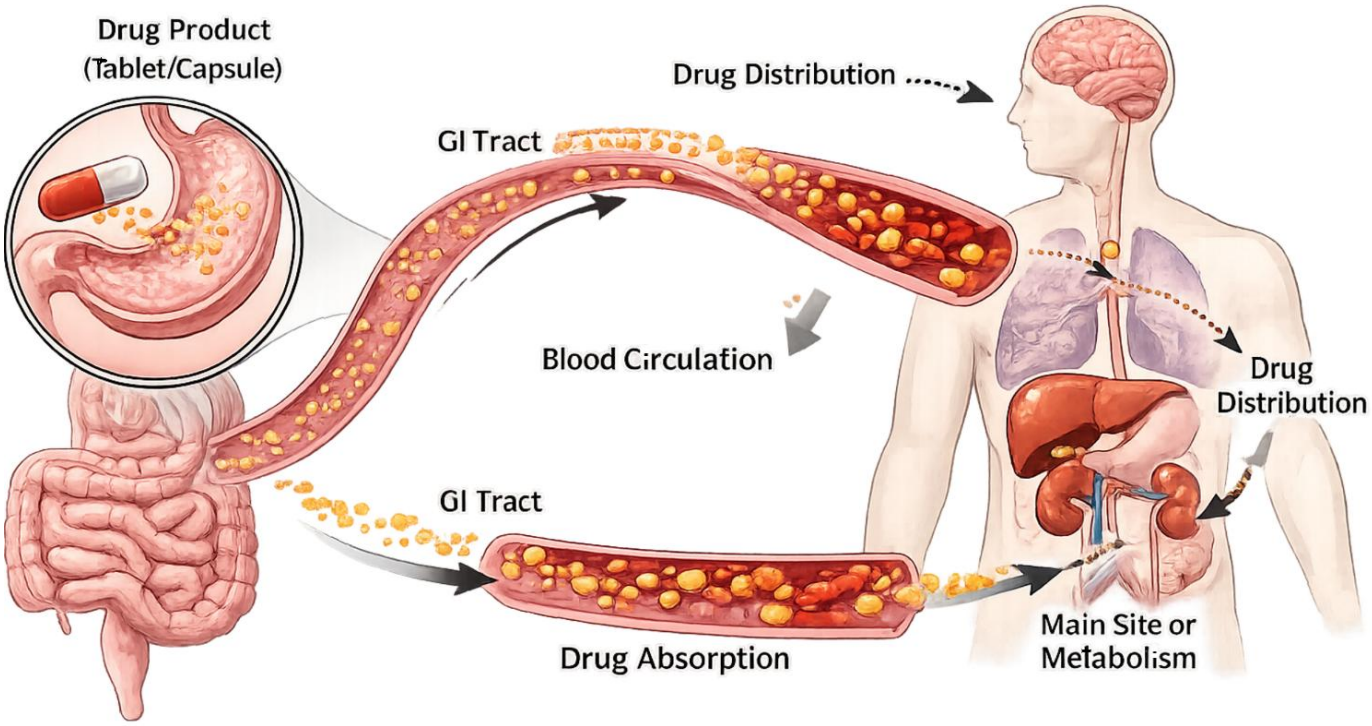
Drug Product Performance, In Vivo and Bioavailability

Drug Product Performance (In Vivo) and Bioavailability

- Drug product performance **in vivo** means how the drug actually behaves inside the human body after it is administered.



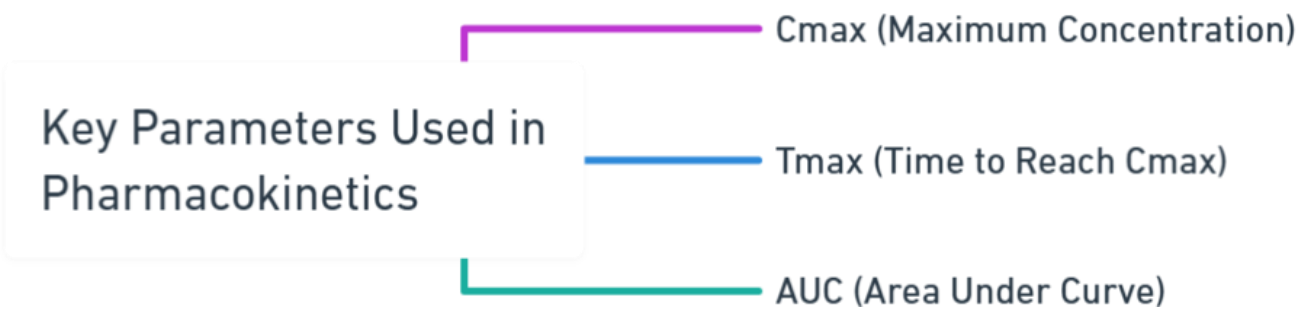
Drug Product Performance (In Vivo)

- It focuses on how much drug reaches the bloodstream and how fast it reaches there.

