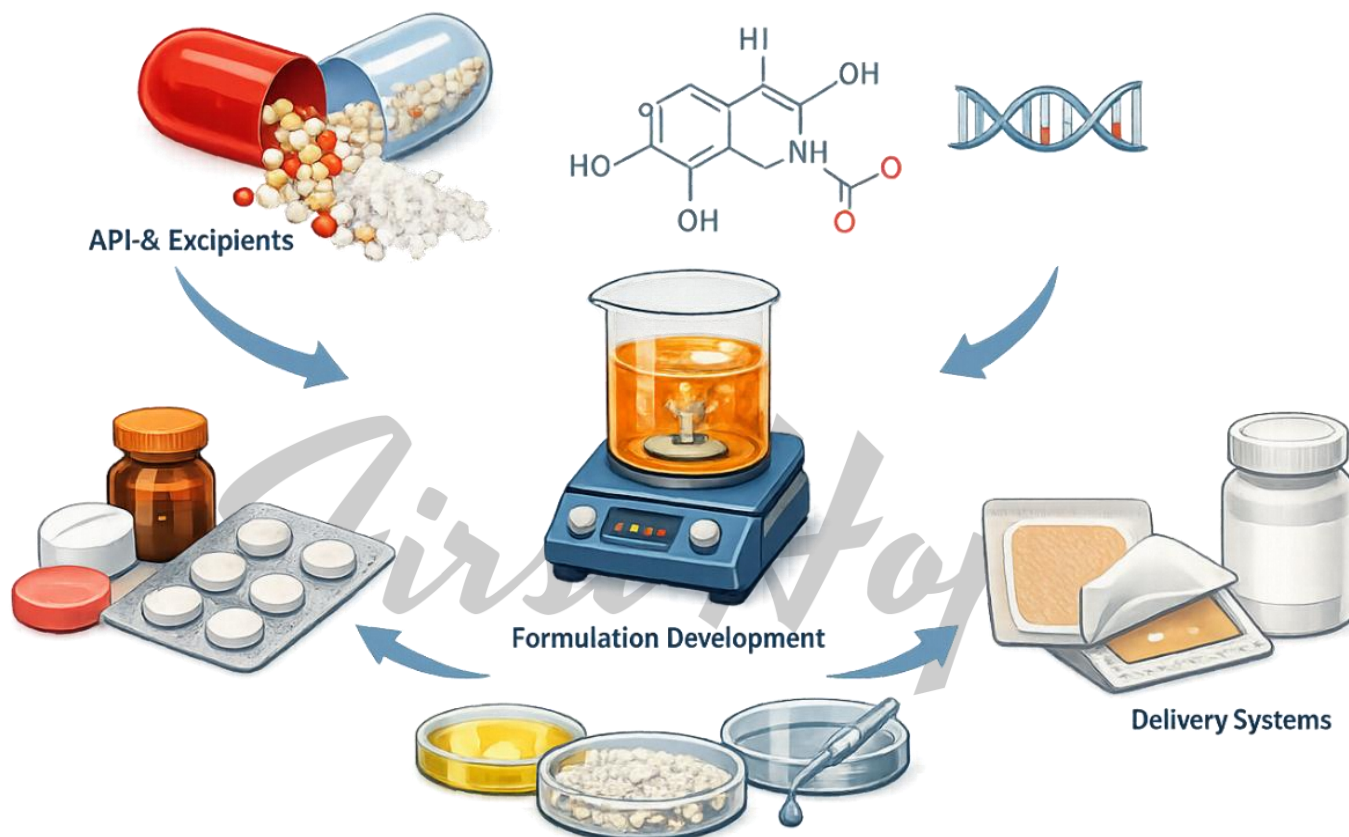


Biopharmaceutic Considerations In Drug Product Design & In Vitro Drug Product Performance

Introduction to Biopharmaceutic Considerations in Drug Product Design

- Biopharmaceutics is the study of how the physical and chemical properties of a drug, along with the dosage form (tablet, capsule, injection, etc.), affect the rate and extent of drug absorption in the body.



