Controlled-release effervescent floating matrix tablets of ciprofloxacin hydrochloride: Development, optimization and in vitro-in vivo evaluation in healthy human volunteers.

mina ibrahim tadros

Assistant Professor of Pharmaceutics and Industrial Pharmacy

Abstract

Ciprofloxacin hydrochloride has a short elimination half-life, a narrow absorption window and is mainly absorbed in proximal areas of GIT. The purpose of this study was to develop a gastroretentive controlled release drug delivery system with swelling, floating, and adhesive properties. Ten tablet formulations were designed using hydroxypropylmethylcellulose (HPMC K15M) and/or sodium alginate (Na alginate) as release retarding polymer(s) and sodium bicarbonate (NaHCO3) or calcium carbonate (CaCO3) as a gas former. Swelling ability, floating behaviour, adhesion period and drug release studies were conducted in 0.1 N HCl (pH 1.2) at 37 ± 0.5 ºC. The tablets showed acceptable physicochemical properties. Drug release profiles of all formulae followed non-Fickian diffusion. Statistical analyses of data revealed that tablets containing HPMC K15M (21.42%, w/w), Na alginate (7.14%, w/w) and NaHCO3 (20%, w/w) [formula F7] or CaCO3 (20%, w/w) [formula F10] were promising systems exhibiting excellent floating properties, extended adhesion periods and sustained drug release characteristics. Both formulae were stored at 40 ºC / 75% R.H for 3 months according to ICH guidelines. Formula F10 showed better physical stability. Abdominal X-ray imaging of formula F10, loaded with barium sulfate, in 6 healthy volunteers revealed a mean gastric retention period of 5.50 ± 0.77 h.

Eur J Pharm Biopharm - 2010, January