

Bioavailability: Definition, Types, Factors Affecting, and Methods to Enhance

Bioavailability refers to the extent to which a drug or other substance is absorbed into the body and becomes available for its intended physiological action. It is a crucial concept in pharmacology and therapeutics as it determines the efficacy and safety of a drug.



Bioavailability & Bioequivalence: Definition & types of bioavailability, factors affecting bioavailability, methods to assess bioavailability, difference between bioequivalence and bioavailability by Yasir Chohan

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Types of Bioavailability

There are two main types of bioavailability:

- **Absolute bioavailability:** Compares the amount of drug that reaches systemic circulation with the amount of drug administered.

- **Relative bioavailability:** Compares the amount of drug that reaches systemic circulation from one formulation to another.

Factors Affecting Bioavailability

Numerous factors can influence bioavailability, including:

i. Physicochemical Properties:

- **Solubility:** Soluble drugs are more readily absorbed by the gastrointestinal tract.
- **Partition coefficient:** Drugs with a higher partition coefficient tend to be better absorbed.
- **Disintegration and dissolution:** Drugs that dissolve quickly are more easily absorbed.

ii. Physiological Factors:

- **pH of the gastrointestinal tract:** Acidic drugs can be degraded in the stomach, reducing bioavailability.
- **Gastric emptying time:** Fast gastric emptying can limit drug absorption.
- **Intestinal motility:** Decreased intestinal motility can prolong drug absorption.

iii. Formulation Factors:

- **Dosage form:** Oral tablets and solutions are generally better absorbed than sustained-release formulations.
- **Excipients:** Certain excipients can enhance or inhibit drug absorption.
- **Particle size:** Smaller particles increase the surface area available for absorption.

iv. Drug-Specific Factors:

- **Metabolism:** Drugs that undergo extensive first-pass metabolism have reduced bioavailability.
- **Efflux transporters:** Efflux transporters can pump drugs out of cells, reducing bioavailability.
- **Drug interactions:** Drugs that interact with each other can affect bioavailability.

v. Other Factors:

- **Age:** Elderly patients may have reduced absorption due to decreased gastric acidity and intestinal motility.
- **Disease state:** Liver or kidney disease can impair drug metabolism and elimination, affecting bioavailability.
- **Route of administration:** Intravenous administration has the highest bioavailability, followed by oral, subdermal, and transdermal.

Methods to Enhance Bioavailability

Several methods can be employed to improve bioavailability, including:

- **Increasing solubility:** Using surfactants or cosolvents to enhance solubility.
- **Improving dissolution rate:** Micronizing or forming complexes with cyclodextrins can increase dissolution rate.
- **Modifying pH:** Buffering the formulation or co-administering antacids can adjust pH for optimal drug absorption.
- **Reducing first-pass metabolism:** Using prodrugs or bypassing the liver through alternative routes of administration.
- **Inhibiting efflux transporters:** Co-administering inhibitors of efflux transporters can block drug efflux and enhance bioavailability.
- **Modifying dosage form:** Using enteric coatings or sustained-release formulations can protect drugs from degradation and prolong absorption.

Bioavailability is a critical parameter in drug development and optimization. Understanding the factors affecting bioavailability allows researchers to design and formulate drugs for maximum therapeutic efficacy and safety. By employing strategies to enhance bioavailability, clinicians can optimize drug absorption and achieve desired patient outcomes.

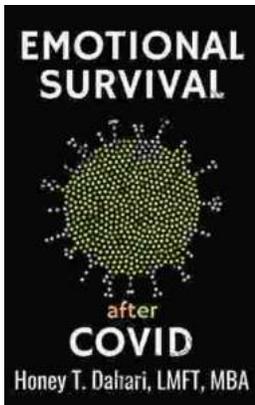


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