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Floating Microspheres as Gastroretentive Drug Delivery Systems

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Abstract:
Floating Microspheres meet all the requirements for a powerful drug carrier in the current scenario of delivering therapeutic agents to the target site, which requires for an effective drug delivery carrier that can only deliver the drug on the site of action in a sustained and controlled manner. Microspheres, which range in size from 1 to 1000 microns, are free-flowing powders made of biodegradable proteins or synthetic polymers. A well-thought-out controlled drug delivery system can improve the therapeutic efficacy of a particular medication and solve some of the issues with traditional therapy. Microspheres have drawn a lot of interest for both targeted anticancer medications and extended release. polymers are just a few of the materials that can be used to create microspheres. Bioadhesive microspheres, magnetic microspheres, floating microspheres, radioactive microspheres, and polymeric microspheres are among the different kinds of microspheres that can be created using techniques like spray drying, solvent evaporation, single and double emulsions, solvent extraction, and quassi emulsion solvent diffusion. By combining a number of different approaches, particularly in diseased cells and diagnostics, microspheres will play a significant role in innovative medicine delivery in the future. They will also serve as supplements by acting as tiny replicas of the body's defective organs and tissues.

Keywords: Microspheres, floating microspheres, Method of Preparation, Characterization, and Applications.

1. Introduction

❖ GASTRO RETENTIVE DRUG DELIVERY SYSTEM (GRDDS)

Gastric emptying of dosage forms is an extremely inconstant process and the ability to prolong and control emptying time is a valued asset for dosage forms that reside in the stomach for a longer period of time than conventional dosage forms. Gastro retentive dosage forms have potential for use as controlled- release drug delivery systems. Gastro retentive floating drug delivery systems have a bulk density lower than that of gastric fluids and thus increase residence time of drug in stomach and provide controlled delivery of many drugs.

Hence one of the most feasible approaches for achieving a prolonged and predictable drug delivery in the GIT is to regulate the gastric residence time by using gastro-retentive dosage forms (GRDFs). Gastro-retentive drug delivery system offers several advantages besides providing better bioavailability to poorly absorbed drugs and a required release profile thus attracting interest of pharmaceutical formulation scientists. GRDD is an approach to increase the gastric residence time, therefore targeting site-specific drug release in the upper GIT for local or

systemic effects. It remains in the gastric region for several hours and thereby prolongs the gastric residence time of drugs.

Floating drug delivery systems are low-density systems designed to have sufficient buoyancy to float on gastric contents and remain in the stomach for an extended period. While floating, the drug is released gradually at a controlled rate. This prolonged gastric retention enhances drug absorption and reduces fluctuations in plasma drug concentration.

They are especially useful for drugs that have poor solubility or are unstable in intestinal fluids. These systems work by making the dosage form less dense than gastric fluid, allowing it to float without affecting the normal rate of gastric emptying. Drugs with short half-lives that are quickly absorbed in the gastrointestinal tract are otherwise rapidly cleared from the bloodstream. [5]

There are two types of microspheres, reservoir type, and matrix type**.**

- **Reservoir types**

In this system, the drug is entrapped as a core inside a water-insoluble polymer, which controls the rate of drug release. The commonly used polymers in such devices are ethylcellulose or polyvinyl acetate. This type is also known as microcapsules.

- **Matrix types**

In this type, the drug is homogeneously distributed in a polymeric matrix, which controls the drug release rate. The commonly used polymers for matrix types are sodium alginate or hydroxypropyl methylcellulose (HPMC). This type is also known as micromatrices[3]

There are two mechanisms of drug release from the microspheres

1. Dissolution: Here, the drug dissolution rate is controlled by the polymer decreasing its wettability or by itself getting dissolve in GI fluid at a slower rate.

2. Diffusion: Here, the drug diffuses from a region of higher concentration to the lower concentration of drug [3]

❖ **Classification of Floating Drug Delivery Systems:-**

1. Effervescent systems
2. Non-Effervescent Systems
3. Microporous Compartment System
4. Hollow microspheres

1.Effervescent Floating Dosage Forms.

