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MEDICINAL CHEMISTRY – I

UNIT 2

TOPIC :

- **Adrenergic Antagonists :**

  Alpha adrenergic blockers :

  Tolazoline, Phentolamine, Phenoxybenzamine, Prazosin, Dihydroergotamine, Methysergide.

  Beta adrenergic blockers : *SAR of beta blockers, Propranolol*, Metibranolol, Atenolol, Betazolol, Bisoprolol, Esmolol, Metoprolol, Labetolol, Carvedilol.

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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-Adrenoceptpor Blocking Drugs :
- β-Adrenoceptpor Blocking Drugs :

α-Adrenoceptpor 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.

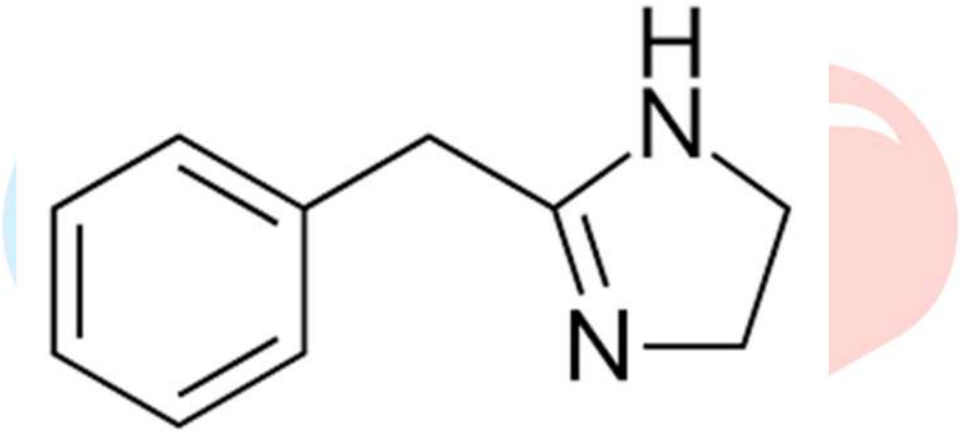
The drugs studied below are :

1. Tolazoline,
2. Phentolamine,
3. Phenoxybenzamine,
4. Prazosin.
5. Dihydroergotamine,
6. Methysergide

Tolazoline

Structure

- Synthetic non-selective α-adrenergic antagonist.
- Contains imidazoline ring with amine side chain.



Mechanism of Action (MOA)

- Blocks α1 and α2 receptors → vasodilation.
- Reduces peripheral vascular resistance → ↓ blood pressure.
- Can cause reflex tachycardia due to vasodilation.

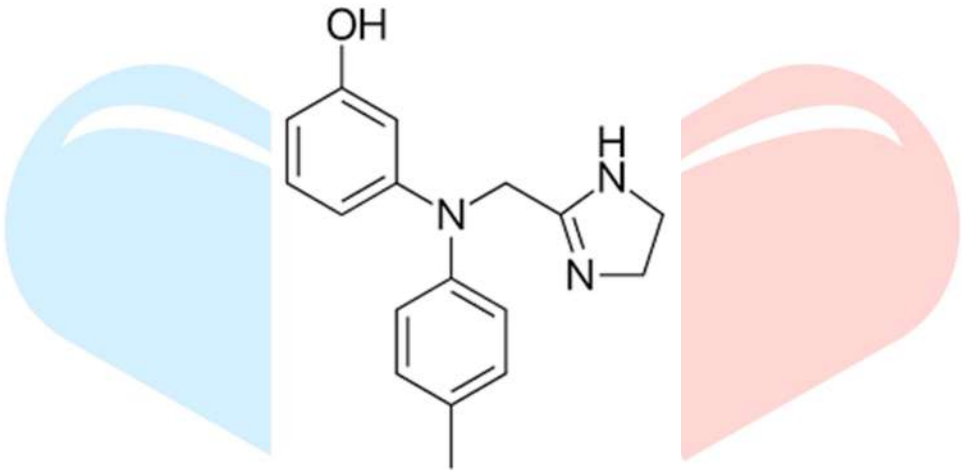
Uses

- Treatment of peripheral vascular diseases → e.g., Raynaud's phenomenon.
- Pulmonary hypertension → especially in newborns.
- Vasoconstrictor overdose → reverses effects of excessive α agonists.

