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Floating drug delivery systems (FDDS): A Review

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Abstract:

Floating drug delivery systems (FDDS) have emerged as an effective approach to improve the gastric residence time and bioavailability of drugs that are absorbed primarily in the upper gastrointestinal tract. This review focuses on the formulation and evaluation of floating tablets containing Acyclovir, an antiviral agent with limited oral bioavailability due to poor solubility and short biological half-life. The development of a gastroretentive floating tablet aims to achieve sustained drug release and prolonged gastric retention, ensuring improved absorption and therapeutic efficacy. Various polymers such as Hydroxypropyl Methylcellulose (HPMC), Carbopol, Ethyl Cellulose, and Sodium Alginate have been employed to modulate the swelling behavior, floating capacity, and release kinetics of the dosage form. The review emphasizes different formulation techniques like direct compression and wet granulation and discusses the influence of polymer type and concentration on tablet buoyancy and drug release profile. Evaluation parameters—including hardness, friability, floating lag time, total floating duration, swelling index, drug content uniformity, and in vitro dissolution studies—are critically analyzed. The optimized floating formulation of Acyclovir demonstrates enhanced gastric retention, controlled drug release, and improved bioavailability, making it a promising alternative to conventional oral dosage forms for effective antiviral therapy.

Keywords: Acyclovir; Floating tablet; Gastroretentive drug delivery system (GRDDS); Sustained release; HPMC.

Introduction

Floating drug delivery systems is one among the vital approaches to attain gastric retention to get sufficient drug bioavailability. These delivery systems are desirable for medicine with an absorption window within the abdomen or within the higher bowel. This have a bulk density less than gastric fluids and then stay buoyant

within the abdomen while not moving gastric emptying rate for a protracted amount and also the drug is released slowly as a desired rate from the system. When release of drug, the residual system is empty from the abdomen. This result in an enlarged gastric retention time (GRT) and a much better management of the fluctuation in

plasma drug concentration. The foremost needs for floating drug delivery system are:[1]

- It should release contents slowly to serve as a reservoir.
- It must maintain specific gravity lower than gastric contents (1.004 – 1.01 gm/cm³).

The inherent low density can be provided by the entrapment of air (e.g. hollow chambers) or by the incorporation of low density materials (e.g. fatty materials or oils, or foam powder). These following approaches have been used for the design of floating dosage forms of single and multiple-unit systems. Recently a single-unit floating system was proposed consisting of polypropylene foam powder, matrix forming polymers, drug and filler. The good floating behavior of these systems could be successfully combined with accurate control of the resulting drug release patterns. Single-unit dosage forms are associated with problems such as sticking together or being obstructed in the gastrointestinal tract (GIT) which may produce irritation.

On the other hand multiple-unit floating systems may be an attractive alternative since they have been shown to reduce the inter- and intra- subject availabilities in drug absorption as well as to lower the possibility of dose dumping. Various multiple-unit floating system like air compartment multiple-unit system, hollow microspheres (microballoons) prepared by the emulsion solvent diffusion method, microparticles based on low density foam powder, beads prepared by emulsion gelatin method, etc. can be distributed widely throughout the GIT, providing the possibility of achieving a longer lasting and more reliable release of drugs. Based on the mechanism of buoyancy two distinctly different technologies, i.e. non-effervescent and

effervescent systems have been utilized in the development of floating drug delivery system.

Sustained Drug Delivery

HBS systems can remain in the stomach for long periods and hence can release the drug over a prolonged period of time. The problem of short gastric residence time encountered with an oral CR formulation hence can be overcome with these systems. 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. Recently sustained release floating capsules of nifedipine hydrochloride were developed and were evaluated in vivo.

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, eg, riboflavin and furosemide. Furosemide is primarily absorbed from the stomach followed by the duodenum. It has been reported that a monolithic floating dosage form with prolonged gastric residence time was developed and the bioavailability was increased.

