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A Review Floating Drug Delivery System

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Abstract:

Oral controlled release delivery systems are programmed to deliver the drug in predictable time frame that will increase the efficacy and minimize the adverse effects and increase the bioavailability of drugs. It is most widely utilized route of administration among all the routes that have been explored for systemic delivery of drugs via pharmaceutical products of different dosage form. Recent technological and scientific research has been devoted to the development of rate controlled drug delivery systems to overcome physiological adversities such as short gastric residence times and unpredictable gastric emptying times. Differences in gastric physiology such as gastric pH and motility exhibit both intra and inter subject variability demonstrating significant impact on gastric residence time and drug delivery behavior.

This triggered an increased interest towards formulation of novel delivery systems which retained in the stomach for prolonged and predictable period of time. Several approaches such as floating drug delivery systems (FDDS), swelling and expanding systems, bioadhesive systems, modified shape systems, high density systems or other delayed gastric emptying devices have been discovered till now. FDDS are of particular interest for drugs that are locally active and have narrow absorption window in stomach or upper small intestine, unstable in the intestinal or colonic environment, and exhibit low solubility at high pH values. This review article is in pursuit of giving detailed information on the pharmaceutical basis of their design, classification, advantages, *in vitro* and *in vivo* evaluation parameters, and the future potential of FDDS.

Keywords: Floating drug delivery systems, Gastric residence time, Floating tablets, GRDS, Evaluation of FDDS.

INTRODUCTION:

Oral administration is the most versatile, convenient and commonly employed route of drug delivery for systemic action. Indeed, for controlled release system, oral route of administration has received the more attention and success because gastrointestinal physiology offers more flexibility in dosage form design than other routes. Among the many oral route of administrations, floating drug delivery attains much attention to the researcher to develop and deliver the drugs which are highly soluble at acidic environment and drugs which are unstable at alkaline environment. The concept of floating drug delivery systems (FDDS) was first described in the literature in 1968 when Davis developed a method for overcoming the difficulty experienced by persons of gagging and choking while swallowing medicinal pills. He suggested that such difficulty could be overcome by providing pills with a density of less than 1g/cm such that the pill will float on the surface of water. FDDS are low-density systems that have sufficient buoyancy float over the gastric contents and remain in the stomach for a prolonged period.[1]

FDDS are preferred as they are economic and has improved patient compliance and they are advantageous for drugs absorbed from the stomach. Gastroretentive drug delivery systems are designed to be retained in the stomach for a prolonged time and release their active ingredients and thereby enable sustained and prolonged input of the drug to the upper part of the gastrointestinal tract. A modified release drug delivery system with prolonged residence time in the stomach is of particular interest for drugs- acting locally in the stomach; having an absorption window in the stomach or in the upper part of small intestine; those unstable in the intestinal or colonic environments; or those having low solubility at high pH values. To formulate a successful gastroprotective drug delivery system, several techniques are currently used such as floating drug delivery system, low density systems, raft systems incorporating alginate gel, bio adhesive or mucoadhesive systems, high density systems, superporous hydrogel and magnetic system. Among these, the floating dosage forms have been most commonly used. Floating dosage forms may be made as tablets or capsules by using appropriate

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excipients and including gas-generating agents, which give the dosage form buoyancy in gastrointestinal fluids.

Floating systems explains that the systems are having low density, having a greater property of buoyancy to float over the gastric fluids present in stomach and help in maintaining of longer action. Davis first identified floating systems in 1968. They are low-density systems with enough buoyancy to float over the gastric contents and stay in the stomach for an extended period of time. The drugs which are having short biological half-life, they can be sustained by floating drug delivery system and their efficacy can be increased and help in decreasing the dosing frequency.

