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# Brief overview of gastro-retentive drug delivery system

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## Abstract

English oral delivery of drugs was the most commonly used modality because of patient compliance and ease of administration. After oral administration of any drug, its bioavailability is affected by its residence time in the stomach. This variability may lead to unpredictable times when achieving peak plasma levels. The purpose of writing this review on gastro-retentive drug delivery systems was to comply with the recently become leading methodologies in site-specified orally administrated controlled releasing drug delivery. GRDDS can improve the controlled delivery of drugs that have an absorption window by continuously releasing the drug for a prolonged period before it reaches its absorption site. Different innovative approaches like magnetic field assisted gastro-retention, plug type swelling system, muco-adhesion technique, and floating system with or without effervescence are being applied to fabricate GRDDS. Recently applied gastrointestinal technologies such as expandable, super porous hydrogel; bio\mucoadhesive, magnetic, ion-exchange resins; and low- high-density systems have also been examined along their merits and demerits. The purpose of factors related to GRDDS, its advantages and disadvantages, and emphasis is given on its significance over conventional forms of drug deliveries. The classification, formulation, objectives, methods, and results consideration for gastro retention drug delivery system.

**Keywords:** Gastro Retentive Drug Delivery System; Floating System; Therapeutic Window; Gastric Emptying; Bioavailability; Bio/Mucoadhesive System

## 1. Introduction

Oral administration is popular despite continuous improvement in drug delivery approaches owing to patient comfort and ease of administration [1]. In most of the cases, the conventional oral delivery systems show limited bioavailability because of fast gastric-emptying time among many other reasons involved the recent technological development has resulted in many novel pharmaceutical products, mainly the controlled release drug delivery systems to overcome this problem. Gastro-retentive drug delivery system [2]. These systems offer several benefits such as prolonged gastric residence time (GRT) of dosage forms in the stomach for up to several hours, increased therapeutic efficacy of drugs by improving drug absorption, and suitability for targeted delivery in the stomach [3]. Despite significant advancements in drug delivery, technology oral route is the most preferred route of administration for various active ingredients due to case administration, low drug, patient compliance, and flexible design of formulation [4]. A better understanding of the anatomy and physiology of the stomach (specifically proximal stomach funds and body; and the distal stomach antrum and pylorus) plays a crucial role in the successful development of the gastro-retentive dosage form. The critical factors that affect the gastro-retentive drug delivery systems are the size/shape/density of gastro-retentive formulations, caloric density, factors associated with patients, etc. [5].

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### 1.1. Advantages of GRDDS

- Gastro retentive dosage forms release the drug in a controlled manner to their specific site of action. These systems help increase the bioavailability of drugs that get metabolized in the upper part of the gastrointestinal tract, such as riboflavin and levodopa [6].
- GRDDS can minimize the counter activity of the body leading to higher drug efficiency. Reduction of fluctuation in drug concentration makes it possible to obtain improved selective receptor activation.
- The sustained mode of drug release from gastro retentive doses form enables the extension of the time over a critical concentration and thus enhances the pharmacological effect and improves the chemical outcomes [7].
- For drugs that have a short half-life, gastro-retentive dosage forms help reduce the dosing frequency and improve patient compliance by enhancing GRT [8].

### 1.2. Disadvantages of GRDDS

- Unsuitable for drugs with limited acid solubility (e.g. phenytoin). Unstained for drugs that are unstable in acidic environments.
- Drugs that cause gastro lesions on slow release.
- e.g. aspirin, corticosteroid and NSAID'S. Drugs that absorb selectively in the colon.

