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A Review Article On Floating Tablet

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ABSTRACT

Recent era of technological advancement has given rise to controlled drug delivery system to compete against conventional pharmaceutical dosage form. The best tool to overcome this problem is the use of floating drug delivery system. FDDS mainly focuses on emphasising on reducing the density of tablet so that it can easily float on the gastric fluid.This present work is an effort to compile the recent literature with main focus on dosage form to easily float on the gastric fluid for improving bioavailability of drug which is administered orally.Approaches in FDDS includes high density system,bioadhesive/mucoadhesive system,raft forming system,and magnetic system.

Keywords-fdds,floating,bioavailability,orally

INTRODUCTION

The conventional dosage form like tablets, capsules causes the major fluctuations in the plasma drug concentration to overcome this problem oral controlled release drug delivery system are like smart vehicles for medicines.They ensure that the right amount of medication reaches to bloodstream steadily overtime instead of all at once,this help maintain a consistent level of drug in body making treatment more effective and reducing sideeffects.

The conventional dosage form moreover possess short half life,repeated dosing,high dose dumping,fluctuation in plasma level,premature excreation from body.The development of sustained controlled release dosage form overcomes these limitations and achieve better patient complianceand acceptance.The incomplete drug release in gastric fluid is due to the short gastric residence timein human through major absorption zone such as proximal part of GIT, this is the main problem of conventional dosage form thus the development of controlledrelease(CR) dosage form evolved as the strategic approach by confining the location of drug delivery system within specific regions of GIT,particularly advantageous for drug with narrow absorption window or stability concern, these formulation optimize drug absorption and efficacy.[1]

DEFINITION

Low density floating systems are intended to stay buoyant in the stomach, gradually releasing medication to enhance absorption.They are especially useful for medications that don't dissolve or stay well in digestive juices.This technique prolongs the gastric time (GRT), improving
therapeutic efficacy and enables improved control over plasma drug concentration.[2]

BASIC GIT PHYSIOLOGY

The gastrointestinal tract's structure and physiology are important considerations in the creation and testing of controlled medication delivery systems.The fundus, body, and antrum of the stomach each have different purposes, with the antrum serving as the main location for mixing motions and promoting gastric emptying. Let's examine each stomach component's role and how it affects digestion in more detail.

1. **Fundus and Body**: After swallowing, food first enters the stomach through these upper portions. They store food until it begins to decompose, serving as a reservoir. Glands that release gastric juices, such as hydrochloric acid and pepsin, which start the breakdown of proteins into smaller molecules that can be absorbed later in the digestive tract, are found on the walls of the fundus and body.
2. **Antrum (also known as Pylorus):** This is the portion of the stomach that is located closest to the outlet that leads to the small intestine. Its primary function is to fully combine meals with stomach juices. Its repetitive contractions provide churning motions that aid in the

   breakdown of food into chyme, a semi-liquid combination. In order to maintain a proper rate of digestion, the antrum also controls the rate at which chyme is discharged into the small intestine.

   Mucus covers the stomach's lining, shielding it from the stomach's acidic gastric acids that could otherwise cause tissue damage. The stomach's mucous layer is continuously regenerated to keep it healthy.

All things considered, the stomach is an essential part of the digestive process since it breaks down food both chemically and mechanically so that the small intestine may absorb and further digest it. In order to promote proper digestion and nutritional absorption, it also aids in controlling how food is emptied into the small intestine.[3]

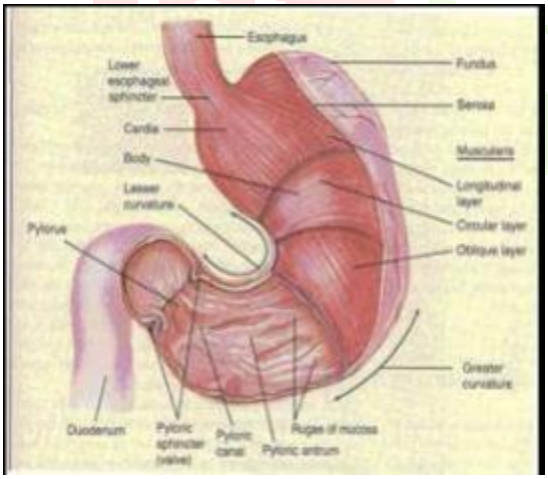

FIGURE 1 HUMAN STOMACH

ANATOMY OF STOMACH

Food is processed and transported by the abdomen to the small intestine, where protein is mostly digested. It has three structural divisions: the fundus, body, and pylorus. The typical pH of the stomach in a healthy person who has fasted is 1.1±0.15. The pH does, however, increase to 3.0 to 4.0 after eating because stomach acids and digestive enzymes are released.

