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MEDICINAL CHEMISTRY - III

UNIT 2

TOPIC :

- **Prodrugs** : Basic concepts and application of prodrugs design.



Prodrugs

- A prodrug is a pharmacologically inactive or less active compound that is converted into an active drug in the body by enzymatic or chemical reactions after administration.
- The purpose of a prodrug is to improve the pharmaceutical or pharmacokinetic properties of the active drug.
- Example:
 - Enalapril → converted into Enalaprilat (active drug)
 - Levodopa → converted into Dopamine

Basic Concept of Prodrug

- The basic concept of prodrug design is to temporarily modify a drug molecule to overcome problems such as:
 - Poor absorption
 - Poor solubility
 - Short half-life
 - High toxicity
 - Unpleasant taste
 - Poor bioavailability
- After administration, the prodrug undergoes biotransformation in the body to release the active drug.

Need / Objectives of Prodrug Design

Prodrugs are designed to:

- Improve oral bioavailability
- Increase lipophilicity for better absorption
- Improve water solubility for injection
- Reduce toxicity and side effects
- Mask bad taste or odor
- Increase chemical stability
- Achieve site-specific drug delivery
- Prolong duration of action

Ideal Properties of a Prodrug

An ideal prodrug should:

- ▲ Be pharmacologically inactive
- ▲ Be rapidly and completely converted to active drug
- ▲ Be non-toxic
- ▲ Have good stability
- ▲ Release the drug at the desired site
- ▲ Not form harmful metabolites

Classification of Prodrugs

A. Based on Chemical Structure

1. Carrier-linked Prodrugs

→ The active drug is linked to a carrier moiety by a covalent bond.

Types:

Ester prodrugs

Amide prodrugs

Examples:

Aspirin (ester of salicylic acid)

Procaine penicillin

2. Bioprecursor Prodrugs

→ These do not contain a carrier.

→ They are converted into the active drug by metabolic reactions such as oxidation or reduction.

Examples:

Levodopa → Dopamine

Cyclophosphamide

B. Based on Pharmacological Action

Double prodrugs – require two steps for activation

Example: Bambuterol → Terbutaline

Mutual prodrugs – two active drugs linked together

Example: Benorylate (Aspirin + Paracetamol)

Enzymes Involved in Prodrug Activation

- Esterases
- Amidases
- Oxidases
- Reductases
- Phosphatases

These enzymes are mainly present in:

- Liver
- Intestine
- Blood
- Target tissues

Applications of Prodrug Design

1. Improvement of Bioavailability

Some drugs have poor absorption. Prodrugs improve lipophilicity and absorption.

Example:

- Enalapril has better oral bioavailability than Enalaprilat

2. Reduction of Drug Toxicity

Prodrugs remain inactive until they reach the target site.

Example:

- Cyclophosphamide (less toxic until activated)

3. Site-Specific Drug Delivery

Prodrugs release the drug only at a specific site.

Example:

- Sulfasalazine releases 5-ASA in the colon

4. Improvement of Water Solubility

Important for injectable preparations.

Example:

- Fosphenytoin (water-soluble prodrug of phenytoin)

5. Masking Unpleasant Taste

Useful for pediatric patients.

Example:

- Chloramphenicol palmitate

Advantages of Prodrugs

- Improved absorption and distribution
- Reduced side effects
- Better patient compliance
- Improved formulation flexibility
- Targeted drug delivery

Disadvantages of Prodrugs

- Possibility of incomplete conversion
- Variable activation in patients
- Complex synthesis
- Risk of toxic metabolites

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