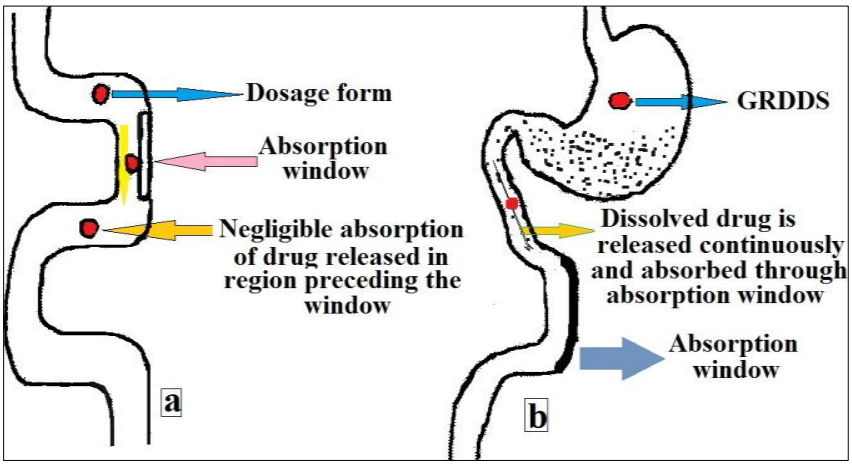


**Figure 1** a) Conventional drug delivery b) Gastro-retentive drug

Gastro retentive drug delivery system is an approach to prolong gastric residence time, thereby targeting site-specific drug release in the upper gastrointestinal tract (GIT) for local or systemic effects. Gastro-retentive dosage forms can remain in the gastric region for long periods and hence significantly prolong the gastric retention time (GRT) of drugs [9]. The release rate will be controlled depending upon the type and concertation of the polymer that swells, leading to diffusion and erosion of the drug [10]. It is one of those approaches to prolong gastric residence time, thereby targeting site-specific drug release in the stomach for local and systemic effects [11]. A constraint in oral controlled drug delivery is that not all controlled drug candidates are absorbed uniformly throughout the GIT. Some drugs are absorbed in a particular segment of GIT only or are absorbed to a different extent in various segments of GIT. GRDDS are suitable for those drugs, that are absorbed from the stomach for example Albuterol, labile at alkaline PH poorly soluble at alkaline PH Furosemide and diazepam, and having a narrow window of absorption. Prolonging the gastric retention of the drugs is something desirable for achieving therapeutic benefits of drugs that are absorbed from the proximal part of the GIT or those that are less soluble in or are degraded by alkaline pH or encountered at the lower part of the GIT.

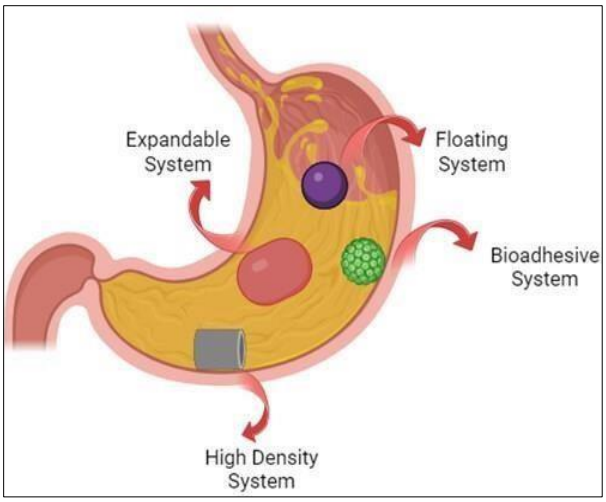


**Figure 2** Advanced Polymers And Recent Advancements In Gastro- Retentive Drug Delivery

### 1.3. GRDDS is beneficial for such drugs by improving their:

- Bioavailability.
- Therapeutics efficiency.
- Possible reduction of the dose.
- Maintenance of constant therapeutic levels over a prolonged period and thus a reduction in fluctuation in the therapeutic levels.
- Reduce drug wastage.

GRDDS are feasible for drugs that have low absorption in the lower part of GIT. Unstable, and poorly soluble at alkaline pH, and the drugs have shorter half-lives. Various formulations-related factors such as polymer type (non-cationic, anionic) polymer, composition, viscosity, the molecular weight of the polymer, and drug solubility can the quality of the gastro retentive dosage form. The stomach is a J- shaped enlargement of the GI tract directly inferior to the diaphragm in the abdomen. The stomach connects the esophagus to the duodenum, the first part of the small intestine.

## 2. Anatomy of the stomach

The stomach has four main regions: the cardia, fundus, body, and pyloric part. The cardiac surrounds the superior opening of the stomach. The rounded portion superior to and to the left of the cardia is the fundus, inferior to the fundus is the large central portion of the stomach of the body, and the pyloric part is divided into three regions. The first region, the pyloric antrum, connects to the stomach. In a fasting state, a sequence of contractions occurs cyclically through the stomach and intestine every 120-180 min, called the migrating myoelectric cycle. It is further divided into four phases. The pattern of contraction changes in a fed state is termed

the digestive motility pattern. This pattern comprises a phase-1 (basal phase) period of no contraction, a phase-2 (pre-burst phase) period of intermittent contraction, phase-3 (burst phase) period of regular contraction at the maximal frequency that migrates distally, a phase-4 period of transition between phase 3 and 2. Depicts the motility patterns in the gastrointestinal tract. After a meal, the average volume of a stomach is about 1.51, which varies from 250-500 ml during the inter-digestive phases, the part made of the fundus and the body acts as a reservoir of any undigested material, while the antrum performs as the principal site for the mixing action. Being the lower part, the antrum works as a pump for gastric emptying by a propelling action Fig Current State and Future Perspectives on Gastroretentive.