Phentolamine

Structure

- Synthetic non-selective α-adrenergic antagonist.
- Contains imidazoline ring and amine side chain.



Mechanism of Action (MOA)

- Blocks α1 and α2 receptors → vasodilation → ↓ peripheral vascular resistance.
- May cause reflex tachycardia due to drop in blood pressure.
- Can also block α2 presynaptic receptors → ↑ norepinephrine release.

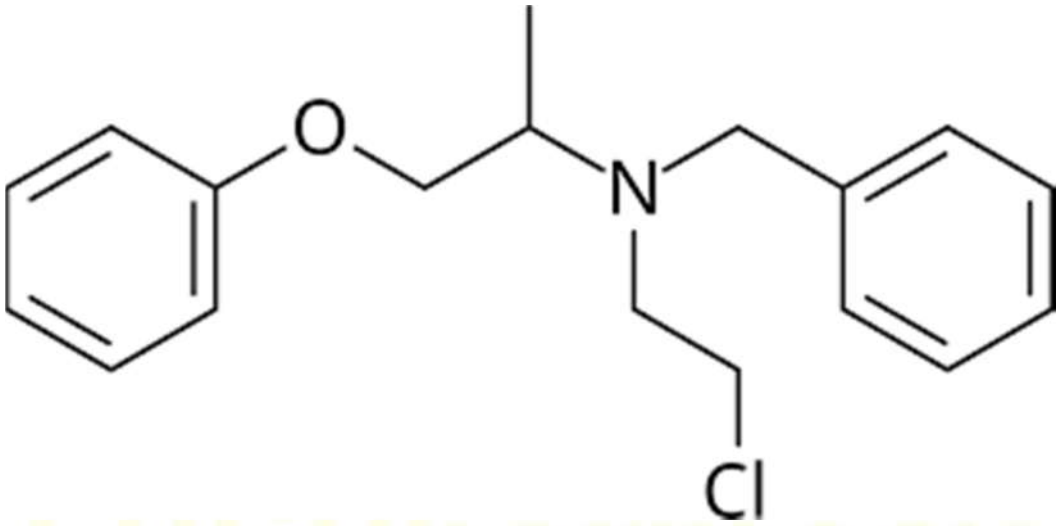
Uses

- Pheochromocytoma → control hypertension during surgery.
- Hypertensive crises → especially due to catecholamines.
- Local vasodilation → to reverse extravasation of vasoconstrictors.

Phenoxybenzamine

Structure

- Synthetic non-selective α-adrenergic antagonist.
- Contains haloalkylamine structure allowing irreversible α receptor blockade.



Mechanism of Action (MOA)

- Irreversibly blocks α1 and α2 receptors → prolonged vasodilation → ↓ peripheral vascular resistance.
- Reflex tachycardia may occur due to α2 blockade and ↑ norepinephrine release.
- Non-competitive antagonist → effect lasts until new receptors are synthesized.

Uses

- Pheochromocytoma → preoperative control of hypertension.
- Hypertensive crises → due to catecholamine excess.
- Peripheral vascular diseases → off-label use in some vasospastic disorders.

Prazosin

Structure

- Synthetic selective α1-adrenergic antagonist.
- Contains quinazoline ring and piperazine side chain.

Mechanism of Action (MOA)

- Blocks α1 receptors → vasodilation → ↓ peripheral vascular resistance.
- Minimal effect on α2 receptors → less reflex tachycardia compared to non-selective α blockers.
- Reduces both arterial and venous tone → ↓ blood pressure.