What is Bioavailability

- Bioavailability is the **rate and extent** to which the active drug ingredient reaches systemic circulation in an unchanged form.
    - **Rate** → how fast the drug appears in blood
    - **Extent** → how much drug reaches blood

Key Parameters Used



1. Cmax (Maximum Concentration)

- ➢ Highest concentration of drug in plasma

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2. Tmax (Time to Reach Cmax)

- Time taken to reach peak concentration

3. AUC (Area Under Curve)

- Represents total drug exposure over time

Purpose of Bioavailability Studies

1. To determine how efficiently a drug is absorbed
2. To compare different formulations of the same drug
3. To ensure consistent drug performance
4. To support drug approval by regulatory agencies
5. To optimize dosage form and dosing schedule

Types of Bioavailability

1. Absolute Bioavailability

- Compares oral drug with intravenous (IV) drug
- IV administration is considered 100% bioavailable
- **Formula:**
  - Absolute Bioavailability (F) = (AUC oral / AUC IV) × (Dose IV / Dose oral)

2. Relative Bioavailability

- Compares two non-IV formulations
- **Example:** tablet vs capsule
- **Formula:**
  - Relative Bioavailability = (AUC test / AUC reference)

Methods for Assessing Bioavailability

- **Bioavailability** can be measured using **pharmacokinetic and pharmacodynamic methods**.

Plasma Drug Concentration-Time Profile

Most common method.

Procedure:

- Drug is administered
- Blood samples collected at different times
- Drug concentration measured

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Graph:

- X-axis → Time
- Y-axis → Drug concentration

Parameters Obtained:

1. **Cmax**
    - Indicates peak level of drug
    - Related to safety and efficacy
2. **Tmax**
    - Indicates rate of absorption
3. **AUC**
    - Indicates total drug absorbed

Advantages:

- Accurate and widely accepted
- Direct measurement

Urinary Excretion Data

Used when:

- Drug is excreted unchanged in urine

Parameters:

- Cumulative amount excreted
- Rate of excretion

Limitations:

- Not useful if drug is extensively metabolized

Pharmacodynamic Studies

Used when:

- plasma concentration cannot be measured.

Method:

- Measure drug effect instead of concentration

Example:

- Blood pressure reduction for antihypertensives

Limitations:

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- Less precise
- More variability

Clinical Endpoint Studies

Measure actual clinical outcome

Example:

- Healing of ulcer
- Reduction in symptoms

Limitations:

- Time-consuming
- Expensive
- Less sensitive

In Vitro Dissolution Studies

Measure how fast drug dissolves in lab conditions

Importance:

- Predicts in vivo performance
- Used for quality control

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Bioequivalence Studies: Study Designs

Bioequivalence (BE)

- Bioequivalence means that two drug products show no significant difference in the rate and extent of absorption when administered at the same dose under similar conditions.

Purpose of Bioequivalence Studies

- To approve generic drugs
- To ensure therapeutic equivalence
- To allow safe substitution of branded drugs with generics

Basic Requirements of BE Studies

- Conducted in healthy volunteers
- Performed under standardized conditions
- Controlled environment to minimize variability

Study Designs

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A. Crossover Study Design (Most Common)

Structure

- **Each subject receives both:**
  - Test product
  - Reference product
- **2×2 Crossover Design**
  - Group 1: Test → Washout → Reference
  - Group 2: Reference → Washout → Test

Washout Period

- A time gap between two treatments
- Ensures complete elimination of the previous drug
- Typically, 5–7 half-lives

Advantages of Crossover Design

- Reduces variability
- Each subject acts as their own control
- Requires fewer subjects

B. Parallel Study Design (Less Common)

Structure

- **Two separate groups:**
  - One receives test product
  - Other receives reference product

Used When

- Drug has a long half-life
- Washout is not practical
- Crossover design is not feasible

Comparison of Study Designs

Feature	Crossover Design	Parallel Design
Subjects	Same subjects receive both treatments	Different groups
Variability	Low	Higher

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