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Floating Drug Delivery System- A Review

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Abstract

Floating drug delivery system (FDDS) helps to improve the buoyancy property of the drug over the gastric fluids and hence maintain the longer duration of action. It is helpful in minimizing the dosing frequency. The density of dosage form must be less than the density ofgastric contents (1.004 gm/ml) in FDDS. It may effervescent or non-effervescent system. Thedrugs having narrow absorption window in GIT is good candidate for the floating drug deliverysystem. The main objective of writing this review article is to compile the recent literature withspecial focus on classification, approaches to design single-unit and multiple-unit floating systems, and their classification and formulation aspects are covered in detail.

Keywords: Floating drug delivery system, Effervescent system, Non- effervescent system.

Introduction

Drug delivery systems which act locally in proximal GIT are mainly incorporated in floating dosage form, because it helps in increasing absorption. Some drugs are not easily soluble in intestinal fluids and unstable in nature, then floating drug delivery system is very helpful. Thefloating properties helps to enhance the time of residence of drug delivery systems in stomachfor prolonged time period.[1] A number of attempts are made to formulate floating system. The drug will float and released in gastric content for required period of time. There are a number of floating systems like “swelling and expanding system, modified shape system, high densitysystems and other delayed gastric emptying devices such as magnetic systems, super porous – biodegradable hydrogel systems”. There are two formulation variables on which FDDS are classified, which are: “Effervescent and Non-Effervescent systems”.[2]

Non-effervescent Floating Drug Delivery Systems

These are the buoyant systems, which when given orally, swell in the presence of gastric fluidin a manner that allows the maintenance of bulk density of less than 1g/ml and relative reliability of shape.

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Swelling of the system may take place due to the presence of gel, which create swellable type hydrocolloids, polysaccharides and matrix forming polymers, like "polymethacrylates, polycarbonates, polystyrenes, polyacrylates and bio adhesive polymers like chitosan and carbopol". These polymers have a tendency to swell and entrap air in matrix,which conveys buoyancy in the formulation. This system also performances as a gelatinous barrier through which the drug is released by diffusion at a controlled manner.[3]

The non-effervescent Floating drug delivery systems can be further subdivided into four types:

Colloidal gel barrier system

In 1984 this hydrodynamically balanced system was first designed by "Sheath and Tossounian".[5] This arrangement incorporates a drug in hydrocolloids (one or more gel-formingswellable type). It remains buoyant in the stomach, thereby, extending the gastric retention time. This allows the maximum quantity of drug (in liquids) to reach its absorption sites. Most commonly used excipients include "hydroxypropyl cellulose, hydoxyethylcellulose, hydroxypropyl methyl cellulose (HPMC), polysaccharides and matrix-forming polymer such as polycarbophil, polyacrylates and polystyrene".[4] These hydrocolloids when interact by gastric fluid undergo hydration and near the surface it form a colloid gel barrier. The hard gelatin capsule is shown to contain a superior hydrocolloids preparation, which swell and formgelatinous mass by interacting with gastric fluids.[6]

Microporous Compartment System

It involves encapsulation of a drug reservoir within a microporous section having holes in its upper and lower walls. The device has a floatation chamber with captured air that allows it to float above the gastric contents.[7] The peripheral walls are totally closed and avoid any type ofphysical interaction of the undissolved drug with gastric surface. Gastric fluid enters into device through the pores and for the absorption it dissolves the drug and carries. The system isso designed because of the tendency of certain delivery systems of drugs to sink within the gastric fluids to the bottom of the stomach prior to their dissolution. This direct contact with stomach lining can have undesirable effects like bleeding, ulceration, nausea and anemia in case of aspirin. The micro porous compartment systems are able to overcome these undesirableeffects because the drug is released slowly and at no stage the stomach lining comes in contactwith too high a concentration.[6]

