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Diploma in Pharmacy 1st Year
Pharmaceutical Chemistry
Important Questions
Chapter 6 : Drug Acting on Autonomic Nervous System

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Chapter 6

Drug Acting on Autonomic Nervous System

IMPORTANT Questions

Q1. Describe the sympathomimetic agent explain the direct acting agent and Indirect acting agent with example Naphazoline, Non Epinephrine.

Ans.

SYMPATHOMIMETIC AGENTS (ADRENERGIC AGONISTS)

- Adrenergic drugs or adrenergic agonists or sympathomimetic agents cause stimulation of the adrenergic receptors in the sympathetic nervous system.
- They are named so as they mimic the actions of major neurotransmitters of the sympathetic nervous system, i.e., epinephrine and norepinephrine.
- Adrenergic agents either directly or indirectly stimulate the adrenergic nerves.
- In direct stimulation, they mimic the actions of noradrenaline; while, indirect stimulation triggers the release of noradrenaline.
- The therapeutic application of these drugs is in the treatment of life threatening disorders like acute attacks of bronchial asthma, cardiac arrest, shock, and allergic reactions.
- These drugs are also used as nasal decongestants and appetite suppressants.

Direct Acting Agents

- The direct acting sympathomimetic agents directly bind and interact to activate the receptor. These agonists may have the property of receptor selectivity where in they can show selectivity (to act) either for any one particular class of receptors (like α - or β -receptors) or for any sub-class (e.g, specificity against β_1 or β_2 receptors).
- The drugs studied below are:
 - 1) Nor-epinephrine,
 - 2) Phenylephrine,
 - 3) Terbutaline,

Indirect Acting Agents

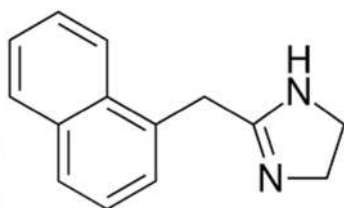
- Indirect acting sympathomimetic agents stimulate the release of a stored neurotransmitter from within the adrenergic nerve terminals. The main neurotransmitter involved here is nor-epinephrine, which on being released stimulates the adrenergic receptors on the effector organs.
- The drugs studied below are:
 - 1) Hydroxyamphetamine,
 - 2) Pseudoephedrine.

Naphazoline

→ Naphazoline acts on ocular arterioles through its rapid sympathomimetic vasoconstrictor action. It decreases the congestion of conjunctiva and is present in many OTC eye drops.

Chemical Name and Structure

2-(naphthalen-1-ylmethyl)-4,5-dihydro-1H-imidazole



Mechanism of Action

→ Naphazoline: Naphazoline stimulates alpha-adrenergic receptors in the arterioles of the conjunctiva. Ophthalmic administration causes vasoconstriction of conjunctival blood vessels thereby decreasing conjunctival congestion.

Uses

★ Naphazoline is a decongestant that relieves redness, puffiness, and itchy/watering eyes due to colds, allergies, or eye irritations.

Stability and Storage Conditions

★ The dropper should be stored upright at room temperature between 68°-77°F (20°-25°C) and keep away from moisture and sunlight.

Types of Formulations

○ Ophthalmic gel forming solution, Ophthalmic solution

Popular Brand Name

▪ Privine

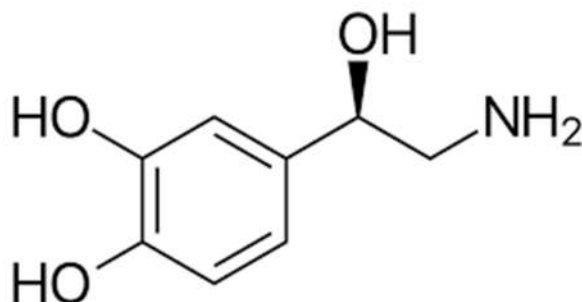
Nor-Epinephrine

→ Nor-epinephrine (precursor of epinephrine) is a central and autonomic neurotransmitter secreted by adrenal medulla.

