Biopharmaceutical Consideration

Biopharmaceutical Consideration: Introduction

Basic Idea

- Biopharmaceutics is the branch of pharmaceutical science that studies the relationship between the physicochemical properties of a drug, the dosage form, the route of administration, and the drug's therapeutic effect.
- Biopharmaceutics explains how a drug is released from its dosage form, absorbed into the body, reaches the site of action, and produces its desired effect.
- The main focus of biopharmaceutics is to understand the factors that influence drug bioavailability and bioequivalence.
- Biopharmaceutical considerations are important during drug discovery, formulation development, manufacturing, and clinical use.

Key Concepts

1. **Drug Product**
   - A drug product contains the active pharmaceutical ingredient along with excipients in a specific dosage form.
   - Examples of dosage forms include tablets, capsules, suspensions, injections, transdermal patches, and inhalers.
2. **Drug Release**
   - Drug release is the process by which the active ingredient is liberated from the dosage form.
   - The rate and extent of drug release influence drug absorption and therapeutic effectiveness.
3. **Absorption**
   - Absorption is the movement of a drug from the site of administration into the systemic circulation.
   - The extent of absorption affects the amount of drug available to produce a therapeutic effect.
4. **Bioavailability**
   - Bioavailability refers to the rate and extent to which an active drug ingredient reaches the systemic circulation in an unchanged form.
   - Intravenous administration provides complete bioavailability because the drug enters the bloodstream directly.

Copyright by Engacy Learning Private Limited. All rights reserved. Reproduction or distribution without written consent is strictly prohibited.

5. Bioequivalence

- Bioequivalence refers to the absence of significant differences in the rate and extent of drug absorption between two pharmaceutical products administered at the same dose under similar conditions.
- Bioequivalence studies are commonly used to compare generic products with reference products.

Importance of Biopharmaceutics

- Biopharmaceutics helps in designing effective dosage forms.
- Biopharmaceutics supports optimization of drug therapy.
- Biopharmaceutics assists in predicting in vivo drug performance.
- Biopharmaceutics helps establish bioequivalence between pharmaceutical products.
- Biopharmaceutics contributes to regulatory approval of medicines.

Biopharmaceutical Factors Affecting Drug Bioavailability

Basic Idea

- Bioavailability depends on multiple factors related to the drug, dosage form, patient, and route of administration.
- These factors influence the rate and extent of drug absorption.

First Hope

Drug-Related Factors

1. Aqueous Solubility

- Drugs must dissolve in biological fluids before they can be absorbed.
- Poorly soluble drugs often exhibit low and variable bioavailability.

2. Particle Size

- Smaller particles have a larger surface area and dissolve more rapidly.
- Reduction in particle size generally improves the dissolution rate and absorption.

3. Salt Form

- Conversion of a drug into a suitable salt form can enhance its solubility and dissolution.
- Different salt forms may show different bioavailability profiles.

4. Crystal Form and Polymorphism

- Drugs can exist in different crystalline forms known as polymorphs.
- Different polymorphs may have different solubility and dissolution characteristics.
- Amorphous forms usually dissolve faster than crystalline forms.

Copyright by Engacy Learning Private Limited. All rights reserved. Reproduction or distribution without written consent is strictly prohibited.

5. Chemical Stability

- Drugs that degrade in the gastrointestinal tract may exhibit reduced bioavailability.

6. Lipid Solubility

- Drugs with appropriate lipid solubility cross biological membranes more easily.

7. Degree of Ionization

- The extent of ionization depends on the drug's pKa and the pH of the surrounding environment.
- Unionized drug molecules generally cross biological membranes more readily than ionized molecules.

Formulation-Related Factors

A. Type of Dosage Form

- Solutions are generally absorbed faster than suspensions, capsules, and tablets.

B. Excipients

- Excipients may influence drug dissolution, stability, and absorption.
- Certain excipients can enhance or reduce bioavailability.

C. Manufacturing Process

- Compression force, granulation method, coating, and drying conditions can affect drug release.

D. Disintegration Time

- Rapid disintegration promotes faster drug release and absorption.

E. Dissolution Rate

- The dissolution rate significantly influences bioavailability, especially for poorly soluble drugs.

Physiological Factors

1. Gastric Emptying Time

- Faster gastric emptying generally increases the rate of drug absorption from the small intestine.

2. Gastrointestinal pH

- Changes in pH affect drug solubility and ionization.

3. Intestinal Motility

- Altered intestinal motility can affect the contact time between the drug and the absorption surface.

First Hope

Copyright by Engacy Learning Private Limited. All rights reserved. Reproduction or distribution without written consent is strictly prohibited.

4. Blood Flow

- Adequate blood flow enhances drug absorption.

5. Food Intake

- Food may increase, decrease, or delay drug absorption.

6. First-Pass Metabolism

- Drugs extensively metabolized in the liver or intestinal wall before reaching systemic circulation show reduced bioavailability.

7. Disease Conditions

- Diseases affecting the gastrointestinal tract, liver, or kidneys can alter bioavailability.