Basic physiology of GIT:

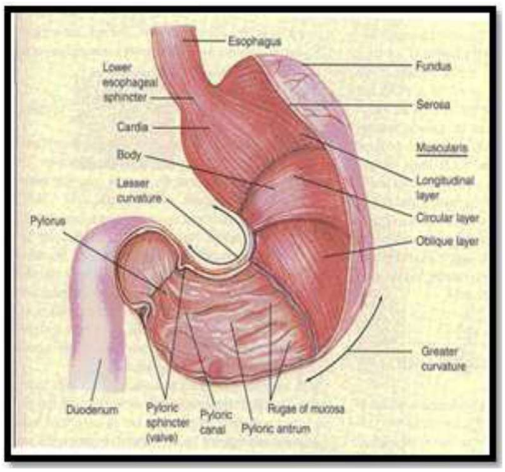
The abdomen's primary function is to store and move food through the digestive system. The abdomen is a stomachic organ located between the small intestine and the oesophagus. The structure of the stomach is shaped like a "J." The stomach is anatomically divided into four main parts: the aperture, fundus, body, and pylorus. The front and posterior sides are fluently orbicular, and a serous membrane surrounds the stomach. [2]

Cardia: The stomach region closest to the oesophagus. Food and liquids enter the stomach via the cardia from the oesophagus.

Fundus: The fundus is part of the stomach that stores gas from digestion.

Body: It is located close to the fundus. The body is the large median portion of the stomach.

Pylorus: The pylorus is a valve that opens and closes during digestion. This allows partially digested food and other stomach contents to pass from the stomach to the small intestine.



Fig(1): physiology of GIT

Stages of GIT :

Whether you are eating or not, your stomach empties both ways. However, the two states, as well as the motility pattern, differ from one another. An inter-digestive series of electrical events occur during the fasting state and cycle through the stomach and intestine every two to three hours. The following details about the 4 phases are explained below:

Stage I: In the basal phase, contractions are infrequent and persist for 40 to 60 minutes.

Stage II: (The pre-burst phase) is forty to sixty minutes long with sporadic contractions and action potential. Progressive increases in both power and frequency rise throughout the phase as well.

Stage III: (The burst phase) lasts between four and six minutes. It contains brief, recurring contractions that are strong and frequent. All of the undigested material is pushed out of the stomach and into the small intestine as a result of this wave. Another name for it is the “housekeeping wave.” [3]

Stage IV: Between stages III and I of two consecutive cycles, lasting 0–5 minutes. The pattern of contractions switches from that of a fasted state to that of a fed state following the consumption of a mixed meal. In phase II of the fasting state, constant contractions are present in this pattern, which is also known as the digestive motility pattern.

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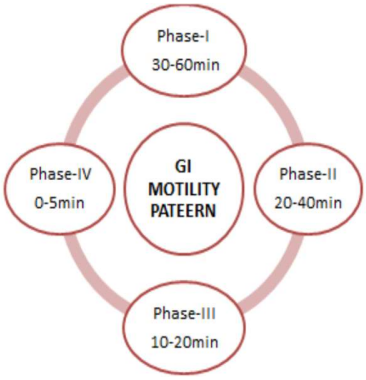


Fig(2): GI motility pattern

Gastro Retentive System:

A gastroretentive system (GRS) is a drug delivery technology designed to keep a dosage form in the stomach for a prolonged period, improving the bioavailability of drugs with a narrow absorption window in the upper GI tract

. The two main types are **floating systems**, which are less dense than gastric fluids and float, and **non-floating systems**, which use other mechanisms like swelling, mucoadhesion, or magnetic force to stay in the stomach.

Introduction

- **Purpose:** To prolong the gastric residence time (GRT) of a drug, allowing for more sustained release and improved absorption of drugs that are poorly absorbed or have a narrow absorption window in the stomach or upper small intestine.
- **Benefits:**
  - Enhanced bioavailability
  - Reduced dosing frequency, leading to better patient compliance
  - Site-specific drug release for local or systemic effects[4]