- When a drug is designed, it is not enough that the drug is chemically active.
- It must also:**
 - Reach the site of action
 - Be absorbed into the bloodstream
 - Remain stable until it reaches the target

Key Concepts

1. Bioavailability

- The fraction of administered drug that reaches systemic circulation in active form
- Expressed as percentage
- Example: If 100 mg drug is given orally and only 50 mg reaches blood, bioavailability = 50%

2. Bioequivalence

- Two drug products are considered equivalent if they show similar bioavailability and therapeutic effect

3. Drug Product Design Goal

- Ensure consistent drug release
- Achieve desired therapeutic effect
- Minimize side effects

Why biopharmaceutics is important in drug design

- Ensures consistent therapeutic effect
- Helps in selecting proper dosage form
- Reduces variability between patients
- Ensures quality and regulatory acceptance

Steps involved from dosage form to absorption

- Disintegration of dosage form
- Dissolution of drug
- Absorption through GI membrane
- Entry into bloodstream
- Each step can affect the final drug response.

Biopharmaceutic Factors Affecting Drug Bioavailability

- Bioavailability depends on multiple interacting factors

Drug-Related Factors

1. Solubility

- Drug must dissolve in body fluids before absorption
- Poorly soluble drugs have low bioavailability

2. Particle Size

- Smaller particles dissolve faster
- Increased surface area improves absorption

3. Salt Form

- Salt forms of drugs often dissolve better than free forms

4. Polymorphism

- Same drug can exist in different crystal forms
- Some forms dissolve better than others

Physiological Factors

1. Gastrointestinal pH

- Affects drug solubility and ionization

2. Gastric Emptying Time

- Faster emptying → faster absorption

3. Intestinal Motility

- Affects contact time with absorption surface

4. Blood Flow

- Higher blood flow improves absorption

5. First-pass Metabolism

- Drug may be metabolized in liver before reaching circulation

Dosage Form Factors

1. Disintegration Time

- Tablet must break into smaller pieces

2. Dissolution Rate

- Drug must dissolve before absorption

3. Excipients Used

- Can enhance or reduce absorption

Important concept: BCS (Biopharmaceutics Classification System)

- **Drugs are classified based on solubility and permeability:**
 - Class I: High solubility, high permeability
 - Class II: Low solubility, high permeability
 - Class III: High solubility, low permeability
 - Class IV: Low solubility, low permeability
- This classification helps in predicting drug behavior.

Rate-Limiting Steps in Drug Absorption

- The rate-limiting step is the slowest step in the process of drug absorption that controls the overall rate.

Main Steps in Oral Drug Absorption

- Disintegration of dosage form
- Dissolution of drug
- Permeation across intestinal membrane

Possible Rate-Limiting Steps

1. Dissolution-Limited Absorption

- Occurs when drug dissolves slowly
- Common in poorly soluble drugs

2. Permeability-Limited Absorption

- Drug dissolves easily but cannot cross membrane
- Seen in highly polar drugs

3. Gastric Emptying Rate

- Sometimes controls how quickly drug reaches intestine

Biopharmaceutics Classification System (BCS)

- **Drugs are classified into four categories:**
 - Class I: High solubility, high permeability
 - Class II: Low solubility, high permeability
 - Class III: High solubility, low permeability
 - Class IV: Low solubility, low permeability
- Rate-limiting step differs for each class.

Why it is important

- Helps in improving formulation
- Helps in selecting suitable excipients
- Guides drug delivery system design

Physicochemical Nature of the Drug

- The chemical and physical properties of a drug strongly influence its absorption and performance.

Important Properties

1. Solubility

- Determines how much drug dissolves

2. Partition Coefficient (Log P)

- Indicates lipid solubility
- Higher value → better membrane penetration

3. pKa (Ionization Constant)

- Determines degree of ionization at different pH
- Unionized form is more easily absorbed

4. Molecular Size

- Smaller molecules cross membranes easily

5. Stability

- Drug should not degrade before absorption

6. Crystal Form

- Amorphous form dissolves faster than crystalline

Effect on Absorption

- Lipophilic drugs → better membrane permeability
- Hydrophilic drugs → better solubility but poor permeability
- Balance is required for optimal absorption

Formulation Factors Affecting Drug Product Performance

- Formulation refers to how the drug is prepared into a dosage form.

Role of Excipients

Excipients are inactive ingredients, but they play important roles:

1. Diluents (Fillers)

- Increase bulk of tablet

2. Binders

- Hold particles together

3. Disintegrants

- Help tablet break apart

4. Lubricants



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