World Journal of Pharmaceutical and Life Sciences

Impact Factor: 8.21 www.wjpls.org Coden USA: WJPLA7

FORMULATION AND EVALUATION OF GASTRO-RETENTIVE FLOATING TABLETS: A COMPARATIVE REVIEW OF SELECTED DRUG

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DOI: https://doi.org/10.5281/zenodo.19279088

How to cite this Article: *Ruby R., Parthasarathi V. (2026). Formulation And Evaluation Of Gastro-Retentive Floating Tablets: A Comparative Review Of Selected Drug. World Journal of Pharmaceutical and Life Sciences, 12(4), 50–60.

Article Received on 28/02/2026 **Article Revised on 20/03/2026** **Article Published on 01/04/2026**

ABSTRACT

Oral drug delivery is the most used route for drug administration because it is convenient, cost-effective, and well accepted by patients. However, conventional oral dosage forms often face challenges such as variable gastric emptying time, limited residence in the stomach, and incomplete drug absorption, particularly for drugs that are mainly absorbed in the upper part of the gastrointestinal tract. Gastro-retentive drug delivery systems (GRDDS) have been developed to overcome these limitations by prolonging the gastric residence time of the dosage form and improving drug absorption. Among the various GRDDS approaches, floating drug delivery systems (FDDS) have received significant attention due to their ability to remain buoyant in gastric fluid for an extended period while releasing the drug in a controlled manner. Floating tablets are commonly formulated using hydrophilic polymers such as hydroxypropyl methylcellulose & sodium alginate along with gas-generating agents that help maintain buoyancy. Various preparation techniques including direct compression, wet granulation, and dry granulation are used in the formulation of floating tablets. These formulations are evaluated using several parameters such as floating lag time, total floating duration, hardness, friability, drug content uniformity, swelling index, and in-vitro drug release studies. Floating drug delivery systems offer advantages such as prolonged gastric retention, sustained drug release, improved bioavailability, and reduced dosing frequency. However, certain limitations such as dependence on gastric fluid volume and variability in gastric motility may affect their performance. Overall, floating drug delivery systems represent a promising approach for improving the therapeutic effectiveness of drugs that exhibit narrow absorption windows or require prolonged gastric retention.

KEYWORDS: Gastro-retentive Drug Delivery System, Floating Drug Delivery System, Floating Tablet, Hydrophilic polymer, Controlled drug release and Gastric-residence time.

1. INTRODUCTION

Over the years, humans have faced numerous diseases, leading to the development of various drug delivery systems administered through different routes. Among these, the oral route is the most widely used because it is convenient, economical, easy to administer, and generally well accepted by patients. The main objective of any drug delivery system is to provide an effective therapeutic dose at the desired site in the body at an appropriate rate. Currently, oral drug delivery accounts for a major portion of pharmaceutical formulations available in the market. However, the effectiveness of oral dosage forms can be influenced by physiological factors such as gastric emptying rate, gastrointestinal transit time, and the specific site of drug absorption. Variations in these factors may lead to inconsistent drug release, reduced retention time in the stomach, and incomplete absorption, particularly for drugs that are mainly absorbed in the upper part of the small intestine. Therefore, modern drug delivery research focuses on developing systems that can control drug release and prolong the residence time of the dosage form in the stomach or upper gastrointestinal tract to enhance therapeutic effectiveness.[1]

Among various gastro-retentive approaches, floating drug delivery systems have gained considerable attention because of their ability to remain buoyant in gastric fluid for extended periods. These systems enhance drug dissolution and improve therapeutic efficacy. Floating

tablets are commonly formulated using hydrophilic polymers and gas-generating agents to maintain buoyancy and sustained drug release. This review discusses the concept of gastroretentive systems, mechanisms of floating drug delivery, formulation strategies, polymers used, and evaluation parameters of floating tablets. The review also highlights recent advances in the development of floating dosage forms and their potential applications in improving drug therapy.[2]

Several researchers have investigated floating drug delivery systems using different polymers and formulation approaches to prolong gastric residence time and achieve controlled drug release. Various studies have reported the development of floating tablets for drugs such as mitiglinide, nizatidine, mitiglinide, lovastatin, ciprofloxacin, etc, using hydrophilic polymers including hydroxypropyl methylcellulose and sodium alginate. These formulations exhibited improved buoyancy behavior, prolonged floating duration, and sustained drug release profiles, which may enhance therapeutic effectiveness. In most studies, floating tablets were evaluated using standard quality control parameters such as floating lag time, total floating duration, hardness, friability, drug content uniformity, and in-vitro dissolution testing to determine their performance and stability.[3]

