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Review Article

A Review on Formulation and Evaluation of Floating Tablet as Gastro Retentive Drug Delivery System

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ABSTRACT

Floating drug delivery Systems has received considerable interest in the past few decades as they can overcome the drawbacks of conventional drug delivery system like frequent dosing, low bioavailability etc. relative to fast gastric-emptying time. An optimum floating drug delivery System can be defined as a system that remains in the stomach for sufficient interval of time and releases the active medicament in a sustained manner. These remain floating on the gastric contents. Thus, resulting in prolonged pharmacological effect thus improving the bioavailability of drug. The aim of writing this review on gastro retentive and floating Tablet was to compile the new literature with the principle, Advantages and classification of the floating tablets, method of preparation, evaluation techniques, list of drugs formulated as floating tablets, formulation evaluation and future scope of floating tablets.

INTRODUCTION

Floating tablet is a class of Gastroretentive drug delivery system. Gastroretentive systems are able to increase residence time of dosage forms in the stomach there by increase the bioavailability of drugs with narrow absorption window, drugs with less water solubility in alkaline pH of small intestine or drugs with poor stability in the intestinal or colonic environment. The important point in the development of oral controlled release dosage forms is not just to prolong the delivery of the drug more than 12 hours, but to prolong the presence of the dosage forms in the stomach or upper gastrointestinal tract unit all the drug is released for desire period of time. Floating tablets are a specific type of oral solid dosage form designed to remain buoyant in the stomach for an extended period of time. These tablets have the unique characteristic of floating on the gastric fluid, allowing them to release the drug gradually and uniformly over an extended period. This controlled release feature is particularly

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advantageous for drugs that require sustained or localized action within the stomach or upper gastrointestinal tract The development of floating tablets has gained significant attention in pharmaceutical research and development due to their potential to improve drug delivery and therapeutic outcomes. By maintaining the tablet in the stomach, floating tablets can enhance drug absorption, increase bioavailability, and prolong drug residence time in the gastric environment.

The concept of floating tablets revolves around incorporating gas-generating agents or hydrocolloids in the tablet formulation. Gas-generating agents, such as effervescent mixtures, generate carbon dioxide upon contact with gastric fluid, resulting in tablet buoyancy. Hydrocolloids, such as polymers or gelling agents, swell or hydrate in the presence of fluid, forming a gel layer around the tablet, which enables it to float.

The buoyancy of floating tablets offers several advantages. Firstly, it allows the drug to be released gradually over an extended period, maintaining therapeutic concentrations in the stomach. This is particularly useful for drugs that are unstable in the acidic environment of the stomach or those that require localized action. Secondly, it enhances drug absorption by ensuring prolonged contact between the drug and the absorptive surfaces in the stomach. Finally, floating tablets can improve patient compliance by reducing the frequency of dosing, as they provide sustained release of the drug The formulation and development of floating tablets require careful consideration of various factors, including the selection of appropriate polymers, gas-generating agents, and excipients, as well as optimization of the tablet's physical characteristics and release profile. Various techniques, such as direct compression, effervescent systems, or multi particulate systems, can be employed to produce floating tablets.

1.1 CLASSIFICATION

Floating tablets are classified depending on the use of 2 formulation variables: effervescent and non-effervescent system.

