often show poor bioavailability because of low and erratic levels of absorption. The rate limiting process for absorption of poorly soluble drugs from the solid dosage form could be a slow dissolution rate. Various factors affecting the drug absorption from gastrointestinal tract are poor aqueous solubility or poor membrane permeability. [1-2] Therefore, slow dissolution rate can be overcome by adopting various solubility enhancement techniques such as use of surfactants, complexation, polymorphism, salt formation, size reduction and emulsification. This method is one of the most potential approaches to improve the bioavailability of lipophilic drugs by an increase in surface area and saturation solubility by means of reduction of the particle size to sub-micron level. Particle size is a critical parameter which should be strictly controlled during the preformulation studies of any formulation. Although the reduction in the particle size is a successful way to enhance the solubility, if uncontrolled and un-optimized, it can lead to re-crystallization and re-aggregation of drug on storage. Hence a thorough study on particle size and physical stability should be done. Size reduction to submicron range is not possible by the conventional milling techniques. [3] Choice of method for solubility enhancement depends upon drug characteristics like solubility, melting point, physical nature, chemical nature, absorption site, pharmacokinetic behavior, dosage form requirement like tablet or capsule formulation,

strength, immediate or modified release, regulatory requirements like maximum daily dose of any excipients and/or drug, approved excipients, analytical accuracy and so forth. Although these techniques have commonly been used to increase dissolution rate of the drug, there are practical limitations with these techniques, the desired bioavailability enhancement may not always be achieved. In the current work, it was concentrated on improvement of the solubility of Telmisartan drug by quasi emulsion solvent diffusion technique.

EXPERIMENTAL WORK

Organoleptic Characteristics of Telmisartan

Organoleptic properties of Telmisartan were determined by various standard methods. The colour, odour, and taste of the drug were characterized and recorded using descriptive terminology.

Preparation of globular agglomerates by solvent diffusion techniques with solvents

Three different organic solvents as good solvent including methyl acetate (MA), ethyl acetate (EA) and isopropyl acetate (IPA) were employed as a dispersed phase for making oil-in-water emulsions (O/W). Crystallization was carried out in a cylindrical vessel equipped with three baffles. Telmisartan was dissolved in 15 ml of good solvent. The solvent solutions were then poured drop wise during 3 min, under stirring (500 rpm), into 585 ml of water containing 0.1% w/v emulsifier. Tween 80, SLS, PVP or HPMC were used as emulsifiers. After 15 min agitation by a propeller type stirrer, the agglomerates were separated from the solution by filtration under vacuum and then were placed in a thin layer in an oven at 60°C for 3 h. The solubility of organic solvents in water was the basis of the selection of the solvents in making solvent-in-water emulsion [4].

Table 1 Formula for Telmisartan globular agglomerates

Formulation Code	Telmisartan (mg)	SLS (%)	PVP (%)	Tween 80 (%)	Methyl acetate (ml)	Ethyl acetate (ml)	Isopropyl acetate (ml)
TEL F1	40	0.025	.....	.....	20	70	10
TEL F2	40	0.050	.....	.....	20	70	10
TEL F3	40	.....	0.020	.....	20	70	10
TEL F5	40	.....	0.050	.....	20	70	10
TEL F5	40	.....	.....	0.050	20	70	10
TEL F6	40	.....	.....	0.050	20	70	10

Evaluation of Telmisartan globular agglomerates

Particle Size:

Size of the pure drug and prepared agglomerates was evaluated using calibrated optical microscope. About 100 individual particle sizes were measured, their size range and mean diameter frequency were calculated. Then average particle size was calculated.

Solubility Studies

The solubility of prepared spherical agglomerates was investigated, by adding the excess drug particles in the solvents and shaking the glass vials for specific time until reaching equilibrium conditions.

Production yield

The yield of prepared spherical agglomerates was determined based on the total weight of agglomerates obtained. The quantities of agglomerates obtained were weighed after drying and yield was calculated.

