

Biopharmaceutics

Introduction to Biopharmaceutics

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

A. Formulation Design:

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

B. Therapeutic Efficacy:

- Ensures optimal absorption for effective treatment.

C. Safety and Toxicity:

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

D. 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)

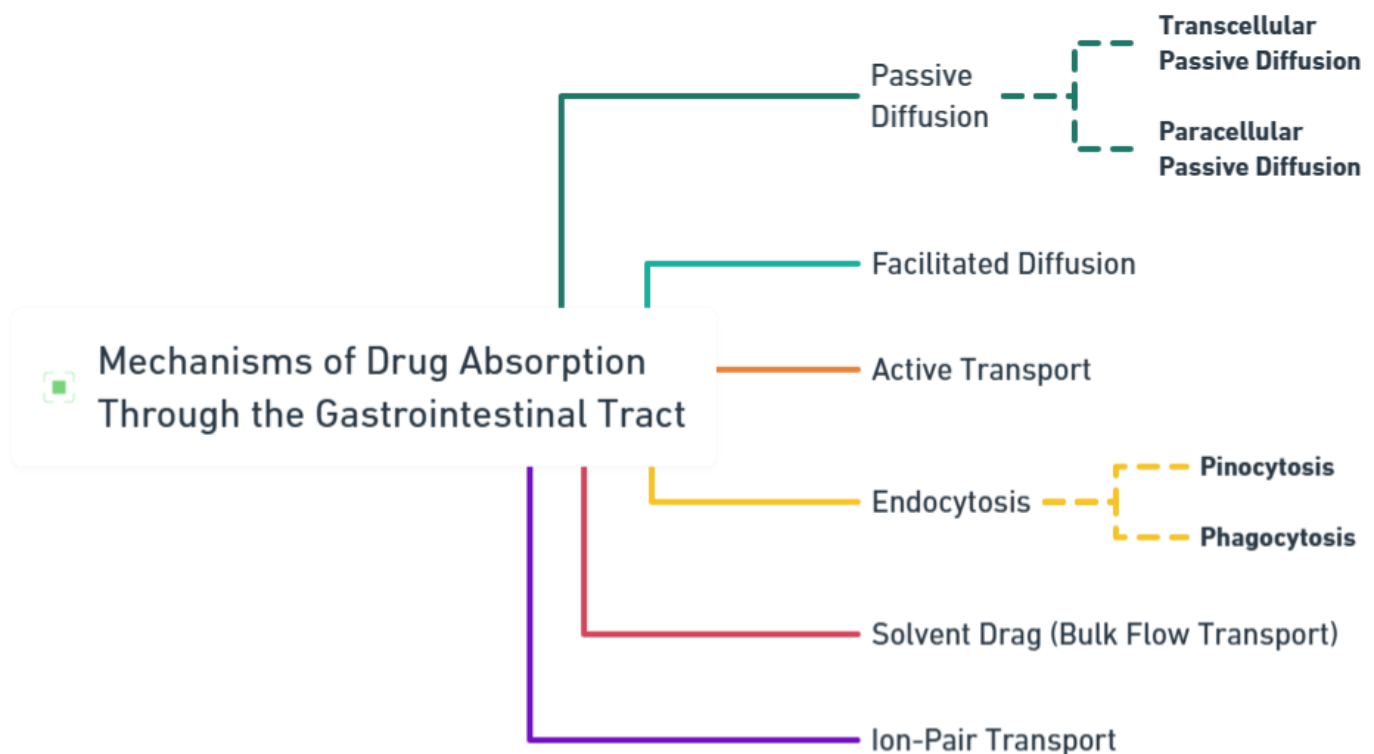
What is Absorption?

- 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:**

- Requires a specific **transport protein**.
- Can become **saturated** if all carrier proteins are occupied.
- **Specific for certain structural types** of drugs.

4. Active Transport

- **Definition:** Transport that requires **energy (ATP)** and carrier proteins to move drugs **against their concentration gradient** (from lower to higher concentration).
- **Characteristics:**
 - **Highly selective** for specific drugs or nutrients.
 - Can be **saturated** at higher concentrations of substrate.
 - **Subject to competition** from substances using the same carrier.

5. Endocytosis (Pinocytosis/Phagocytosis)

- **Definition:** A process by which cells engulf fluid or solid particles.
- **Types:**
 - **Pinocytosis:** "Cell drinking"; involves uptake of liquids or very small particles.
 - **Phagocytosis:** "Cell eating"; involves uptake of larger particles (not very common for most drug absorption).
- **Relevance:** Mostly important for large **macromolecules** such as **proteins, peptides, or complex lipid structures**.

