

Introduction to Biopharmaceutics

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Key concepts, definitions, and principles that link drug properties to their therapeutic performance.

1. What Is Biopharmaceutics?

Definition

Biopharmaceutics is the science that studies the relationship between the **physicochemical properties of a drug**, the **dosage form**, and the **biological response** after administration.

Scope

- Drug dissolution & absorption
- Distribution, metabolism, excretion (ADME) influences
- Impact of formulation and route of delivery

Goal: Predict and control how a drug reaches its site of action and produces the desired effect.

2. Core Biopharmaceutic Parameters

Parameter	Meaning	Why It Matters
Solubility	Maximum amount of drug that can dissolve in a given solvent (usually water) at a specific temperature.	Determines the amount of drug available for absorption.
Permeability	Ability of a drug molecule to cross biological membranes (e.g., intestinal epithelium).	Governs the rate and extent of systemic uptake.
Dissolution Rate	Speed at which a solid dosage form releases the drug into solution.	Directly influences the onset of action, especially for oral solid forms.
Stability	Chemical and physical integrity of the drug during storage and after administration.	Affects potency, safety, and shelf life.
pKa	Acid-base dissociation constant; indicates the ionization state at a given pH.	Ionized drugs dissolve better in water but permeate membranes less readily.

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Parameter	Meaning	Why It Matters
Log_P / Log_D	Partition coefficient (octanol/water) – a measure of lipophilicity.	Predicts membrane permeability and distribution.
Molecular Weight (MW)	Mass of a single molecule (Daltons).	Larger molecules generally have lower permeability.

3. Biopharmaceutics Classification System (BCS)

Class	Solubility	Permeability	Typical Examples
I	High	High	Paracetamol, Metoprolol
II	Low	High	Ketoconazole, Cyclosporine
III	High	Low	Metformin, Acyclovir
IV	Low	Low	Furosemide, Paclitaxel

Implications

- **Class I** ? Often eligible for *biowaivers* (no in?vivo bioequivalence study required).
 - **Class II** ? Dissolution rate is the rate-limiting step; formulation strategies focus on enhancing solubility.
 - **Class III** ? Permeability is limiting; use of permeation enhancers or prodrugs may help.
 - **Class IV** ? Both solubility and permeability are poor; requires extensive formulation work and in?vivo studies.
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4. Oral Drug Absorption: The “Five-Step” Model

1. **Disintegration** – Tablet or capsule breaks into smaller particles.
2. **Dissolution** – Solid drug dissolves into gastrointestinal (GI) fluids.
3. **Ionization/Partitioning** – Drug’s ionization state (pKa vs. GI pH) determines its ability to cross the unstirred water layer.
4. **Permeation** – Passive diffusion (or active transport) across the intestinal epithelium.
5. **First-Pass Metabolism** – Hepatic and intestinal enzymes may metabolize drug before it reaches systemic circulation