This approach creates floating drug delivery systems by generating carbon dioxide (CO_2) gas. It uses effervescent ingredients like sodium bicarbonate or sodium carbonate, along with acids such as citric or tartaric acid. When these components come into contact with the acidic environment of the stomach, gas is released. This gas causes the dosage form to rise and remain buoyant. As its density decreases, it is able to float on the stomach contents (chyme).

However, effervescent systems have a drawback,they do not float immediately after being swallowed because gas formation takes some time. As a result, the dosage form may leave the stomach before it can start working effectively.

2.Non- Effervescent Floating Dosage Forms:-

After being swallowed, this type of system absorbs gastric fluid and swells significantly. The swelling is so extensive that it prevents the dosage form from leaving the stomach. Because of this behavior, these systems are often called “plug-type systems,” as they tend to stay near the pyloric sphincter.

One common way to formulate such systems is by mixing the drug with a gel that expands when it comes into contact with stomach fluid. After oral administration, the gel swells while maintaining its shape and forms a soft outer barrier. This structure keeps the overall density low, allowing the system to remain in the stomach for a longer time.

3.Microporous compartment system

This approach works by enclosing the drug inside a small, porous compartment that has tiny openings on its top and bottom. The sides of the device are completely sealed, so the drug does not come into direct contact with the stomach lining.

Inside the stomach, a separate chamber filled with trapped air helps the system float on gastric fluid. At the same time, fluid enters through the openings, dissolves the drug, and allows it to be released gradually. The dissolved drug then moves through the intestine, where it can be absorbed into the body.

4. Hollow microspheres or floating microsphere

Floating microspheres are a type of gastro-retentive drug delivery system that work without producing gas. These tiny, hollow particles—often called microballoons—are essentially spherical structures with no solid core. They are usually made from proteins or synthetic polymers and exist as free-flowing powders, typically smaller than 200 μm in size.

Some microspheres are solid and biodegradable, with the drug either dissolved or evenly distributed throughout the particle. This allows for controlled and sustained drug release.

2. Advantages & Disadvantages of Microspheres

2.1.Advantages of Microspheres

✓ Decreased size of microsphere contributes increased surface area thereby increases the potency of the poorly soluble material.

✓ Dose frequency and adverse effects can be reduced.

✓ Increased patient compliance.

✓ Drug packaged with polymer prevent drug from enzymatic cleavage therefore the drug can be protected from various enzymes.

✓ Enhances bioavailability.

✓ Gastric irritation can be reduced.

✓ Biological half-life can be enhanced.

- ✓ First pass metabolism can be reduced.
- ✓ Unpleasant odour and taste of the drug can be masked. [7]

2.2.Disadvantages of microspheres

- ✓ Reproducibility is less.
- ✓ The cost of materials and processing is high compared to conventional preparations.
- ✓ Change in process variables such as change in temperature, pH, solvent addition and evaporation/agitation may influence the stability of core particles.
- ✓ The fate of polymer matrix and additives.[7]
- ✓ Differences in the rate of discharge between doses.
- ✓ Chewing or breaking these dosage forms is not permitted.

3. Methods of Preparation of Microspheres

Methods Used For Preparation of Floating Microspheres

1. Spray Drying .
2. Ionic gelation method .
3. Double emulsion technique.
4. Phase separation co-acervation technique.
5. Single emulsion technique.

3.1. Spray Drying.

In the **spray drying method**, the polymer is first dissolved in a suitable volatile organic solvent. The drug, in solid form, is then mixed into this polymer solution using high-speed homogenization to create a uniform dispersion. This mixture is sprayed into a stream of hot air, forming tiny droplets. As the solvent quickly evaporates from these droplets, **microspheres** are formed, typically ranging in size from **1 to 100 µm**. [8]