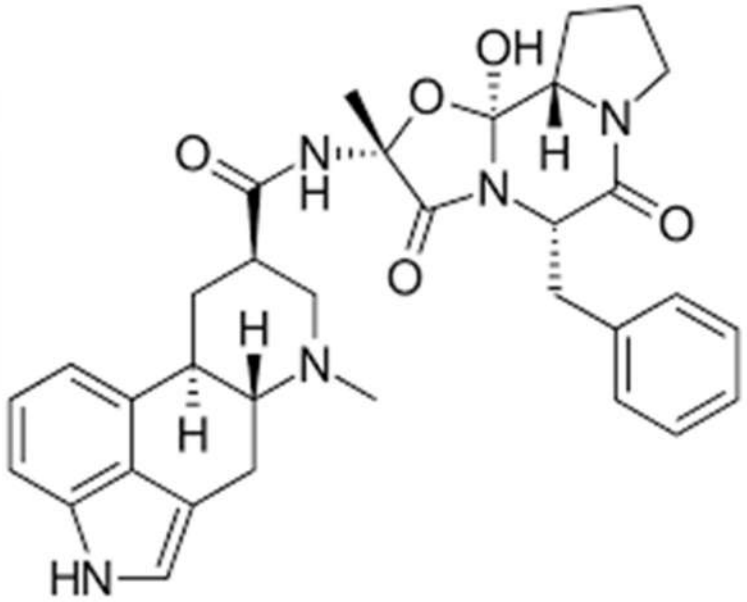
Uses

- Hypertension → especially in mild to moderate cases.
- Benign prostatic hyperplasia (BPH) → relaxes smooth muscles in bladder neck & prostate.
- Heart failure (adjunct therapy) → reduces afterload.

Dihydroergotamine

Structure

- Semi-synthetic ergot alkaloid.
- Derived from Ergotamine; contains indole ring system.



Mechanism of Action (MOA)

- Partial agonist/antagonist at α-adrenergic and serotonergic (5-HT) receptors.
- Causes vasoconstriction in cranial blood vessels → relieves migraine.
- Can also act on smooth muscles → uterine or vascular effects.

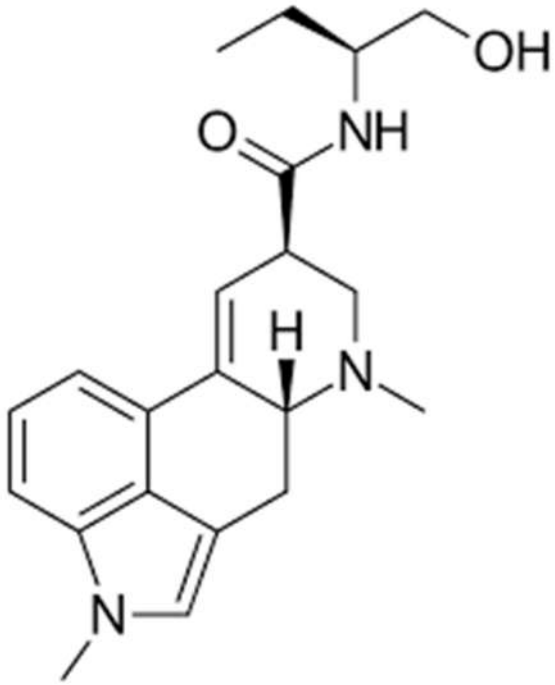
Uses

- Acute migraine attacks → intravenous, subcutaneous, or nasal route.
- Cluster headaches → short-term relief.
- Occasionally used in postpartum hemorrhage (off-label).

Methysergide

Structure

- Semi-synthetic ergot derivative.
- Structurally similar to serotonin (5-HT).



Mechanism of Action (MOA)

- 5-HT2 receptor antagonist → prevents cranial vasodilation associated with migraine.
- Partial agonist at some serotonergic receptors → modulates vascular tone.
- Minimal effect on α-adrenergic receptors compared to other ergot derivatives.

Uses

- Migraine prophylaxis → reduces frequency and severity of attacks.
- Cluster headaches → preventive therapy (less commonly used today).

β-Adrenoceptpor 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. Ateolol, *
3. Carvedilol.
4. Metibranolol
5. Betazolol
6. Bisoprolol
7. Esmolol
8. Metoprolol
9. Labetolol
10. Carvedilol

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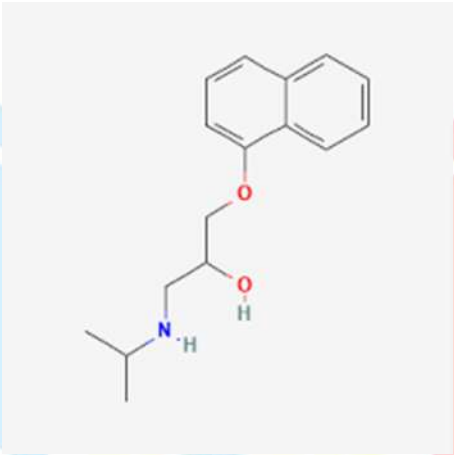
Propranolol

Structure

- Synthetic non-selective β-adrenergic antagonist (β-blocker).
- Contains naphthalene ring with ethanolamine side chain.