Types of Gastro-Retentive Systems

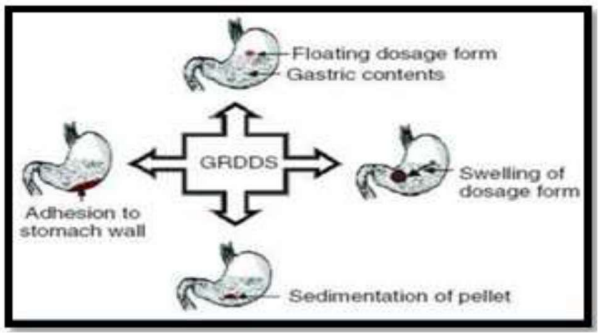
1. Floating systems
   - Low density → float on gastric fluid
   - *Examples:* Effervescent, Non-effervescent floating tablets
2. Mucoadhesive systems
   - Stick/bind to gastric mucosa → stay longer in stomach
3. Expandable systems
   - Swell or unfold → become too large to exit stomach
4. High-density systems
   - Very dense → sink and stay in stomach (density > 2.5 g/cm³)
5. Superporous hydrogels
   - Swell very fast → maintain size and gastric retention
6. Magnetic systems
   - Tablet contains magnet + external magnet holds it in stomach

Approaches to Gastroretention:

Several techniques are reported in the literature to increase the Gastroretention of drugs. Among many few majorn approaches are listed below:

High Density systems: These systems which have density of ≥3g/cm, are retained in the stomach rugae of stomach and capable withstanding its peristaltic movements11. The only major drawback with these systems is that it is technically difficult to manufacture them with large amount of drug (>50%) and to achieve required density of 2.4-2.8g/cm.[5]

Swelling and Expanding systems: These systems are also as “Plug type systems”, since they exhibit tendency to remain logged in the pyloric sphincters. These polymeric matrices remain in the gastric cavity for several hours even in the fed state.



Fig(3): approaches of GRDDS

CLASSIFICATION OF FLOATING DRUG DELIVERY SYSTEM

A. Single Unit Floating Dosage Systems

a) Effervescent Systems (Gas-generating Systems)

b) Non-effervescent Systems

B. Multiple Unit Floating Dosage System

a) Effervescent Systems (Gas-generating Systems)

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b) Non-effervescent Systems
c) Hollow Microspheres

A. Single Unit Floating Dosage Systems

Single unit dosage forms are easier to produce, however due to their all or no emptying from the stomach, they suffer from the risk of losing their effects too early and can therefore cause high variability in bioavailability and local discomfort due to a large volume of drug administered at a specific location of the gastrointestinal tract. [6]

a) Effervescent Systems (Gas-generating Systems)

These are matrix forms of systems that are prepared using swelling polymers such as chitosan and methylcellulose, as well as several effervescent compounds such as sodium bicarbonate, citric acid and tartaric acid. They're made ’uch that CO2 is produced when it comes into touch with acidic gastric contents and becomes lodged in swollen hydrocolloids, giving dose kinds buoyancy.

b) Non-effervescent Systems

Non-effervescent floating dosage forms use polysaccharides, hydrocolloids and matrix-forming polymers such as polyacrylate, polycarbonate, polystyrene and polymethacrylate to form a gel forming or swelling cellulose type. The method of formulation includes a simple approach to thoroughly mixing the drug and the hydrocolloid-forming gel. This dosage form swells in contact with gastric fluids following oral administration and achieves a bulk density of < 1. The air trapped within the swollen matrix imparts the dosage shape with buoyancy. The swollen gel-like structure formed in this way acts as a reservoir and allows the gelatinous mass to sustainably release the drug.

Hydroxypropyl methyl cellulose (HPMC), polyvinyl acetate, polyacrylate polymers, sodium alginate, carbopol,346arbopolalcium chloride, polyethylene oxide and polycarbonate are the most widely used excipients in these systems.

B. Multiple Unit Floating Dosage Systems

Multiple unit dosage forms may be an appealing alternative, as it has been shown that inter- and intra-subject differences in drug absorption are reduced as well as the risk of dose dumping is reduced. Several multiple unit floating systems were created utilizing concepts such as a multiple unit system of air compartments, hollow microspheres made using the emulsion solvent diffusion method, and beads made using the emulsion gelation process. Another technique for planning multiple unit FDDS is the use of effervescent and swellable polymers.