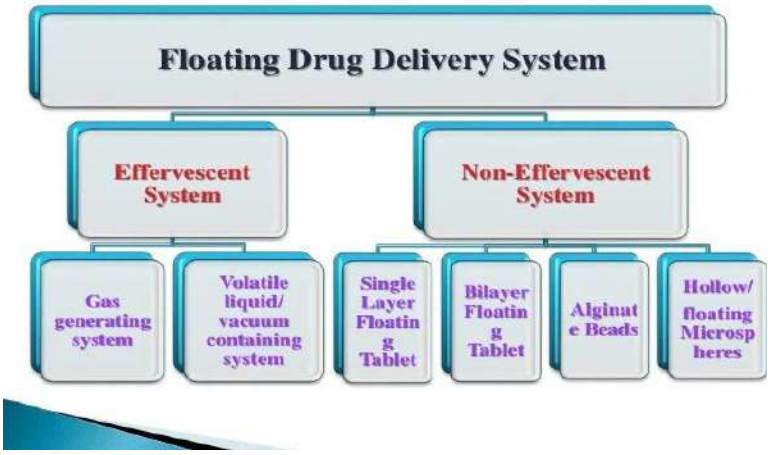


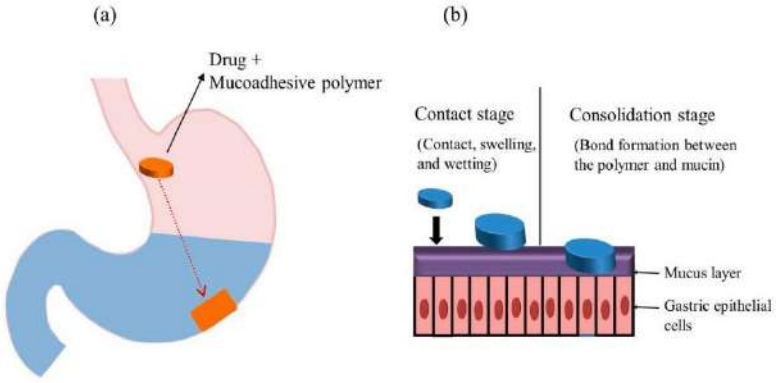
Fig.1 classification of floating drug delivery system

Effervescent Floating tablets: These are matrix types of systems prepared with the help of swellable polymers such as methylcellulose and chitosan and various effervescent compounds, e.g., sodium bicarbonate, tartaric acid, and citric acid. They are formulated in such a way that when in contact with the acidic gastric contents, CO2 is liberated and gets entrapped in swollen hydrocolloids, which provides buoyancy to the dosage forms.

Non-Effervescent Floating tablets: Non-effervescent floating tablets use a gel forming or swellable cellulose type of hydrocolloids,

polysaccharides, and matrix-forming polymers like polycarbonate, polyacrylate, polymethacrylate, and polystyrene. The formulation method includes a simple approach of thoroughly mixing the drug and the gel-forming hydrocolloid. After oral administration. This dosage form swells in contact with gastric fluids and attains a bulk density of < 1. The air entrapped within the swollen matrix imparts buoyancy to the dosage form. The so formed swollen gel-like structure acts as a reservoir and allows sustained

1.2 MECHANISM OF ACTION OF FLOATING TABLETS



As a means of raising the retention time, numerous attempts have been made to maintain the dosage form in the stomach. These attempts include the implementation of floating dosage types (gas-generating systems and swelling or expanding systems), mucoadhesive systems, systems of high density, adjusted shape systems, delaying devices for gastric-emptying and co-administration of delaying drugs for gastric emptying. Among these, the most widely used are floating dosage types. Floating drug delivery systems (FDDS) have a lower bulk density than gastric fluids and therefore remain buoyant in the stomach for a prolonged period of time without impacting the gastric emptying rate. Although the system floats on the gastric content, the drug is slowly released from the system at the desired rate. The residual system will be removed from the stomach after the release of the medication. This leads to improved GRT and better regulation of variations in the concentration of plasma drugs. A minimal gastric content needed to allow the proper achievement of the buoyancy retention principle; a minimal level of floating force (F) is also required to keep the dosage form reliably buoyant on the surface of the meal. To measure the floating force kinetics, a novel apparatus operates by measuring continuously the force equivalent to F (as a function of time) that is required to maintain the submerged object.[11] The object floats better if F is on the higher positive side.

