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Review On: Polymers Used In Floating Drug Delivery System

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Abstract: For medications that are mostly absorbed in the upper gastrointestinal (GI) tract segments the stomach, duodenum, and jejunum floating drug delivery systems (FDDS) offer an extra benefit. The quantitative effectiveness of floating delivery systems in the fed and fasted states, the contribution of buoyancy to improving FDDS's gastro residence time (GRT), and the relationship between extended GRT and sustained release/pharmacokinetic features are some of the important, unresolved issues surrounding the logical development of FDDS. The polymers employed in floating drug delivery formulations and systems have advanced significantly, and they can now accomplish more than just prolong a drug's effective release period. It is detailed how polymeric excipients (Es) are referred to in the technology utilized to create floating drug delivery systems (FDDS). The most popular polymer groups, their characteristics, and their function in different technical techniques to attaining buoyancy have been identified based on the information provided in research articles. Furthermore, methods for altering the API release in these systems are explained, along with the Es that are employed. The present developments in the application of polymers in floating dosage form (FDF) technology are described, together with broad conclusions regarding the future of this field.

Index Terms - Floating Drug Delivery Systems (FDDS), Gastroretentive Drug Delivery, Polymers, Natural Polymers, Synthetic Polymers, Buoyancy Mechanism, Controlled Drug Release, Gastric Retention Time, Swellable Polymers, Low-Density Systems, Mucoadhesive Polymers, Floating Tablets, Hollow Microspheres, Nanopolymers, 3D-Printed FDDS

I. INTRODUCTION

One of the most cutting-edge methods for delivering medications in the upper gastrointestinal tract in a regulated, extended, and site-specific manner is the use of floating drug delivery systems (FDDS)[1]. Because these systems may stay buoyant on the stomach contents for long periods of time, they have attracted a lot of attention in the pharmaceutics industry during the past few decades[2]. A significant obstacle to oral medication distribution is gastric retention, particularly for medications that are mostly absorbed from the stomach or the proximal small intestine, have a brief half-life, or are unstable in the intestines' alkaline pH. By using polymers

that give dosage forms low density, swelling capacity, surface modification, or gas entrapment properties, allowing them to float on stomach juices, FDDS helps get over these restrictions.

The physiology of the human stomach varies depending on a number of characteristics, including pH, motility, food intake, secretion rates, and gastric emptying time. The uniformity of oral medication absorption is called into question by these variances. Because the dosage form may enter the gut quickly, particularly when fasting, conventional controlled-release methods frequently fail to maintain drug concentration within the therapeutic window. By extending the dose form's stay in the stomach, FDDS offers a remedy. In addition to increasing bioavailability, this extended stomach retention guarantees superior therapeutic efficacy, fewer doses, and better patient compliance. The buoyancy, structural integrity, and drug-release kinetics of the system are all influenced by the polymers utilised in FDDS[3-4].

Polymers used in FDDS may be categorised as natural, semisynthetic, or synthetic based on where they come from. Each category has distinct qualities that affect the performance and design of floating formulations. Because they are safe, biocompatible, and biodegradable, natural polymers such guar gum, xanthan gum, pectin, chitosan, sodium alginate, and gum karaya are favoured. When stomach fluids are present, their capacity to expand aids in the formation of a gel barrier that retains buoyancy and traps air. Because of their consistent swelling behaviour, ability to change viscosity, and ability to regulate drug release, semisynthetic polymers such as Hydroxypropyl Methylcellulose (HPMC), Hydroxypropyl Cellulose (HPC), Carboxymethyl Cellulose (CMC), and Ethyl Cellulose are frequently utilised.

Three primary methods are usually involved in the process of floating in FDDS: swelling, effervescence, and hollow/porous structures. Hydrophilic polymers in swelling-based systems take up stomach fluids, expand, and create a gel layer that traps air and keeps the device afloat. Gas-generating substances like sodium bicarbonate, which react with stomach acid to create carbon dioxide, are used in effervescent systems. By trapping the produced gas inside the polymer matrix, buoyancy is made possible and system density is decreased. Because of their low density, polymers in hollow microsphere systems generate light particles that naturally float. Polymers are essential for creating and preserving the formulation's intended buoyancy, drug-release profile, and physical stability across all of these processes[6].