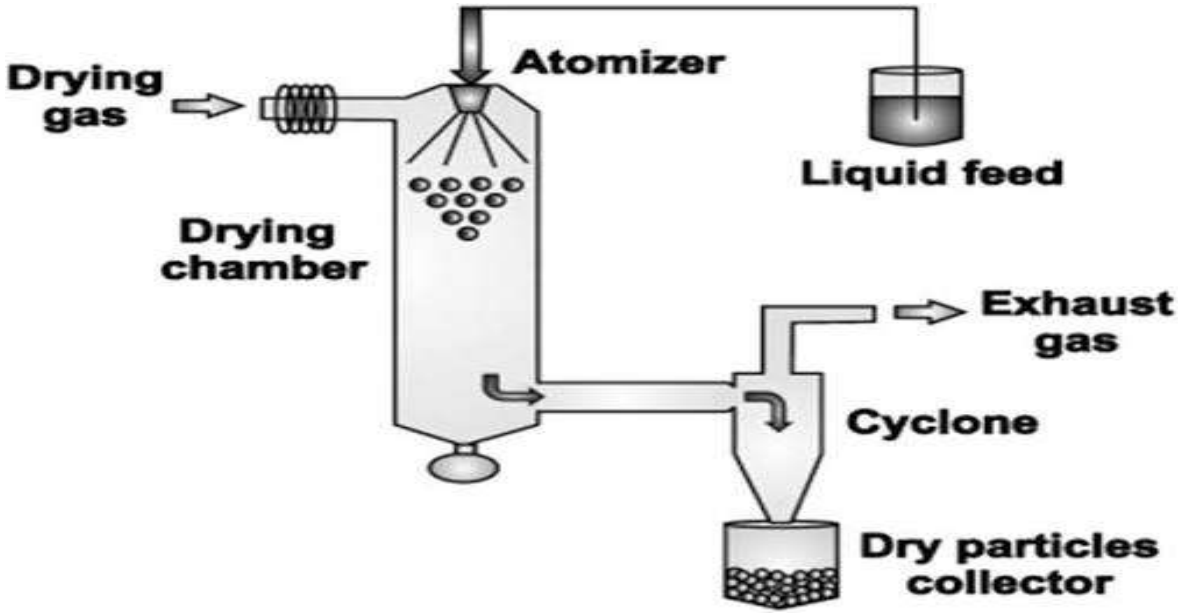


Fig 2 : Spray Drying

3.2. Ion gelation method.

Ionotropic gelation relies on the capacity of polyelectrolytes to undergo cross-linking in the presence of counter ions, resulting in bead formation. The ionotropic gelation technique has been used to encapsulate drugs and even cells using alginates, gellan gum, chitosan, and carboxymethyl cellulose. [5]

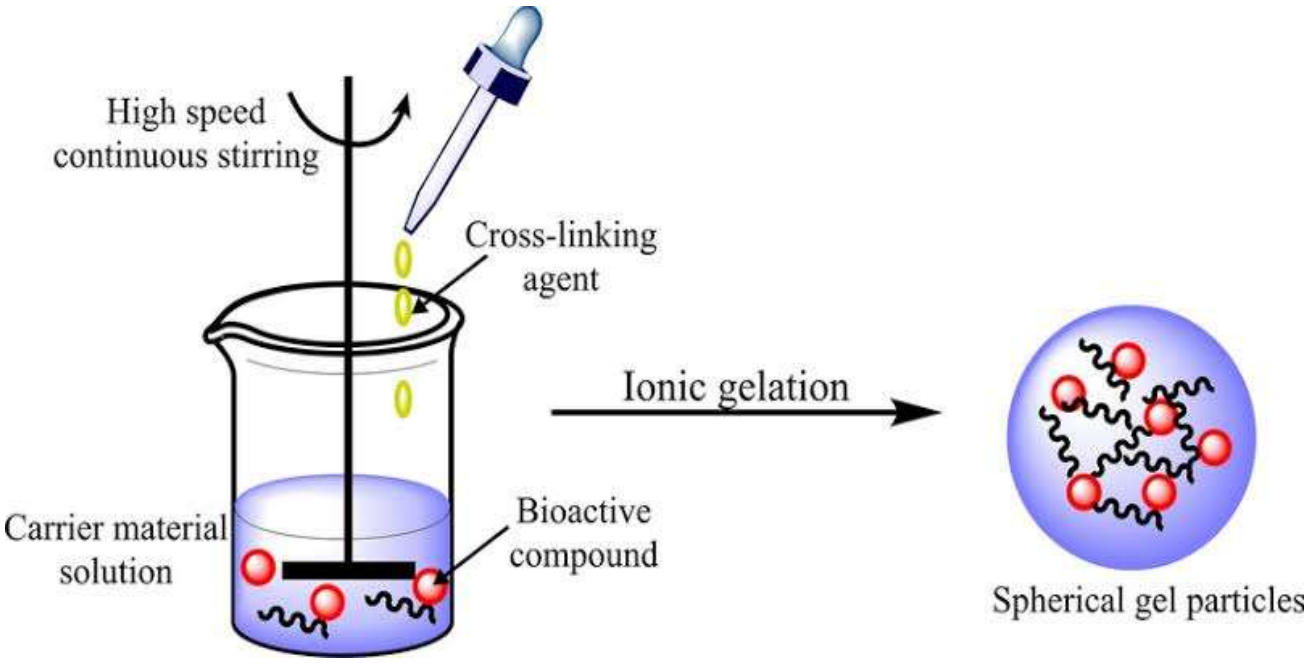
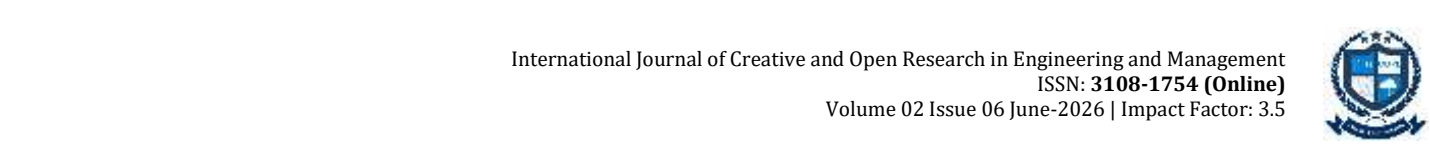


