Research Paper

Preparation and Characterization of Gastroretentive Floating Microspheres of Ofloxacin Hydrochloride

Mona Semalty*, Shikha Yadav and Ajay Semalty
Department of Pharmaceutical Sciences, H.N.B. Garhwal University, India.

ABSTRACT: As Ofloxacin is preferably absorbed from the upper part of the gastrointestinal tract and is readily soluble in the acidic environment of the stomach, the floating microspheres of ofloxacin were formulated to develop gastroretentive formulation. These floating microspheres release the drug in the stomach and upper gastrointestinal tract and thereby improve the bioavailability. In the present study, six formulations of ofloxacin hydrochloride were prepared as floating microspheres by solvent diffusion technique using polymers such as ethyl cellulose, polyvinyl pyrrolidone K-90 and polyvinyl alcohol in different ratios. The prepared microspheres were evaluated for different physicochemical tests such as particle size, percent drug entrapment, drug content uniformity, SEM, buoyancy test, and in vitro drug release studies. The results of all the physicochemical tests of all formulations were found to be satisfactory. In vitro floatability studies revealed that most of the microspheres (52.5% to 95.5%) were floatable. The in vitro drug release was found to be in the range of 39.64 to 93.64% at the end of 6 hours. It is concluded that these floating microspheres can be selected for the development of gastroretentive drug delivery system of ofloxacin hydrochloride for potential therapeutic uses.

KEYWORDS: Gastroretentive Floating Microspheres; Ofloxacin hydrochloride

Introduction

Oral drug delivery is the most used and preferred route of administration with the obvious advantage of ease of administration and patient acceptance. To develop a drug delivery system for oral administration, it is necessary to optimize not only the release rate of an active ingredient from the system but also the residence time of the system in the gastrointestinal tract (Lee et al., 1999).

Gastroretentive drug delivery is an approach to prolong gastric residence time, thereby targeting site-specific drug release in upper gastrointestinal (GI) tract. A gastroretentive dosage form (GRDF) releases medications in a controlled manner for extending the absorption phase of drugs which show a limited and narrow absorption window at the upper part of the gastrointestinal tract or drugs intended to treat local ailments in the gastroduodenum. This mode of administration may prolong the time period in which the blood drug concentrations are within the “therapeutic levels” and improve therapy. Besides being locally active in the stomach, these extended-release dosage forms with prolonged residence time in the stomach are also highly desirable for drugs that are unstable in the intestinal or colonic environment, and/or have low solubility at higher pH values (Streubel et al., 2003). Therefore, development of GRDFs has been a major pharmaceutical challenge during the past few decades (Eyten et al., 2003).

The gastroretentive dosage forms (GRDFs) has been designed in large part based on the following approaches (Chavanpatil et al., 2005): (a) low density form of the DF that causes buoyancy above gastric fluid; (b) high density DF that is retained in the bottom of the stomach; (c) bioadhesion to the stomach mucosa; (d) slowed motility of the gastrointestinal tract by concomitant administration of drugs or pharmaceutical excipients; (e) expansion by swelling or unfolding to a large size which limits emptying of the DF through the pyloric sphincter.

Floating drug delivery systems (FDDS) have a bulk density less than gastric fluid and therefore remain floating in the stomach without affecting gastric emptying rate for prolonged period. The drug is slowly released at the desired rate from the floating system. After release of drug, the residual system is expelled from the stomach. These floating dosage forms may have a number of advantages in oral drug delivery because they prolong retention in the gastrointestinal tract, particularly in the stomach. Gastroretentive delivery system facilitate sustained drug release and maintain high concentrations of drug within the gastric mucosa. This property may also be performed for treatment of *Helicobacter pylori* infection (Bardonet et al., 2006; Blaser, 1992).