Low bulk density, excellent swelling capacity, suitable viscosity, and adequate mechanical strength are all necessary for an efficient FDDS. These fundamental qualities are provided by the molecular structure and physical features of polymers. For instance, mucoadhesive polymers promote stomach retention by sticking to the gastrointestinal mucosa; hydrophobic polymers limit drug diffusion and improve structural integrity; and hydrophilic polymers regulate water intake, swelling, and gel layer formation. The drug's characteristics, target release profile, formulation type (tablet, microsphere, beads, raft system), and patient needs all influence the choice of polymers. Stability, drug-release kinetics, total floating duration, and floating lag time may all be optimised with the right polymer combinations[7].

Role of Polymers in Floating Drug Delivery:

- Polymers regulate the structure, stability, and buoyancy of floating systems by forming their matrix or shell.
- In order to keep the dose form buoyant in stomach fluid, they aid in maintaining low density.
- After absorbing stomach fluid, hydrophilic polymers expand and create a gel barrier that holds gas or air.
- By creating matrices that are either diffusion-controlled or erosion-controlled, they control the patterns of drug release.
- By sticking to the stomach mucosa, mucoadhesive polymers improve gastric retention.
- By keeping carbon dioxide inside the matrix, polymers stabilise effervescent systems.
- They increase the bioavailability of medications with limited stomach or upper intestine absorption windows[9-10].

Ideal Properties of Polymers for FDDS:

- Should be biocompatible, biodegradable, non-toxic, and pharmaceutically acceptable.
- Must exhibit good swelling and gel-forming ability in acidic pH.
- Should have low density or the ability to trap gas/air.
- Should have pH-independent solubility to maintain performance in gastric conditions.
- Provide mechanical strength to tablet, bead, or microsphere structure.
- Must allow controlled/sustained drug release over long duration.
- Should be compatible with gas-generating agents (e.g., $NaHCO_3$)[11-12].

Natural Polymers Used in FDDS:

- **Guar Gum:** High swelling ability; forms viscous gel that traps air and enhances buoyancy.
- **Xanthan Gum:** Provides high viscosity and stabilizes controlled-release floating systems.
- **Pectin:** Forms gel in acidic medium, improving float time and drug retention.
- **Chitosan:** Mucoadhesive polymer that increases gastric retention; used in floating beads and microspheres.
- **Sodium Alginate:** Forms calcium alginate beads with low density; suitable for floating microspheres.
- **Gum Karaya / Gum Tragacanth:** Used for tablets and beads due to high swelling capacity[13-14].

Semisynthetic Polymers:

- **HPMC (Hydroxypropyl Methylcellulose):** Most commonly used; forms gel layer responsible for floating and sustained release.
- **HPC (Hydroxypropyl Cellulose):** Enhances total floating time and provides strong gel strength.
- **CMC (Carboxymethyl Cellulose):** Helps maintain matrix integrity and prolongs drug release.
- **Ethyl Cellulose:** Hydrophobic polymer used in microspheres to extend floatation and reduce burst release.
- **Sodium CMC:** Improves swelling and increases buoyancy in raft systems and floating tablets[16-17].

Synthetic Polymers:

- **Eudragit RL, RS, NE:** Control permeability and drug release; widely used in floating microspheres.
- **Polyethylene Oxide (PEO):** Rapidly hydrates and forms strong gel for buoyancy.
- **Polyvinyl Alcohol (PVA):** Used in floating films and microspheres for controlled release.
- **Polystyrene Derivatives:** Provide extremely low density for high buoyancy (used in hollow microspheres).
- **Acrylates and Methacrylates:** Used to design advanced floating beads and controlled- release systems[18-19].

Mechanisms by Which Polymers Produce Floating Ability:

1. **Swelling Mechanism:**
   - Hydrophilic polymers absorb water → swell → form gel → trap air → float.
2. **Effervescent Mechanism:**
   - Polymers entrap CO_2 released from sodium bicarbonate–citric acid reaction.
3. **Low-Density / Hollow Systems:**
   - Polymers create hollow microspheres or low-density structures that float naturally.
4. **Raft Formation:**
   - Polymers like alginate form a floating raft on gastric fluid due to gelation and CO_2 entrapment.
5. **Matrix Entrapment:**
   - Polymers form a solid matrix reducing penetration of gastric fluid, maintaining buoyancy[18-20].