Four steps makeup the Migrating Myoelectric Cycle (MMC):

1. Initial Phase (Basal Phase):

• Due to a delayed MMC start, the pace of gastric emptying is slow.

• Has a duration of 30 to 60 minutes.
• Also referred to as the basal phase, contractions do not take place.

2. Pre-burst Phase, or Phase II:

• Bile secretion and mucous outflow take place.
• There are intermediate contractions.
• Also referred to as the pre-burst phase, it lasts for 20 to 40 minutes.
• Both the frequency and intensity of contractions progressively rise.

3. The Burst Phase, or Phase III:

• Consistent, strong contractions last for a little time.
• Also referred to as the housekeeping wave, it lasts for ten to twenty minutes.
• It facilitates the passage of food from the stomach into the intestine after a fast.

4.Phase IV: • Occurs in between Phase III and Phase I of two successive cycles, lasting 0 to 5 minutes.
• The contraction pattern changes from the fasted to fed condition after consuming a mixed meal.

Alternatively known as the digestive motility pattern, it is typified by ongoing contractions that resemble Phase II of the fasting state.The delayed onset of MMC in the fed state causes the pace of stomach emptying to slow down. [4]

AFFECTIVE FACTORS ON GASTRIC RETENTION

The following variables have an impact on gastric emptying and, in turn, the amount of time that oral dose forms remain in the stomach:

1. DENSITY: The buoyancy of dosage forms that depend on density affects the gastric retention time (GRT).

2. SIZE: Compared to dosage units with a 9.9mm diameter, those with a diameter of more than 7.5mm have shown higher GRT.

3. SINGLE AND MULTIPLE UNIT FORMULATION: Co-administration of units with various release profiles is made possible by multiple unit formulations, which have a more predictable release profile and no performance degradation from unit failure.

4. FED OR UNFED STAGE: When a person fasts, their stomach moves every 1.5 to 2 hours, a phenomenon known as migrating motor activity (MMC).

5. NATURE OF MEAL: Eating indigestible polymers or acid salts can change the motility of the stomach to resemble that of a fed state, which slows down the rate at which the stomach empties and extends the time that a medicine is released.

6. CALORIE CONTENT: Meals high in fat and protein cause the GRT to be extended by 4 to 10 hours.

7. FREQUENCY OF FEED: After each meal, GT rises by more than 400 minutes.

8. Gender: The mean stomach GRT in men is less than that of their age- and race-matched female counterparts (4.6 ± 1.2 hours), at 3.4 ± 0.6 hours.[5]

APPROACHES OF STOMACH RETENTION

A)FLOATING SYSTEM :Drug delivery systems that use floating drug delivery systems (FDDS) provide a number of benefits, especially when it comes to medications that must be administered gradually and steadily over an extended length of time. Because these systems are less bulky

than gastric fluids, the medication can be released gradually while floating atop the contents of the stomach. The term "gastric retention time" (GRT) refers to this extended period of time spent in the stomach,which helps to better regulate and lessen variations in plasma drug

concentrations
Floating systems can be classified into two types, non-effervescent system and effervescent systems.[6]

B)BIOADHESIVE/MUCOADHESIVE SYSTEM: An additional strategy for extending the gastric retention time (GRT) of drug delivery systems in the stomach is the use of bio/muco- adhesive systems. By increasing the closeness and duration of contact between the medication and the biological membrane, these methods make use of adhesion to the gastric epithelial cell surface or mucin, which lengthens the drug's residence time in the stomach.

There are three types of polymer binding to mucin/epithelial surfaces: hydration-mediated adhesion:
Hydration-mediated adhesion.
Bonding-mediated adhesion.
Receptor-mediated adhesion.[7]

C)SWELLING/EXPANDING SYSTEM: are referred to as "plug-type systems." These systems are made to enlarge so much after swallowing that they create a plug-like structure that blocks the pylorus, the stomach's bottom muscular valve, from opening. The dosage form is therefore kept in the stomach for a longer amount of time.