→ It acts as a major transmitter for the diffuse projection system which arises from the locus coeruleus of the brain and for the postganglionic sympathetic fibres.

Chemical Name and Structure

4-[(1R)-2-amino-1-hydroxyethyl]benzene-1,2-diol



Mechanism of Action

- Nor-epinephrine acts on α -adrenergic receptors for peripheral vasoconstriction and on β -adrenergic receptors for causing inotropic stimulation of heart and dilation of coronary arteries.

Uses

- ★ It maintains blood pressure in acute hypotensive states arising due to Surgical or non-surgical trauma, central vasomotor depression, and haemorrhage.

Stability and Storage Conditions

- Solutions of norepinephrine should be stored in PVC bags at 4°C for 61 days with protection from light.

Types of Formulations

- ♣ Injectable solution, Intravenous solution,

Popular Brand Names

- ♦ Levarterenol
- ♦ Levophed

Q2. Explain the Adrenergic Antagonist and write note on propranolol,

Ans.

ADRENERGIC ANTAGONISTS (SYMPATHOLYTIC AGENTS)

- Adrenoceptor antagonists or adrenergic blocking agents or anti-adrenergic drugs block the responses mediated by adrenoceptor activation. In other words, they inhibit the actions that occur by the release of adrenaline.
- The action of sympathomimetic amines is selectively blocked by the anti-adrenergic drugs by acting either on the α - or β -receptors or on both of them. It brings about opposite effects of the catecholamines facilitated through the α -or β - receptors.
- Based on receptor selectivity, the α -and β -adrenoceptor blocking agents are divided into primary sub-groups.
- All of these agents have pharmacological antagonist or partial agonist property.
- A majority of them act competitively and have reversible actions.

Classification

- α -Adrenoceptor Blocking Drugs :
- β -Adrenoceptor Blocking Drugs :

α -Adrenoceptor Blocking Drugs : The effect of catecholamines facilitated via α -receptors are blocked by these agents furthermore, depending on the ability of these drugs to dissociate from the receptors, they may either be reversible or irreversible. The drugs studied below are :

1. Tolazoline,
2. Phentolamine,

β -Adrenoceptor Blocking Drugs : The effect of catecholamines facilitated via β -adrenoceptors are blocked by β - adrenoceptor blocking drugs. They can further be categorised as selective or non-selective β - adrenoceptor blocking drugs. The drugs studied below are:

1. Propranolol,

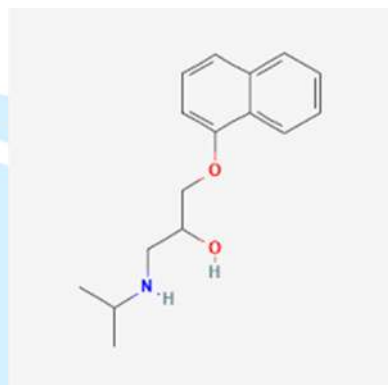
2. Ate lolol,

Propranolol

→ Propranolol is a sympatholytic non-selective first successful β -blocker. Sympatholytics treat hypertension, anxiety, and panic.

Chemical Name and Structure

1-naphthalen-1-yloxy-3-(propan-2-ylamino)propan-2-ol;hydrochloride



Mechanism of Action

- Propranolol is a non-selective beta receptor antagonist. This means that it does not have preference to Beta-1 or Beta-2 receptors. **It competes with sympathomimetic neurotransmitters for binding to receptors, which inhibits sympathetic stimulation of the heart.**

Uses

- Tremors, angina (chest pain), hypertension (high blood pressure), heart rhythm disorders, and other heart or Circulatory conditions can be treated using propranolol

Stability and Storage Condition

- Tablets and capsules should at a room temperature with tightly closed container

Types of Formulations

- Solution, Tablets

Popular Brand Name

- 🌈 Hemangeol, Hemangiol, Inderal, Innoproan

Q3. Write the short note on cholinergic Blocking Agent with example Atropine Sulphate.

Ans.