Advantages of Using Polymers in FDDS:

Polymers play a central role in the design and functionality of Floating Drug Delivery Systems (FDDS). Their physicochemical properties determine buoyancy, drug release rate, matrix integrity, and stability. The following detailed points explain the major advantages of using polymers in FDDS:

1. **Increased Gastric Retention Time (GRT), Improving Drug Absorption-** Polymers such as HPMC, carbomers, and ethyl cellulose help create matrices with low density and high swelling capacity, enabling the dosage form to remain buoyant in gastric fluids for extended periods. This prolonged stomach residence ensures longer contact of the drug with the absorption window, leading to improved therapeutic outcomes.

2. **Enhanced Bioavailability of Drugs with a Narrow Absorption Window-** Many drugs (e.g., riboflavin, levodopa, metformin) show absorption primarily in the upper gastrointestinal tract. Polymers help maintain the dosage form in the stomach, allowing the drug to be released where absorption is optimal. This improves bioavailability and reduces dose wastage.

3. **Provides Prolonged and Controlled Release, Reducing Dosing Frequency-** By adjusting polymer grade, viscosity, and concentration, formulators can achieve sustained drug release for 8–24 hours. Hydrophilic polymers swell to form a gel-like barrier that ensures consistent, controlled release, keeping plasma concentrations within the therapeutic window for longer periods.

4. **Improves Local Drug Action in the Stomach-** For gastric disorders such as Helicobacter pylori infection, GERD, and gastric ulcers, polymers help retain drugs locally. Drugs such as clarithromycin, amoxicillin, and antacids benefit from extended contact with gastric mucosa, improving therapeutic efficacy.

5. **Reduces Side Effects by Avoiding Drug Dumping in the Intestines-** Controlled-release polymer matrices prevent rapid release of the drug into the intestines, which could otherwise cause irritation or subtherapeutic effects. Polymeric systems ensure more predictable release patterns, minimizing side-effect profiles.

6. **Maintains Constant Plasma Drug Levels-** Polymers modulate drug release so that plasma concentrations remain stable, preventing peaks and troughs associated with conventional dosage forms. This steady release enhances therapeutic efficiency, especially for chronic conditions.

7. **Flexible Compatibility with Many Formulation Types-** Polymers can be used to manufacture a wide range of FDDS forms floating tablets, beads, pellets, microspheres, hollow microspheres, floating films, and capsules. Their versatility enables tailoring the system to the drug's physicochemical characteristics[15-16].

Limitations of Polymers:

1. **Floating Ability Affected by Food State and Gastric Motility-** The buoyancy provided by polymers may vary depending on whether the patient has consumed food. Faster gastric motility in the fasted state can reduce retention time, causing premature evacuation of the dosage form.

2. **Excessive Polymer Swelling May Delay Drug Release-** Hydrophilic polymers like HPMC may swell too much, forming thick gel layers that slow drug diffusion excessively. This can result in suboptimal release, especially for drugs needing rapid onset.

3. **pH-Dependent Solubility Reduces Effectiveness-** Some polymers (e.g., alginate, Eudragit polymers) dissolve or swell differently at various pH values. If gastric pH rises (e.g., due to food or antacids), the polymer may not swell adequately, compromising floating behavior and drug release.

4. **High Polymer Concentration Increases Dosage Form Size**-To ensure buoyancy and sustained release, higher polymer quantities are often required. This increases tablet bulk and may make swallowing difficult, particularly for geriatric patients.

5. **Unsuitable for Drugs Unstable in Acidic pH**-FDDS retain drugs in the stomach for long durations, making them unsuitable for drugs that degrade rapidly in acidic environments. Such drugs may require enteric coating instead.

6. **Variable Gastric Emptying Even with Polymers-**Despite achieving buoyancy, natural variations in gastric physiology (e.g., stress, disease, and posture) may unpredictably alter retention time, reducing system reliability.