D)HIGH DENSITY SYSTEM: Having a density of roughly 3 g/cm^3, high-density systems are made to stay inside the stomach's rugae and endure its peristaltic movements. This characteristic enables them to stay in the stomach for an extended amount of time. These systems can be retained in the lower portions of the stomach up to a threshold density range of 2.6–2.8 g/cm^3. [8]
Coated pellets are frequently apart of these high-density compositions. Usually, heavy inert elements like iron powder, zinc oxide, titanium dioxide, and barium sulfate makeup the coating. These substances provide the dose form the weight and solidity it needs to stay in the stomach and slow its passage through the digestive system.

E)ION EXCHANGE RESIN: When used in drug delivery systems, ion exchange resins are first filled with bicarbonate ions, which bind a negatively charged medication to the resin matrix. The resin beads are then enclosed in a semi-permeable membrane to slow down the rate at which carbon dioxide is evaporating. An ion exchange takes place between the bicarbonate ions in the resin beads and the chloride ions in the stomach once they enter the acidic environment of the stomach. Gaseous carbon dioxide is released as a result of this exchange and is caught in the semi-permeable membrane. As a result, the beads create a floating layer on top of the stomach contents. Uncoated beads, on the other hand, would sink quickly because of their greater density.

F)RAFT FORMING SYSTEM: Alginate gels with a carbonate component are included into raft systems, a kind of medication delivery device. A chemical reaction takes place in these alginate gels when they come into touch with gastric acid in the stomach. This reaction causes bubbles to form within the gel. These bubbles contribute to the formation of a structure like a floating raft on the surface of the stomach contents.[9]

The process underlying raft systems entails the alginate gel's carbonate component neutralizing stomach acid. Bubbles occur inside the gel matrix as a result of the acid's neutralization, which releases carbon dioxide gas. The gel floats on the contents of the stomach because of the trapped bubbles in the gel, which increase its buoyancy.

TYPES OF FLOATING DRUG DELIVERY SYSTEMS

Two very different technologies have been used in the creation of FDDS based on the buoyancy mechanism. These are:

A. Effervescent System and
B. Non - Effervescent System.

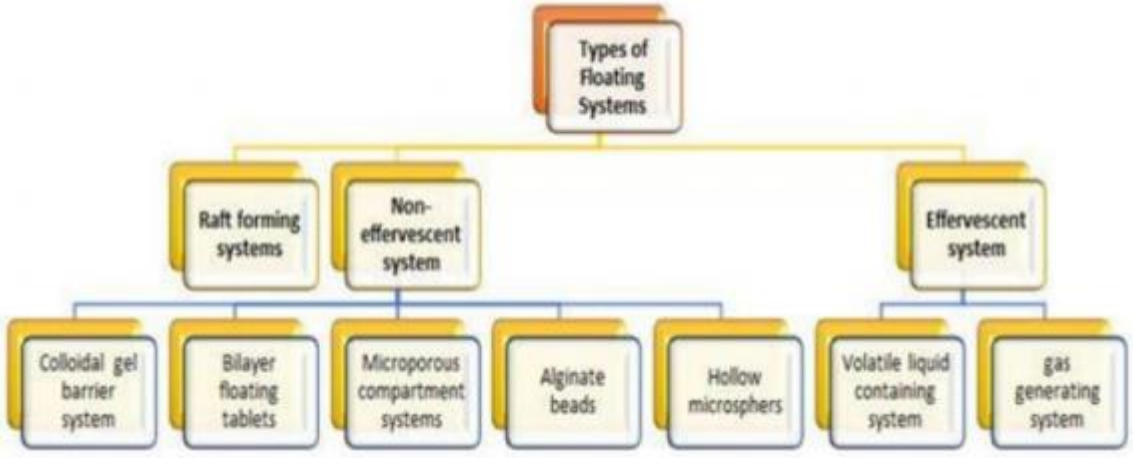

FIGURE2 CLASSIFICATION OF FLOATING SYSTEM

A)Effervescent System

Swellable polymers like Methocel or polysaccharides like chitosan are combined with effervescent ingredients like sodium bicarbonate and citric or tartaric acid to create ffervescent systems. Additionally, matrices with liquid chambers that gasify at body temperature are present in some formulations.

The procedure entails creating matrices that, when in touch with gastric juice, release carbon dioxide as a result of the stomach's acidity. Bubbles are created when the released carbon dioxide becomes trapped in the gelified hydrocolloid. The dose form is propelled upward by these bubbles, which helps to keep it buoyant in the stomach environment.

The effervescent systems are classified into two types.Gas generating Systems and Volatile liquid / Vacuum containing Systems.

