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Formulation and in-vitro evaluation of electrospun microfibers for enhanced solubility of Olmesartan medoxomil

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ABSTRACT

Olmesartan medoxomil (OLM), which is a biopharmaceutical classification system class II drug has low aqueous solubility, resulting in its poor bioavailability and therapeutic efficacy. In this present study, OLM was formulated into electrospun microfibers in order to enhance its aqueous solubility and dissolution rate. OLM loaded microofibers were formulated by using electrospinning method using Eudragit RS 100 and Soluplus as carriers. The physicochemical characteristics of microfibers were evaluated by scanning electron microscopy (SEM), Fourier-transform infrared spectroscopy (FTIR), differential scanning calorimetry (DSC), drug content uniformity and *in vitro* dissolution studies. SEM and DSC analysis suggested that the electrospun microfibers were uniform and OLM is dispersed in an amorphous state. FTIR analysis showed no incompatibility between drug and polymers in the microfibers. OLM content in the prepared OLM loaded microfibers with Eudragit RS 100 and Soluplus were found to be 96.93 ± 0.03% and 93.67 ± 0.05% respectively. *In vitro* dissolution concluded that Soluplus and Eudragit RS 100 increased OLM's dissolution rate by three-fold and two-fold respectively. In conclusion, OLM had good compatibility with both the carriers and OLM's dissolution rate was remarkably enhanced by formulating electrospun microfibers with Eudragit RS 100 and Soluplus.

INTRODUCTION

The oral route is the most popular and convenient route of drug administration due to good patient compliance, safety, and versatility in the dosage form design. However, the issue with oral drug delivery is with those drugs that exhibit poor aqueous solubility, resulting in low dissolution rate and reduced bioavailability. One of the main challenges of the pharmaceutical industry is concerned with the strategies to enhance the aqueous solubility of poorly soluble drugs [1].

The biopharmaceutical classification system (BCS) acts as a guide for the classification of drugs according to their aqueous solubility and intestinal permeability into four classes. Thus, the *in vivo* drug bioavailability can be predicted by using BCS. Poorly soluble drugs are classified into Class II and Class IV according to their permeability. BCS class II drugs are poorly water-soluble but highly permeable; thus, they exhibit dissolution rate-limited absorption [2]. To increase the bioavailability of BCS class II drugs, the aqueous solubility and dissolution rate of the drug should be enhanced.

Improvement of drug solubility and dissolution can be accomplished by various techniques, such as particle size reduction, salt formation, complexation, crystal engineering, and so on. Amorphous solid dispersion is one of the most effective pharmaceutical strategies for improving the drug solubility, dissolution rate, and absorption of poorly water-soluble drugs. Thus, the bioavailability of poorly soluble drugs can be enhanced by formulating into an amorphous solid dispersion. Amorphous solid dispersion reduces drug particle size and incorporates the poorly water-soluble drug into a hydrophilic carrier to enhance the wettability, deagglomeration, and micellization of the drug. By formulating solid dispersion with high-energy amorphous drugs, the dissolution rate and bioavailability of poorly soluble drugs can be improved significantly. Finally, highly porous particles in the solid dispersion can enhance the drug release profile as well [3].

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