Formulation and Evaluation of Floating Microspheres of an Anti-Ulcer Drug

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Abstract

Peptic ulcer is a common ulcer of an area of gastrointestinal tract, generally associated with helicobacter pylori. Nizatidine is the widely used drug for the treatment of the peptic ulcer which inhibits the gastric acid secretion. However, the most common disadvantage of Nizatidine is very short half-life 1-2 hrs which prompts for development of novel carrier that could effectively target Nizatidine to site of action with sustained action. The aim of the present investigation was to develop floating microspheres as gastroretentive drug delivery system of an antiulcer drug, Nizatidine. The microspheres were prepared by solvent diffusion evaporation technique, using polymers like ethyl cellulose, HPMC K15M and cellulose acetate. The prepared floating microspheres were optimized on the basis of particle size, percentage entrapment efficiency and percentage floating buoyancy. The optimized floating microspheres were further evaluated for floating time, percentage yield, percentage drug loading, micromeritic properties, in-vitro drug release studies and surface morphology by SEM. The formulated microspheres were free flowing and SEM studies indicated that the microspheres were porous and nearly spherical in shape. The optimized formulation which had a composition of 750 mg of ethylcellulose and 200 mg of HPMC K15M had percentage drug entrapment of 87.58% and percentage floating buoyancy of 91.80% with floating time more than 8 hours. *In-vitro* drug release studies showed a sustained release of drug up to 12 hours. The mechanism of drug release from floating microspheres was observed to follow zero order kinetics on the basis of R² value (Regression Coefficient) of various mathematical kinetic models. The data obtained in this study thus suggests that a microparticulate floating dosage form of Nizatidine can be successfully designed to give controlled drug delivery at the site of action.

Keywords: Nizatidine, floating microspheres, sustained release, gastro retentive drug delivery system.