F = F buoyancy –F gravity = (Df - Ds) g.v

Where, F= total vertical force; Df = fluid density; Ds = object density; v = volume , g = acceleration due to gravity

1.3 ADVANTAGES OF THE DELIVERY MECHANISM FOR FLOATING DRUGS:

1. Floating medication types such as tablets or capsules will stay in the intestinal alkaline PH solution for a prolonged period of time.
2. For drugs intended for local action in the stomach, FDDS is beneficial. Antacids, ex.
3. In the case of vigorous bowel activity and diarrhoea, FDDS dosage forms are advantageous in holding the medication in floating condition in the stomach to get a comparatively better answer.
4. While in contact with it, acidic substances such as aspirin cause irritation to the stomach wall, so FDDS formulations can be useful for aspirin and other related drugs.
5. The FDDS is beneficial for stomach-absorbed medications . Eg: Antacids, ferrous salts.

6. Slow release into the body of the medication minimizes the counter action that contributes to greater effectiveness of the drug.

7. FDDS decreases the fluctuation of the drug concentration over a critical concentration and thereby increases the pharmacological effects and improves the clinical performance.

8. Drug accumulation in the stomach of GRDF minimizes the amount of drugs that enter the colon and thus prevents the degradation of the colon-degraded drug.

9. A floating dosage type is a commonly accepted method especially for drugs with restricted absorption sites in the small upper intestine.

1.4 DISADVANTAGES OF THE DELIVERY MECHANISM FOR FLOATING DRUGS:

1. These systems need a high amount of fluid in the stomach to float and function effectively for drug delivery.
2. Not suitable for medicines with GIT solubility or stability issues.
3. It may not be ideal for drugs such as Nifedipine (calcium channel blocker), which is well absorbed in the entire GIT and undergoes first-pass metabolism.
4. Also, medications that are irritating to the gastric mucosa are not beneficial or necessary.
5. Drug compounds that are unstable in the stomach's acidic environment are not suitable candidates for inclusion in the systems.
6. A full glass of water should be administered in the dosage form with (200- 250 ml)
7. These systems do not offer major advantages over traditional medication dosage types that are absorbed in the gastrointestinal tract .

II.METHODS OF PREPARATUON

Floating tablets were prepared by the wet granulation method by using hydroxyl propyl methyl cellulose (HPMC K4MCR), carbopol 934P, lactose and sodium bicarbona

Preparation of granules:- Granules were prepared by wet granulation method. All ingredients were accurately weighed. Then accurately weighed quantities of drug, HPMC K4MCR, lactose, sodium bicarbonate were mixed homogeneously using glass –mortar and pestle. The wet granulation was done with ethanol (95%). Wet mass was passed through a 40-mesh screen and dried in a hot air oven at 40°C over night. The dried granules were sized through 40/60, mesh and blended with magnesium stearate (approx,1% w/w). Lactose was used as filler and channeling agent. Sodium bicarbonate was used was used as a gas generating agent, here ethanol is used as granulating agent.

Preparation of floating tablet:- The homogeneously lubricated granules with magnesium stearate (1% w/w) were then compressed in to tablet using single punch tablet compression machine. Compression force was adjusted to obtain tablet with hardness in the range of 6.2 6.9 kg/cm2 on a Monsanto tablet hardness tester. Evaluation of blends before compression.

Floating tablets can be prepared by directcompression method. Here pure drug was mixed with required quantity of HPMC K4M, sodium CMC, carbopol 934P, sodiumbicarbonate and lactose by geometric mixing inmortar and pestle for 10 min. The above powderwas lubricated with magnesium stearate inmortar and pestle for 2min. The lubricated blendwas compressed into tablets using 12 mm flat faceround tooling on CLIT Pilot Press rotarytablet machine.

The dry granulation method (slugging method)

The ingredients in the formulation are intimately mixed and precompressed on heavy duty tablet machines. The slug which is formed is ground to a uniform size and compressed into the finished tablet.

