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Review article

Recent advancements in mucoadhesive floating drug delivery systems: A mini-review

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ABSTRACT

Over the past few decades, extensive research has been carried out to develop a gastroretentive dosage form (GRDF). This type of dosage form can improve the delivery and performance of drugs that are locally active in the stomach because the GRDF allows the drug to remain in the stomach for a sufficient time interval. Different approaches have been used to develop efficient GRDFs such as low density systems, high density systems, swelling and expanding systems, super-porous hydrogels, hydrodynamically balanced systems, gas generating systems, raft forming systems, floating systems, and ion exchange resins. However, these kinds of systems possess both advantages and disadvantages. Intra-individual and inter-individual differences in gastric physiology are obstacles in the development of efficient GRDFs. Examples of these individual differences include gastric pH and gastric motility, which have a significant impact on gastric retention time and drug delivery. Some of these obstacles can be overcome by developing a novel mucoadhesive floating drug delivery system (MFDDS). The MFDDS is characterized by intimate contact of the mucoadhesive dosage form with the mucosal layer, thereby increasing the localized absorption of the drug. The present mini-review provides valuable information and highlights the advancement of the MFDDS.

Contents

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1. Introduction

The oral route is generally considered the ideal route for drug administration in single dose systems due to ease of administration, low cost, and wide flexibility in formulations. Oral drug delivery systems are ideal if they are single dose systems that deliver the drug directly to the target site and maintain the desired drug concentration with a long duration of action. Extensive research has been performed to develop single dose controlled or sustained drug release systems in order to provide better patient compliance and less frequency of administration. The physicochemical properties of most of the weakly basic drugs, such as high solubility at an acidic pH but poor solubility at an alkaline pH, result in poor absorption of the drug from the intestine. Gastroretentive drug delivery systems (GRDDS) show an added advantage of enhanced bioavailability compared with drugs that are locally active in the stomach, such as antacids and antibiotics. The controlled and prolonged drug release of GRDDS also helps to minimize mucosal irritation caused by many drugs. The most important advantage of GRDDS is site specific-drug delivery for the treatment of gastrointestinal disorders, such as gastroesophageal reflux. Slow but complete drug release in the stomach is expected to result in improved bioavailability, as well as lowering the required dose and minimizing gastrointestinal side effects. This not only prolongs the drug-dosing interval but also improves patient compliance [1–3].

Along with these advantages, GRDDS possess some disadvantages, including incompatibility with drugs that cause irritation and/or lesions to the gastric mucosa. These compounds are not suitable candidates to be formulated as floating drug delivery systems. Gastric emptying (GE) of floating dosage forms in bedridden patients may occur at random and is highly dependent on the diameter and size of the formulation. Therefore, it is advisable that patients should not be administered floating dosage forms just prior to bedtime. Also, absorption of the drug may be affected by the presence of food in the stomach, variations in the stomach emptying time, the frequently changing environment in the gastrointestinal tract (GIT), and the physical properties of the drug delivery system (e.g. density and size) [1–3].

Several techniques have been reported in the literature for developing a dosage form that can be retained in the stomach. These are depicted in Fig. 1 as; 1) low density systems, 2) high density systems, 3) swelling and expanding systems, 4) super-porous hydrogels, 5) hydro-dynamically balanced systems, 6) gas generating systems, 7) raft forming systems, 8) floating systems, and 9) ion exchange resins [1,3–5].

Among these various GRDDS prepared by above mentioned approaches, floating drug delivery systems by virtue of their low density remain buoyant above the gastric content for the prolonged period of time and thus provide a continuous local release of the drug in the stomach. Even though, floating drug delivery systems are predominantly used as they do not adversely affect the motility of the GIT, the major setback for these systems is that they are effective only when the fluid level in the stomach is sufficiently high. However, when the stomach is emptied by the movement of

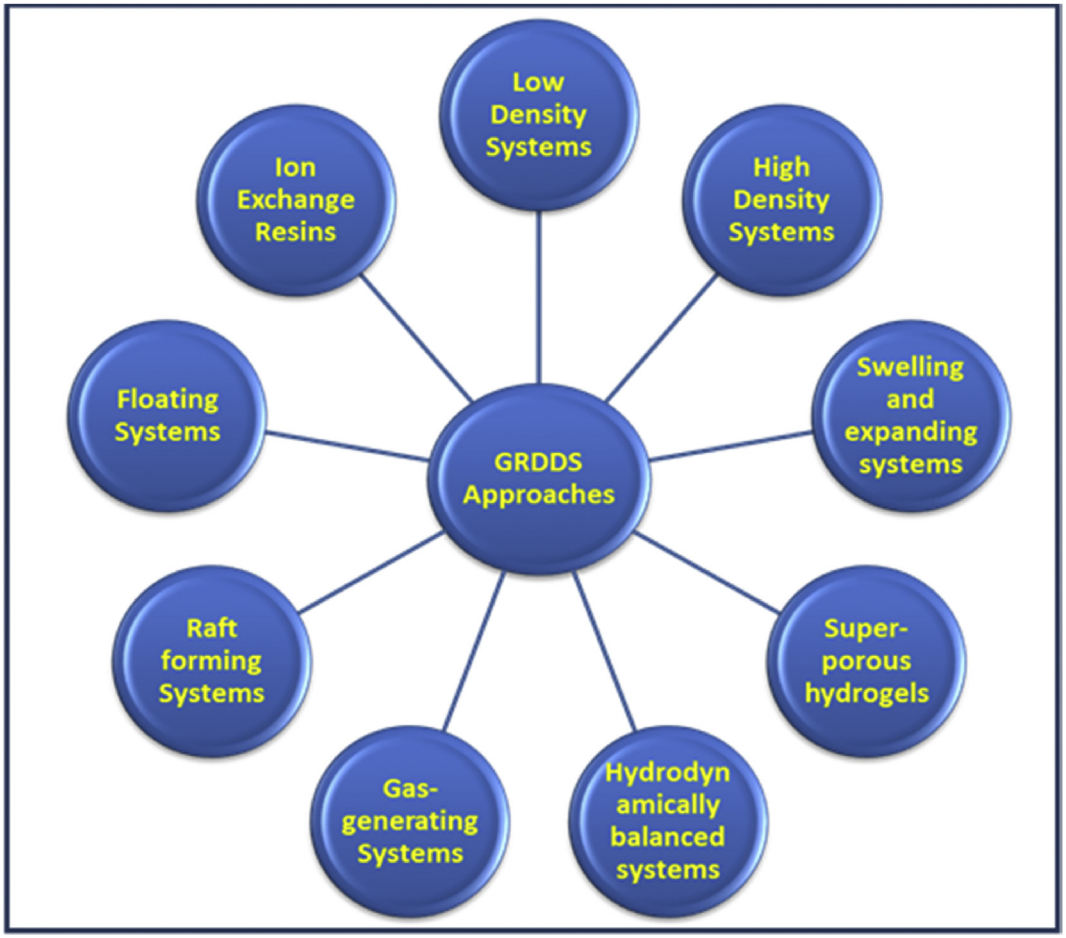

Fig. 1. Different approaches of the gastroretentive drug delivery system.