Multiparticulate Floating Drug Delivery System

Freeze dried calcium alginate has been used to formulate multiple unit floating dosage forms. Spherical beads with diameter of about 2.5 mm are arranged by addition of solution of sodiumalginate drop wise in aq. solution of CaCl2 resulting in calcium alginate precipitation and the beads are obtained, then in liquid nitrogen separate the beads and snap-frozen. These are then lyophilized at -40 0 C for 24 h, which helps in the development of porous system having abilityto float is more than 12 h. These floating beads exhibit an extended gastric residence time of more than 5.5 hours. Various hydrocolloid materials like calcium alginate and various cellulosederivatives have been used to prepare granules and beads.[7]

Hollow Microspheres / Microballoons

These microspheres are loaded with drug in their outer polymeric shell, which is developed bythe "novel emulsion solvent diffusion method". For this, ethanol/dichloromethane arrangementof the medication and an enteric acrylic polymer was filled an unsettled arrangement of polyvinyl acetic acid derivation kept up at 400 C. Dichloromethane dissipated prompting arrangement of microspheres which glided persistently over the outside of a surfactant containing acidic disintegration media for in excess of 12 h.[8]

Bioadhesive Floating Systems

A synergism between a bioadhesive framework and a drifting framework has additionally been investigated. A progression of bioadhesive cross-connected types of polymers of methacrylic corrosive (PMA) and acrylic corrosive (PAA) were readied.[8] Coasting tablets of isosorbide mononitrate were arranged and afterward covered (by plunge strategy) either with Carbopol®suspensions or 0.5% suspension of these bioadhesive polymers in 0.5% Carbopol® gel, and afterward air-dried. The tablets covered with bioadhesive polymers were found to show betterglue properties at pH 1.0 when contrasted with those covered with Carbopol®. The covered tablets likewise showed lower densities demonstrating that the polymer coat may present lightness to these tablets.[9]

Various mass transport processes, such as those described below, may occur during drug release from polymer-based matrix tablets. "(i) water imbibition into the system, (ii) polymer swelling, (iii) drug dissolution, (iv) drug diffusion out of the tablet, and (v) polymer dissolution". The relevant processes have been found to be quite important depending on the type of drug, polymer, and release medium, as well as the tablet composition.[10]

Effervescent FDDS

These are the light conveyance frameworks which use lattices arranged with swellable polymers (like Methocel®), polysaccharides (e.g., chitosan, sodium alginate) and bubbly (gascreating) parts (like sodium bicarbonate with citrus or tartaric corrosive) or networks containing offices of fluid that gasifies at internal heat level.[11] The frameworks are planned sothat upon entrance in the stomach, carbon dioxide is freed because of activity of the gastric squeeze and is caught in the gelified hydrocolloid. This makes the measurements structure to move up and keep up its lightness. A reduction in explicit gravity causes the measurements structure to skim on the ring. The gas producing segments might be personally blended in withpolymer inside the tablet framework before pressure, or a bilayered tablet might be packed which contains the bubbly parts in a single layer and the medication in the other layer for a supported delivery.[12]