1.1. Gastro-retentive Drug Delivery System (GRDDS)

Gastro-retentive Drug Release Systems can improve the controlled release of drugs with an absorption window by continuously releasing the drug for a prolonged amount of time before it reaches its absorption site.[4]

Types

i. Floating Drug Delivery System (FDDS)

A floating drug delivery system is an oral dosage form with low density that floats on gastric fluid and remains in the stomach for a longer time while slowly releasing the drug.[5]

ii. Bioadhesive System

A bioadhesive system is a drug delivery system that adheres to the gastric mucosal surface, allowing the drug to stay in the stomach for an extended period and improve drug absorption.[6]

iii. Swelling System

A swelling system is a dosage form that absorbs gastric fluid and swells in size, preventing it from passing through the pylorus and thus increasing gastric retention time.[7]

iv. Expandable System

An expandable system is a drug delivery system that expands after reaching the stomach to a size large enough to remain in the stomach and release the drug slowly over time.[8]

v. High Density System

A high density system is a formulation with density greater than gastric fluid that sinks to the bottom of the stomach and remains there for prolonged drug release.[9]

1.2. Floating Drug Delivery System (FDDS)

Principle

Floating drug delivery systems are designed based on the principle of buoyancy in gastric fluid. These dosage forms possess a density lower than that of gastric contents, which allows them to float on the stomach fluid for an extended duration. Because the formulation remains buoyant, it avoids rapid gastric emptying and stays in the stomach for a longer time. During this period, the drug is gradually released at a controlled rate. Prolonging the gastric residence time improves the absorption of drugs that are primarily absorbed in the stomach or the upper region of the small intestine. This approach is particularly useful for drugs with a narrow absorption window or those that require local action in the stomach.[10]

Mechanism of Action

The mechanism of floating drug delivery systems involves the generation or entrapment of gas within the dosage form, which reduces its density and enables flotation. After oral administration, the tablet or capsule meets gastric fluid. Gas-forming agents such as sodium bicarbonate react with gastric acid and produce carbon dioxide. The generated gas becomes trapped within the polymer matrix of the dosage form, causing the formulation to swell and decrease in density. As a result, the system floats on the surface of gastric fluid. While floating, the polymer matrix gradually hydrates and controls the release of the drug over an extended period. This process maintains the drug in the stomach for a longer duration and improves its therapeutic performance.[11]

Advantages

Floating drug delivery systems offer several advantages in oral drug delivery. These systems can prolong gastric residence time, which improves the absorption of drugs that are mainly absorbed in the upper gastrointestinal tract. The controlled release of drug from the floating dosage form helps maintain a consistent plasma drug concentration and reduces fluctuations in drug levels. FDDS can also reduce dosing frequency, thereby enhancing patient compliance. Additionally, these systems are beneficial for drugs that act locally in the stomach, such as antacids and drugs used for gastric infections. By maintaining the dosage form in the stomach, floating systems can improve therapeutic efficacy and overall treatment outcomes.[12]

Limitations

Despite their advantages, floating drug delivery systems have certain limitations. These formulations require an adequate amount of gastric fluid to maintain buoyancy and proper drug release. Drugs that are unstable in acidic

environments or that cause irritation to the gastric mucosa are not suitable for this type of system. Furthermore, variations in gastric motility, the presence of food, and patient-to-patient physiological differences may influence the performance of the floating dosage form. Another limitation is that FDDS are not suitable for drugs that are mainly absorbed in the lower part of the intestine or colon. Therefore, careful selection of drug candidates is necessary when designing floating drug delivery systems.[13]

1.3. MATERIAL AND METHODS

Polymer used in Floating Tablets[14]

- HPMC K15M
- HPMC K4M
- HPMC K100M
- HPMC E15LV
- HPMC E5
- HPMC E50
- Hydroxypropyl Cellulose (HPC)
- Sodium Alginate
- Carbopol 934P
- Xanthan Gum
- Guar Gum
- Polyethylene Oxide (PEO)

1.4. Methods of preparing formulation tablets

i. Direct Compression Method

The direct compression technique is commonly employed in the preparation of floating tablets because it is simple, cost-effective, and involves minimal processing steps. In this method, the required quantities of the drug, polymers, and other excipients are accurately weighed and passed through a suitable sieve to achieve uniform particle size. The drug is then blended with polymers such as hydroxypropyl methylcellulose (HPMC), carbopol, sodium alginate, or xanthan gum, which help in controlling drug release and maintaining the floating ability of the tablet. Gas-forming agents like sodium bicarbonate and citric acid are added to the mixture so that carbon dioxide is produced when the tablet meets gastric fluid, allowing the tablet to float. After proper mixing, lubricants such as magnesium stearate and talc are incorporated to enhance flow properties and prevent sticking during compression. The final powder blend is then compressed into tablets using a tablet compression machine.[15]

