As synthetic and pharmaceutical scientists have realized that the development of new drugs alone is not sufficient to ensure progress in drug therapy, development of suitable drug carrier systems was considered a vital strategy to overcome these problems. One of those drug carrier systems is solid lipid nanoparticles (SLN), which have been developed for various routes of administration with several objectives including enhancement of bioavailability of poor water soluble drugs. In order to be effective, an orally delivered drug must avoid several potential barriers. For example, it must avoid degradation by stomach acid and gut lumen digestive enzymes; avoid metabolism by enzymes in the gut wall cell; and avoid first-pass extraction by the liver. Olmesartan medoxomil (OLM), a hypertensive drug is practically insoluble in water and has oral bioavailability of 26% and 99% plasma protein binding. It is on the basis of its physicochemical and biopharmaceutical properties, that the drug was selected as a candidate for SLN drug delivery system. The purpose of the present study was to investigate the bioavailability enhancement of OLM by solid lipid nanoparticles. OLM loaded SLN was prepared by hot homogenization and ultra-sonication method. Optimization was by particle size, polydispersity index, shape and surface morphology determination. Physicochemical and other spectroscopic parameters on optimized formulations (F₃ and F₇ respectively) were determined. *In-vitro* drug release studies were performed using dialysis bag. Bioavailability studies were done using albino rats. The *in-vitro* drug release study demonstrated that drug-loaded formulations gave higher drug release than olmesartan medoxomil. Zero-order kinetic model best described the release kinetics of the drug from the formulations based on the correlation coefficient values. When compared with the oral tablet of OLM, the pharmacokinetics of OLM loaded SLN formulations exhibited higher plasma drug concentration, larger area under the curve, and more enhanced oral bioavailability.

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