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Formulation and Evaluation of Lisinopril Microspheres for Nasal Delivery

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Abstract : Lisinopril is an angiotensin converting enzyme inhibitor used in the treatment of hypertension and heart failure in prophylactic treatment after myocardial infarction and in diabetic nephropathy. However, it is very poorly absorbed from gastro-intestinal tract. Intranasal administration is an ideal alternative to the parenteral route for systemic drug delivery. Formulating multiparticulate system with mucoadhesive polymers provide a significant increase in the nasal residence time. The aim of the present approach was to overcome the drawbacks of the conventional dosage forms of lisinopril by formulating intranasal microspheres with Carbopol 974P NF and HPMC K4 M along with film forming polymer ethyl cellulose. The microspheres were prepared by emulsion solvent evaporation method. The prepared microspheres were characterized for encapsulation efficiency, drug loading, particle size, and surface morphology, degree of swelling, ex vivo mucoadhesion, drug release, ex vivo diffusion studies. All formulations has shown entrapment efficiency between 80 to more than 95%, mucoadhesion was more than 80 % and drug release up to 90 %. Ex vivo studies revealed tht the improved bioavailability of drug compared to oral drug administration. Both in vitro and in vivo studies conclude that combination of Carbopol and HPMC based microspheres shown better results than single carbopol based microspheres for the delivery of lisinopril.

Keywords: microspheres, lisinopril, nasal delivery, solvent evaporation method

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