Formulation of domperidone in gastro-retentive floating tablets

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Abstract:

The aim of this work was to enhance the oral bioavailability of water-insoluble, weaklybasic, anti-emetic drug; Domperidone (DMP), which has a poor oral bioavailability (13-17%). Adsorption of drug onto the surface of Aerosil 200 was achieved by solvent evaporation method to enhance the drug dissolution rate. Then, the adsorbates were formulated into gastro-retentive floating tablets to retain the drug in the acidic medium of stomach which is favorable for the drug dissolution. Different drug: adsorbent ratios were prepared and tested for their in-vitro dissolution rate to select the best ratio for the final formulation. Different concentrations of several polymers were used in the preparation of tablets matrices together with sodium bicarbonate to induce the floating effect via reaction with gastric HCl. Drug-excipient compatibility studies were performed using Fouriertransform Infrared Spectroscopy (FT-IR) and Differential Scanning Calorimetry (DSC)which confirmed the absence of incompatibilities between the drug and the used excipients. The tablets were prepared by direct compression technique and evaluated for their weight uniformity, drug content, friability, hardness, thickness, floating properties, in-vitro dissolution rate and kinetics of drug release. Formulae F7 (containing 30% w/w sodium alginate) and F8 (containing 40% w/w sodium alginate) showed the best results and thus; they were selected for in-vivo studies in rabbits. The selected formulae showed marked enhancement of domperidone bioavailability compared with the commercial conventional immediate-release tablets; Motinorm®, with relative bioavailability values of 298.26±11.53% and 315.04±13.39% for F7 and F8, respectively and proved that the selected formulae successfully controlled the drug release.

Keywords:

Domperidone, adsorbates, floating tablets, dissolution, bioavailability

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