Recent Advances in Gastro-Retentive Drug Delivery Systems

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ABSTRACT

Controlled gastric retention of solid dosage form may be achieved by the mechanisms of floatation, muco-adhesion, sedimentation, expansion or by a modified shaped system. The purpose of this paper is to review the recent literature and current technology used in the development of gastroretentive drug delivery systems. Oral sustained release gastroretentive dosage forms offer many advantages for drugs having absorption from upper gastrointestinal tract and improve the bioavailability of medications that are characterized by a narrow absorption window. Gastroretention would also facilitate local drug delivery to the stomach and proximal small intestine. So, gastroretention could help to provide greater availability of new products and consequently improved therapeutic activity and required benefits to patients. The purpose of writing the article was to compile the recent literature with special focus on various gastroretentive approaches that have recently become leading methodologies in the field of site-specific orally administered controlled release drug delivery. In particular, bioadhesive, size-increasing and floating drug delivery systems are presented and their major advantages and shortcomings are critically discussed in this review. Thus, gastroretention could help to provide greater availability of new products and consequently improved therapeutic activity and substantial benefits to patients.

KEYWORDS: Non-effervescent systems; floating microspheres; size-increasing drug delivery systems; superporous hydrogel; magnetic marker monitoring.

Introduction

The absorption of the drug candidate from the gastrointestinal (GI) tract is dictated by the location of the dosage form in the GI tract and the GI content in case of gastroretentive (GR) drug delivery systems (Cargill, 1988). Some drugs are more efficiently absorbed from the upper part of GI tract while others are absorbed from the lower parts of GI tract (Chawla, 2003). Therefore, in instances where the drug is not absorbed uniformly over the entire GI tract, the rate of drug absorption may not be constant despite the DDS delivering the drug at a constant rate into the GI fluids. In such cases, where the drug has a particular absorption site in GI tract (i.e., absorption window like stomach or upper part of the small intestine) the drug may not be completely absorbed when administered in the form of a typical controlled DDS (Cremer, 1997). It is unambiguous that for drugs having an "absorption window" like stomach or upper part of the small intestine, an effective oral controlled DDS should be designed not only to deliver the drug at a controlled rate, but also to retain the drug in the upper parts of the GI tract for a long period of time (Desai, 1993).

Many drugs, categorized as once-a-day delivery, have been demonstrated to have suboptimal absorption due to dependence on the transit time of the dosage form, making traditional extended release development challenging. Therefore, a system designed for longer gastric retention will extend the time within which drug absorption can occur in the small intestine. Gastroretentive drug delivery system (GRDDS) can be used as carriers for drugs with so-called absorption windows. These substances e.g., antiviral, antifungal and antibiotic agents (sulphonamides, quinolones, penicillins, cephalosporins, aminoglycosides, tetracyclines, etc.), are taken up only from very specific sites of the GI mucosa. The GRDDS can improve the controlled delivery of the drugs which exhibit an absorption window by continuously releasing the drug for a prolonged period before it reaches its absorption site (Kohri, 1996), thus ensuring its optimal bioavailability (BA) (Gardner, 1985; Singh & Kim, 2000). Longer residence time in the stomach could be advantageous for local action in the upper part of the small intestine, for example, in the treatment of peptic ulcer disease. The GRDDS extends significantly over the period of time over which the drugs may be released. Thus, they not only prolong dosing intervals, but also increase patient compliance beyond the level of existing CR dosage forms. This application is especially effective in delivery of sparingly soluble and insoluble drugs.

The GRDDS greatly improves the pharmacotherapy of the stomach through local drug release, leading to high drug concentrations at the gastric mucosa (eradicating Helicobacter pylori from the submucosal tissue of the stomach), making it possible to treat stomach and duodenal ulcers, gastritis and oesophagitis, reduce the risk of gastric carcinoma and administer non-systemic, CR antacid formulations (calcium carbonate). In addition,