Mechanism of Action (MOA)

- Blocks β1 receptors → ↓ heart rate, contractility, and cardiac output → lowers blood pressure.
- Blocks β2 receptors → may cause bronchoconstriction (important in asthmatic patients).
- Reduces renin release from kidneys → further contributes to antihypertensive effect.

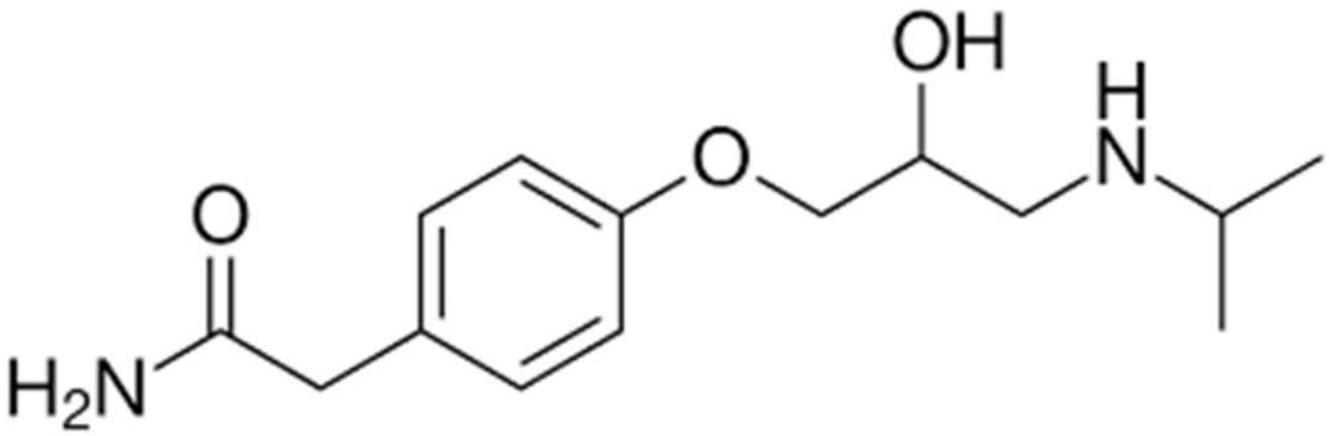
Uses

- Hypertension → lowers BP by decreasing cardiac output.
- Angina pectoris → reduces myocardial oxygen demand.
- Arrhythmias → controls tachyarrhythmias.
- Migraine prophylaxis → reduces frequency of attacks.
- Essential tremor → symptomatic relief.

Atenolol

Structure

- Synthetic selective β1-adrenergic antagonist (cardioselective β-blocker).
- Contains a benzene ring with hydroxyl and amide side chains.



Mechanism of Action (MOA)

- Blocks β1 receptors in the heart → ↓ heart rate, contractility, and cardiac output → lowers blood pressure.
- Minimal β2 blockade → less bronchoconstriction → safer in asthmatics than non-selective β-blockers.
- Reduces renin release from kidneys → contributes to antihypertensive effect.