a) Effervescent Systems

A multi-unit system was created, consisting of a calcium alginate core and a calcium alginate/PVA membrane separated by an air compartment. The PVA leaches out in the presence of water and increases the permeability of the membrane, preserving the integrity of the air compartment. The increase in molecular weight and PVA concentration has resulted in the improvement of the system's floati’g properties. The technique of freeze-drying for the preparation of floating calcium alginate beads is also mentioned. Sodium alginate solution, due to the formation of calcium alginate, is applied drop wise into the aqueous solution of calcium chloride, allowing the droplet surface to instantly gel. The beads obtained are freeze-dried, leading to a porous structure that assists in floating. The researchers explored the behavior of radiolabelled floating beads and used gamma scintigraphy in contrast with non-floating beads in human volunteers. For floating beads, prolonged gastric residence time was observed in excess of 5.5 h.

b) Non-effervescent Systems

In contrast with the effervescent systems, there was not much study on effervescent multiple unit systems found in the literature. Few workers, however, have documented the possibility of creating such an indomethacin-containing method, using chitosan as the polymeric excipient. A multiple HBS unit containing indomethacin is recorded as a model drug prepared by the extrusion process. Via the blade, a mixture of drug, acetic acid and chitosan, is extruded and the extrudate is cut and dried. In the acidic media, [7] chitosan hydrates and floats, the requisite drug release could be achieved by changing the ratio of drug-polymer.

d) Hollow Micro spheres

In their outer polymer shelf, hollow microspheres filled with drugs were prepared using a novel method of emulsion solvent diffusion. The drug's ethano’/dichloromethane solution and enteric acrylic polymer were poured into a thermally controlled agitated Poly Vinyl Alcohol (PVA) solution at 400C. The evaporation of the dichloromethane created in the dispersed polymer droplet and the interior cavity in the

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drug polymer microsphere produces the gas phase. The micro-balloon floated continuously over the surface of a surfactant containing acidic dissolution media for more than 12 hours. Hollow microspheres are one of the most promising buoyant structures because of the core hollow area within the microsphere, since they have the unique advantages of many unit systems as well as enhanced floating attributes**.**

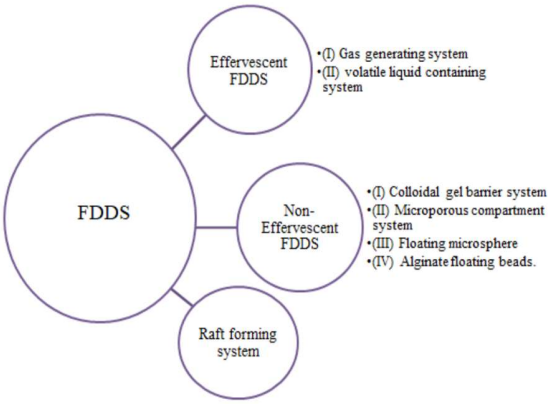

Fig (4): types of FDDS

POLYMERS USED FOR FLOATING DRUG DELIVERY SYSTEM. [8]

- Casein
- Cellulose acetate
- Chitosan and Sodium alginate
- Eudragit
- Polyvinyl alcohol
- Polycarbonate

Excipients Used in FDDS :

Polymers: HPMC, Carbopol, Sodium alginate, Xanthan gum

Effervescent agents: Sodium bicarbonate, Citric acid, Tartaric acid

Float enhancers: Oils, Waxes, Polypropylene foam

Release controllers: PVP, Eudragit

Binders: PVP K30, MCC

Diluents: Lactose, DCP, MCC

Lubricants: Magnesium stearate, Talc[9]

DRUG CANDIDATES SUITABLE FOR FDDS:

- Drugs having narrow absorption window in GIT (e.g., L-DOPA, furosemide, P-aminobenzoic acid, riboflavin)
- Drugs which are locally active in the stomach (e.g., antacids, misroprostol)
- Drugs which are unstable in the intestinal environment (e.g., Metronidazole, ranitidine HCl, Captopril) [10]
- Drugs having ability to affect normal colonic microbes (e.g., antibiotics used for the eradication of Helicobacter pylori, such as Clarithromycin, tetracycline, amoxicillin)
- Drugs having low solubility at high pH values (e.g., verapamil, diazepam, chlordiazepoxide).