ii. Wet Granulation Method

Wet granulation is another widely used technique for the preparation of floating tablets, particularly when the powder blend exhibits poor flow characteristics or compressibility. In this method, the drug, polymers, and diluents are accurately weighed and mixed thoroughly. A binder solution, commonly prepared using polyvinylpyrrolidone (PVP) or starch paste, is gradually added to the mixture to produce a wet mass. This wet mass is then passed through a sieve to form granules. The granules are dried in a hot air oven at a controlled temperature to remove excess moisture. Once dried, they are passed through a sieve again to obtain uniform particle size. Lubricants and glidants are then added, and the granules are finally compressed into tablets. This method enhances the flowability, uniformity, and mechanical strength of the prepared tablets.[16]

iii. Dry Granulation Method

Dry granulation is mainly used for drugs that are sensitive to moisture or heat and cannot undergo wet processing. In this technique, the drug and polymers are mixed uniformly to form a powder blend. The mixture is then compressed into large compacts or slugs using a compression machine. These compacts are milled and sieved to produce granules of appropriate size. After that, lubricants and glidants are added to improve the flow properties of the granules. Finally, the prepared granules are compressed into tablets. This method improves the compressibility of the powder mixture without the use of any liquid binder.[17]

1.5. Evaluation Parameters of Floating Tablets

i. Pre-compression Parameters

Before compressing the powder blend into tablets, several pre-compression studies are performed to determine the flow properties of the powder mixture. These tests help ensure uniform die filling during tablet compression. The commonly evaluated parameters include angle of repose, bulk density, tapped density, compressibility index (Carr's index), and Hausner's ratio. The angle of repose is measured to determine the flowability of the powder blend, while bulk density and tapped density indicate the packing ability of the powder particles. The compressibility index and Hausner's ratio are calculated from these values to assess the compressibility and flow characteristics of the powder mixture. Good flow properties are necessary to obtain tablets with uniform weight and drug distribution.[18]

ii. Weight Variation

The weight variation test is performed to ensure that each tablet contains a uniform amount of drug. In this test, a specific number of tablets are randomly selected and individually weighed using a digital balance. The average weight is calculated and compared with the individual tablet weights. The percentage deviation is then determined according to pharmacopeial standards. This test confirms the uniformity of tablet weight and proper distribution of the formulation during compression.[19]

iii. Tablet Thickness

Tablet thickness is measured using a vernier caliper or digital caliper. This parameter helps ensure uniformity in the size of the tablets and indicates the consistency of the compression process. Uniform thickness is important for packaging, storage, and maintaining consistent tablet quality.[20]

iv. Hardness Test

The hardness test is carried out to determine the mechanical strength of the tablets. It measures the force required to break a tablet under pressure. Hardness is usually measured using instruments such as a Monsanto hardness tester or Pfizer hardness tester. Adequate hardness is necessary to ensure that tablets can withstand handling, packaging, and transportation without breaking.[21]

v. Friability Test

Friability is used to evaluate the resistance of tablets to abrasion or mechanical shock during handling. The test is performed using a friabilator, where a known number of tablets are rotated at a fixed speed for a specific time. After the test, the tablets are weighed again and the percentage weight loss is calculated. A friability value of less than 1% is generally considered acceptable according to pharmacopeial standards.[22]

vi. Drug Content Uniformity

The drug content test is performed to determine whether each tablet contains the correct amount of the active pharmaceutical ingredient. In this method, a specified number of tablets are powdered and dissolved in a suitable solvent. The solution is then filtered and analyzed using UV spectrophotometry or other analytical techniques to determine the drug concentration. This ensures uniform distribution of the drug throughout the formulation.[23]

vii. Floating Lag Time

Floating lag time refers to the time required for the tablet to rise to the surface of the gastric medium after being placed in the dissolution medium. This test is usually performed using 0.1 N hydrochloric acid (simulated gastric fluid). A shorter floating lag time indicates that the tablet can quickly start floating in the stomach, which is essential for gastroretentive drug delivery.[24]

viii. Total Floating Time

Total floating time is the duration for which the tablet remains buoyant on the surface of the dissolution medium. This parameter helps determine the ability of the tablet to stay in the stomach for a prolonged period. In floating drug delivery systems, tablets are expected to remain buoyant for several hours to ensure sustained drug release.[25]

ix. Swelling Index

The swelling index is measured to determine the water uptake capacity of the polymer matrix. In this test, tablets are placed in simulated gastric fluid and removed at specific time intervals. The tablets are weighed after removing excess surface liquid, and the swelling index is calculated. This parameter helps understand the swelling behavior of polymers used in the formulation and their role in drug release.[26]

x. In-vitro Drug Release Study

In-vitro drug release studies are performed to evaluate the rate and extent of drug release from the floating tablets. The test is usually carried out using a USP dissolution apparatus in simulated gastric fluid (0.1 N HCl) at 37°C. Samples are withdrawn at predetermined intervals and analyzed using UV spectrophotometry. This study helps determine the drug release pattern and confirms whether the formulation provides sustained drug release.[27]