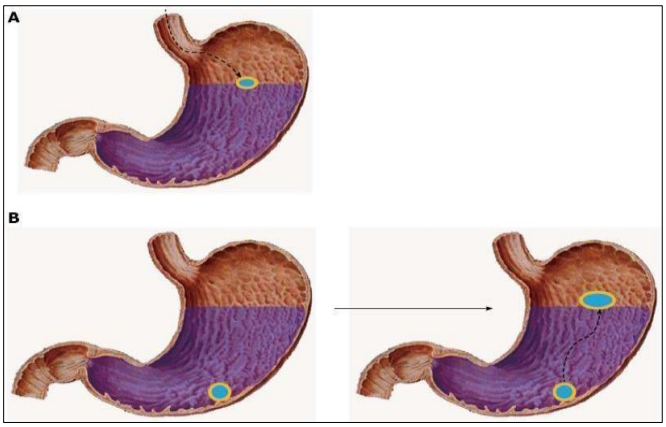


**Figure 3** Gastroretentive drug delivery systems for the treatment of Helicobacter Pylori

As a result, particles smaller than the diameter of the pyloric sphincter can easily evacuate from the pyloric to the duodenum during the digestive phase. However, in the fed state, motor activity is generated 5-10 min after ingestion of a meal and continues as long as the food remains in the stomach, which can delay the gastric emptying rate.

## 3. Factors affecting grids pharmaceutical factors:

The most important factors controlling the gastric retention time of dosage forms include fed or unfed state, nature of the meal, caloric content, and frequency feeding, in the case of a fasting environment, gastric retentive time is less due to the increase in GI motility. Emptying of gastric content occurs due to peristalsis.

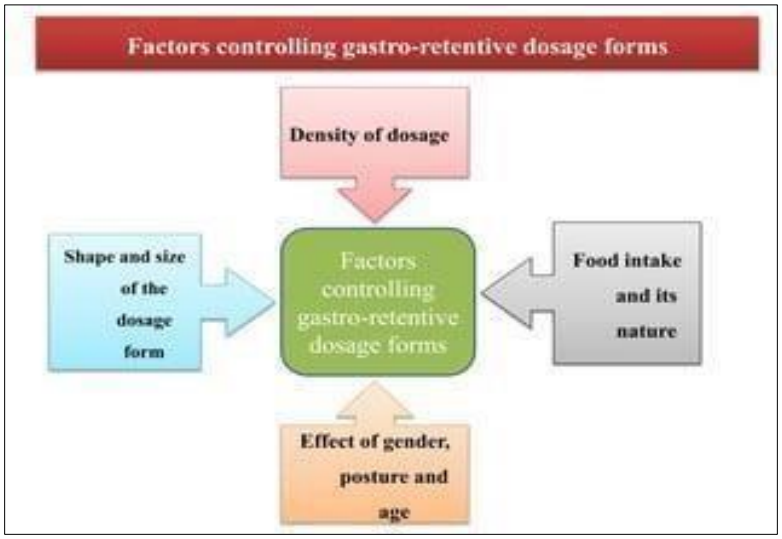


**Figure 4** Features And Facts Of Gastroretentive Drug Delivery System

- **Density:** The dosage from the physical parameter density affects the gastro retention time through the opposing of floating and sinking.
- **Size-dosage:** It has a diameter of more than 7.5mm have more gastric residence time than that of a 9.9mm diameter dosage form.
- **Fed or unfed state:** It is under fasting conditions by periods of strong motor activity that occur every 1.5-2 hrs. The MMC (migrating motor complex) sweeps undigested material from the stomach and if the training of the formulations coincides with that of MMC, the GRT of the unit can be very short, however in a fast state MMC is delayed and GRT is longer.
- **Single multiple units:** It is preferable because of the predictable release profile, co-administration of different units, and larger safety margin.

- **Frequency of the meal**: Feeding increase over 400 min when successive meals given are compared with the single meal due to the low frequency of MMC.
- **Gender:** Mean ambulatory GRT in males (3-4) hrs is less compared with the age and race-matched female counterparts (4-6) hrs regardless of height, weight, and body surface.
- **Age:** People with age more than 70 have a significantly longer GRT.