7. **Moisture Sensitivity of Hydrophilic Polymers-**Polymers like HPMC and guar gum tend to absorb moisture during storage, leading to premature swelling, reduced shelf life, and altered release kinetics. This requires specialized packaging[19-20].

Recent Research Trends:

Recent advancements in polymer science have significantly improved the performance, accuracy, and reliability of FDDS formulations. Key trends include:

1. **Development of Smart Polymers with Dual Functions-**Researchers are developing polymers that combine buoyancy with targeted or stimuli-responsive release. These polymers respond to stimuli such as pH, enzymes, or temperature, offering both floating ability and controlled release tailored to gastric conditions.

2. **Use of Nanopolymers for Floating Nanoparticles and Nanogels-** Nanotechnology has enabled the creation of lightweight nanogels and nanoparticles with built-in buoyancy. These systems provide higher surface area, better absorption, enhanced penetration, and improved therapeutic outcomes—especially for drugs with poor solubility.

3. **Application of 3D Printing for FDDS Tablets-** 3D printing allows fabrication of low- density, hollow, or porous structures that float naturally. Researchers design complex polymer architectures with precise release patterns, improving reproducibility and personalization of therapy.

4. **Use of Multi-Polymer Blends for Optimized Performance-**Combining natural, synthetic, and semisynthetic polymers enhances buoyancy, gel strength, swelling behavior, and release profiles. For example:

   - HPMC + ethyl cellulose for sustained release
   - Alginate + chitosan for improved matrix strength
   - Eudragit blends for pH-controlled release

5. **Floating Hollow Microspheres via Solvent Evaporation and Spray Drying-**Advances in microencapsulation techniques produce hollow microspheres with ultra-low density. Polymers like Eudragit, cellulose derivatives, and PLA/PGA are used to create microspheres with excellent floating ability and long retention times.

6. **Focus on Natural & Biodegradable Polymers-**Growing interest in sustainable formulations has led to extensive exploration of natural polymers such as tamarind seed gum, xanthan gum, fenugreek gum, chitosan, and guar gum. These polymers offer non-toxic, eco- friendly options for long-term therapies.

7. **Development of Mucoadhesive + Floating Hybrid Systems-**Newer "dual retention systems" combine mucoadhesion with flotation. By adhering to gastric mucosa while floating, these systems significantly increase retention time and improve drug release consistency.

8. **Advanced Computational Modeling and Simulation-** Researchers use computational tools (e.g., CFD, polymer modeling) to predict polymer swelling, gas entrapment, matrix erosion, and drug diffusion. This helps design smarter formulations with optimized polymer characteristics[19-20].

Conclusion:

Floating Drug Delivery Systems (FDDS) represent a significant advancement in oral controlled-release technology, offering a dependable approach for improving gastric retention and enhancing drug absorption in the upper gastrointestinal tract. Polymers play a crucial role in determining the success of FDDS by imparting buoyancy, controlling drug release, maintaining structural integrity, and ensuring prolonged residence time in the stomach. Natural, semisynthetic, and synthetic polymers each provide unique advantages such as biocompatibility, swelling ability, gel formation, and mechanical strength making them invaluable in formulating efficient floating systems. The selection and optimization of suitable polymers are essential for achieving the desired balance between buoyancy, drug release kinetics, and stability. While polymers offer several benefits, including extended gastric retention, improved bioavailability, and reduced dosing frequency, they also present challenges such as moisture sensitivity, pH-dependent solubility, and variable gastric conditions affecting performance. Innovations such as smart polymers, nanostructured systems, multi-polymer blends, and 3D-printed floating tablets are continuously expanding the potential of FDDS. Research is also shifting toward biodegradable, eco-friendly polymers and hybrid mucoadhesive-floating systems for superior gastric retention. Overall, polymers remain the backbone of FDDS development. Continued exploration of novel polymeric materials, engineering techniques, and computational design tools will lead to more predictable, customizable, and patient-friendly floating drug delivery systems. As advancements progress, FDDS will increasingly enable targeted, sustained, and highly efficient drug delivery, particularly for drugs with narrow absorption windows or those requiring localized gastric action.