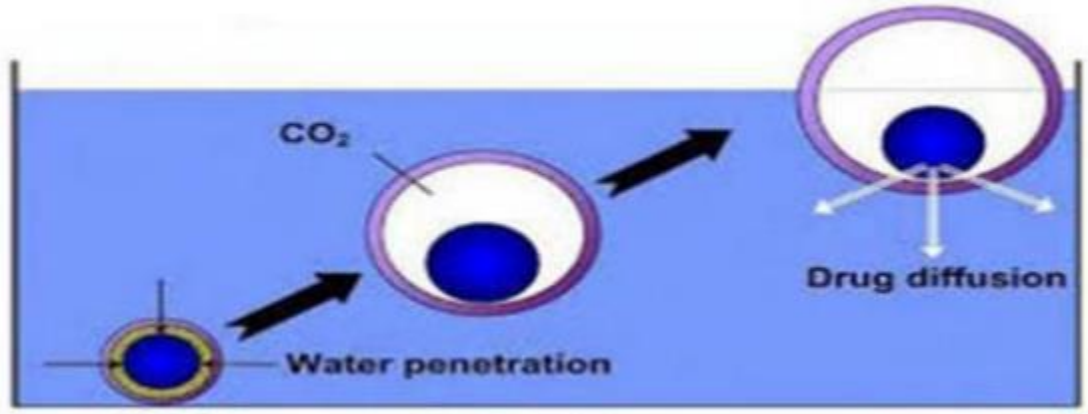

FIGURE3 GAS GENERATING SYSTEMS

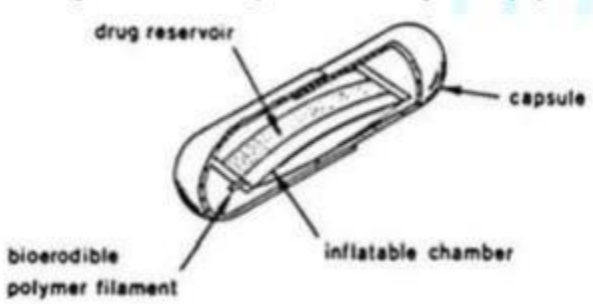

FIGURE4 VOLATILE LIQUID SYSTEM

B) Non – Effervescent System.

One method for creating non-effervescent floating dose forms is to thoroughly mix the medication with a hydrocolloid that gels. This hydrocolloid expands after oral administration and comes into touch with gastric fluid, preserving the dosage form's shape and making sure that its bulk density stays below unity inside the outer gelatinous barrier.

The dosage form gains buoyancy from the entrapped air in the swelled polymer, which prevents it from sinking and allows it to float on the contents of the stomach. By extending the dose form's duration in the stomach, this buoyancy improves medication absorption and bioavailability.

Moreover, the gel structure that the enlarged hydrocolloid produces serves as a reservoir for the long-term release of the medication. Through regulated diffusion, the medication is progressively delivered through the gelatinous barrier.

Non-effervescent systems include the following: 1. Single layer floating tablets
2. Bilayer floating tablets
3. Alginate Beads
4. Hollow Microspheres[10]

ADVANTAGES OF FDDS-

When it comes to medicine distribution, floating drug delivery systems (FDDS) provide a number of benefits, particularly when it comes to controlled release, local action, or enhanced bioavailability. The benefits are outlined below in more detail:

1.Prolonged Gastric Retention: Even in the alkaline pH environment of the intestine, floating dosage forms, like tablets or capsules, are made to stay buoyant in the stomach for a considerable amount of time. A more constant and long-lasting therapeutic impact is made possible by the drug's sustained release, which is ensured by the extended stomach retention.

2.Local Action in the Stomach: FDDS are especially helpful for medications like antacids that are meant to have a local action in the stomach. These dose forms float on the stomach juice and might make direct contact with the stomach lining, providing targeted relief for conditions like acidity and heartburn.

3.Effective in Severe Intestinal Movement and Diarrhea: Conventional dosage forms maybe quickly flushed out of the stomach in cases of severe intestinal movement or diarrhea, which decreases their effectiveness. Because FDDS are buoyant, they can stay in the stomach even when the stomach moves, which keeps the medication in the gastric area where it can be absorbed and work, giving a comparatively greater reaction.

4.Decreased Gastric Irritation: When acidic compounds, such as aspirin, come into direct touch with the stomach wall, they may irritate it or harm it. By using FDDS, the medication can be introduced into the stomach gradually overtime, decreasing the possibility of coming into direct touch with the gastric mucosa and lessening irritation. This is especially advantageous for medications that can irritate the stomach.

