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Gastroretentive drug delivery systems: a review

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ABSTRACT:-

A Controlled release dosage forms have been extensively used to improve therapy with several important drugs. However, the development processes are faced with several physiological difficulties such as the inability to restrain and localize the system within the desired region of the gastrointestinal tract and the highly variable nature of the gastric emptying process. This variability may lead to unpredictable bioavailability and times to achieve peak plasma levels. The purpose of writing this review on gastroretentive drug delivery systems was to compile the recent literature with special focus on various gastroretentive approaches that have recently become leading methodologies in the field of site-specific orally administered controlled release drug delivery. In order to understand various physiological difficulties to achieve gastric retention, we have summarized important factors controlling gastric retention. Afterwards, we have reviewed various gastroretentive approaches designed and developed until now, i.e. high density (sinking), floating, bio- or mucoadhesive, expandable, unfoldable, super porous hydrogel and magnetic systems. Finally, advantages of gastroretentive drug delivery systems were covered in detail.

KEYWORDS :- Gastroretentive, GRDDS, Oral route. Various Approaches

Objective

The present study attempts to give an insight into the gastroretentive drug delivery systems, and gastric floating tablets, in particular. These have attracted the interest of many formulators due to their advantages over the conventional drug delivery systems, recently. The study highlights these advantages with reference to the various types of gastroretentive drug delivery systems, as well as provides an overview of the recent advances that have taken place in this arena.

Introduction

Oral administration is the most convenient and preferred means of any delivery to the systemic circulation. Oral controlled release drug delivery have recently been of increasing interest in Pharmaceutical field to achieve improved therapeutic advantages such as ease of dosing administration, patient compliance and flexibility in formulation.Oral route of drug administration is the most convenient and commonly used method of drug delivery. However, this route has several physiological problems.Frequent dosing of these drugs is required to achieve suitable therapeutic activity. Also, the drugs which have a narrow absorption window (NAW) in the upper part of GIT are not suitable for oral sustained release drug delivery system due to the brief gastric emptying time as tablets have 2.7 ± 1.5 hours (h) stomach transit and 3.1 ± 0.4 h intestinal transit time (4), thus the bioavailability of such drugs having absorption window in stomach is generally limited. Gastro retentive drug delivery is one of those approaches to prolong gastric residence time, thereby targeting site specific drug release in the stomach for local or systemic effects. These dosage forms can remain in the gastric region for long periods and hence significantly prolong the gastric retention time of the drugs

Need for GRDDS

- Conventional oral delivery is widely used in pharmaceutical field to treat diseases. However, conventional delivery had many drawbacks and major draw-back is non-site specificity.
- Some drugs are absorbed at specific site only. They require release at specific site or a release such that maximum amount of drug reaches to the specific site.
- Pharmaceutical field is now focusing towards such drugs which require site specificity.
- Gastro-retentive delivery is one of the site specific delivery for the delivery of drugs either at stomach or at intestine. It is obtained by retaining dosage form into stomach and drug is being released at controlled manner to specific site either in stomach, duodenum and intestine

Merits : -

- Delivery of drugs with narrow absorption window in the small intestine region.
- Longer residence time in the stomach could be advantageous for local action in the upper part of the small intestine, for example treatment of peptic ulcer disease
- Improved bio-availability is expected for drugs that are absorbed readily upon release in the GI tract such as
- cyclosporine, ciprofloxacin, ranitidine, amoxycillin, captopril, etc.
- Patient compliance by making a once a day therapy.

- Improved therapeutic efficacy
- Reduces frequency of dosing.
- Targeted therapy for local ailments in the upper GI tract.
- The bioavailability of therapeutic agents can be significantly enhanced especially for those which get metabolized in the upper GIT by this gastroretentive drug delivery approach in comparison to the administration of non gastroretentive drug delivery.
- Gastro retentive drug delivery can produce prolong and sustain release of drugs from dosage forms which avail local therapy in the stomach and small intestine. Hence they are useful in the treatment of disorders related to stomach and small intestine
- Gastro retentive drug delivery can minimize the counter activity of the body leading to higher drug efficiency.
- Prolongs the residence time of the dosage form at the site of absorption.
- To avoid the first pass metabolism.
- Excellent accessibility.
- Rapid absorption because of enormous blood supply and good blood flow rates.
- Increase in drug bioavailability due to first pass metabolism.
- specific drug delivery.
- Minimizing mucosal irritation by drugs, by drug releasing slowly at a controlled rate.
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Demerits

- Floating systems has limitation, that they require high level of fluids in stomach for floating and working efficiently. So more water intake is prescribed with such dosage form.
- In supine posture (like sleeping), floating dosage form may swept away (if not of larger size) by contractile waves. So patient should not take floating dosage form just before going to bed.
- Drugs having stability problem in high acidic environment, having very low solubility in acidic environment and drugs causing irritation to gastric mucosa cannot be incorporated into GRDDS.
- Bio/mucoadhesives systems have problem of high turnover rate of mucus layer, thick mucus layer & soluble mucus related limitations.
- Swellable dosage form must be capable to swell fast before its exit from stomach and achieve size larger than pylorus aperture. It must be capable to resist the housekeeper waves of Phase III of MMC.