Patient-Related Factors

- Age can influence drug absorption and metabolism.
- Genetic factors can affect drug transporters and metabolizing enzymes.
- Concomitant medications may cause drug interactions that alter bioavailability.
- Patient adherence influences therapeutic outcomes.

In Vitro Studies: Dissolution and Drug Release Testing

Basic Idea

- In vitro studies are laboratory tests performed outside the living body to evaluate drug release and predict in vivo performance.
- Dissolution and drug release testing are essential tools for the development and quality control of pharmaceutical products.

Dissolution Testing

Definition

- Dissolution testing measures the rate and extent of drug dissolution from a dosage form into a specified medium under controlled conditions.

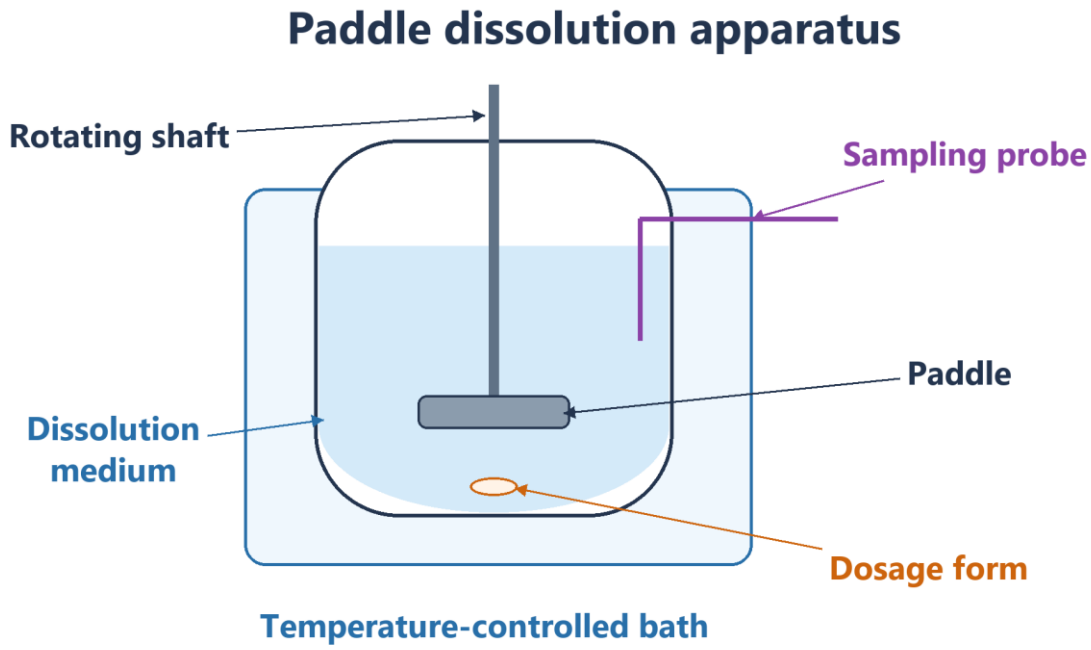
Objectives

- To assess batch-to-batch consistency.
- To evaluate formulation performance.
- To support product development and optimization.
- To predict in vivo drug behavior.
- To establish in vitro-in vivo correlation.
- To ensure product quality throughout its shelf life.

Copyright by Engacy Learning Private Limited. All rights reserved. Reproduction or distribution without written consent is strictly prohibited.

Principle

- A dosage form is placed in a dissolution medium maintained at a controlled temperature.
- The amount of drug dissolved over time is measured using suitable analytical methods.



In the paddle method, controlled agitation and sampling are used to measure dissolution over time

Components of a Dissolution Test

A. Dissolution Medium

- The dissolution medium should simulate physiological conditions.
- Common media include acidic, neutral, and buffered solutions.

B. Temperature

- The test is usually conducted at 37 ± 0.5°C to mimic body temperature.

C. Agitation

- Controlled agitation ensures uniform mixing and reproducible results.

D. Sampling

- Samples are withdrawn at predetermined time intervals for analysis.

Official Dissolution Apparatus

A. USP Apparatus I: Basket Method

- The dosage form is placed inside a rotating basket.
- This method is commonly used for capsules and floating dosage forms.

B. USP Apparatus II: Paddle Method

- The dosage form is placed at the bottom of the vessel.
- This method is widely used for tablets and capsules.

Copyright by Engacy Learning Private Limited. All rights reserved. Reproduction or distribution without written consent is strictly prohibited.

First Hope

FREE PREVIEW

Click here to visit our website

PHARMACY PREMIUM NOTES

Complete subject and semesters are available on FirstHope app only.

Scan or click here

Click here to get the app >

Available on Google Play

JOIN THE FIRSTHOPE COMMUNITY

Join our Telegram channel

Click here to join Telegram >

Scan or click here

@FirstHopeNotes

Instagram

@firsthope.official

Click here to follow >

YouTube channel

FirstHope-Pharmacy / Live streams

Click here to watch >

WhatsApp channel

Follow FirstHope on WhatsApp

Click here to join >

FirstHope website

firsthope.co.in

Click here to visit >

B.Pharm / D.Pharm / M.Pharm / Pharm.D

Preview notes. Complete subjects and semesters in the FirstHope app.