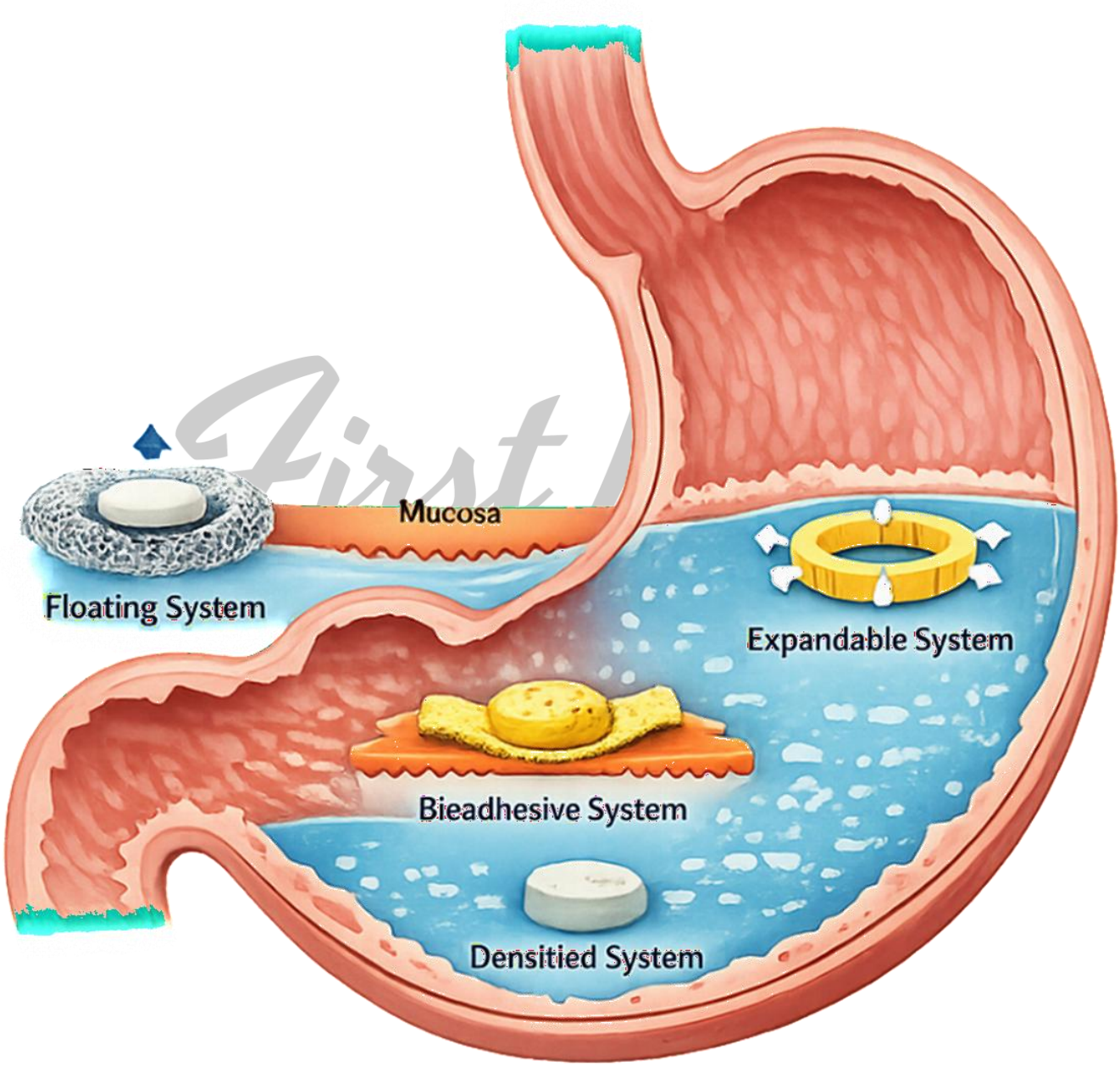
Gastro-Retentive Drug Delivery Systems

Introduction to Gastro-Retentive Drug Delivery Systems (GRDDS)

Introduction

- Gastro-Retentive Drug Delivery Systems (GRDDS) are specially designed oral drug delivery systems that remain in the stomach for a prolonged period of time.



- Normally, when a person takes a conventional tablet or capsule, it passes through the stomach quickly and enters the small intestine within a few hours.
- The time a dosage form stays in the stomach is called gastric residence time (GRT).
- GRDDS are designed to prolong the gastric residence time of the dosage form, allowing the drug to remain in the stomach for several hours.

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- This extended retention helps the drug to release slowly and be absorbed more efficiently.