Absorption Enhancement

Drugs that have poor bioavailability because of site specific absorption from the upper part of the gastrointestinal tract are potential candidates to be formulated as floating drug delivery systems, thereby maximizing their absorption[2]

Classification of Floating Drug Delivery System [3,4]

1. Single Unit Floating Dosage Systems

a) Effervescent Systems (Gas-generating Systems)

b) Non-effervescent Systems

2. Multiple Unit Floating Dosage Systems

- a) Non-effervescent Systems
- b) Effervescent Systems (Gas-generating

Systems)

- c) Hollow Microspheres
- d) Raft Forming Systems

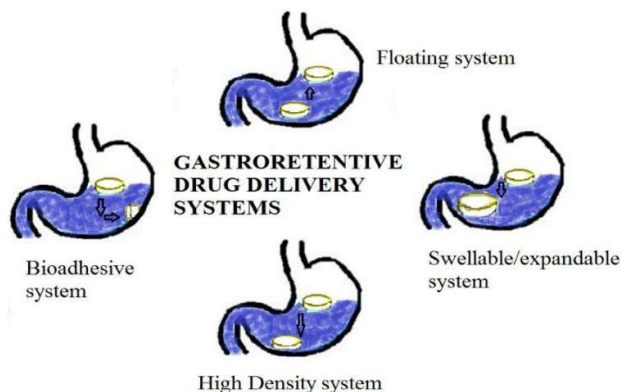


Figure 1: Floating Drug Delivery Drug System

The Formulate as a matrix tablet (sustained-release) why:

Formulating acyclovir as a matrix (sustained-release) tablet addresses several clinical and formulation challenges:[5]

- Short plasma half-life & frequent dosing
- Improved patient compliance
- More stable plasma concentrations
- Potential to improve therapeutic outcomes
- Reduced dosing-related adverse effects
- Formulation practicality and robustness
- Potential pharmacoeconomic benefits

Need for Study

Acyclovir is an antiviral drug widely used for the treatment of herpes simplex virus (HSV) infections, varicella-zoster virus (VZV) infections, and other viral conditions. However, its clinical efficacy is often limited due to its poor bioavailability, short half-life, and frequent dosing requirements. To overcome these limitations, a floating-release matrix tablet formulation is needed.[6]

The rationale for this study includes:

- Enhancing Patient Compliance
- Improving Bioavailability
- Prolonging Drug Action
- Polymer-Based Release Modulation
- Reducing Dose-Related Toxicity

Methods of Preparation for Floating Tablets Using Different Polymers [8]

Floating tablets are gastroretentive drug delivery systems designed to remain buoyant in the stomach for an extended period, thereby prolonging gastric residence time and enhancing drug absorption. Their formulation depends largely on polymers that provide swelling, gel formation, gas generation, or low density. Floating tablets can be prepared by several methods depending on the polymer type and mechanism of buoyancy.

A. Direct Compression Method

A simple method in which the drug, polymers, and effervescent agents (sodium bicarbonate + citric/tartaric acid) are blended and directly compressed into tablets.[8]

Principle:

Drug, floating agents, and polymers are directly mixed and compressed into tablets.

Procedure:

1. Drug is blended with swellable polymers.
2. Add effervescent agents (sodium bicarbonate + citric/tartaric acid) to generate CO₂.
3. Mix with fillers, lubricants, and glidants.
4. Compress into tablets using a tablet press.

Common Polymers Used:

- HPMC (K4M, K15M, K100M) – Forms gel barrier
- Carbopol 934 – Enhances swelling
- Xanthan gum – High swelling index

B. Wet Granulation Method

Drug, polymers, and floating agents are granulated with a binder (e.g., PVP K30), dried, lubricated, and compressed.[9]

Principle: A granulating fluid is used to improve the flowability and compressibility of powder mixtures containing polymers.

Procedure:

1. Drug and polymers are mixed.
2. Add binder solution (PVP K30, starch paste).
3. Form wet granules and dry.
4. Sieve, lubricate, and compress.

Common Polymers Used:

- HPMC K grades
- Carbopol 934P
- Guar gum, Xanthan gum

C. Hot-Melt Granulation Method

A meltable polymer or wax is heated and mixed with drug and excipients to form granules that are then compressed.[10]

Principle:

A polymer or wax is melted and used as a binder to form granules.

Procedure:

1. Melt a polymer/wax (e.g., glyceryl behenate, stearic acid).
2. Add drug and excipients into the molten mass.
3. Cool and mill into granules.
4. Lubricate and compress.

Common Polymers Used:

- Carnauba wax
- Glyceryl behenate (Compritrol)

D. Melt Solid Dispersion Method

Drug is dispersed in a molten polymer and cooled to form a solid mass, which is pulverized and compressed.[11]

Principle: Drug is dispersed in a molten polymer to form a floating matrix.

Procedure:

1. Melt polymer (e.g., PEG, lipid polymer).
2. Add drug and mix thoroughly.
3. Cool the solid mass.
4. Pulverize and compress into tablets.

Common Polymers Used:

- Gelucire 50/13 (self-emulsifying + low density)
- Polyethylene glycol (PEG)

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