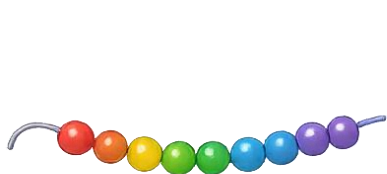


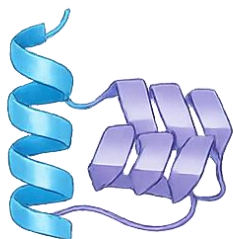
Protein / Peptide Drug Delivery Systems

Concepts of Protein / Peptide Drug Delivery Systems

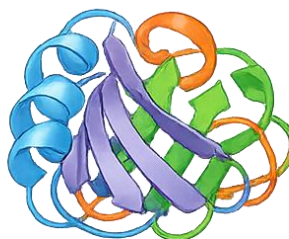
- Protein and peptide drugs are large, complex molecules used for therapeutic purposes. Examples include insulin, monoclonal antibodies, growth hormones, and vaccines.



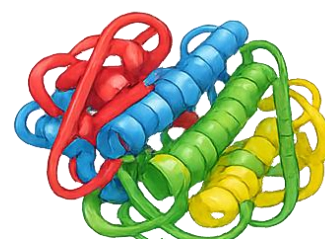
Primary Structure



Secondary Structure



Tertiary Structure



Quaternary Structure

Basic concept:

- Proteins and peptides are made of amino acids
- They act by:**
 - Binding to receptors
 - Acting as enzymes
 - Regulating biological processes
- Unlike small molecule drugs, they are:**
 - Large in size
 - Structurally complex
 - Sensitive to environmental conditions

Why special delivery systems are needed:

1. Poor stability

- Easily degraded by enzymes in the body (especially in the stomach and intestine)

2. Poor oral absorption

- Cannot easily cross biological membranes due to large size and polarity

3. Short half-life

- Rapidly cleared from the body

4. Immunogenicity

- May trigger immune responses

Goals of protein/peptide drug delivery systems:

- Protect drug from degradation

- Improve absorption and bioavailability
- Prolong circulation time
- Target specific tissues or cells
- Control drug release

Barriers in delivery:

1. Enzymatic degradation

- Proteases break down proteins

2. Physical barriers

- Cell Membranes restrict entry

3. Chemical instability

- pH, temperature, oxidation can damage structure

4. Rapid clearance

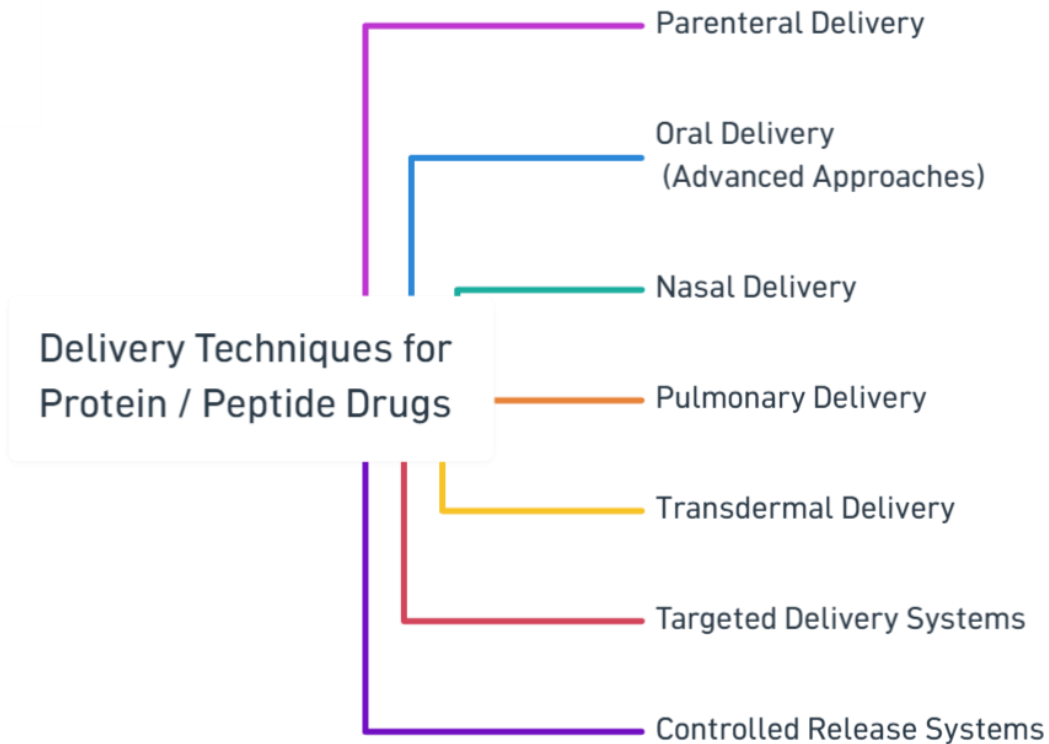
- Removed by kidneys or immune system

Routes of administration:

- **Parenteral (most common)**
 - IV, subcutaneous, intramuscular
- **Non-invasive routes (challenging but desirable)**
 - Oral
 - Nasal
 - Pulmonary (inhalation)
 - Transdermal

Delivery Techniques for Protein / Peptide Drugs

Different techniques are used to improve delivery of proteins and peptides into the body.



1. Parenteral Delivery

- Direct injection into the body
- Avoids gastrointestinal degradation

Types:

- Intravenous (IV)
- Subcutaneous (SC)
- Intramuscular (IM)

Advantages:

- High bioavailability
- Fast action

Limitations:

- Painful
- Requires medical assistance
- Risk of infection

2. Oral Delivery (Advanced approaches)

- Normally proteins are degraded in stomach, so special strategies are used:

Problems

- Enzymes in stomach break proteins
- Poor absorption through intestinal wall

Techniques:

a) Enzyme inhibitors

- Protect drug from proteolytic enzymes

b) Permeation enhancers

- Increase absorption through intestinal lining

c) Encapsulation systems

- Nanoparticles, liposomes protect drug

d) pH-sensitive coatings

- Protect drug in stomach, release in intestine

3. Nasal Delivery

- Drug absorbed through nasal mucosa

Advantages:

- Rapid absorption
- Avoids first-pass metabolism

Limitations:

- Limited dose capacity
- Possible irritation

4. Pulmonary Delivery

- Drug delivered via inhalation into lungs

Advantages:

- Large surface area for absorption
- Rapid systemic effect

Examples:

- Inhaled insulin

5. Transdermal Delivery

- Drug delivered through skin

Techniques:

- Microneedles
- Iontophoresis (electric current)
- Sonophoresis (ultrasound)

Limitations:

- Skin barrier is strong
- Only small proteins can pass

6. Targeted Delivery Systems

Use careers like:

- Nanoparticles
- Liposomes
- Microspheres

Purpose:

- Deliver drug to specific cells or tissues
- Reduce side effects

7. Controlled Release Systems

- Drug released slowly over time

Methods:

- Biodegradable polymers
- Depot injections

Formulation of Protein / Peptide Drug Delivery Systems

Definition

- Formulation refers to the process of designing a **stable, effective, and safe dosage form** for protein or peptide drugs.

Key Challenges in Formulation

- Physical and chemical instability
- Sensitivity to temperature and pH
- Aggregation (clumping of molecules)
- Denaturation (loss of protein structure)
- Enzymatic degradation

Important Considerations

- Maintain biological activity
- Preserve protein structure
- Prevent degradation and denaturation
- Ensure sterility (especially for injections)
- Maintain isotonicity
- Control drug release profile



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