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Effervescent Floating Drug Delivery System: A review

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ABSTRACT

Effervescent floating drug delivery systems release gas CO2, thus reduce the density of the system and remain buoyant in the stomach 2 for a prolonged period of time and released the drug slowly at a desired rate so it can be used to prolong the gastric residence time in order to improve the bioavailability of drug. In the present article we will discuss in detail about effervescent agent and mechanism of effervescent floating drug delivery system. Oral sustained release gastro-retentive dosage forms offer many advantages for drugs with the absorption from upper parts of the gastro intestinal tract. Gastric emptying is a complex process and it is highly variable. The floating drug delivery systems are useful methods to avoid this variability which increases the retention time of the drug delivery systems for more than 12 hours. This review article is in pursuit of giving detailed information on the pharmaceutical basis of their design, classification, advantages, in vitro and in vivo evaluation parameters, and application of floating systems, and applications of these systems. These systems are useful to several problems encountered during the development of a pharmaceutical dosage form and the future potential of FDDS. At attempt has been made in this review article to introduce the readers to current development in floating drug delivery system,

Keywords: Effervescent system, Floating drug delivery system, Effervescent agent, floating lag time, Gastric residence time.

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Introduction

The floating drug delivery system is a useful approach to avoid variability. Floating drug delivery systems are low-density systems that have able to keep the float over the gastric fluid and remain buoyant in the stomach without affecting the gastric emptying rate for a prolonged period of time. This system faced to a several difficulties in designing control drug delivery system for better absorption and increasing bioavailability. The floating system is allow to float on the gastric fluid, the drug is released slowly from the system for the prolong duration of action.(1-2) The Effervescent floating tablets can be used as alternative dosage form to minimize some problems associated with conventional dosage forms. The Effervescent floating tablets also reduce fluctuations of drug concentration and can be used to increase the bioavailability of drug[4].

Drug absorption from the gastrointestinal tract is a complex procedure and is subject to many variables.Gastroretentive systems can remain in the gastric region for several hours and hence significantly prolong the gastric residence time of drugs. The drug is released slowly as desired rate from the system and after released of drug the residual system is emptied from the stomach.e.g. Chitosan and effervescent components such as sodium bicarbonate and citric or tartaric acid or matrices containing chambers of liquid those gasify at body temperature. Floating drug delivery system provides local delivery to specific region like stomach and proximal small intestine and it's also shows better bioavailability, improved therapeutic activity and substantial benefits to patients.[4-5]

Advantages of Effervescent Floating drug delivery system

- Increases the oral bioavailability of drug.
- Enhanced first pass biotransformation.
- Sustained drug delivery/ reduced frequency of dosing.
- Reduced fluctuations of drug concentration.
- Improved receptor activation selectivity.
- Reduced counter-activity of body.
- Extended time over critical (Effective) concentration.

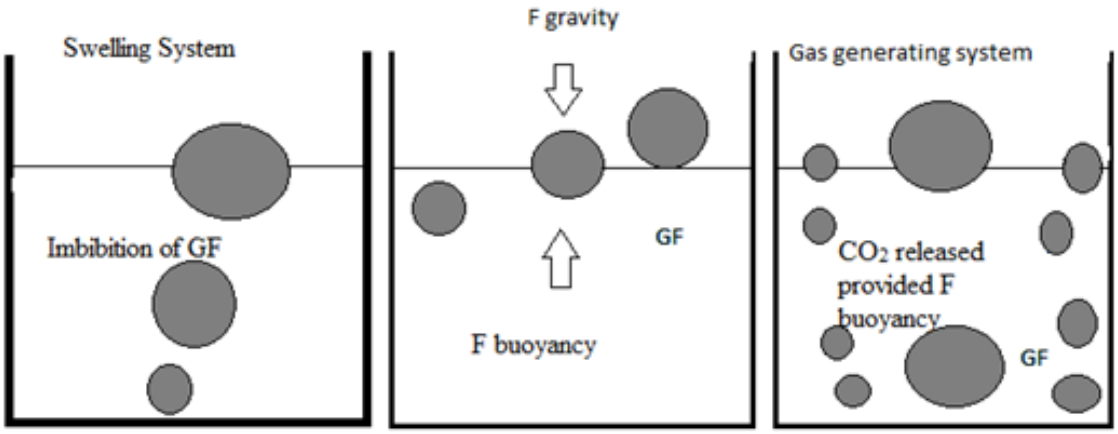
- Minimized adverse activity at the colon.
- Receptor activation selectivity is improved.