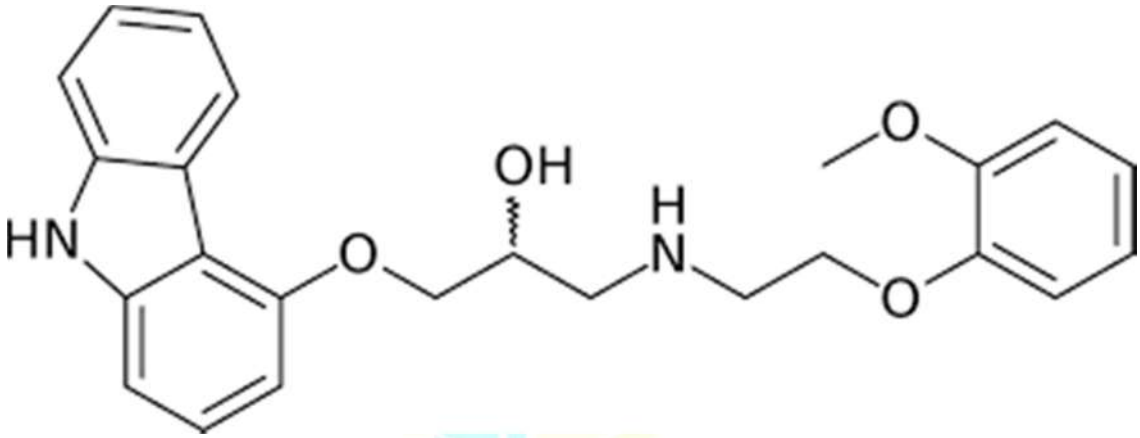
Uses

- Hypertension → first-line therapy.
- Angina pectoris → decreases myocardial oxygen demand.
- Arrhythmias → especially supraventricular tachycardia.
- Post-myocardial infarction → reduces mortality risk.

Carvedilol

Structure

- Synthetic non-selective β-adrenergic antagonist with α1-blocking activity.
- Contains carbazole ring and ethanolamine side chain.



Mechanism of Action (MOA)

- Blocks β1 and β2 receptors → ↓ heart rate, contractility, and cardiac output.
- Blocks α1 receptors → vasodilation → ↓ peripheral vascular resistance.
- Reduces oxidative stress and improves endothelial function (additional cardioprotective effects).

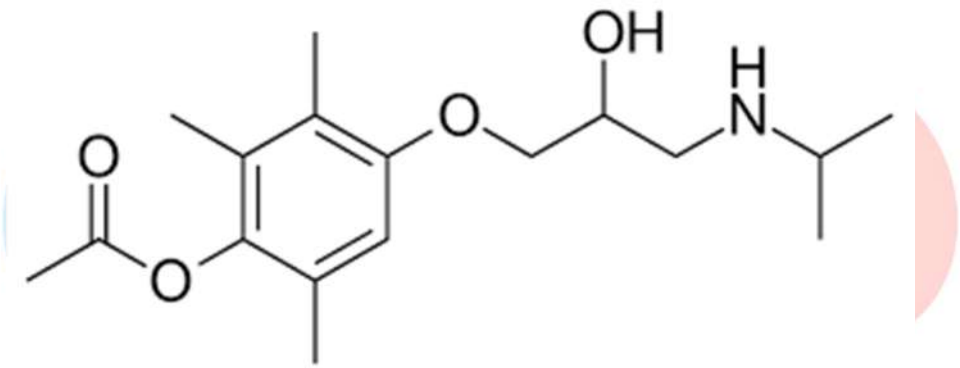
Uses

- Hypertension → lowers BP via β-blockade and α1-mediated vasodilation.
- Heart failure → improves survival and reduces morbidity.
- Angina pectoris → decreases myocardial oxygen demand.
- Post-myocardial infarction → reduces risk of reinfarction and mortality.

Metipranolol

Structure

- Synthetic non-selective β-adrenergic antagonist (β-blocker).
- Contains naphthalene ring with ethanolamine side chain.



Mechanism of Action (MOA)

- Blocks β1 receptors → ↓ heart rate, contractility, and cardiac output → lowers blood pressure.
- Blocks β2 receptors → may cause bronchoconstriction; less commonly used in asthma.
- Reduces renin release from kidneys → contributes to antihypertensive effect.