Fig 3 : . Ion gelation method.



3.3. Double emulsion technique:

It is best technique for water-soluble drugs such as proteins, peptides and vaccines. Because it produces several emulsions or double emulsions of w/o/w type. Both synthetic and natural polymers are used in this process. The drug is distributed in aqueous solution and exists in organic phase.After the drug is dissolved in aqueous phase and encapsulated in organic phase, a primary emulsion is formed, which contains a coated polymer. [8]

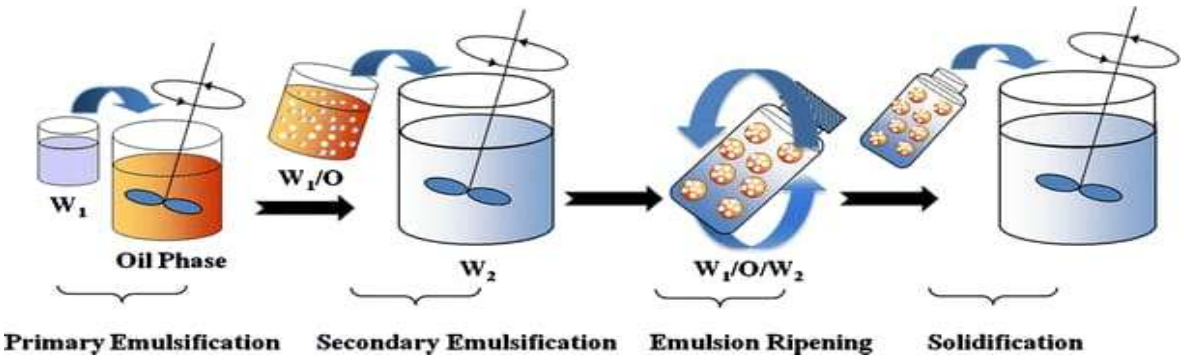


Fig 4: Double emulsion technique

3.4.Phase separation co-acervation technique

In this method, drug particles are dispersed in the solution of polymer and an incompatible polymer is added to system which makes first polymer to phase separate and engulf the drug particles. Addition of non-solvent results in solidification of a polymer. The agglomeration must be avoided by stirring suspension using a suitable speed stirrer since as process of microspheres formation begins the formed polymerize globules start to stick and form the agg. [5]