Table 1: Marketed Drug Used In Floating Drug Delivery System (FDDS).[11]

DRUG NAME	DOSAGE FORM	BRAND NAME	MANUFACTURER
Ofloxacin	Tablet	Oflin O. D	Ranbaxy, India
Misoprostol	Capsule	Cyto Tech	Pharmacia, USA
Diazepam	Capsule	Val Release	Hoffmann-La, Roche USA
Metformin Hcl	Tablet	Glumetza	Roche, India
Benserazide	Capsule	Madopar HBS	Roche, India
Ciprofloxacin	Tablet	Cifran O. D	Ranbaxy, India
Ferrous Sulphate	Colloidal Forming FDDS	Ferro Care	Ranbaxy, India

Mechanism of floating drug delivery system :

In the stomach, FDDS float for a long time without reducing the stomach emptying rate since they are less dense in volume than gastric fluid. When the gastric contents are floating, drugs are released from the body at the appropriate rate. An absolute minimum of force (F) in floating is necessary to maintain floating particles over the meal's surface. A new technique is used to calculate the floating force kinetics for calculating the weight, as documented in the literature. The device works by continually monitoring the force, which is equal to F, required to support the submerged object.[12]

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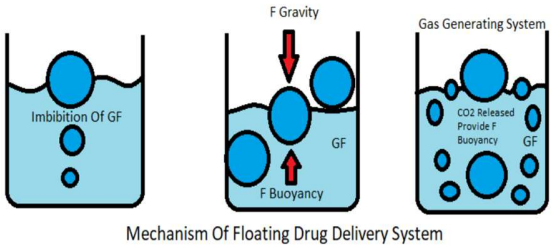


Fig (5) : mechanism of FDDS

METHOD OF PREPARATION

1) Solvent evaporation method

 The floating multi-particulate dosage form was prepared using solvent diffusion and evaporation methods to create a hollow inner core. After dissolving the polymer in an organic solvent, the drug is dissolved in the polymer organic solution.

 The drug solution is then emulsified into an aqueous phase containing Polyvinyl alcohol (PVA) to create an O/W emulsion. Then the organic solvent is evaporated by increasing the temperature or stirring continuously.

2) Ionotropic Gelation Method

 The tendency of polyelectrolytes to cross connect in the presence of counter ions causes ionotropic gelation, which results in the formation of beads. This gelation technique has been commonly used for the preparation of beads since the use of Chitosan, Alginates, CMC, and Gellan gum for drug encapsulation.

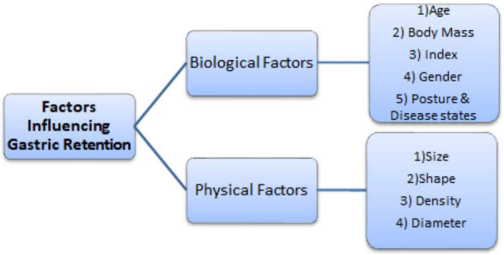
 By combining with polyvalent cations, these anions form mesh-like structures and insert gelation by combining primarily with anion blocks. Dropping a drug-loaded polymer solution into a polyvalent cationic aqueous solution produces hydrogel beads. [13]

3) Emulsion solvent diffusion method

 Micro-balloons (hollow microspheres) with drug in their outer polymer shell, made using a new emulsion solvent diffusion process. A polymer and drug solution in ethanol methylene chloride is poured into an agitated aqueous polymer solution (vinyl alcohol).

Factors affecting on floating drug delivery system:

1. **Density of tablets:** Density is the main factor affecting the gastric residence time of dosage form. A buoyant dosage form having a density less than that of the gastric fluid's floats, since it is away from the pyloric sphincter, the dosage unit is retained in the stomach for a prolonged period. A density of less than 1.0g/ml i.e. less than that of gastric contents has been reported.
2. **Shape and shape of dosage form:**Size and Shape: Dosage form unit with a diameter of more than 7.5 mm are reported to have an increased GRT competed to with those with a diameter of 9.9 mm. The dosage form with a shape tetrahedron and ring shape devises with a flexural modulus of 48 and 22.5 kilopond per square inch (KSI) are reported to have better GIT for 90 to 100 % retention at 24 hours compared with other shapes.
3. **Fed or unfed state: -** Under fasting conditions, the GI motility is characterized by periods of strong motor activity or the migrating myoelectric complex (MMC) that occurs every 1.5 to 2 hours.
4. **Nature of the meal and caloric content:** Feeding of indigestible polymers of fatty acid salts can change themotility pattern of the stomach to a fed state, thus decreasing the gastric emptying rate and prolonging the drug release GRT can be increased between 4 to 10 hours with a meal that is high in proteins and fats.
5. **Age:**Elderly people, especially those over 70, have a significantly longer; floating. Disease condition such as diabetes and crohn's disease etc also affect drug delivery.[14]
6. **Posture:** Floating can vary between supine and upright ambulatory states of the patient.
7. **Frequency of feed:** The GRT can increase by over 400 minutes when successive meals are given compared with a single meal due to the low frequency of MMC.