- ❖ Gastric retention is influenced by many factors such as gastric motility, pH and presence of food. These factors are never constant and hence the buoyancy cannot be predicted.
- ❖ The major challenge for a bioadhesive system is the high turnover rate of gastric mucus
- ❖ There is also possibility of esophageal binding with bioadhesive drug delivery systems
- ❖ Drugs which have stability and solubility problems in GIT are not suitable candidates for these types of systems.

Floating drug delivery system (FDDS):

The floating system is intended to float in and over the gastric content resulting in prolonged gastric retention time (GRT). It is a low density approach which has a bulk density lower than gastric fluids and hence remains buoyant in the stomach, releasing the drug slowly without affecting the gastric emptying rate for a prolonged period of time. After the drug is released from the stomach, the delivery system is expelled.

Mechanism of floating drug delivery system:

Floating systems are low density systems that have sufficient buoyancy to float over the gastric contents and remain in the stomach for a prolonged period. While the system floats over the gastric contents, the drug is released slowly at the desired rate, which results in increased gastro-retention time and reduces fluctuation. However, besides 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 for determination of resultant weight has been reported in the literature. The apparatus operates by measuring continuously the force equivalent to F (as a function of time) that is required to maintain the submerged object. The object floats better if F is on the higher positive side as shown in fig. This apparatus helps in optimizing FDDS with respect to stability and durability of floating forces produced in order to prevent the drawbacks of unforeseeable intragastric buoyancy capability variations (12). 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. Classification of floating drug delivery system: Based on the bouncy mechanism, floating system is classified as follows: (A) Effervescent system:

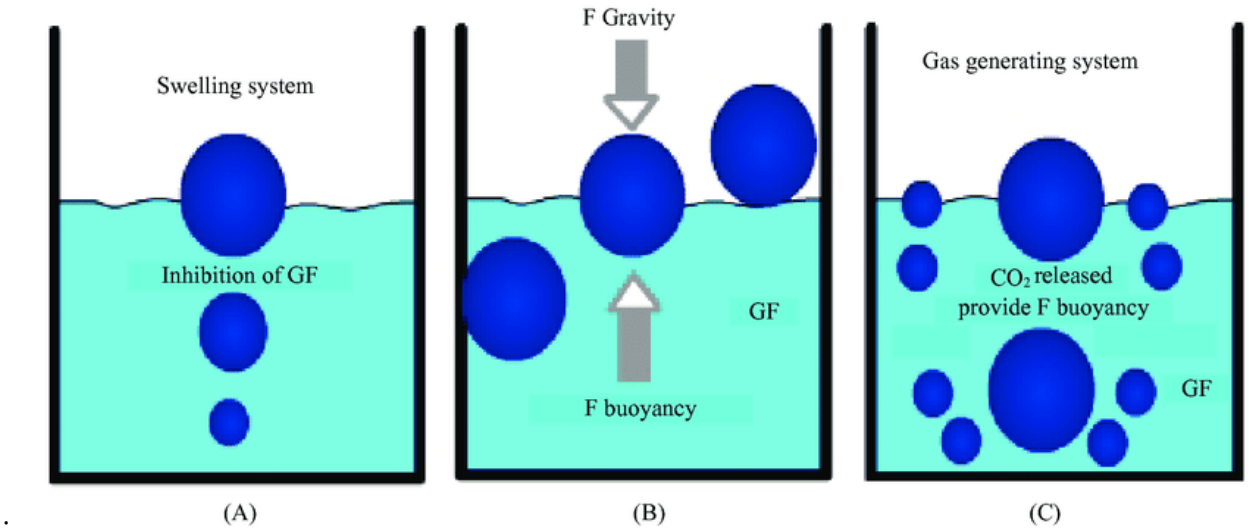

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Fig:- Mechanism of floating system

Classification of floating drug delivery system:

Based on the bouncy mechanism, floating system is classified as follows:

- ❖ Effervescent system:
- ❖ Gas generating system
  - Volatile liquid containing system.
- ❖ Non- effervescent system:
  - Colloidal gel barrier system
- ❖ Micro porous compartment system
  - Floating microspheres
  - Alginate floating beads
  - Raft forming system

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CONCLUSION:

Gastro retentive drug delivery technologies have been extensively explored in recent years. gastro retentive drug delivery systems are the most preferable systems in order to deliver the drugs which have a narrow absorption window near the gastric region. Now a day’s numbers of drug delivery devices are being developed which aim at releasing the drug at gastric region. Even though these drug delivery systems have several advantages. They also have disadvantages like their in-vitro in-vivo correlation is very less. It is necessary to take into consideration the physiological event in the GIT, selection of correct combinations of drugs and excipients and design appropriate formulation strategies