1.6. Future Perspective of Gastroretentive Drug Delivery Systems

Future progress in gastroretentive drug delivery systems will depend on designing formulations that can remain in the stomach for a longer and more predictable period. Researchers are increasingly focusing on combining different retention mechanisms such as buoyancy, swelling, adhesion to the gastric mucosa, and expansion to improve system performance. A deeper investigation of polymer properties and their interaction with drugs will support the development of more efficient formulations. The application of systematic development strategies like Quality by Design can assist in optimizing formulation variables and manufacturing conditions.[28]

Modern imaging and tracking techniques may also help researchers better understand the behavior of dosage forms inside the stomach. Emerging technologies, including magnetic-based retention systems and superporous hydrogel structures, present promising opportunities but still require further experimental and clinical validation. Improving the stability of formulations and maintaining controlled drug release profiles will also remain important goals. Future studies should carefully evaluate how formulation parameters influence key quality attributes of gastroretentive systems. Tailoring dosage forms to meet patient-specific requirements may further enhance therapeutic outcomes. Continuous innovation in materials, formulation strategies, and evaluation techniques will contribute to the advancement of more reliable gastroretentive drug delivery technologies.[29]

2. Formulation & Evaluation of Gastro-retentive Floating Tablets

i. Gastro-retentive Floating Tablet of Lovastatin

Lovastatin is a naturally occurring lipid-lowering drug from the statin class that is commonly used to treat hypercholesterolemia and help prevent cardiovascular diseases. It works by competitively inhibiting the enzyme HMG‑CoA reductase, which reduces cholesterol synthesis in the liver. However, despite its therapeutic effectiveness, Lovastatin has very low oral bioavailability (less than 5%) because of its poor aqueous solubility, limited permeability, and extensive first-pass metabolism. In addition, the drug is primarily absorbed in the upper gastrointestinal tract (GIT), making it a suitable candidate for gastroretentive drug delivery systems (GRDDS). These systems are designed

to keep the dosage form in the stomach for a prolonged period, thereby enhancing drug absorption, increasing bioavailability, and minimizing the need for frequent dosing. Among the different GRDDS approaches, floating drug delivery systems (FDDS) have received considerable attention due to their effectiveness in maintaining gastric retention.[30]

ii. Gastro-retentive Floating Tablet of Atenolol

Atenolol is a cardioselective β-blocker that acts as a selective β1-adrenergic antagonist and is commonly prescribed for the treatment of hypertension and angina pectoris. The chemical name of atenolol is 4-[2-hydroxy-3-[1-methylethyl) amino]propoxy] benzene acetamide. It undergoes minimal or no hepatic first-pass metabolism and has an elimination half-life of approximately 6–7 hours. Atenolol can be administered through both oral and parenteral routes. However, the drug is only partially absorbed from the gastrointestinal tract. Its oral bioavailability is around 50%, while the remaining portion is excreted unchanged in the feces. Additionally, atenolol shows low permeability across the human jejunum and limited overall absorption. Increasing the gastric residence time of the drug may therefore improve its absorption and bioavailability. The usual recommended oral dose for adults in the management of hypertension is 50 mg taken twice daily.[31]

iii. Gastro-retentive Floating Tablet of Ciprofloxacin.

Ciprofloxacin hydrochloride is a broad-spectrum fluoroquinolone antibiotic that is primarily absorbed in the stomach and the upper region of the small intestine. It has an oral bioavailability of about 70% and reaches peak plasma concentration within 1–2 hours after a 500 mg dose. However, as the dosage form moves further along the gastrointestinal tract, drug absorption decreases, which limits the effectiveness of sustained-release formulations. Extended-release products such as Cipro XR and Proquin XR are used in the treatment of urinary tract infections. Increasing the gastric residence time can help improve drug delivery and enhance absorption in the upper gastrointestinal region.[32]

