Research in Pharmaceutical Sciences, 2012;7(5)
School of Pharmacy and Pharmaceutical Sciences
Isfahan University of Medical Sciences

Formulation and *in vitro* evaluation of indomethacin enzymatic colon specific tablet using biodegradable polymers

F. Jafari[1,*], A. Mortazavi[2], Z. Jafariazar[3]

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

Background and Aims: More than one million people get colon cancer yearly resulting in about 0.5 million deaths. Recent reports have revealed the positive effect of indomethacin on colon cancer cells. In this study an attempt has been made to design a controlled release colon specific formulation of indomethacin.
Methods: The tablet cores were prepared using various grades of hydroxypropyl methylcellulose (HPMC K4M, K15M and K100M) and Eudragit® RS PO by wet granulation technique. After in-vitro studies, the best cores were coated with Pectin and Chitosan either alone or in combination. Finally all formulations were evaluated for their physical properties including friability, hardness and swelling studies. In vitro dissolution study was carried out in 0.1N HCl (pH1.2) for 2h then acetate buffer (pH 4.5) for 2h followed by phosphate buffer (pH7.2) containing rat caecal contents colonic enzymes over 10h.
Results: In vitro drug release studies on the cores prepared, indicated that using high amounts of HPMC, over 90% of drug was released within 12h and showed extensive swelling. However, when using lower than 10% HPMC, a rapid drug release was observed. When Eudragit® was used in amounts upper than 10%, the drug release rate was extremely slow. It was found that drug release of cores, coated by high amounts of Pectin or Chitosan alone and lower amounts of them in combination, resulted in less than 15% release in 0.1N HCl and acetate buffer . However drug release in phosphate buffer was 90% of its drug content within10h. Finally a core containing 10% HPMC K15M along a combination of Chitosan-Pectin in a ratio 1:10 as coating, produces the best drug release. This formulation also complied with all the physicochemical conducted and followed a zero order drug release kinetic.
Conclusions: Our results demonstrated a successful formulation of indomethacin for colon specific drug delivery.

Keywords: Indomethacin; Biodegradable polymers; Colon specific drug delivery; Ddrug release