

Introduction to Biopharmaceutics

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Definition and Scope

- Biopharmaceutics studies the interrelationship between a drug's physical, chemical, and biological properties and its absorption, distribution, metabolism, and excretion.
- It connects drug formulation with its therapeutic effects.

Importance in Drug Development and Therapy

1. Formulation Design:

- Helps in developing dosage forms (e.g., tablets, capsules, injections) that enhance drug availability.

2. Therapeutic Efficacy:

- Ensures optimal absorption for effective treatment.

3. Safety and Toxicity:

- Prevents treatment failure due to low absorption and toxicity due to excessive absorption.

4. Personalized Medicine:

- Aids in dose adjustments based on factors like gastric pH, organ function, and genetic variations.



Absorption

Mechanisms of Drug Absorption Through the Gastrointestinal Tract (GIT)

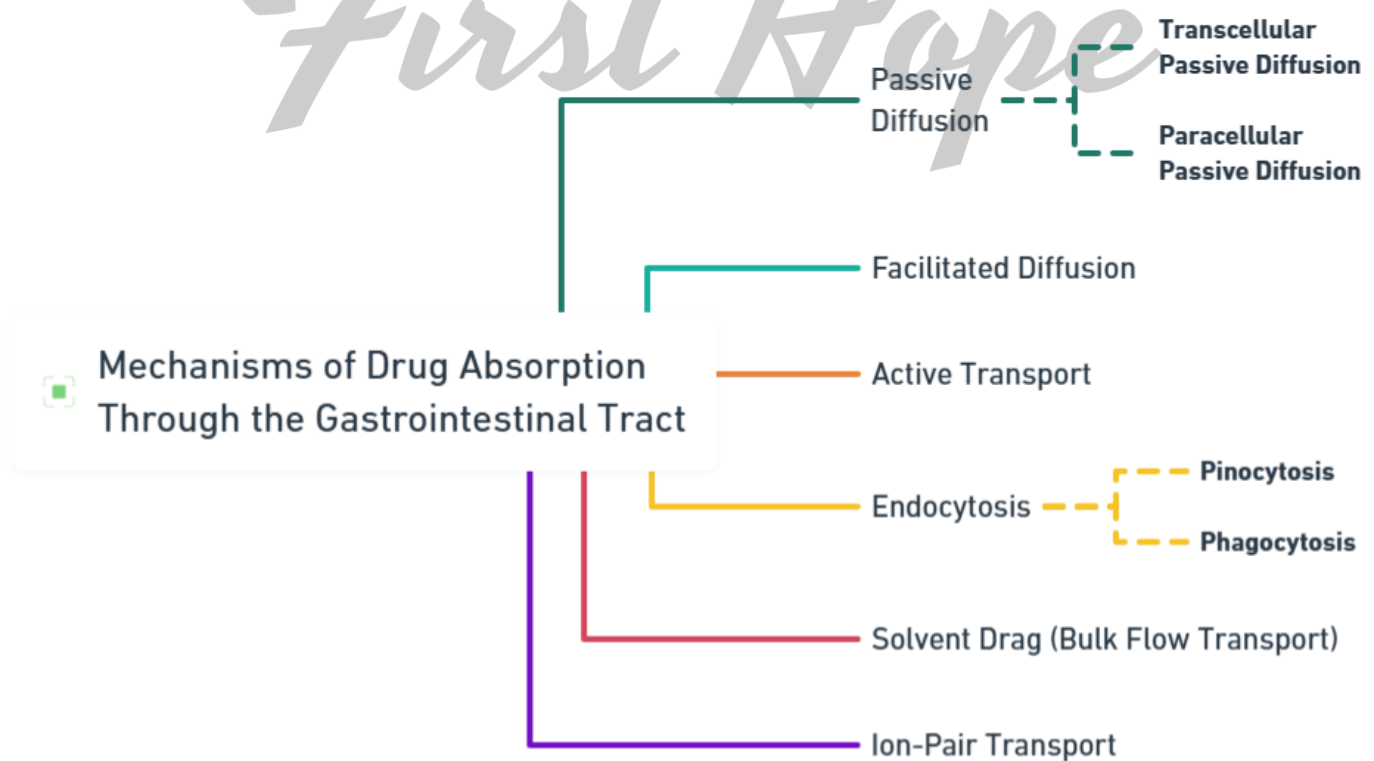
What is Absorption?

- Absorption is the process by which a drug moves from the site of administration into the systemic circulation (i.e., blood).
- It is a crucial step in pharmacokinetics, determining how much of the drug reaches systemic circulation in an active form.

Importance of Absorption:

- Determines **bioavailability** of a drug (how much of the administered dose is available in blood).
- Affects **onset, intensity, and duration** of drug action.
- Influenced by **physicochemical properties** of the drug and **physiological factors** of the body.

Mechanisms of Drug Absorption Through the GIT



1. Passive Diffusion

- Passive diffusion is the most common mechanism by which drugs are absorbed.
- It occurs in two ways:

A. Transcellular Passive Diffusion

- **Definition:** Movement of drug molecules from a region of higher concentration (inside the GI lumen) to a region of lower concentration (blood) across the cell membrane.
- **Driving Force:** Concentration gradient.
- **Characteristics:**
 - Does not require energy or specialized carrier proteins.
 - Most drugs are absorbed via passive diffusion.
 - Governed by **Fick’s first law** (flux proportional to the concentration gradient).
 - Lipophilicity, molecular size, and degree of ionization play crucial roles.

B. Paracellular Passive Diffusion

- **Definition:** Movement of drug molecules through the spaces (tight junctions) between cells, rather than through the cells themselves.
- **Limitations:**
 - Tight junctions in the intestinal epithelium restrict movement of large or highly charged molecules.
 - Less significant than transcellular diffusion due to the limited space between cells.

2. Facilitated Diffusion

- Describes drug diffusion across biological membranes:

$$J = -D \times \frac{\Delta C}{\Delta x}$$

- Where:
 - J = Diffusion rate
 - D = Diffusion coefficient
 - ΔC = Concentration gradient
 - Δx = Membrane thickness
- The rate of diffusion is influenced by lipid solubility, membrane thickness, surface area, drug concentration, and environmental pH.

3. Facilitated Diffusion

- **Definition:** A carrier-mediated process that does not require energy (ATP); instead, it uses transport proteins (e.g., GLUT transporters for glucose).
- **Driving Force:** Concentration gradient.

Characteristics:

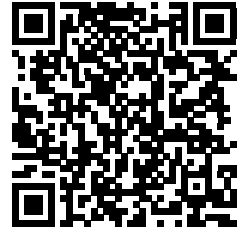


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