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FORMULATION AND OPTIMIZATION OF FLURBIPROFEN MATRIX TABLETS FOR COLONIC DRUG DELIVERY

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Abstract

The present study is the development of colon targeted matrix tablets of the drug flurbiprofen, A Nsaids class of drug that is designed to for sustained effect. Different formulation (F1 TO F9) batches were made with the help of different polymers and their different proportions (Guar gum, Eudragit RL, Eudragit RS) with the help of Wet granulation technique. The prepared matrix tablets were evaluated in terms of their pre-compression parameters, physical characteristics like hardness, friability, uniformity of weight, uniformity of drug content, invitro drug release. The in vitro release study had been done into simulated gastric and intestinal fluid with a new method. From this study we concluded that the batch F7 shows good results then the other batches. The batch F7 shows maximum prolong release upto 12 hrs.

Keywords: - Flurbiprofen, Colon, Sustained release, Guar gum, Eudragit RL, Eudragit RS.

Introduction

Targeted drug delivery into the colon is highly desirable for local treatment of a variety of bowel diseases such as ulcerative colitis. Crohn's disease. amebiosis, colonic cancer, local treatment of colonic pathologies, and systemic delivery of protein and peptide drugs.[1,2] The colon specific drug delivery system (CDDS) should be capable of protecting the drug en route to the colon i.e. drug release and absorption should not occur in the stomach as well as the small intestine, and neither the bioactive agent should be degraded in either of the dissolution sites but only released and absorbed once the system reaches the colon.[3] The colon is believed to be a suitable absorption site for peptides and protein drugs for the following reasons; (i) less diversity, and intensity of digestive enzymes, (ii) comparative proteolytic activity of colon mucosa is much less than that observed in the small intestine, thus CDDS protects peptide drugs from hydrolysis, and enzymatic degradation in duodenum and jejunum, and eventually releases the drug into ileum or colon which leads to greater systemic bioavailability.[4]

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And finally, because the colon has a long residence time which is up to 5 days and is highly responsive to absorption enhancers.[5]

Oral route is the most convenient and preferred route but other routes for CDDS may be used. Rectal administration offers the shortest route for targeting drugs to the colon. However, reaching the proximal part of colon via rectal administration is difficult. Rectal administration can also be uncomfortable for patients and compliance may be less than optimal.[6] Drug preparation for intrarectal administration is supplied as solutions, foam, and suppositories. The intrarectal route is used both as a means of systemic dosing and for the delivery of topically active drug to large intestine. the Corticosteroids hydrocortisone and prednisolone are administered via the rectum for the treatment of ulcerative colitis. Although these drugs are absorbed from the large bowel, it is generally believed that their efficacy is due mainly to the topical application. The concentration of drug reaching the colon depends on formulation factors, the extent of retrograde spreading and the retention time. Foam and suppositories have been shown to be retained mainly in the rectum and sigmoid colon while enema solutions have a great spreading capacity.[7]

Because of the high water absorption capacity of the colon, the colonic contents are considerably viscous and their mixing is not efficient, thus availability of