Factor affecting the Gastric residence time of Effervescent floating drug delivery system[1-4]

- **Nature of Meal:** Feeding of indigestible polymers of fatty acid salts can change the motility pattern of the stomach to a fed state, thus decreasing the gastric emptying time
- **Frequency of Feed:** when successive meals are given, the GRT can increase by over 40 minutes compared with a single meal because of the low frequency of migrating myoelectric complex.
- **Caloric content:** GRT can be increased by 4 to 10 hrs with that is high in protein and fats.
- **Age:** Elderly People, especially those over 70, have a significantly longer GRT.
- **Fed and Unfed State:** Under fasting conditions, the GI motility is characterized by periods of strong motor activity or the migrating myoelectric complexes (MMC) that occurs every 1.5 to 2 hours.
- **Posture:** Posture on GRT, and found no significant difference in the mean GRT for individuals in upright, ambulatory and supine state

Mechanism of Floating Effervescent Tablets:

After administration of effervescent floating dosage form coming in contact with the gastric fluid the dosage form get swells up and the slowly release of the drug without disintegration of the tablet takes place. When the tablet comes in the contact of gastric fluid, it produces effervescence by releasing CO2 gas. When the fluid penetrates into the tablet, tablet starts to float[1].



Mechanism of floating system, GF = Gastric fluid

This results in an increased GRT and a better control of the fluctuations in plasma drug concentration[5].

F = F buoyancy - F gravity = (Df - Ds) gv--- (1)

Where, F= total vertical force,

Df = fluid density,

Ds =object density,

v = volume and

g = acceleration due to gravity.

Method of Preparation of Floating Effervescent Tablets

- By direct compression
- By wet granulation
- Dry granulation

Direct compression: The processing of drug with excipient can be achieved without any need of granulation and related unit operations. This procedure is called direct compression and it is used in the manufacture of tablet when formulation ingredients can flow uniformly into a die cavity.

Wet granulation: The granulating fluid can be used alone or as a solvent containing binder or granulating agent.Powder mixing, in conjunction with the cohesive properties of the granulating agent, enables the formation of granules.

Dry Granulation:. the process involves compaction of powder particles into large pieces or compacts which are subsequently broken down into granules to produce granules that can be further processed into dosage forms.

Polymer used in floating drug delivery system

Floating drug delivery system are also called as gastro retentive drug delivery sytem. As we know that FDDS is an approach to achieve drug release for long duration. Polymers, which can be successfully used in floating drug delivery system andAcrylic polymers are widely used for the preparation of floating microspheres[14]. Xanthan gum,gellan gum or synthethic polymer such as HPMC (K4M, K15M, K100M), Carbopol 934p, polyvinylalcohol, polyamides, polycarbonates, polymethacrylic acid[8].

Evalution of floating drug delivery system

Various Parameter used in evalution of effervescent floating tablet.

Pre-compression parameter:

Pre-compression parameter include in effervescent floating tablet are flow properties include bulk density,tapped density,hausner ratio,carr's index[4].

Post-compression parameter:

1.Swelling index: There are the dosage forms, which after swallowing swell to an extent that prevents their exit from the pylorus.

2.Content uniformity,hardness,friability(Tablets): The tests are performed as per described in specified monographs.11

3. Floating lag time: The test for floating time measurement is usually performed in stimulated gastric fluid or 0.1 mole.lit-1 HCl maintained at 370C. It is determined by using USP dissolution apparatus containing 900 ml of 0.1 mole.lit- 1 HCl as a dissolution medium at 370C..

4. In vitro dissolution: Dissolution study is carried out in USP dissolution testing apparatus II (paddle type). Dissolution study was performed using 900ml 0.1N HCL, at 50 rpm. A 5ml of sample was withdrawn from the dissolution apparatus at a predetermined interval and the sink condition is maintained by adding same volume of dissolution medium.[1]

5. Drug release: It is important test for in vitro drug release study and carried out in gastric fluids and intestinal fluids maintained at 370 C. Dissolution tests are performed using the USP dissolution apparatus10

6.Measurement of buoyancy capabilities: The floating behaviour was evaluated with resultant weight measurements.. The results showed that higher molecular weight polymers with slower rate of hydration had enhanced floating behaviour and it was observed more in simulated meal medium compared to deionised water3.

Application of floating drug delivery system

1.Enhanced Bioavailability:

The several different processes related with absorption and transit of drug in the GIT,that act concomitantly to influence the magnitude of drug absorption.

2.**reduced fluctution of drug concentration:**contineous input of following CRGRDF administration produce blood drug concentration within narrower ranged campared to immediate release dosage form.

3.Site-Specific Drug Delivery. Furosemide is primarily absorbed from the stomach followed by the duodenum[10]

4. **Sustained Drug Delivery:**

These systems have a bulk density of <1 as a result of which they can float on the gastric contents. These systems are relatively large in size and passing from the pyloric opening is prohibited.

5. Minimized adverse activity at the colon:

The polymers described as pH dependent in colon specific drug delivery are insoluble at low pH levels but become increasingly soluble as pH rise Thus, undesirable activities of the drug in colon may be prevented.[7]

Conclusion

Effervescent floating tablet are an interesting pharmaceutical dosage form offering some unique advantages compared with traditional or conventional tablets. The manufacturing process involves some critical steps that need to be addressed carefully during formulation. FDDS provide to be a potential approach for gastric retention.

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