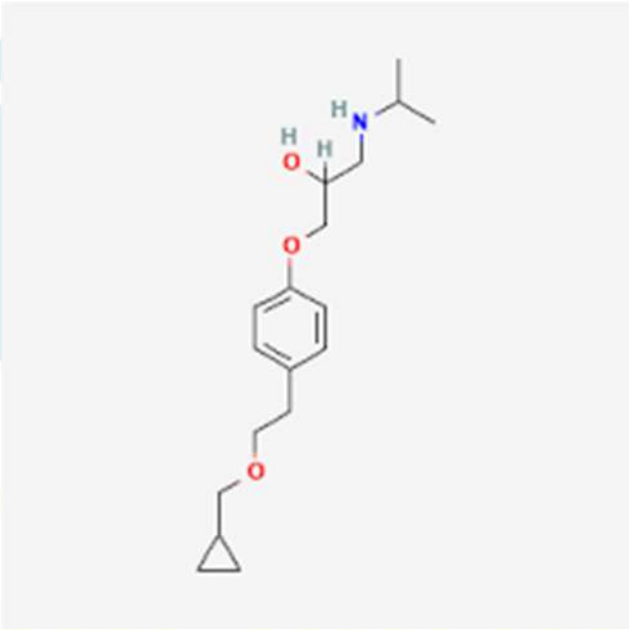
Uses

- Hypertension → lowers blood pressure.
- Glaucoma → topical ophthalmic use ↓ intraocular pressure.
- Angina pectoris → reduces myocardial oxygen demand.
- Arrhythmias → controls tachyarrhythmias.

Betaxolol

Structure

- Synthetic selective β1-adrenergic antagonist (cardioselective β-blocker).
- Contains aromatic ring with ethanolamine side chain.



Mechanism of Action (MOA)

- Blocks β1 receptors → ↓ heart rate, contractility, and cardiac output → lowers blood pressure.
- Minimal β2 blockade → safer in patients with asthma or COPD.
- Reduces renin release from kidneys → contributes to antihypertensive effect.

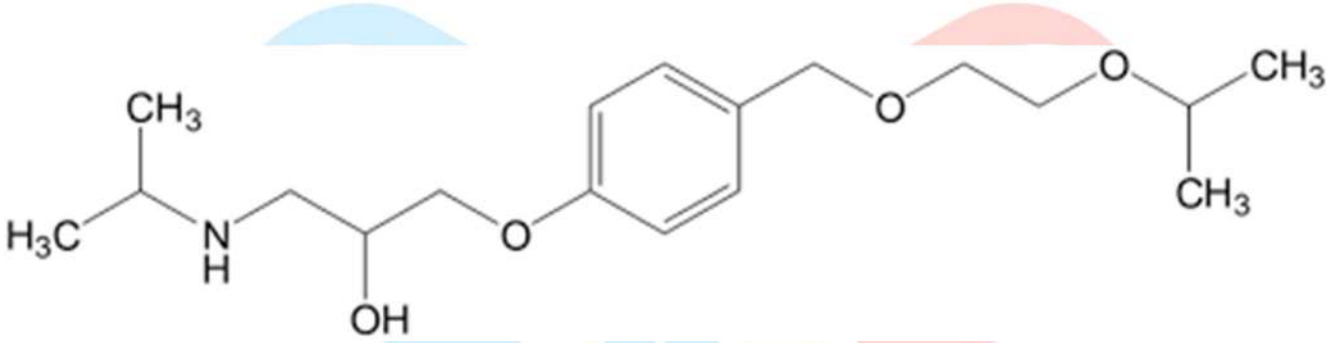
Uses

- Hypertension → reduces blood pressure.
- Angina pectoris → decreases myocardial oxygen demand.
- Glaucoma (topical use) → decreases intraocular pressure.
- Arrhythmias → especially supraventricular tachycardia.

Bisoprolol

Structure

- Synthetic selective β1-adrenergic antagonist (cardioselective β-blocker).
- Contains aromatic ring with ethanolamine side chain.



Mechanism of Action (MOA)

- Blocks β1 receptors → ↓ heart rate, contractility, and cardiac output → lowers blood pressure.
- Minimal β2 blockade → safer in asthma or COPD.
- Reduces renin release from kidneys → contributes to antihypertensive effect.

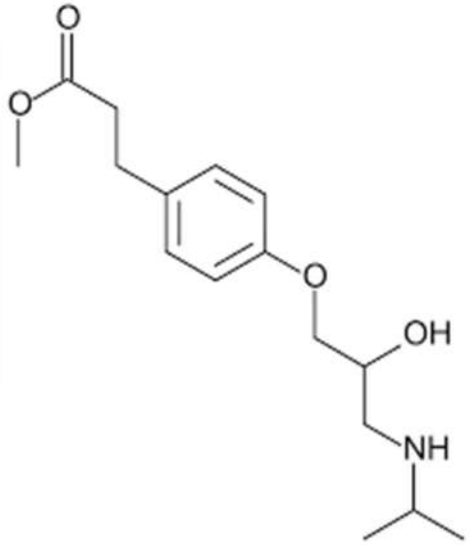
Uses

- Hypertension → lowers blood pressure.
- Chronic heart failure → improves survival and reduces morbidity.
- Angina pectoris → decreases myocardial oxygen demand.
- Arrhythmias → controls supraventricular tachycardia.

