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MEDICINAL CHEMISTRY – I

UNIT 2

TOPIC :

- **Drugs acting on Autonomic Nervous System**

  Adrenergic Neurotransmitters :

  Biosynthesis and catabolism of catecholamine.

  Adrenergic receptors (Alpha & Beta) and their distribution.

Drugs Acting on Autonomic Nervous System (ANS)

- The Autonomic Nervous System (ANS) is a part of the Peripheral Nervous System (PNS) that controls involuntary body functions such as heart rate, digestion, glandular secretion, pupil size, and respiratory rate.
- It has two main branches with opposing actions:
  1. Sympathetic Nervous System (SNS) → "Fight or Flight"
  2. Parasympathetic Nervous System (PNS) → "Rest and Digest"
- Drugs acting on the ANS either mimic or block these branches to produce therapeutic effects.

Adrenergic Neurotransmitters (Catecholamines)

- Chemical messengers released from adrenergic neurons that act on adrenergic receptors (α and β receptors).
- **Functions:**
  - Mediate "fight or flight" responses: ↑ heart rate, ↑ blood pressure, ↑ blood glucose, bronchodilation.
  - Also regulate mood, movement, renal blood flow, and metabolism.
- **Main Adrenergic Neurotransmitters (Catecholamines):**
  1. **Dopamine (DA)**
     - First catecholamine in synthesis chain.
     - Acts on dopamine (D1, D2) receptors.
     - At high doses → mild effect on β receptors.
     - Functions: CNS role (movement, reward), renal vasodilation, precursor for norepinephrine.
  2. **Norepinephrine (Noradrenaline)**
     - Primary neurotransmitter released by sympathetic postganglionic neurons.
     - Acts mainly on α1 and β1 receptors.
     - Functions: ↑ heart rate, ↑ contractility, vasoconstriction → ↑ blood pressure.

3. **Epinephrine (Adrenaline)**
    - Hormone from adrenal medulla.
    - Acts on α1, β1, β2 receptors.
    - Functions:
        - ↑ heart rate & cardiac output (β1).
        - Bronchodilation (β2).
        - Vasoconstriction (α1) + skeletal muscle vasodilation (β2).
        - ↑ blood glucose via glycogenolysis.

Biosynthesis of Catecholamines

1. **Phenylalanine → Tyrosine** (enzyme: *Phenylalanine hydroxylase*).
2. **Tyrosine → DOPA** (enzyme: *Tyrosine hydroxylase*).
3. **DOPA → Dopamine** (enzyme: *DOPA decarboxylase*).
4. **Dopamine → Norepinephrine** (enzyme: *Dopamine β-hydroxylase*).
5. **Norepinephrine → Epinephrine** (enzyme: *Phenylethanolamine N-methyl transferase*).

Catabolism (Breakdown) of Catecholamines

- **Main enzymes:**
    1. **Monoamine Oxidase (MAO):**
        - Found in mitochondria of neurons & other tissues.
        - Removes amino group → inactivates catecholamines.
    2. **Catechol-O-Methyl Transferase (COMT):**
        - Found in liver, kidney, other tissues.
        - Methylates catechol group → inactivates catecholamines.

Adrenergic Receptors

- G-protein coupled receptors (GPCRs) activated by catecholamines (NE, Epi, DA).

Types and Functions:

1. **α-Adrenergic Receptors**
   - **α1 receptors:** Vasoconstriction, ↑ BP, pupil dilation, bladder sphincter contraction.
   - **α2 receptors:** Inhibit NE release (presynaptic), ↓ insulin release.
2. **β-Adrenergic Receptors**
   - **β1 receptors:** ↑ heart rate, ↑ contractility, ↑ renin release.
   - **β2 receptors:** Bronchodilation, vasodilation in skeletal muscle, ↑ glycogenolysis.
   - **β3 receptors:** Lipolysis in adipose tissue, thermogenesis.