Purpose of GRDDS

- **The main purpose of gastro-retentive drug delivery systems is to:**
  - Increase gastric residence time (GRT)
  - Allow controlled and sustained drug release in the stomach
  - Improve drug absorption
  - Increase bioavailability
  - Enhance therapeutic effectiveness

Why GRDDS Are Needed

- Many drugs are better absorbed in the stomach or the upper part of the small intestine (duodenum).
- If such drugs **leave the stomach too quickly**, their:
  - Absorption decreases
  - Bioavailability becomes low
  - Therapeutic effect becomes poor
- To overcome this problem, gastro-retentive systems were developed to keep the dosage form in the stomach for a longer time.

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Drugs Suitable for GRDDS

- **GRDDS are particularly useful for drugs that:**
  - Are absorbed mainly in the stomach or upper small intestine
  - Have low solubility in intestinal fluids
  - Are unstable in intestinal or colonic environment
  - Require local action in the stomach
  - Have a narrow absorption window in the upper gastrointestinal tract

Examples of Drugs Benefiting from GRDDS

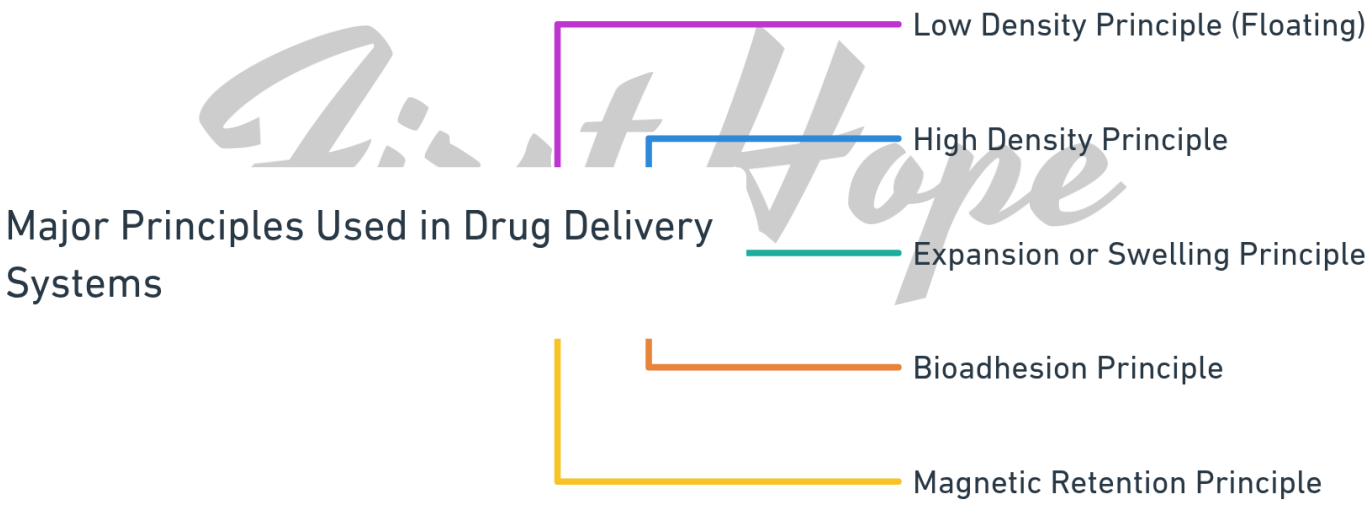
- **Examples include:**
  - Antacids
  - Antibiotics used for stomach infections
  - Drugs acting on gastric mucosa
  - Drugs with a narrow absorption window

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Principle of Gastro-Retentive Drug Delivery Systems

- The basic principle of GRDDS is prolonging the residence time of the dosage form in the stomach so that the drug is released slowly and absorbed effectively.
- The stomach normally empties its contents into the intestine through gastric emptying processes.
- **This process depends on:**
  - Size of dosage form
  - Density
  - Shape
  - Fed or fasting condition
  - Motility of stomach
- GRDDS are designed in such a way that they avoid rapid gastric emptying and remain in the stomach through various mechanisms.

Major Principles Used



1. Low Density Principle (Floating)

- The dosage form is made lighter than gastric fluid.
- It floats on the stomach contents.
- Floating systems remain on the top of gastric fluid and release drug slowly.

2. High Density Principle

- The dosage form is made very dense.
- Because of high density, it sinks and remains at the bottom of the stomach.

3. Expansion or Swelling Principle

- The dosage form swells after contact with gastric fluid.
- Its size increases and becomes too large to pass through the pyloric opening.

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4. Bioadhesion Principle

- The dosage form sticks to the gastric mucosal lining.
- Adhesive polymers help the drug remain attached to stomach walls.