References:

1. Arora, S., Ali, J., Ahuja, A., Khar, R. K., & Baboota, S. (2005). Floating drug delivery systems: A review. AAPS PharmSciTech, 6(3), E372–E390.
2. Bardonnet, P. L., Faivre, V., Pugh, W. J., Piffaretti, J. C., & Falson, F. (2006). Gastroretentive dosage forms: Overview and special case of Helicobacter pylori. Journal of Controlled Release, 111(1–2), 1–18.
3. Chen, J., Park, H., & Park, K. (2010). Gastric retention systems for oral drug delivery. Journal of Pharmaceutical Sciences, 99(12), 587–601.
4. Deshpande, A. A., Shah, N. H., Rhodes, C. T., & Malick, W. (1997). Development of a novel controlled-release system for gastric retention. Pharmaceutical Research, 14(6), 815–819.
5. Streubel, A., Siepmann, J., & Bodmeier, R. (2006). Gastroretentive drug delivery systems. Expert Opinion on Drug Delivery, 3(2), 217–233.
6. Klausner, E. A., Lavy, E., Friedman, M., & Hoffman, A. (2003). Expandable gastroretentive dosage forms. Journal of Controlled Release, 90(2), 143–162.
7. Shah, S. H., Patel, J. K., & Patel, N. V. (2009). Stomach-specific floating drug delivery system: A review. International Journal of PharmTech Research, 1(3), 623–633.
8. Srivastava, A., Ridhurkar, D., & Wadhwa, S. (2005). Floating microspheres of cimetidine: Formulation, characterization and in vitro evaluation. Acta Pharmaceutica, 55(3), 277–285.
9. Ali, J., Arora, S., Ahuja, A., Baboota, S., & Qureshi, M. J. (2007). Formulation and development of floating capsules of celecoxib for controlled delivery. Journal of Pharmacy & Pharmacology, 59(3), 323–329.
10. Yao, H., Yao, H., Zhu, J., & Yu, J. (2011). Preparation and evaluation of sustained- release floating microspheres of clarithromycin. Drug Development and Industrial Pharmacy, 37(6), 791–797.
11. Singh, B. N., & Kim, K. H. (2000). Floating drug delivery systems: An approach to oral controlled drug delivery via gastric retention. Journal of Controlled Release, 63(3), 235– 259.
12. Pawar, H. A., & Shah, S. K. (2012). Gastroretentive dosage forms: Review on floating tablets. Research Journal of Pharmaceutical Dosage Forms and Technology, 4(2), 73–83.
13. Jain, S. K., Awasthi, A. M., Jain, N. K., & Agrawal, G. P. (2005). Calcium silicate-based microspheres for gastroretentive floating drug delivery. Journal of Controlled Release, 107(2), 300–309.
14. Rosa, M., Zia, H., & Rhodes, T. (1994). Dosing and testing in-vitro of a bioadhesive and floating drug delivery system for oral application. International Journal of Pharmaceutics, 105(1), 65–70.
15. Kotreka, U. K., & Adeyeye, M. C. (2011). Gastroretentive floating drug delivery systems: A critical review. Journal of Pharmacy Research, 4(6), 1823–1831.
16. Yao, J., Wang, S., & Jiang, T. (2014). Advancement of polymer-based floating drug delivery systems. Journal of Applied Polymer Science, 131(15), 1–12.
17. Patel, A., & Raymond, S. (2017). Recent developments in gastroretentive drug delivery systems. International Journal of Pharmaceutical Sciences Review and Research, 42(1), 97–105.
18. Verma, S., & Garg, S. (2001). Current status of drug delivery technologies and future directions. Drug Development and Industrial Pharmacy, 27(4), 399–417.
19. Chandel, A., Chauhan, K., Parashar, B., Kumar, H., & Arora, S. (2012). Floating drug delivery systems: A better approach. International Current Pharmaceutical Journal, 1(5), 110–118.
20. Suryawanshi, S. S., & Hiremath, S. N. (2014). Formulation and evaluation of floating microspheres of natural polymer. International Journal of Pharmacy and Pharmaceutical Sciences, 6(2), 70–75.