iv. Gastro-retentive Floating Tablet of Dexlansoprazole

Dexlansoprazole is a proton pump inhibitor widely used for the treatment of acid-related disorders such as gastroesophageal reflux disease (GERD), erosive esophagitis, and peptic ulcer disease. It works by inhibiting the H^+/K^+-ATPase enzyme system located in the gastric parietal cells, thereby reducing gastric acid secretion. Oral drug delivery is the most common route of administration for Dexlansoprazole because it is convenient and improves patient compliance. However, conventional oral dosage forms sometimes show limited gastric residence time, which may reduce the effectiveness of the drug. To overcome this limitation, gastroretentive drug delivery systems have been developed to prolong the retention time of drugs in the stomach. Floating drug delivery systems are one of the most effective approaches used in gastroretentive formulations. These systems remain buoyant in gastric fluid due to their low density and release the drug slowly over an extended period. This prolonged gastric retention improves drug absorption and enhances bioavailability. Dexlansoprazole formulations designed using floating systems can maintain sustained drug release and provide prolonged therapeutic effects. The use of polymers such as HPMC helps control the drug release rate. Such systems also reduce dosing frequency and improve patient compliance. Therefore, the development of gastroretentive floating tablets of Dexlansoprazole is considered a promising approach to enhance therapeutic efficacy and improve drug delivery in the treatment of gastric acid related disorders.[33]

v. Gastro-retentive Floating Tablet of Domperidone

Domperidone is a synthetic benzimidazole derivative that acts as a dopamine D2 receptor antagonist and is widely used as a prokinetic agent. It is commonly prescribed for the treatment of gastrointestinal motility disorders, nausea, vomiting, and delayed gastric emptying. Domperidone increases gastrointestinal motility and accelerates gastric emptying by blocking dopamine receptors in the gastrointestinal tract. Although it is effective, Domperidone has relatively low oral bioavailability due to extensive first-pass metabolism in the liver. The drug is highly soluble in acidic conditions but shows reduced solubility in alkaline pH. This characteristic makes it suitable for gastroretentive drug delivery systems that prolong drug residence in the stomach. Conventional oral dosage forms may pass quickly through the stomach, reducing drug absorption. Floating drug delivery systems are designed to overcome this limitation by remaining buoyant in gastric fluid for an extended period. These systems release the drug slowly while floating in the stomach, improving absorption in the upper gastrointestinal tract. Floating tablets help maintain therapeutic drug concentration for a longer duration. They also reduce fluctuations in plasma drug levels. The use of polymers and gas-generating agents in the formulation helps maintain buoyancy and controlled drug release. As a result, floating formulations of Domperidone improve drug bioavailability and therapeutic effectiveness while enhancing patient compliance.[34]

vi. Gastro-retentive Floating Tablet of Glipizide

Glipizide is an oral hypoglycemic drug belonging to the second-generation sulfonylurea class and is widely used in the management of type-2 diabetes mellitus. The drug lowers blood glucose levels by stimulating the release of insulin from pancreatic beta cells. Glipizide is commonly administered orally due to its effectiveness and ease of administration. However, the drug has a relatively short biological half-life and requires multiple dosing to maintain therapeutic levels in the body. Controlled drug delivery systems are therefore developed to provide sustained release and improve therapeutic effectiveness. Gastroretentive drug delivery systems are particularly

useful for drugs that are primarily absorbed in the stomach or the upper part of the small intestine. These systems increase the gastric residence time of the dosage form and allow the drug to be released slowly over an extended period. Floating drug delivery systems are a type of gastroretentive system that remains buoyant in gastric fluid due to their low density. They help maintain the dosage form in the stomach for a prolonged period without affecting gastric emptying. Glipizide belongs to Biopharmaceutics Classification System class II, indicating low solubility but high permeability. Therefore, improving its dissolution and retention in the stomach can enhance its bioavailability. Floating tablets of Glipizide provide controlled and sustained drug release, reduce dosing frequency, and improve patient compliance in the treatment of diabetes.[35]

vii. Gastro-retentive Floating Tablet of Griseofulvin

Griseofulvin is an antifungal antibiotic commonly used for the treatment of dermatophyte infections affecting the skin, hair, and nails. It is particularly effective against fungal infections caused by species of Trichophyton, Microsporum, and Epidermophyton. The drug works by inhibiting fungal cell division and interfering with microtubule function during mitosis. Griseofulvin is usually administered orally because topical treatments are often ineffective for systemic fungal infections. However, the drug has poor water solubility and variable absorption in the gastrointestinal tract, which may reduce its therapeutic effectiveness. To improve the bioavailability of poorly soluble drugs like Griseofulvin, advanced drug delivery systems have been developed. Gastroretentive drug delivery systems are designed to prolong the residence time of drugs in the stomach. Floating drug delivery systems are one such approach that remain buoyant in gastric fluid due to their low density. These systems release the drug slowly and continuously while remaining in the stomach. Prolonged gastric retention enhances drug dissolution and absorption. Floating tablets of Griseofulvin can therefore improve therapeutic effectiveness by maintaining drug concentration in the body for a longer duration. Additionally, sustained drug release helps reduce dosing frequency and improves patient compliance in antifungal therapy.[36]