Esmolol

Structure

- Synthetic selective β1-adrenergic antagonist (cardioselective β-blocker).
- Ultra-short-acting due to ester linkage → rapidly metabolized by plasma esterases.



Mechanism of Action (MOA)

- Blocks β1 receptors → ↓ heart rate, contractility, and cardiac output → lowers blood pressure.
- Minimal β2 blockade → safer in asthma or COPD.
- Rapid onset and very short duration → useful for acute control of heart rate.

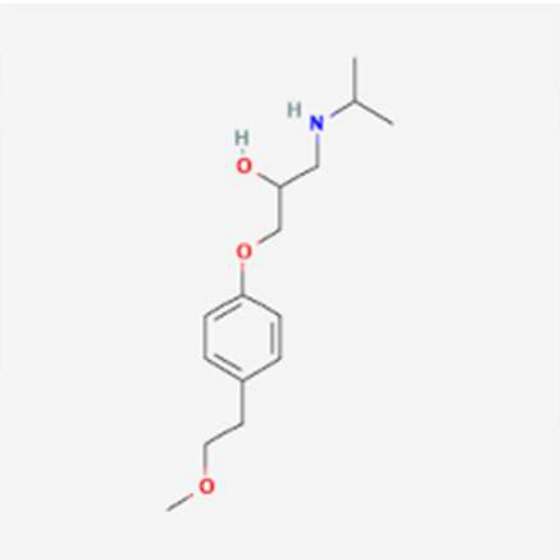
Uses

- Supraventricular tachycardia → rapid control of heart rate.
- Perioperative hypertension and tachycardia → especially during surgery.
- Acute arrhythmias → short-term management.
- Myocardial infarction → acute heart rate control (adjunct).

Metoprolol

Structure

- Synthetic selective β1-adrenergic antagonist (cardioselective β-blocker).
- Contains aromatic ring with ethanolamine side chain.



Mechanism of Action (MOA)

- Blocks β1 receptors → ↓ heart rate, contractility, and cardiac output → lowers blood pressure.
- Minimal β2 blockade → safer in asthma or COPD.
- Reduces renin release from kidneys → contributes to antihypertensive effect.

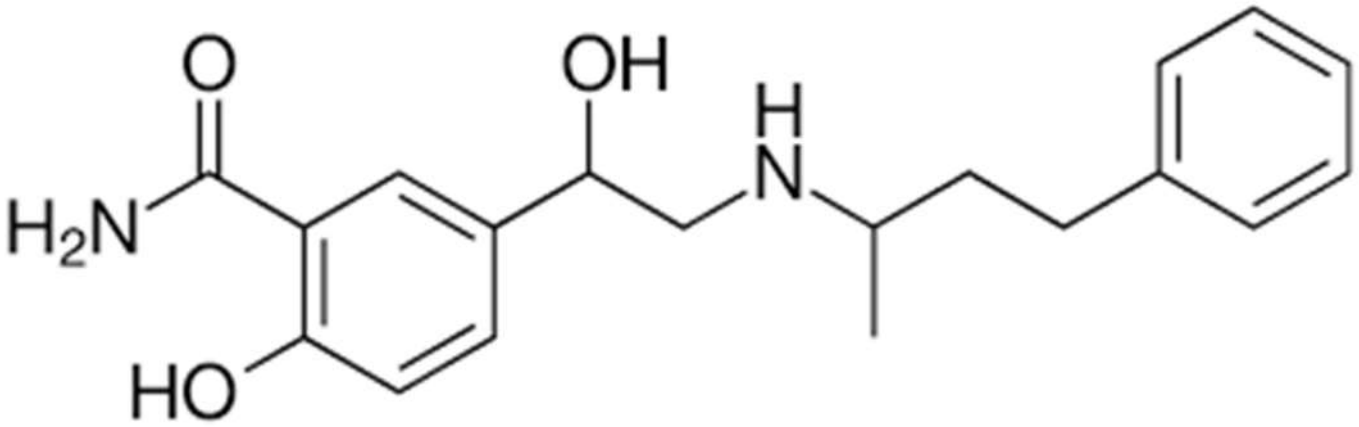
Uses

- Hypertension → lowers blood pressure.
- Angina pectoris → decreases myocardial oxygen demand.
- Chronic heart failure → improves survival and reduces morbidity.
- Arrhythmias → especially supraventricular tachycardia.
- Post-myocardial infarction → reduces mortality risk.