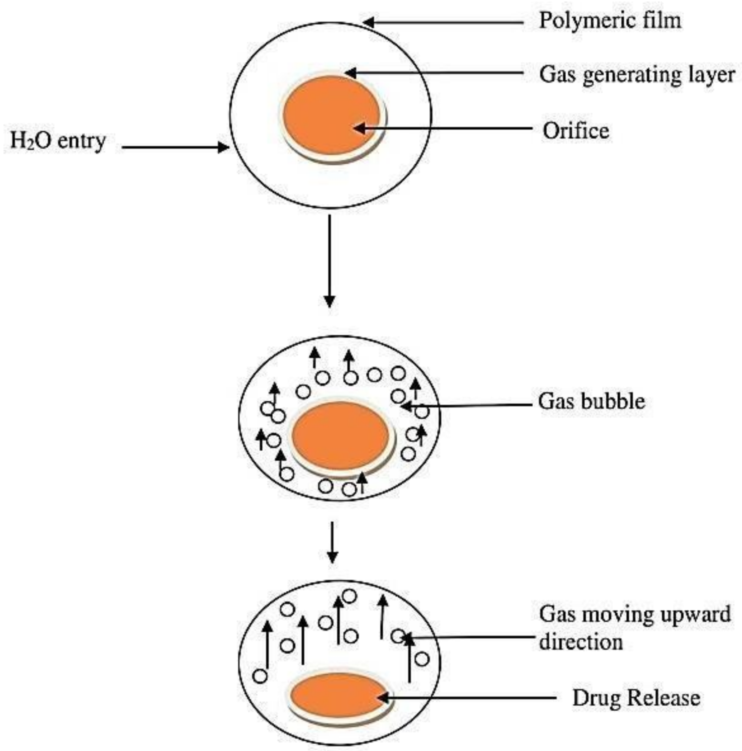

Figure 1: Process of Effervescent FDDSAdvantages of FDDS[13,14]

An FDDS offers numerous advantages over conventional DDS:

- **Sustained drug delivery**: A FDDS can stay in the stomach for a few h and accordinglyfundamentally drag out the GRT of various medications. The accepted prolongation inthe gastric maintenance is hypothesized to cause supported medication discharge conduct and offers the benefit in having uniform and steady blood levels of medicine by administrating a solitary portion of prescription, which discharges dynamic fixing throughout an all-encompassing timeframe.

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- **Site-specific drug delivery**: Focusing of medication to stomach has all the earmarks of being helpful for all substances planned to deliver an enduring neighborhood activityon the gastro duodenal divider. For example, the annihilation of Helicobacter pylori requires the organization of different prescriptions a few times each day bringing abouthelpless patient consistence. A more solid treatment can be accomplished by utilizing FDDS, which permits decrease of measurements and recurrence of organization. The drawn out gastric accessibility of the misoprostol from such framework may lessen thedosing recurrence.[15,16]
- **Pharmacokinetic advantages**: A FDDS offers benefits for medications like powerlessbases, which break down better in corrosive climate and are inadequately dissolvable at higher pH. In such cases, drug disintegration has less opportunity to be a restricting advance of the delivery whenever figured into FDDS.

The advancement of gastro-retentive floating system overcomes a few physiological difficulties, for example, short gastric residence time, flighty gastric discharging time and so on This framework can be holding in the stomach for delayed timeframe in a foreordained way.

Aside from the previously mentioned benefits, FDDS is especially helpful for drugs insecure in intestinal liquids and those which may go through unexpected changes in their pH-subordinate solvency because of elements like food, age and obsessive statesof the GI lot, e.g., the BA of captopril is decreased because of corruption at higher pHcondition.[16]

Limitations of FDDS

The primary drawback of gliding frameworks is that they require adequately high levels of fluid in the stomach for the DDS to float therein and work efficiently. However, this can be overcome by administrating the dosage form with a glass full of water (200-250 mL) with frequent meals (Moes 1993) or by coating the dosage form with bioadhesive polymers, therebyenabling them to adhere to the mucous lining of the stomach wall.[17]D rugs that are flimsy and obliterated in the gastric climate are helpless possibility for FDDS. Drugs that are aggravation to the gastric mucosa or instigate gastric sores are bad possibilityfor FDDS.[18]

Drugs that are assimilated all through the GI parcel ought to be disposed of for FDDS as drawing out the GRT of such medications seems to offer no benefit as far as BA. Poorly corrosive dissolvable medications may show disintegration issue in gastric liquid and, therefore may not be delivered to an adequate degree. It may, thusly, be fitting not to abuse FDDS with these drugs.[19]

Application of Floating Drug Delivery Systems

The DDS having bioavailability is poor are mainly used for FDDS because of their narrow absorption window in GIT. It keeps the dosage form at the absorption site, increasing bioavailability.[20]

Conclusion

The objective of floating drug delivery system (FDDS) is to improve the bioavailability of the drug with narrow absorption window in the gastric region. FDDS is helpful in reducing the frequency of dosing. However, there are many aspects which can be improved to achieve prolonged gastric retention.

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