viii. Gastro-retentive Floating Tablet of Ibuprofen

Ibuprofen is a widely used non-steroidal anti-inflammatory drug (NSAID) belonging to the propionic acid derivative class. It is commonly used to treat pain, inflammation, fever, rheumatoid arthritis, osteoarthritis, and other inflammatory conditions. The drug works by inhibiting the cyclooxygenase (COX) enzyme, which reduces the synthesis of prostaglandins responsible for pain and inflammation. Ibuprofen is rapidly absorbed from the gastrointestinal tract after oral administration. It shows high bioavailability and is extensively bound to plasma proteins. The drug is metabolized mainly in the liver and excreted through urine. Ibuprofen has a relatively short biological half-life of approximately two hours, which requires frequent dosing to maintain therapeutic levels. Frequent dosing may increase the risk of gastrointestinal irritation and other side effects. To overcome these limitations, sustained release and gastroretentive drug delivery systems are developed. Floating drug delivery systems are designed to remain buoyant in gastric fluid and release the drug slowly over time. These systems prolong the gastric residence time of the dosage form and improve drug absorption. Floating tablets of Ibuprofen can maintain a steady drug concentration in the body for a longer period. This approach helps reduce dosing frequency, minimize side effects, and improve patient compliance in long-term pain management therapy.[37]

ix. Gastro-retentive Floating Tablet of Metoprolol

Metoprolol tartrate is a cardioselective β1-adrenergic receptor blocker widely used in the treatment of cardiovascular diseases such as hypertension, angina pectoris, myocardial infarction, and heart failure. It works by blocking β1-receptors in the heart, which reduces heart rate, cardiac output, and blood pressure. Due to its effectiveness and safety profile, Metoprolol tartrate is commonly administered through the oral route. However, the drug has a relatively short biological half-life and undergoes extensive first-pass metabolism in the liver. As a result, only a limited amount of the drug reaches systemic circulation, which may reduce its therapeutic effectiveness. Conventional dosage forms may also pass quickly through the stomach, limiting the time available for drug absorption. To overcome these limitations, gastroretentive drug delivery systems have been developed. These systems are designed to prolong the residence time of the drug in the stomach. Floating drug delivery systems are one of the most effective gastroretentive approaches, as they remain buoyant in gastric fluid for an extended period. Such systems release the drug slowly and continuously while floating in the stomach. Polymers such as hydroxypropyl methylcellulose (HPMC) are commonly used to control the drug release rate. Floating tablets of Metoprolol tartrate help maintain a constant drug concentration in the body for a longer duration. This approach improves drug bioavailability, reduces dosing frequency, and enhances patient compliance in the management of cardiovascular disorders.[38]

x. Gastro-retentive Floating Tablet of Lafutidine

Lafutidine is a second-generation histamine H_2-receptor antagonist used in the treatment of gastric ulcers, duodenal ulcers, and gastroesophageal reflux disease. It works by inhibiting histamine-induced gastric acid secretion from parietal cells in the stomach. In addition to reducing acid secretion, Lafutidine also exhibits gastroprotective effects by stimulating mucus secretion and improving gastric mucosal defense. The drug is usually administered orally because this route is convenient and widely accepted by patients. However, conventional oral dosage forms may have limited gastric residence time, which can affect drug absorption and

therapeutic efficiency. Gastroretentive drug delivery systems are designed to overcome this limitation by prolonging the time the dosage form remains in the stomach. Floating drug delivery systems are particularly useful because they have a density lower than gastric fluid and therefore remain buoyant for an extended period. While floating in the stomach, the drug is released gradually from the dosage form. This controlled release helps maintain therapeutic drug levels in the body for a longer duration. Polymers and gas-generating agents are commonly used in floating formulations to maintain buoyancy and sustained release. Floating tablets of Lafutidine improve drug solubility and bioavailability in the acidic environment of the stomach. Such systems also reduce dosing frequency and improve patient compliance in the treatment of gastric disorders.[39]

