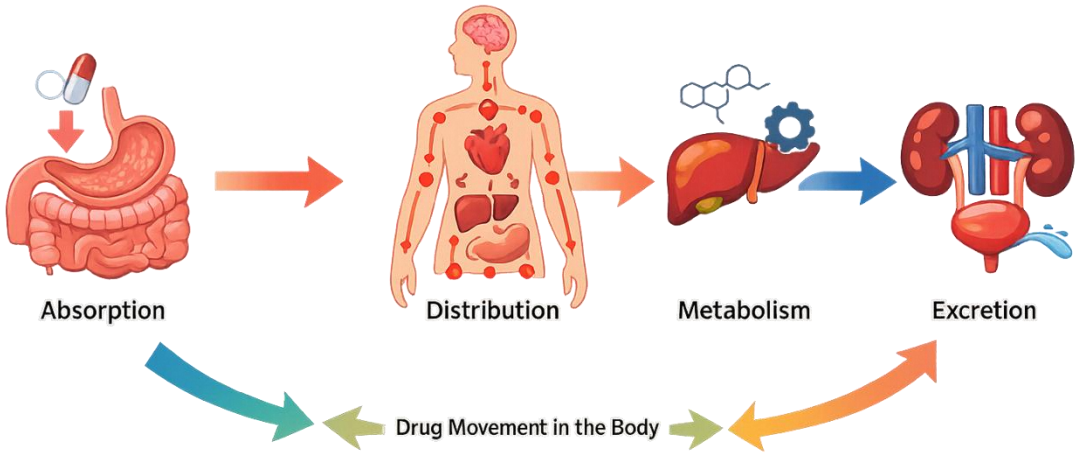
Pharmacokinetics

Pharmacokinetics (PK): Basic Concept

- Pharmacokinetics describes what the body does to a drug over time.



- **It involves four major processes:**
  - Absorption – how drug enters bloodstream
  - Distribution – how drug spreads in body
  - Metabolism (Biotransformation) – how drug is chemically changed
  - Elimination (Excretion) – how drug leaves the body

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Key Idea

- PK studies the **time course of drug concentration** in plasma and tissues.

Why it is Important

- Determines dose, route, and frequency
- Help avoid toxicity or therapeutic failure
- Essential for drug development and clinical use

Important Parameters

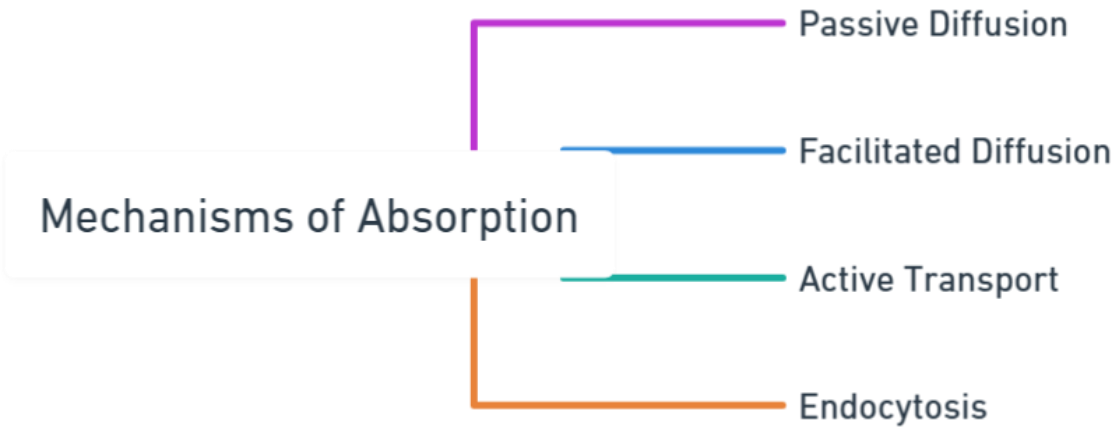
- **Cmax**: Maximum concentration of drug
- **Tmax**: Time to reach Cmax
- **Half-life (t½)**: Time for concentration to reduce by half
- **Clearance (CL)**: Rate of drug removal
- **Volume of distribution (Vd)**: Extent of drug distribution

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Absorption (How drug enters blood)

- Absorption is the process by which a drug moves from the site of administration into systemic **circulation**.