## 4. Classification of GRDDS

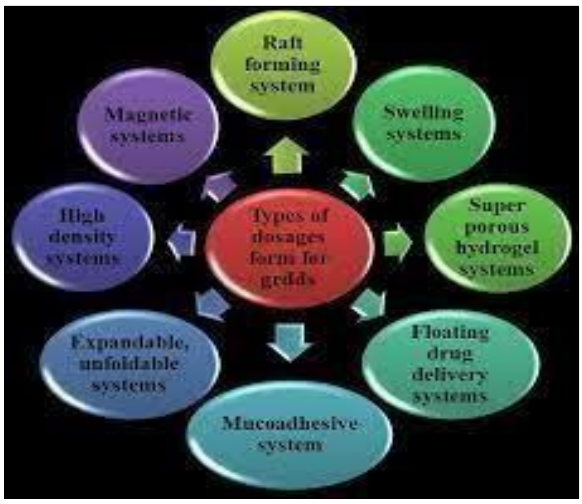


**Figure 5** Approaches of GRDDS

GRDDS are classified into mainly two types: floating and non-floating systems. Floating systems are further classified into effervescent system and non-effervescent systems based on the mechanism of floating, while non-floating systems classified into four different classes based on the mechanism used for gastro retentive depicts the classifications of the GRDDS.

- **High-density system:** the density of dosage form plays an important factor in the formulation of the GRDDS. A high-density system uses its weight as a retention mechanism. To enhance the gastric residence of a drug in the stomach, its density must exceed the normal stomach content (1.004g/ml). They reported that the GRT of such a formulation can be extended from an average of 5.8 h to 25 depending more on density than on the diameter of the pellets.
- **Floating or low-density system:** another approach to increase gastric residence is to lower the density of dosage form that the normal gastric content. These systems remain buoyant due to lower density and provide continuous drug release. In this way, they increase GRT of it's the drug and improve its bioavailability. Depicts the principle of floating or low-density systems.
- **Effervescent System:** this system consists of swellable polymers like chitosan and effervescent substances like sodium bicarbonate, disodium glycine carbonate, citric acid, and tartaric acid. When the system comes in contact with gastric fluids, carbon dioxide causes the formulations to flatten in the stomach.
- **Non-effervescent system:** in this system, gel-forming or highly swellable cellulose-type hydrocolloids, polysaccharides, and matrix-forming polymers such as polycarbonate, polyacrylate, polymethacrylate, and polystyrene are used.
- **Magnetic system:** This system is based on the simple idea that the dosage form consists of a small internal magnet placed on the abdomen over the position of the stomach.
- **Mucoadhesive system:** the drug delivery systems contain a mucoadhesive polymer that adheres to the gastric mucosal surface and prolongs its gastric retention in the git.

**Table 1** Dosage forms and drugs used in GRDDS formulations

| DOSAGE FORM | DRUG |
|---|---|
| Floating microspheres | Aspirin, Ketoprofen, Ibuprofen, Verapamil |
| Floating Films | Cinnarizine, Piretanide, Prednisolone |
| Floating tablets and pills | Acetaminophen, Aspirin, Verapamil, Atenolol |
| Floating capsules | Diazepam, Furosemide, Misoprostol, pep statin |
| Floating powder | Riboflavin, phosphate, sotalol |
| Floating granules | Diclofenac sodium, Indomethacin, Prednisolone |

## 5. Conclusion

Over the past two decades, there have been significant advances in the development of gastroretentive drug delivery systems for the treatment of H. pylori infections. The literature has shown that gastro-retentive dosage forms are effective at not only prolonging retentive time in the stomach but also targeting H. pylori. However, we still lack sufficient in vivo data, especially in humans. Although some studies have that the gastroretentive delivery system works well in animal models (e.g., rats and Mongolian gerbils), these results may not translate to humans because of the differences among species. The currently available polymer medicated noneffervescent and effervescent FDDS, designed based on delayed gastric emptying and buoyancy principle, appear to be a very effective approach to be looked into for the production of floating drug delivery. The most important criterion has concluded that these dosage forms should be less than gastric fluid. Hence, it can be concluded that these dosage forms serve the best in the treatment of diseases related to the GIT and for extracting a prolonged action from a drug with a short half-life

## Compliance with ethical standards

*Disclosure of conflict of interest*

No conflict of interest is to be disclosed.

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