Fig(6): factors affecting FDDS

Evaluation test:

 Appearance & hardness – checks tablet look and strength.

 Thickness & diameter – ensures uniform size of tablets.

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- **Weight variation** – confirms each tablet has consistent weight.
- **Friability** – measures tablet resistance to breaking or chipping.
- **Drug content uniformity** – ensures equal drug amount in every tablet.
- **Floating lag time (FLT)** – time taken for tablet to start floating.
- **Total floating time (TFT)** – duration the tablet remains floating.
- **Buoyancy test** – checks stability of floating in gastric fluid.
- **Swelling index** – measures how much the tablet swells in fluid.
- **In-vitro dissolution** – studies drug release rate in medium.
- **Density determination** – confirms density is <1 for floatation.[15]
- **Stability studies** – checks product quality over storage period.

Advantages Of Floating Drug Delivery System

1. Increasing the drug's bioavailability: Some medications' bioavailability, such as Levodopa, Drug delivery is greatly increased when using polymeric formulations with controlled release and gastric retention as opposed to non-gastric retention formulations.
2. First-pass hepatic biotransformation enhancement: The pre-systemic metabolism of the drug may be significantly increased when it is supplied to metabolic enzymes (cytochrome P-450, in particular CYP-3A4) in a regulated manner as opposed to by a bolus intake.
3. Continuous administration of medication.
4. Targeted medication treatment for proximal GI tract conditions: It might be useful for stomach local therapy to provide medication over an extended period of time using a floating device.
5. Decreased variability of medication concentration in plasma: drug concentration variations are lessened, and undesirable effects that depend on concentration and are associated with peak levels can also be reduced. [16]
6. Decrease in the human body's counteractivity: drugs with slow absorption into the body have less counteractive effects than those with faster absorption rates.
7. Prolonged period versus focused effort: The maintained mode of administration allows for the longer period.
8. Enhanced Selectivity of Receptor Activation: The pharmacological effects are increased by FDDS because it reduces the variance in drug concentration over the critical concentration.
9. Drug delivery that is site-specific.
10. The prolonged and sustained release of medications from dosage forms that deliver local therapy in the GIT can be produced via gastroretentive drug delivery.

Disadvantages of Floating Drug Delivery System

1. Stomach retention is influenced by a number of variables that are never constant, such as gastric motility, Ph, and the presence of food. Thus, it is impossible to forecast buoyancy.
2. Drugs that irritate the stomach mucosa should not be used in the formulation of the floating medication delivery device.
3. In a sleeping patient, floating tablets' stomach emptying may happen at random. As a result, the patient shouldn't take their floating pill dose right before bed.
4. Drugs with problems with solubility and stability in stomach fluids should not be used to create floating drug delivery systems.[17]
5. For the preparation of the floating medication delivery system, medicines that go through the first pass metabolism should not be used.
6. A strong field must exist in the stomach for the medication to float and function properly.
7. Drugs that are unstable in the stomach's acidic environment should not be used to create a floating medication delivery system.
8. Swallowing is difficult in individuals who are unconscious and in children.

Limitations of floating drug delivery system:

1. Drugs having solubility or stability problem in GIT aren't suitable for FDDS.
2. Drugs like Nifedipine, Propranolol etc. which are well absorbed throughout GIT and which undergoes First pass metabolism aren't be desirable candidate.
3. Drugs which are irritant to Gastric mucosa also are not desirable.

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4. Drugs that are unstable in the acidic environment of the stomach aren't suitable in this type of systems.[18]
5. High level of fluid in the stomach is required for maintaining buoyancy; float and work efficiently.