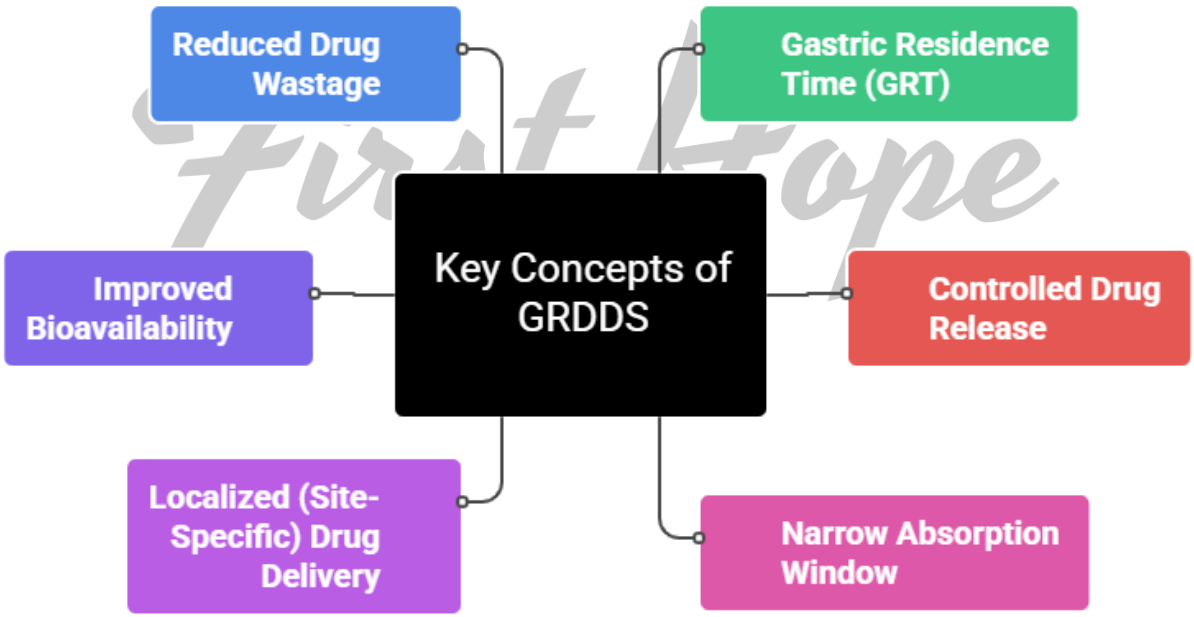
5. Magnetic Retention Principle

- A magnet is incorporated in the dosage form.
- An external magnet is placed outside the body to retain the system in stomach.

Concepts of Gastro-Retentive Drug Delivery Systems (GRDDS)

- Gastro-Retentive Drug Delivery Systems (GRDDS) are designed to retain the drug formulation in the stomach for a longer time so that the drug can be released slowly and absorbed more effectively.
- These systems help improve drug absorption, bioavailability, and therapeutic effectiveness.

Key Concepts of GRDDS



1. Gastric Residence Time (GRT)

- Gastric Residence Time (GRT) is the time a dosage form remains in the stomach.
- Increasing GRT allows the drug to stay longer in the stomach, improving drug absorption and therapeutic action.
- **Factors Affecting GRT:**
  - Size of the dosage form
  - Density of the dosage form
  - Shape of the dosage form
  - Food intake (fed or fasting condition)

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- Stomach motility
- Patient condition

➢ **Importance of Increasing GRT:**

- Improves drug absorption
- Increases bioavailability
- Enhances therapeutic efficiency

2. Controlled Drug Release

➢ GRDDS are usually controlled release formulations, where the drug is released slowly over a long period.

➢ **Drug release may occur through mechanisms such as:**

- Diffusion
- Dissolution
- Polymer erosion
- Osmotic pressure

➢ **Benefits of Controlled Drug Release:**

- Maintains stable plasma drug levels
- Reduces dosing frequency
- Improves patient compliance
- Enhances therapeutic efficiency

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3. Narrow Absorption Window

➢ Some drugs are absorbed only in the upper part of the gastrointestinal tract (stomach or duodenum).

➢ **If the drug passes this region quickly:**

- Drug absorption decreases
- Bioavailability becomes poor

➢ GRDDS retains the drug in the stomach for a longer time, ensuring the drug remains within its optimal absorption window.

➢ **Example Drugs:**

- Riboflavin, Levodopa, Furosemide

4. Localized (Site-Specific) Drug Delivery

➢ Some drugs need to act directly on the stomach lining rather than entering systemic circulation.

➢ GRDDS allows the drug to remain near the site of action for a longer time.

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