Mechanisms of Absorption



1. Passive Diffusion

- Most common
- No energy required
- Drug moves from high → low concentration
- Lipid-soluble drugs absorb easily

2. Facilitated Diffusion

- Uses carrier proteins
- No energy needed
- Saturable process

3. Active Transport

- Requires energy (ATP)
- Can move drug against concentration gradient
- Highly selective

4. Endocytosis

- Used for large molecules (e.g., proteins, monoclonal antibodies)

Factors Affecting Absorption

A. Drug-related factors

- Lipid solubility → higher = better absorption
- Ionization (pH-dependent)

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- Non-ionized drugs cross membranes easily

➢ Molecular size → smaller absorbs faster

B. Physiological factors

➢ Blood flow at absorption site

➢ Surface area (intestine >> stomach)

➢ Gastric emptying time

➢ Presence of food

C. Route of administration

➢ Oral → slow, variable

➢ IV → no absorption (100% bioavailability)

➢ IM/SC → moderate

➢ Topical → depends on skin permeability

Bioavailability (F)

- Fraction of administered drug that reaches systemic circulation
- IV = 100%
- **Oral < 100% due to:**
  - Incomplete absorption
  - First-pass metabolism

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Distribution (How drug spreads in body)

- Distribution refers to the **reversible transfer of drug from blood to tissues**.

Key Concept

- After entering circulation, drugs distribute unevenly depending on tissue characteristics.

Factors Affecting Distribution

1. Blood Flow

➢ Highly perfused organs (brain, liver, kidney) receive drug first

➢ Poorly perfused tissues (fat, skin) receive drug slowly

2. Capillary Permeability

➢ Brain has tight junctions (blood-brain barrier)

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- Liver has leaky capillaries → easier drug entry

3. Lipid Solubility

- Lipophilic drugs → cross membranes easily
- Hydrophilic drugs → stay in plasma

4. Plasma Protein Binding

- Drugs bind to albumin or other proteins
- Only **free (unbound) drug is active**

Volume of Distribution (Vd)

- Describes how widely a drug distributes
- **Formula**

$$V_d = \frac{\text{Amount of drug in body}}{\text{Plasma drug concentration}}$$

- **Interpretation:**
  - Low Vd → drug stays in blood
  - High Vd → drug enters tissues

Special Barriers

- Blood-brain barrier (BBB)
- Placental barrier
- Only lipid-soluble or transporter-mediated drugs can cross easily.

Metabolism (Biotransformation)

- Metabolism is the process where drugs are **chemically modified**, mainly in the liver.

Purpose

- Convert lipid-soluble drugs into **water-soluble forms**
- Facilitate elimination

Sites of Metabolism

- Liver (major site)
- Intestine
- Kidney
- Lungs

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Phases of Metabolism

Phase I Reactions (Functionalization)

- Introducing or expose functional groups
- **Includes:**
  - Oxidation (most common, via CYP450 enzymes)
  - Reduction
  - Hydrolysis
- **Result:** Slightly more polar drug

Phase II Reactions (Conjugation)

- **Drug combines with endogenous substances:**
  - Glucuronic acid
  - Sulfate
  - Acetate
- **Result:** Highly water-soluble, inactive compound

Enzyme System (Cytochrome P450)

- Major enzyme family in liver
- Responsible for oxidation reactions
- Important concepts:
  - **Enzyme induction**
    - Increases metabolism
    - Decreases drug effect
  - **Enzyme inhibition**
    - Decreases metabolism
    - Increases drug toxicity

First-Pass Metabolism

- Drug is metabolized in liver before reaching systemic circulation
- Reduces bioavailability
- **Examples:**
  - Propranolol
  - Nitroglycerin

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