6. Solvent Drag (Bulk Flow Transport)

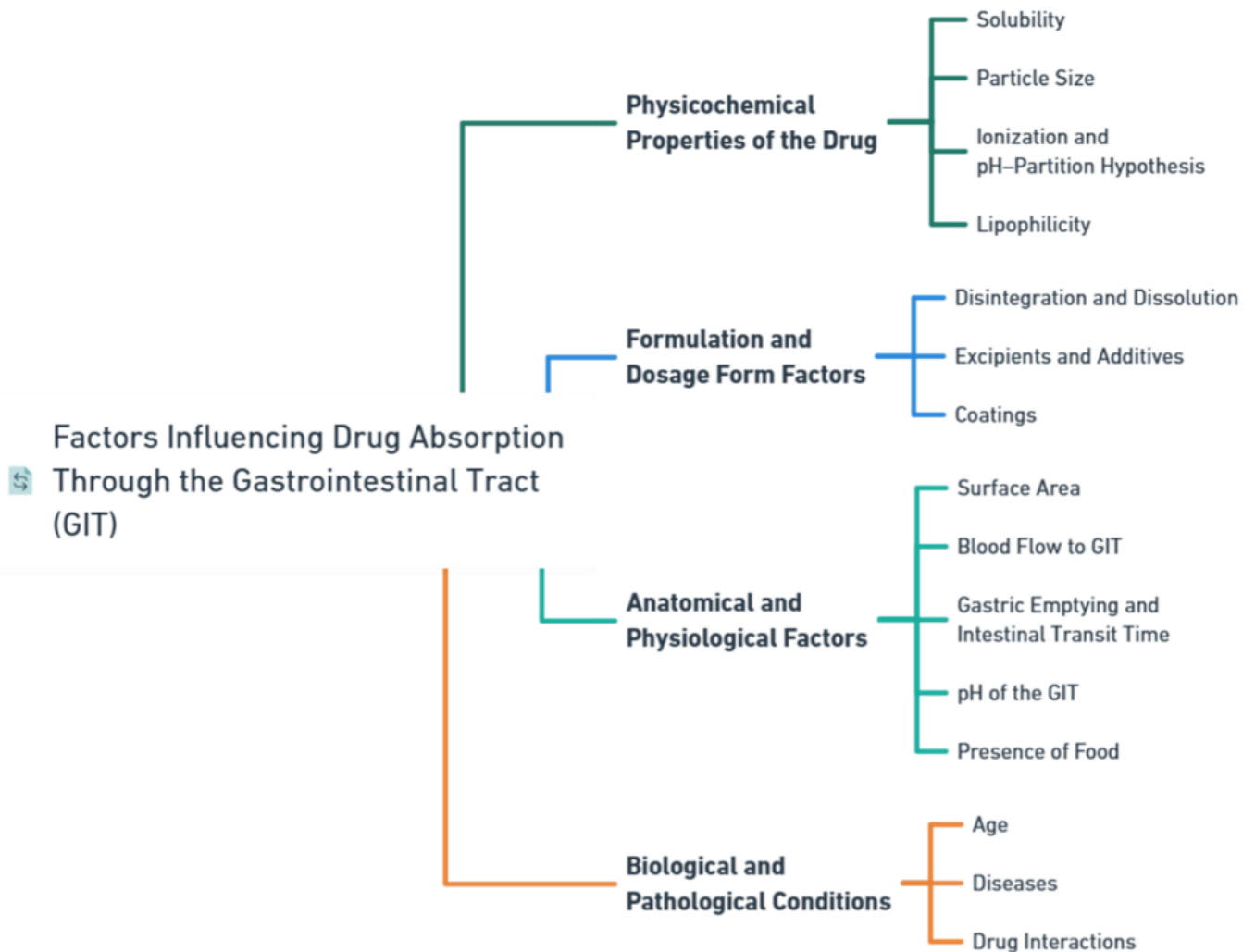
- The movement of water across membranes carries dissolved drugs along with it.
- Occurs in processes like osmosis and bulk flow.
- Plays a role in drug absorption in the intestine and kidney.

7. Ion-Pair Transport

- Involves ionized drugs forming complexes with counter-ions, facilitating transport across membranes.
- Important for drugs that are poorly absorbed via passive diffusion.
- Affected by pH, drug concentration, and the presence of competing ions or transporters.

Factors Influencing Drug Absorption Through the Gastrointestinal Tract (GIT)

- The absorption of drugs through the GIT is affected by multiple factors, which can be broadly classified into the following categories:



Physicochemical Properties of the Drug

The inherent chemical and physical properties of a drug significantly influence its absorption.

A. Solubility:

- A drug must be in solution to be absorbed. Poorly soluble drugs may have limited absorption.

B. Particle Size:

- Smaller particles dissolve faster and are absorbed more readily than larger ones.

C. Ionization and pH-Partition Hypothesis:

- The extent of drug ionization (determined by its pKa and the pH of the GIT) affects absorption.
- Non-ionized (lipid-soluble) forms diffuse across membranes more efficiently.

D. Lipophilicity:

- Lipid-soluble drugs cross biological membranes more easily via passive diffusion.

Formulation and Dosage Form Factors

The design and composition of the drug product can impact its dissolution and absorption.



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