Intestinal permeation enhancement of Atenolol by chitosan and Carboxy methyl chitosan

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Atenolol, a β-blocker, prescribed widely in diverse cardiovascular diseases, has poor membrane permeability in the gastrointestinal tract. Due to its hydrophilic nature and low partition coefficient. The objective of the present study was to prepare chitosan and carboxymethyl chitosan microspheres with an aim to increase the intestinal permeation of atenolol. Initially, chitosan and carboxy methyl chitosan(CMCh) microspheres were prepared by emulsion crosslinking and ionic gelation technique using glutaraldehyde and calcium chloride as crosslinking agents respectively. The prepared microspheres were characterized for size, shape, encapsulation efficiency, in vitro drug release, intestinal permeation studies, FT-IR and DSC studies and stability studies. The chitosan microspheres were discrete, spherical, smooth surface with particle size range of 15.23±0.09 - 35.03±0.06 µm; whereas, carboxy methyl chitosan microspheres were irregular in shape with rough surface characteristics and particle size range of 812±0.09 - 996±0.07 µm. The percentage encapsulation of atenolol in the chitosan microspheres was found in the range of 68.82±0.12 - 81.47±0.21 and it was in the range of 58.59±0.35-68.93±0.25% for carboxy methyl chitosan microspheres. The drug release from the microspheres largely depended on the polymer used, method of microencapsulation, crosslinking agent and crosslinking time. The mechanism of drug release from optimized microspheres of atenolol exhibited non-Fickian diffusion controlled drug release and followed zero order kinetics. The permeation of atenolol largely depended upon the type of polymer, its concentration and also the microspheres made therein. Carboxy methyl chitosan showed significantly higher (P<0.05) permeation of atenolol both in its pure and microspheres form compared to chitosan in the similar concentrations. FT-IR and DSC studies of optimized formulations revealed the absence of drug polymer interactions. The prepared microspheres maintained their characteristics during the month accelerated stability studies.

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