APPLICATIONS OF FLOATING DRUG DELIVERY SYSTEMS

1.Enhanced Bioavailability

In contrast to the administration of non-GRDF CR polymeric formulations, riboflavin CR-GRDF has a substantially higher bioavailability. There are many mechanisms that function in concert to affect the degree of drug absorption, including drug absorption and transit in the gastrointestinal tract.

2. Sustained Drug Delivery

Problems with gastric residence duration in the Gastro-intestinal Tract (GIT) have been reported with oral controlled release formulations. These issues can be solved by HBS systems, which can stay in the stomach for long periods of time and have a high bulk density. [19]

3. Site –Specific Drug Delivery Systems

These systems are especially useful for medications that are absorbed predominantly through the stomach or the proximal small intestine. The monitored, gradual delivery of the medication to the stomach ensures sufficient local therapeutic levels while limiting the drug's systemic exposure. The drug's side effects in the blood supply are 350inimized as a result. Furthermore, a site guided delivery system's prolonged gastric availability can reduce dosing frequency. For instance, furosemide and riboflavin.

4. Absorption Enhancement

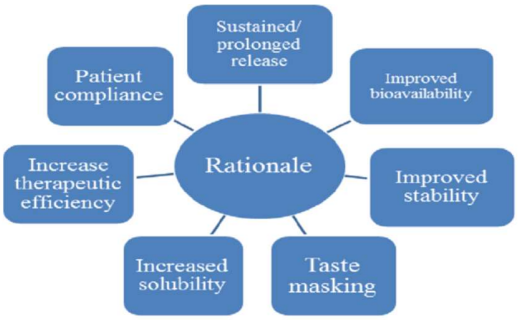
Drugs having low bioavailability due to site-specific absorption from the upper part of the GIT may be formulated as FDDS to improve absorption.

5. Minimized Adverse Activity At The Colon

The amount of drug that enters the colon is decreased when the drug is stored in the Hydrodynamically Balanced system (HBS) systems at the stomach. Hence, the drug's undesirable effects in the colon can be avoided. The justification for Gastro-retentive dosage form (GRDF) formulation for beta-lactam antibiotics that are absorbed only from the small intestine and whose presence in the colon contributes to the production of microorganism resistance is based on this pharmacodynamic aspect.

6.Reduced Fluctuations Of Drug Concentration

In contrast to immediate release dosage types, continuous input of the medication after controlled release gastro-retentive dosage form (CRGRDF) administration induces blood drug concentrations within a narrower range. Thus, Drug effect variations are decreased, and concentration-dependent side effects associated with peak doses can be avoided. This is especially important for drugs with a small therapeutic index**.[20]**



Fig(7): applications of FDDS

Recent advances :

Recent advances in Gastroretentive Drug Delivery Systems (GRDDS) are focused on improving drug efficacy and patient compliance through novel technologies and materials, notably 3D printing, nanotechnology, and advanced polymeric formulations. [21]

Key Areas of Advancement:

- 3D Printing Technology: This is a major recent innovation, enabling the fabrication of complex, customized dosage forms with precise control over shape, size, and internal structure, which is difficult with traditional methods.
    - Personalized Medicine: 3D printing allows for tailored doses and release profiles based on individual patient needs.
    - Complex Designs: Researchers are developing unique structures, such as

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hollow or porous tablets, which achieve buoyancy without needing chemical gas-forming agents, leading to more consistent performance.

- Nanotechnology Integration: Nanoparticles are being incorporated into GRDDS to enhance drug solubility, stability, and targeted delivery.[22]
    - Improved Bioavailability: Nanocarriers can protect sensitive drugs from the harsh gastric environment and increase absorption in the upper gastrointestinal tract.
    - Targeted Therapy: Nanoparticles with mucoadhesive properties or those that respond to specific pH levels are being explored for more effective treatment of conditions like *Helicobacter pylori* infections.
- Advanced Polymeric Materials: The development of novel "smart" polymers (such as new chitosan, alginate, and hydrogel derivatives) that respond to physiological changes in the stomach (pH, temperature, ionic strength) allows for more predictable and controlled drug release.
    - Combination Systems: Formulations combining different mechanisms, such as mucoadhesion and floating capabilities, show improved and more predictable drug retention compared to single-mechanism systems.
- Improved Evaluation Methods: Advanced *in vivo* evaluation techniques like Magnetic Resonance Imaging (MRI) and gamma scintigraphy are increasingly used to accurately track the position and behavior of the dosage forms within the gastrointestinal tract, leading to better clinical validation of new designs. [23]

These advancements aim to overcome traditional challenges like variable gastric emptying times and ensure that drugs are released optimally at the correct absorption site for maximum therapeutic benefit.