Labetalol

Structure

- Synthetic non-selective β-adrenergic antagonist with α1-blocking activity.
- Contains a phenylpropanolamine core with hydroxyl and amine groups.



Mechanism of Action (MOA)

- Blocks β1 and β2 receptors → ↓ heart rate, contractility, and cardiac output.
- Blocks α1 receptors → vasodilation → ↓ peripheral vascular resistance.
- Reduces blood pressure without significant reflex tachycardia.

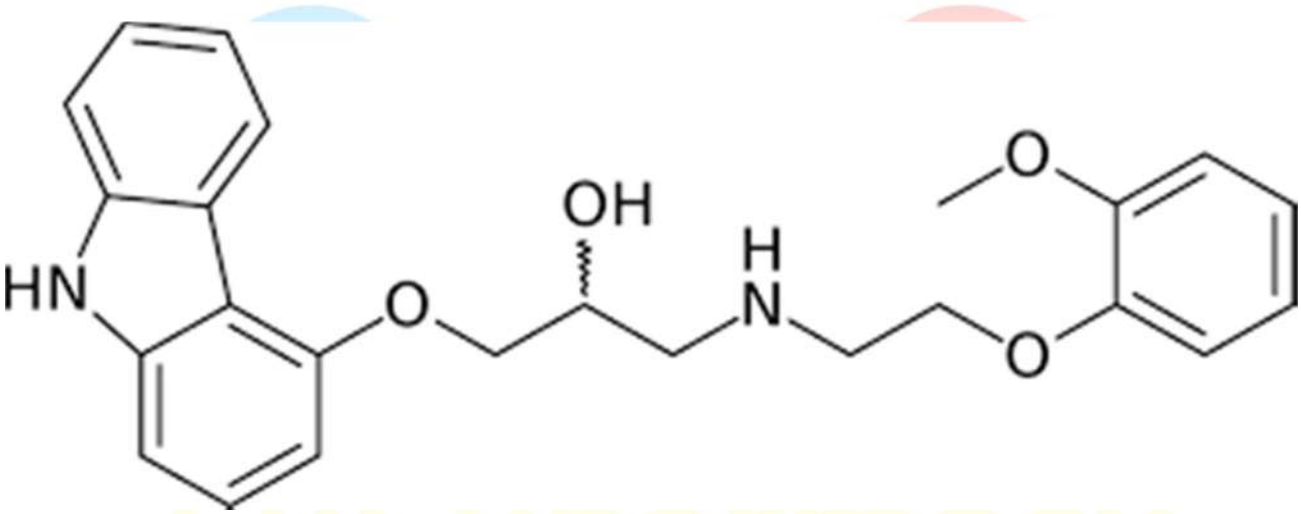
Uses

- Hypertension → including severe or emergency cases.
- Hypertensive crisis in pregnancy → preferred due to combined α and β blockade.
- Heart failure (adjunct therapy) → reduces afterload.

Carvedilol

Structure

- Synthetic non-selective β-adrenergic antagonist with α1-blocking activity.
- Contains carbazole ring and ethanolamine side chain.



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Mechanism of Action (MOA)

- Blocks β1 and β2 receptors → ↓ heart rate, contractility, and cardiac output.
- Blocks α1 receptors → vasodilation → ↓ peripheral vascular resistance.
- Reduces oxidative stress and improves endothelial function → cardioprotective effects.

Uses

- Hypertension → lowers BP via combined α and β blockade.
- Heart failure → improves survival and reduces morbidity.
- Angina pectoris → decreases myocardial oxygen demand.
- Post-myocardial infarction → reduces risk of reinfarction and mortality.