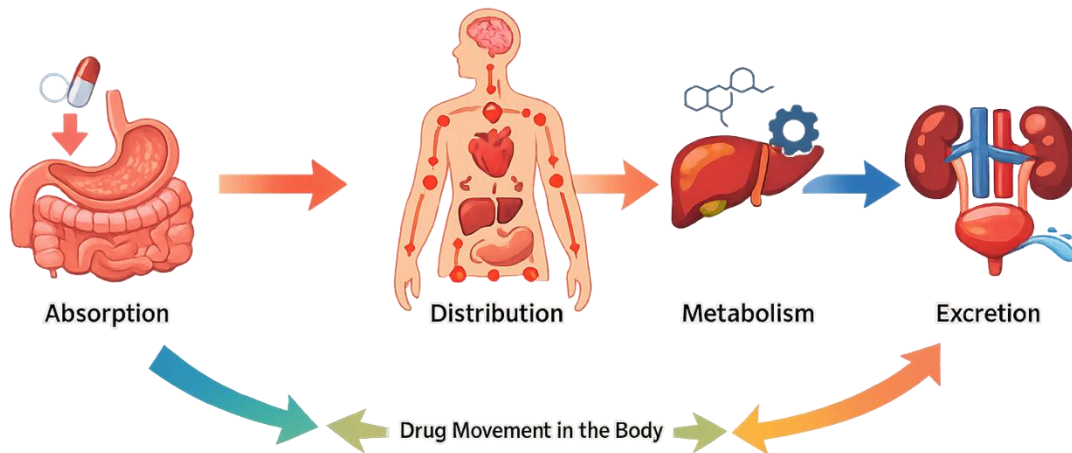


Pharmacokinetics

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

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

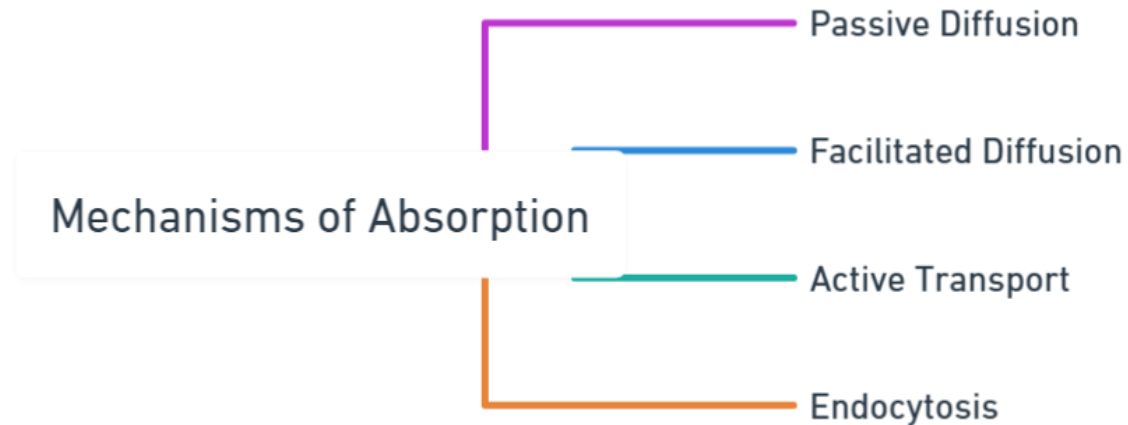
Important Parameters

- C_{max}**: Maximum concentration of drug
- T_{max}**: Time to reach C_{max}
- Half-life (t_{1/2})**: Time for concentration to reduce by half
- Clearance (CL)**: Rate of drug removal
- Volume of distribution (V_d)**: Extent of drug distribution

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)

- 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

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)

- 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

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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