RESULTS AND DISCUSSION

Organoleptic Characteristics of Telmisartan

The drug Telmisartan was evaluated organoleptic by sensory organs. The color of drug was found white to off-white powder, crystalline in nature with bitter taste and odorless.

Particle Size

The average particle size of the agglomerated drug (TEL Agg) was caluculated

which was more than pure drug. This indicated that during the recrystallization agglomeration of particles taken place. All the Results were given in table 2.

Table 2 Average particle size of drug and spherical agglomerates

Formulation Code	Average Particle Size (µm)
Tel Agg	225.10±12.10
Tel F1	358.20±10.10
Tel F2	325.20±11.10
Tel F3	345.10±14.10
Tel F4	285.30±11.20
Tel F5	315.30±10.10
Tel F6	365.08±10.25

Solubility Studies

The mean of three determinations was used to calculate the solubility of the drug in the solvents. The obtained results were recorded in table 3.

Table: 3 Solubility studies of Telmisartan and prepared agglomerates

Formulation Code	Solubility (µg/ml) in 0.1N HCl
Tel Agg	2103.10±30.10
Tel F1	3150.20±40.20
Tel F2	3245.50±40.10
Tel F3	3545.30±40.10
Tel F4	3198.25±40.30
Tel F5	3695.30±20.10
Tel F6	3450.10±30.20

Production yield

The yield of agglomerates was found to be in the range of 75.10 % to 76.80 % which reflects good yield. During spherical crystallization, little drug was stuck to the stirring element, which led to decrease in yield of agglomerated product. Results are given in table 4.

Table: 4 Production yield of Telmisartan and prepared agglomerates

Formulation Code	Production yield (%)
Tel Agg	66.30±1.2
Tel F1	64.10±1.0
Tel F2	63.12±1.0
Tel F3	65.40±2.0
Tel F4	66.20±0.4
Tel F5	64.30±1.2
Tel F6	66.10±1.0

CONCLUSION

It was concluded that spherical agglomerates of Telmisartan prepared with and without the polymers using QESD technique, showed significant improvement in flowabilty, compatibility, solubility and dissolution rate of the

Telmisartan compared with pure Telmisartan. Inclusion of polymers in the spherical agglomeration yields superior results, which in turn depends on type and concentration of polymer. Agglomerates were considered optimum as it showed bends development in solubility and dissolution rate respectively, compared with pure drug.

REFERENCES

1. Maheshwari RK, Shilpkar Rajendra. Formulation development and evaluation of injection of poorly soluble drug using mixed solvency concept. International Journal of Pharma and Bioscience. 2012; 3 (1): 179-189.
2. Kumari R, Chandel P, Kapoor A. Paramount Role of solid dispersion in enhancement of solubility. Indo Global Journal of Pharmaceutical Sciences. 2013; 3(1): 78-89.
3. Bhusnure G Omprakash, Yogita Mohite, Gholve S Sachin, Sidram P Giram, Thonte SS. Formulation and evaluation of poorly aqueous soluble drug by solid dispersion method. Journal of Pharmacy Research. 2016; 10 (1): 33-40.
4. Maryam Maghsoodi, Ali Nokhodchi. Agglomeration of Celecoxib by Quasi Emulsion Solvent Diffusion Method: Effect of Stabilizer. Advanced Pharmaceutical Bulletin. 2016; 6(4): 607–616.
5. Yadav VB and Yadav AV. Effect of Different Stabilizers and Polymers on Spherical Agglomerates of Gresiofulvine by Emulsion Solvent Diffusion (ESD) System. International Journal of PharmTech Research. 2009; 1 (2): 149-158.
6. Blagden N, Matas MD, Gavan PT, York P. Crystal engineering of active pharmaceutical ingredients to improve solubility and dissolution rates. Advanced Drug Delivery Review. 2007; 59 (7): 617-630.