5.Improved Drug Absorption Through Stomach Absorption: Certain medications, like ferrous salts and specific antacids, are better absorbed from the stomach than the intestine. Because FDDS prolongs the

gastric residence time, these medications can be better absorbed from the stomach, increasing their bioavailability and therapeutic effectiveness.

To summarise, Floating Drug Delivery Systems provide a number of benefits such as extended gastric retention, precise local action within the stomach, efficacy during intense bowel movements, less gastric discomfort, and improved absorption of medications received through the stomach. With these benefits, FDDS is a viable method for the regulated and effective administration of different drugs.[11]

DISADVANTAGES OF FDDS-

Significant drawbacks that must betaken into account. The following are the drawbacks, fully explained:

1.Limitations on Drug Solubility and Stability: Drugs that have problems with solubility or stability in stomach fluids might not be a good fit for floating devices. Certain medications have the potential to break down or precipitate in the stomach environment, which might make the floating dose form useless or even dangerous for the patient to take.

2.Effects on Drug Metabolism and Absorption: Some medications, likenifedipine, are extensively first-pass metabolized and well absorbed throughout the whole gastrointestinal (GI) tract. The delayed stomach emptying linked to FDDS may cause a decrease in the systemic bioavailability of certain medications. Furthermore, medications that irritate the gastric mucosa may result in discomfort or negative consequences if they stay in the stomach for a long time.

3.Sufficient Gastric Fluid Level: In order for floating dosage forms to function properly, the stomach's fluid level must be high enough. The floating dosage form may not stay buoyant as intended in situations where there is a reduction in gastric fluid volume, such as during fasting or dehydration. This could affect therapeutic effects and cause unpredictability in drug release.

In conclusion, whereas targeted drug administration and extended stomach retention are two benefits of floating drug delivery systems, they also have drawbacks pertaining to drug stability and solubility, effects on drug absorption and metabolism, and a need for an adequate amount of gastric fluid. To guarantee the safety and efficacy of FDDS for patient therapy, these criteria must be carefully taken into account during formulation and selection.[12]

MARKETED FORMULATIONS

Product	Content	Manufacturer	Type of formulation
Madopar®	Levodopa(100 mg), Benserazide(25 mg)	Roche products, USA.	Floating, CR capsule.
Valrelease®	Diazepam (15 mg)	Hoffmann-LaRoche, USA.	Floating capsule.
Liquid Gaviscon®	Al-hydroxide(95 mg), Mg carbonate(358 mg)	GlaxoSmithKline, India.	Effervescent floating liquid alginate preparation
Topalkan®	Al-Mg antacid	Pierre Fabre Drug, France.	Floating liquid alginate preparation
Almagate FlotCoat®	Al-Mg antacid	-	Floating dosage form
Conviron®	Ferrous sulphate	Ranbaxy, India.	Colloidal gel forming FDDS.

FIGURE 5 Some of the marketed gastro-retentive floating formulations

CONCLUSION

Floating drug delivery systems (FDDS) are gaining traction as a potent method for enhancing the bioavailability of drugs and ensuring controlled release within the gastrointestinal tract (GIT). This approach is particularly promising for drugs with a short half-life or those targeting GIT-related diseases. The key principle guiding the formulation of FDDS lies in ensuring that the density of the dosage form is lower than that of the gastric fluid, allowing it to float and remain in the stomach for an extended period. By achieving prolonged gastric retention, FDDS offer several advantages. First and foremost, they enhance the bioavailability of drugs by allowing them more time to be absorbed in the stomach, thus maximizing their therapeutic effects. Additionally, the controlled release characteristic of FDDS ensures a steady and sustained release of the drug over an extended period, leading to better patient compliance and improved treatment outcomes.Despite encountering various challenges in achieving prolonged gastric retention, such as optimizing buoyancy, maintaining drug stability, and ensuring safety, numerous pharmaceutical companies are increasingly investing in the commercialization of this technique. The growing number of economic products and patents issued in this field underscore its potential and attractiveness.In summary, FDDS represent a promising approach in pharmaceutical formulation, offering enhanced drug bioavailability, controlled release, and improved therapeutic outcomes forGIT-related diseases. While there are challenges to overcome, the increasing focus and investment from pharmaceutical companies indicate a bright future for this innovative drug delivery technique.

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