CHOLINERGIC BLOCKING AGENTS (CHOLINERGIC ANTAGONISTS)

- Anticholinergic or parasympatholytic drugs are those drugs which occupy the ACh receptors (and do not allow ACh to bind to the receptors) and prevent the actions of ACh.
- Parasympatholytic drugs are also termed as cholinergic blocking agents, cholinergic or muscarinic antagonists, anti-parasympathetic agents, anti-muscarinic agents, and antispasmodics.

→ The heart, respiratory tract, GI tract, urinary bladder, eyes, and exocrine glands are the major tissues affected by anticholinergic drugs.

The drugs studied below are:

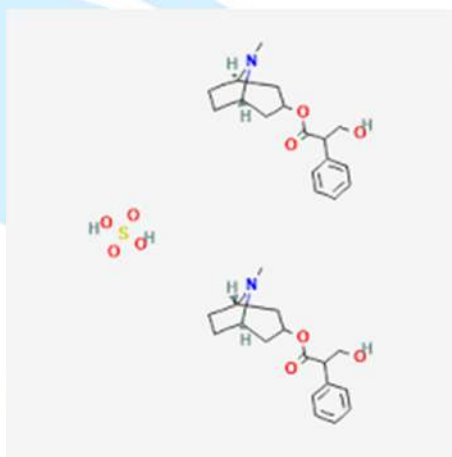
- Atropine sulphate,
- Ipratropium bromide.

Atropine Sulphate

→ Atropine sulphate is an alkaloid derived from *Atropa belladonna* and some other plants also of Solanaceae family.

Chemical Name and Structure

(1R,3R,5S)-8-methyl-8-azabicyclo[3.2.1]octan-3-yl hydroxy-2-phenylpropanoate



Mechanism of Action

→ Atropine produces a wide range of anticholinergic effects by binding to and inhibiting the muscarinic acetylcholine receptors.

Uses

- It is used for treating poisoning caused by organophosphorous nerve agents with anti-cholinesterase activity (cholinesterase inhibitors) and organophosphorous or carbamate insecticides.

Stability and Storage Conditions

- ♦ It should be stored in single or multiple-dose containers, preferably glass, at a temperature of less than 40°C (preferably between 15°-30°C). It should be protected from light and stored in airtight containers.

Type of Formulation

- Injection Solution

Popular Brand Names

- ✚ Atnaa, Busulfex, Donnatal, Duodote, Enlon-plus, Isopto Atropine, Motofen, Phenohydro

Q4. Explain the Synthetic Cholinergic Blocking Agents : Tropicamide.

Ans.

Synthetic Cholinergic Blocking Agents

- The solanaceous alkaloids are potent parasympatholytics, but they produce a wide range of undesired effects through their non-specific blockade of autonomic functions.
- When alkaloids are used for their antispasmodic effects they often give rise to side effects like dryness of the mouth and fluctuations in pulse rate.
- Hence, synthesis of Compounds having specific cholinolytic actions is desirable.
- Synthetic cholinergic blocking agents are aminoalcohols in the form of ester, ether, or alcohol.
- These agents help to produce the effect by blocking the muscarinic action.
- They are less potent when compared to solanaceous alkaloids.
- Some examples are tropicamide, dicyclomine hydrochloride, and procyclidine hydrochloride.

Tropicamide

- ⇒ Tropicamide is a muscarinic antagonist having pharmacological actions similar to atropine and is mainly used as an ophthalmic parasympatholytic or mydriatic.

Mechanism of Action

- ♦ Tropicamide binds with the receptors in the eye muscles (M receptors) and blocks them. It dilates the pupil and paralyses ciliary muscle by blocking the responses of iris sphincter muscle to the iris and of ciliary muscles to cholinergic stimulation.

Uses

- It is prescribed for inducing mydriasis (pupil dilation) and cycloplegia (paralysis of the ciliary muscle of the eye) during diagnostic procedures like measurement of refractive errors and for examining the fundus of the eye.

Stability and Storage Conditions

- It should be stored at 20° to 25°C. The container should be tightly closed.

Types of Formulations

- ✚ Injection, Solution

Popular Brand Names

- ★ Minims Tropicamide, Mydriacyl, Paremyd