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Invitro evaluation and optimization of controlled release floating drug delivery system of metformin hydrochloride

Asha Patel, Subhabrata Ray, Ram Sharnagat Thakur

Abstract:

The floating microspheres have been utilized to obtain prolonged and uniform release in the stomach for development of a once daily formulation. The major advantage of the preparation technique includes short processing time, the lack of exposure of the ingredients to high temperature, and high encapsulation efficiencies. In the present study, preparation of metformin hydrochloride floating microspheres, evaluation of Floating Drug Delivery System (FDDS) in vitro, prediction of the release, and optimization of floatation and drug release pattern to match target release profile was investigated. Floating microspheres were prepared by non-aqueous emulsification solvent evaporation technique using Ethylcellulose as the rate controlling polymer and 250 mg of metformin hydrochloride per batch and its in vitro performance was evaluated by the usual pharmacopoeial and other tests such as drug-polymer compatibility (FTIR scan), yield (%), particle size analysis, drug entrapment efficiency, surface topography, and in vitro floatation and release studies. Results showed that the mixing ratio of components in the organic phase affected the size, size distribution (250-1000 mm), drug content (61 – 134% of theoretical load), yield (58 – 87%) and drug release of microspheres (47 – 87% after 8 h), floating time (> 8 hr) and the best results were obtained at the ratio of drug: polymer: solvent (250:750:12 and 250:146.45:9 [mg: mg: ml]), when both the batches were mixed in equal proportions. In most cases good in vitro floating behavior was observed and a broad variety of drug release pattern could be achieved by variation of the polymer and solvent ratio, which was optimized to match target release profile. The developed floating microspheres of metformin hydrochloride may be used in clinic for prolonged drug release in stomach for at least 8 hrs, thereby improving the bioavailability and patient compliance.

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top