xi. Gastro-retentive Floating Tablet of Mitiglinide

Mitiglinide is an oral hypoglycemic agent used in the management of type-2 diabetes mellitus. It belongs to the meglitinide class of antidiabetic drugs, which stimulate insulin secretion from pancreatic beta cells. The drug works by blocking ATP-dependent potassium channels in pancreatic cells, leading to insulin release and reduction of blood glucose levels. Mitiglinide is generally administered orally and is rapidly absorbed from the gastrointestinal tract. However, it has a relatively short half-life and may require frequent dosing to maintain therapeutic effectiveness. This frequent dosing may reduce patient compliance during long-term treatment. To overcome these limitations, controlled and sustained drug delivery systems have been developed. Gastroretentive drug delivery systems help prolong the residence time of the dosage form in the stomach. Floating drug delivery systems are designed to remain buoyant in gastric fluid for a prolonged period due to their low density. These systems release the drug slowly while floating in the stomach, which improves drug absorption in the upper gastrointestinal tract. Floating tablets help maintain steady plasma drug levels and reduce fluctuations in blood glucose levels. The use of suitable polymers ensures controlled drug release over an extended period. As a result, floating formulations of Mitiglinide improve therapeutic effectiveness, reduce dosing frequency, and enhance patient compliance in the treatment of diabetes.[40]

xii. Gastro-retentive Floating Tablet of Nizatidine

Nizatidine is a histamine H_2-receptor antagonist commonly used for the treatment of peptic ulcers, gastroesophageal reflux disease, and other acid-related gastrointestinal disorders. The drug works by inhibiting histamine-stimulated gastric acid secretion from the parietal cells of the stomach. Nizatidine effectively reduces gastric acid production and promotes healing of gastric and duodenal ulcers. It is usually administered orally because this route is convenient and provides good therapeutic response. However, the drug has a relatively short biological half-life, which may require repeated dosing to maintain therapeutic drug levels. Conventional oral dosage forms may pass quickly through the gastrointestinal tract, limiting drug absorption. Gastroretentive drug delivery systems are therefore developed to increase the residence time of the dosage form in the stomach. Floating drug delivery systems are one such approach that allows the dosage form to remain buoyant in gastric fluid for an extended period. These systems release the drug slowly while remaining in the stomach, which enhances drug absorption and bioavailability. Floating tablets of Nizatidine provide controlled and sustained drug release. This helps maintain a constant therapeutic level of the drug in the body. Such formulations reduce dosing frequency and improve patient compliance in the treatment of gastric acid related disorders.[41]

xiii. Gastro-retentive Floating Tablet of Propranolol

Propranolol hydrochloride is a non-selective beta-adrenergic receptor blocker widely used in the treatment of hypertension, angina pectoris, cardiac arrhythmias, and other cardiovascular conditions. The drug works by blocking both β1 and β2 receptors, which reduces heart rate, cardiac output, and blood pressure. Propranolol hydrochloride is commonly administered orally because it is effective and convenient for long-term therapy. However, the drug undergoes extensive first-pass metabolism in the liver, which significantly reduces its oral bioavailability. Only a small portion of the administered dose reaches systemic circulation. Conventional oral dosage forms may also pass rapidly through the gastrointestinal tract, limiting the time available for drug absorption. To overcome these limitations, gastroretentive drug delivery systems have been developed. Floating drug delivery systems are designed to remain buoyant in gastric fluid due to their lower density. These systems allow the dosage form to stay in the stomach for a longer period while releasing the drug slowly. Prolonged gastric residence time improves drug absorption in the upper gastrointestinal tract. Floating tablets of Propranolol hydrochloride help maintain therapeutic drug levels for an extended period. This controlled release approach improves bioavailability, reduces dosing frequency, and enhances patient compliance in the management of cardiovascular diseases.[42]

xiv. Gastro-retentive Floating Tablet of Repaglinide

Repaglinide is an oral hypoglycemic agent used in the management of type-2 diabetes mellitus. It belongs to the meglitinide class of antidiabetic drugs and is known for its rapid and short-acting insulin secretagogue activity. The drug works by blocking ATP-dependent potassium channels in pancreatic β-cells, which leads to depolarization of the cell membrane and stimulation of insulin release. Repaglinide is commonly administered before meals to control postprandial blood glucose levels. However, the drug has a short biological half-life, which requires frequent dosing throughout the day. Frequent dosing may reduce patient compliance and increase the possibility of side effects. Gastroretentive

drug delivery systems have been developed to overcome these limitations. These systems are designed to prolong the residence time of the dosage form in the stomach. Floating drug delivery systems are particularly useful because they remain buoyant in gastric fluid due to their lower density. While floating in the stomach, the drug is released gradually from the dosage form. This controlled release maintains therapeutic drug levels for a longer duration. Floating tablets of Repaglinide improve drug bioavailability and therapeutic effectiveness. Such formulations reduce dosing frequency and enhance patient compliance in the treatment of diabetes.[43]

