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School of Pharmacy and Pharmaceutical Sciences
Isfahan University of Medical Sciences

Formulation and evaluation of captopril floating matrix tablets based on gas formation

R. Teymouri Rad[1,*], S. Mortazavi[2], Z. Jafari-Azar[1]

[1]Pharmaceutical Sciences Branch,Islamic Azad University,Tehran,Iran
[2]Department of Pharmaceutics,Pharmacy School,Shahid Beheshti University of Medical Sciences,Tehran,Iran

Background and Aims: Floating drug delivery system (FDDS) is one of the gastroretentive dosage forms which could prolong gastric residence time to obtain sufficient drug bioavailability. FDDS is desirable for drugs with an absorption window in the stomach or in the upper small intestine like as Captopril that is an angiotensin-converting enzyme inhibitor and has been widely used for the treatment of hypertension and congestive heart failure.
Methods: in this study, the in vitro release of captopril (12 hrs) floating tablets has been studied. For this aim, we used different polymers with varying ratios (HPMC K4M, HPMC K15M, Carbomer 934, and Eudragit RS PO) lonely or in combination with each other, Sodium bicarbonate as a gas former with different percents, Lactose or Avicel PH 102 or combination of them as filler and Magnesium stearate as a lubricant. Then we did different tests on prepared tablets such as weight variation test, assay, hardness, friability, floating lag time (FLT) and duration (FD), swelling ability test, drug release and kinetic studies.
Results: The type and content of polymers and fillers affected on swelling and floating behavior and profile of release. When the amount of HPMC K4M increased FLT, FD and swelling index increased but drug release rate decreased .Tablets that contained Eudragit RS PO or Carbomer 934 lonely did not show floating behavior but we could modify them by adding to HPMC K4M .The amount of Sodium bicarbonate affected on FLT, FD and drug release rate.
Conclusions: The tablet containing HPMC K4M and Carbomer 934, Sodium bicarbonate (8%) and Avicel PH 102(32.35%) showed better controlled release over a period of 12h with the 90% drug release and suitable floating and swelling properties. The best formulation followed Hixson crowell Kinetic model.

Keywords: Captopril; Floating drug delivery system; HPMC K4M; HPMC K15M; Carbomer 934; Eudragit RSPO