Preparation and evaluation of physicochemical properties of colon specific delivery tablet of prednisolone

×Zahra Jafari Azar1, Alireza Mortazavi1,2, Fatemeh Jafari1, Shahrzad Mohamadi1

1) Islamic Azad University, Pharmaceutical sciences branch, Pharmaceutics department, Tehran, Iran.
2) Shahid Beheshti medical sciences, school of Pharmacy, Pharmaceutics department, Tehran, Iran.

Abstract: Colorectal cancer, Ulcerative colitis and Crohn's disease are progressive diseases, which are treated topically. In this study an attempt has been made to design a controlled release colon specific formulation of prednisolone using time-dependent and pH-dependent polymers.

In this method the tablet cores were prepared using Eudragit® RS PO and various grades of hydroxypropyl methylcellulose (HPMC K4M, HPMC 6M) by direct compaction technique. After in vitro drug release (phosphate buffer pH7.2 for 8h) studies, the best cores were coated with Eudragits® (S, L & RS) alone. The prepared formulations were evaluated for their physical properties, swelling studies, in vitro drug release (in 0.1N HCl pH1.2 for 2h, acetate buffer pH 4.5 for 2h and phosphate buffer pH7.2 over 8h) and assay.

In vitro drug release studies on the cores prepared indicated that, high amounts of HPMC can release 90% of the drug content within 8-10h. Similarly, tablet cores containing low amounts of Eudragit® showed the same manner of drug release.

Coated cores underwent dissolution studies and, it was found that higher amounts of Eudragit®L alone completely protect any drug release from the tablet core in 0.1N HCl pH1.2 and acetate buffer pH 4.5 from 2h. However, coated tablets by Eudragits® S, RS could prepared using a protect the cores to a lower extent.

Finally a core containing 15% HPMC K4M along Eudragits® S (10 mg) as coating produced the best drug release. This formulation also complied with all the physicochemical test conducted and followed a zero order kinetic of drug release.

Our results demonstrated a successful formulation of prednisolone for colon specific drug delivery.

Keyword: prednisolone, time-dependent polymers, pH-dependent polymers, colon specific delivery tablet