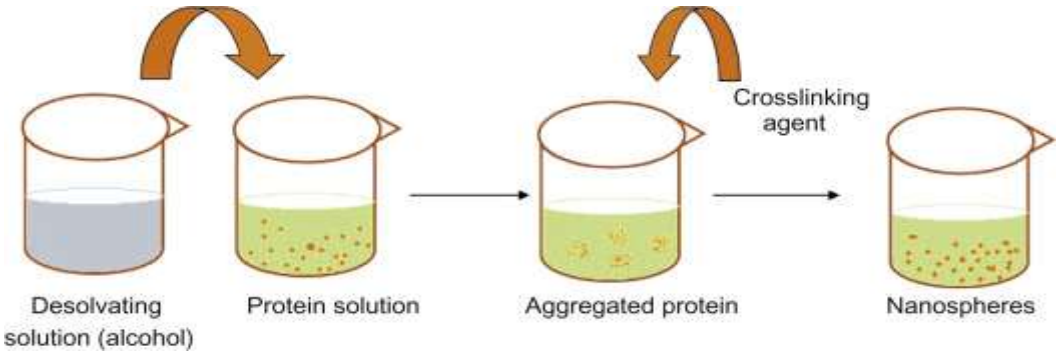
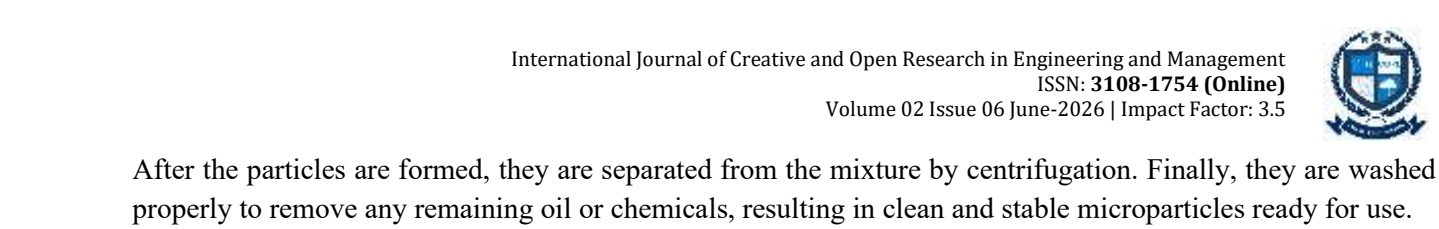


Fig 5 : Phase separation co-acervation technique

3.5.Single Emulsion Technique

The single emulsion technique is a simple and effective method used to prepare microparticles from natural polymers like proteins and carbohydrates. In this process, the polymer is first dissolved in water to form an aqueous solution. This solution is then slowly added into an oil phase, where it forms tiny droplets, creating a water-in-oil emulsion.

Once the droplets are formed, they need to be stabilized. This is done either by heating or by adding chemical cross-linking agents such as formaldehyde or glutaraldehyde. These agents help bind the polymer chains together, turning the droplets into solid microparticles.



After the particles are formed, they are separated from the mixture by centrifugation. Finally, they are washed properly to remove any remaining oil or chemicals, resulting in clean and stable microparticles ready for use.

Fig 4: Single Emulsion Technique

4.Characterization/ Evaluation of Microspheres

1) **Particle Size :-**An optical microscope was used to determine the size of the microspheres. The average particle size was calculated by measuring particles with a calibrated ocular micrometer

2) **Electron spectroscopy for chemical analysis:** The electron spectroscopy for chemical analysis (ESCA) is used to determine the surface chemistry of the microspheres.

3) **Density determination:** Multivolume pycnometer, is used for determination of density.

4) **Isoelectric point**: The electrophoretic mobility of microspheres can be measured using a technique known as micro-electrophoresis. By studying their movement in an electric field, the isoelectric point can be determined, which is the pH at which the microspheres have no net electrical charge.

5) **Determination of percentage yield:** The percentage yield of microspheres is calculated by comparing the actual amount of microspheres obtained with the total amount of drug and polymer used in the formulation. This helps indicate how efficient the production process is.

6) **Determination of drug loading:** Drug loading (%) can be determined by the total amount of drug entrapped, divided by the total weight of Microspheres.