III.METHOD OF EVALUATION

- **Bulk density**

It is a ratio of mass of powder to bulk volume. The bulk density depends on particle size distribution,

shape and cohesiveness of particles. Accurately weighed quantity of powder was carefully poured in to graduated measuring cylinder through large funnel and volume was measured, which is called initial bulk volume. It is expressed in gm/ml and is given by the formula:

Bulk density=M/Vo

Where,

M = mass of the powder

Vo = bulk volume of the powd

- **Tapped density**

10 gm of powder was introduced into a clean, dry 100 ml measuring cylinder. The cylinder was then tapped 100 times from a constant height and the tapped volume was read. It is expressed in gm/ml and is given by:

Tapped density=M/Vt

Where,

M = mass of the powder

Vt = final tapping volume of the powder.

- **Angle of repose (θ)**

It is defined as the maximum angle possible between the surface of the pile of the powder and the horizontal plane. Fixed funnel method was used. A funnel was fixed with its tip at a given height 'h, above a flat horizontal surface to which a graph paper was placed. Powder was carefully poured through a funnel till the apex of the conical pile just touches the tip of the funnel. The angle of repose was then calculated using following equation:

Angle of repose Ø = tan1(h/r)

Where,

h=height of the pile

r=radius of the pile.

- **Compressibilty Index (carr'sIndex)**

Compressibilty Index is used as an important parameter to determine the flow behavior of the powder. It is indirectly related to the relative flow property rate, cohesiveness and particle size. It is simple, fast and popular method for predicting flow characteristic. Carr's index can be represented by equation:

Carr's index = [(Tapped density-Nulk density)/Tapped density]*100

- **Hausner's ratio**

Hausner's ratio is used to predict the flowability of the powders. This method is similar to compressibility index. Hausner's ratio can be represented by equation:

Hausner's ratio = Tapped density / bulk density

- **Floating lag time and total floating time**

Floating lag time (FLT) and total floating time (TFT) of floating tablets were measured visually in dissolution apparatus type II containing100 mL 0.1 N HCl with a paddle rotated at 50 rpm (pH 1.2) at 37 ± 0.5 °C.

- **Dissolution Study**

In vitro drug release of the formulation was carried out using USP dissolution apparatus type II paddletype under sink condition with rotating speed of 50 rpm and at temperature of37 ± 0.5 °C. The dissolution medium used was 900ml 0.1NHCl. The samples were withdrawn at predetermined time intervals for period of 6hours and replaced with the fresh medium, suitably diluted and were analyzed using UV/Visible spectrophotometer.

- **Hardness**

Tablet hardness and strength are the essential to see that the tablet can with the shock and stress during manufacturing packing and transportation, and while handled by the patient. To test the hardness of the tablet Monsanto tester, Strong-cobb tester, the Pfizer tester, the Erweka tester, the Schleuniger tester are used.

- **Friability**

Friability is the tested for a tablet to see weather the tablet is stable to abrasion or not, it is tested by using Roche friabilator. This is made up of a plastic drum fixed with a machine which rotated at 25 rpm for 100 revolutions. And then the twenty tablets which were weighed prior to the test are

taken out of the drum and cleaned with a cloth and weighed once again, the weight variation must not be less than 0.5 to 1.0% for an conventional tablet.

- **Weight Variation test (U.S.P.)**

Take 20 tablet and weighed individually. Calculate average weight and compare the individual tablet weight to the average. The tablet pass the U.S.P. test if no more that 2 tablets are outside the percentage limit and if no tablet differs by more than 2 times the percentage limit.

CONCLUSION

Floating tablets have emerged as the power full means of improving the bioavailability and providing sustained release and avoiding the adverse effects of many drugs. Floating tablets have proved to be potential approach for gastric retention. These systems have special advantage for the drug that are primarily absorbed from the upper part of GIT. So, with an improved knowledge of formulation development aspect, physiochemical and pharmacological prospects of drug there is lot of future scope for designing of optimum floating drug delivery system.

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