xv. Gastro-retentive Floating Tablet of Silymarin

Silymarin is a natural flavonoid complex extracted from the seeds of the milk thistle plant (Silybum marianum). It is widely used as a hepatoprotective agent for the treatment of liver disorders such as hepatitis, cirrhosis, and toxin-induced liver damage. Silymarin consists mainly of flavonolignans including silybin, silydianin, and silychristin. These compounds possess strong antioxidant properties that help protect liver cells from damage caused by toxins and free radicals. Silymarin also stabilizes cell membranes and prevents the entry of harmful substances into hepatocytes. In addition, it promotes liver regeneration by stimulating protein synthesis in liver cells. Despite its therapeutic benefits, Silymarin has poor water solubility and low bioavailability when administered orally. The drug is rapidly metabolized in the liver and has a relatively short biological half-life. These factors limit their therapeutic effectiveness when given in conventional dosage forms. Gastroretentive drug delivery systems have been developed to improve the bioavailability of such drugs. Floating drug delivery systems remain buoyant in gastric fluid and release the drug slowly over time. Prolonged gastric retention allows better absorption of the drug in the upper gastrointestinal tract. Floating tablets of Silymarin therefore provide controlled drug release, improve bioavailability, and enhance therapeutic effectiveness in the treatment of liver disorders.[44]

3. Comparative Review of Drugs Used in Floating Tablets:

S,no	Drug	Authors	Year	Polymer used	Floating Lag Time	Total Floating Time	% Drug Release
1	Ibuprofen[45]	Shaikh et al.	2018	HPMCK4M	240 sec	>11 hrs	99.46 %
2	Glipizide[46]	Basavaraj K Nanjwadeet al.	2012	HPMCK100M & HPMCK15M	140 sec	>24 hrs	99.33 %
3	Griseofulvin[47]	Jonathan Tinotenda Chanyandura et al.	2022	HPMCK100M	125 sec	12 hrs	80.8 %
4	Mitiglinide[48]	Meenakshi Patel et al.	2023	HPMCK15M	130 sec	>12 hrs	90 %
5	Domperidone[49]	D. Saritha et al.	2012	HPMCK100M	58 sec	>12 hrs	99.47 %
6	Metoprolol Tartrate[50]	Brahmaiah et al.v	2013	HPMCK100M	130 sec	>12 hrs	95 %
7	Lafutidine[51]	Dolas et al.	2018	HPMCK100M	80 sec	12 hrs	90 %
8	Dexlansoprazole[52]	Adimulka Sandhya1 et al.	2024	HPMCK15M	60 sec	>12 hrs	92.33%
9	Silymarin[53]	Rajeev GARG et al.	2009	HPMCK4M	42 sec	24 hrs	92.35 %
10	Nizatidine[54]	Gehan Balata et al.	2014	HPMCE5	42 sec	8–12 hrs	97 %
11	Repaglinide[55]	Devara Raj kumar et al.	2024	HPMCK4M	55 sec	12 hrs	95.03 %
12	Propranolol HCl[56]	Swati C. Jagdale et al.	2009	HPMCK100M	55 sec	>12 hrs	99.03 %
13	Lovastatin[57]	Vinesh Kumar et al.	2025	HPMCK4M	129 sec	12 hrs	99.55 %
14	Atenolol[58]	Raghavendra Kumar Gunda et al.	2015	HPMCK15M	18 sec	10 hrs	72.91 %
15	Ciprofloxacin[59]	Ramji Anil Kumar Arza et al.	2009	HPMCK100M	40 sec	12 hrs	92

4. CONCLUSION

Gastro retentive drug delivery system offers a potential advantage of enhanced bioavailability and controlled delivery of drug. Gastro retentive drug delivery system showed the potential to increase the gastric retention of drug. In this comparative review, the formulation and evaluation of floating tablets of several drugs including Ibuprofin, Glipizide, Griseofulvin, Mitiglinide, Domperidone, Metoprolol tartrate, Lafutidine, Dexlansoprazole, Silymarin, Nizatidine, Repaglinide,

Propranolol hydrochloride, Lovastatin, Atenolol, and Ciprofloxacin was analyzed based on previously reported research studies. The analysis indicates that floating drug delivery systems are particularly useful for drugs that exhibit low bioavailability, poor aqueous solubility, short biological half-life, or absorption mainly in the upper gastrointestinal tract. Among the drugs reviewed, Domperidone and Lovastatin were found to be the most suitable candidates for floating tablet formulations because their absorption and therapeutic effectiveness can be significantly improved by prolonging gastric residence time. Floating tablets formulated with suitable hydrophilic polymers such as HPMC and sodium alginate can maintain buoyancy and provide sustained drug release for an extended period. Therefore, gastroretentive floating drug delivery systems represent an effective strategy to enhance drug absorption, improve bioavailability, reduce dosing frequency, and ultimately improve therapeutic outcomes and patient compliance.

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