   Drug Loading =Amount Of drug Entrapped/total weight of Microspheres

7) **Tapped density:** Tapped density was determined using the tapping method. A known quantity of microspheres was weighed, and its volume was measured after 100 taps and again after 1000 taps using a tapped density apparatus.

   Tapped density = sample weight/sample volume

8) **Angle of repose:** The angle of repose is the steepest angle formed between the surface of a pile of powder and a horizontal surface.

   $\tan \Theta = h / r$

9) **Studies of in-vitro drug release**: In this analysis, A USP dissolution apparatus was used at a set speed. The test was carried out at 37 ± 0.5°C using distilled water and dissolution medium. A total of 900 mL of 0.1 N HCl was used as the dissolution medium, and the apparatus was operated at 100 rpm for the required duration. Samples were taken at regular intervals and replaced with an equal volume of fresh medium to maintain sink conditions. The collected samples were then analysed using a spectrophotometer

10) **Evaluation In-Vivo :** It was used to locate where the dosage form is positioned in the gastrointestinal (GI) tract and to monitor how long it remains in the stomach before passing further. X-rays can be used to visualize the otherwise invisible radiolabelled material present in the floating microspheres.

5) Applications of Floating Microspheres

1.Sustained Drug Delivery: These systems can stay in the stomach for a long time, allowing the drug to be released slowly over an extended period. This helps solve the problem of drugs leaving the stomach too quickly, which is common with regular controlled-release oral formulations.

They are designed with a low density (<1), so they can float on the stomach contents. Because they float and are relatively large in size, they are less likely to pass through the pyloric opening. As a result, they remain in the stomach longer and provide a more sustained drug release.[14]

2. Site-Specific Drug Delivery: These systems are particularly advantageous for drugs that are specifically absorbed from stomach or the proximal part of the small intestine, e.g. riboflavin and furosemide. Floating microspheres can greatly improve the pharmacotherapy of the stomach through local drug release, leading to high drug concentrations at the gastric mucosa, thus eradicating Helicobacter pylori from the submucosal tissue of the stomach and making it possible to treat stomach and duodenal ulcers, gastritis and oesophagitis.

3. Absorption Enhancement: Floating microspheres work especially well for drugs that don't dissolve easily. When a drug has low solubility, it doesn't get enough time to dissolve properly, which can reduce how well it is absorbed. That's why the time it stays in the stomach becomes very important.For weakly basic drugs that don't dissolve well in the intestine (where the pH is higher), these microspheres help by keeping the drug in the stomach, where conditions are better for dissolution.

This prevents poor solubility from slowing down the drug's release.This approach is particularly helpful for drugs that are absorbed well in the stomach, like verapamil hydrochloride. Overall, floating microspheres help improve drug absorption and increase its effectiveness.[14]

4. As carriers:- The floating micro particles can be used as carriers for drugs through the absorption windows (for example antiviral, antifungal and antibiotic agents such as sulphonamides,quinolones, penicillins, cephalosporins, aminoglycosides and tetracyclines) are taken up only from very specific sites of the gastro intestinal mucosa.

5. Pharmacokinetic advantages and future potential:- It is evidence from recent researches that floating dosage form offers potential advantages as that of sustained release system. Drugs that have poor bioavailability because their absorption is restricted to the upper GI tract can be delivered efficiently there by maximizing their absorption and improving their absolute bioavailability.[15]

Conclusion

Drug absorption in the gastrointestinal tract can vary widely, and one effective way to improve it is by keeping the dosage form in the stomach for a longer time. Floating microspheres, as gastroretentive systems, help achieve this by staying buoyant in the gastric fluid and releasing the drug in a controlled manner at the desired site of action. This targeted and sustained release can significantly improve therapeutic outcomes.

Well-designed floating microspheres offers a practical option that is not only safe and cost-effective but also capable of enhancing drug bioavailability. Their multi-unit nature further improves consistency in drug delivery, making them useful in the treatment of a wide range of diseases. In addition, these systems open up new possibilities for developing controlled and delayed-release oral formulations, contributing to the advancement of pharmaceutical technology.With ongoing innovations and research in this field, floating microspheres hold strong potential for the development of more efficient and reliable drug delivery systems in the future.

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