Marketed products :

Gastroretentive Drug Delivery Systems (GRDDS) are an innovative type of medication delivery designed to stay in the stomach for a prolonged period. This improves drug absorption and therapeutic efficacy for specific drugs. Several pharmaceutical companies market products using GRDDS technology, which employ [24]various mechanisms like floating, swelling, or bioadhesion to achieve gastric retention.
Marketed GRDDS products and the active ingredients they contain include:

- Valrelease®: Contains diazepam in a floating capsule system, marketed by Hoffmann-LaRoche.
- Madopar® HBS (Prolopa® HBS): A floating, controlled-release capsule containing levodopa and benserazide (or benserazide hydrochloride), marketed by Roche.
- Liquid Gaviscon®: An effervescent floating liquid alginate preparation containing alginic acid and sodium bicarbonate, marketed by Reckitt Benckiser Healthcare.
- Cipro XR® (or Proquin XR®): An erodible matrix or polymer-based swelling system containing ciprofloxacin (or ciprofloxacin HCl and betaine), marketed by Bayer/Depomed.
- Glumetza®: A polymer-based swelling technology containing metformin HCl, marketed by Depomed.[25]
- Cytotec®: A bilayer floating capsule containing misoprostol, marketed by Pharmacia/Pfizer.
- Conviron®: A colloidal gel forming floating system containing ferrous sulfate, marketed by Ranbaxy.
- Topalkan®: A floating liquid alginate preparation containing aluminum and magnesium antacid, marketed by Pierre Fabre Medicament.
- Prazopress XL®: An effervescent and swelling-based floating system containing prazosin hydrochloride, marketed by Sun Pharma.
- Gabapentin GR® (or Gralise®): A polymer-based swelling technology (Acuform®) containing gabapentin, marketed by Depomed.
- Coreg CR®: Utilizes gastro-retention with an osmotic system, containing carvedilol, marketed by GlaxoSmithKline.

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These products are specifically formulated to enhance the therapeutic benefits of drugs that are primarily absorbed in the upper gastrointestinal tract, unstable at alkaline pH, or effective with localized action in the stomach.[26]

Conclusion :

Floating Drug Delivery Systems (FDDS) have emerged as one of the most successful gastroretentive approaches for improving the bioavailability of drugs that are preferentially absorbed in the upper gastrointestinal tract. By enabling the dosage form to remain buoyant in gastric fluid for extended periods, FDDS allow controlled and predictable drug release at the desired absorption site. This significantly enhances therapeutic effectiveness, reduces dosing frequency, and improves patient compliance—especially for drugs with short half-lives or narrow absorption windows.

Over the years, various FDDS technologies such as effervescent systems, non-effervescent systems, floating tablets, floating microspheres, hollow microspheres, and floating in situ gels have been optimized to achieve longer gastric retention and consistent release profiles. The selection of suitable polymers, gas-generating agents, and formulation techniques plays a critical role in ensuring desirable buoyancy, stability, and drug release behavior.

Despite their advantages, FDDS also face certain limitations such as dependence on gastric pH, gastric motility, and patient-related factors like fed/fasted state. However, advancements in smart polymers, 3D printing technologies, nano-floating systems, and novel polymer combinations are helping to overcome these challenges. Future research is expected to focus on more precise control of floating lag time, personalized drug delivery systems, and enhanced in-vivo–in-vitro correlation models.

Overall, FDDS represent a versatile and highly valuable strategy in oral controlled drug delivery. With continuous innovation and improved formulation approaches, floating systems will continue to play a significant role in developing safer, more effective, and patient-friendly therapeutic solutions.

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