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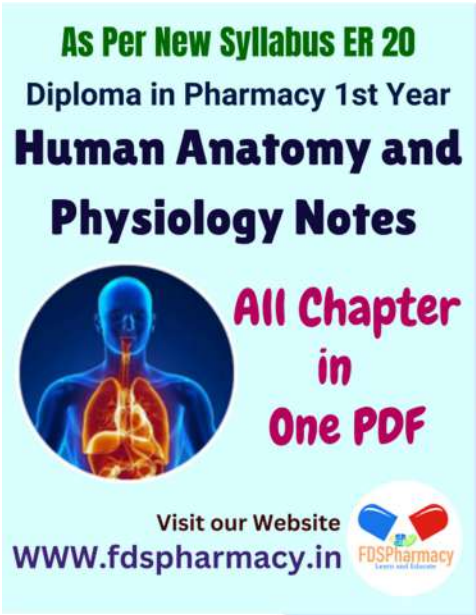
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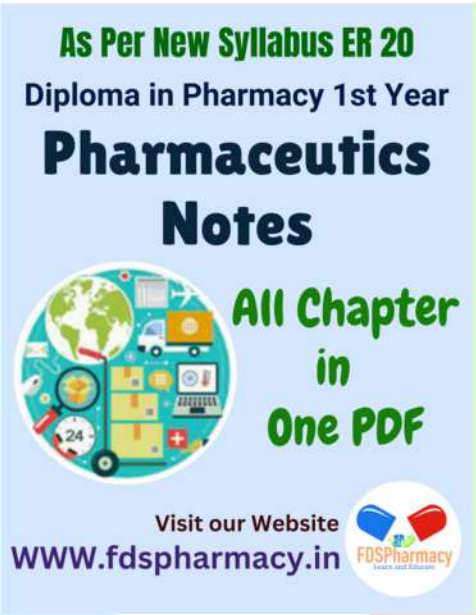
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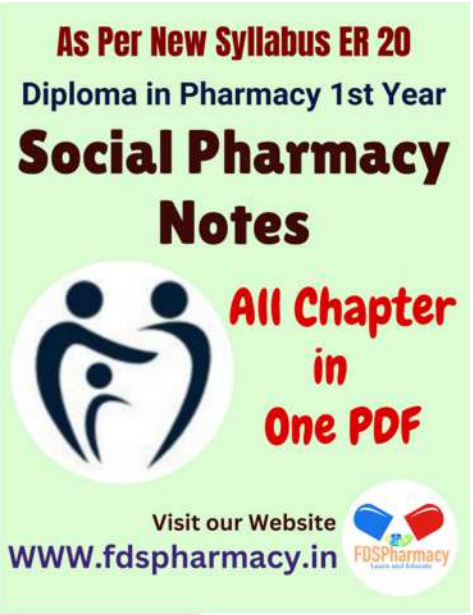
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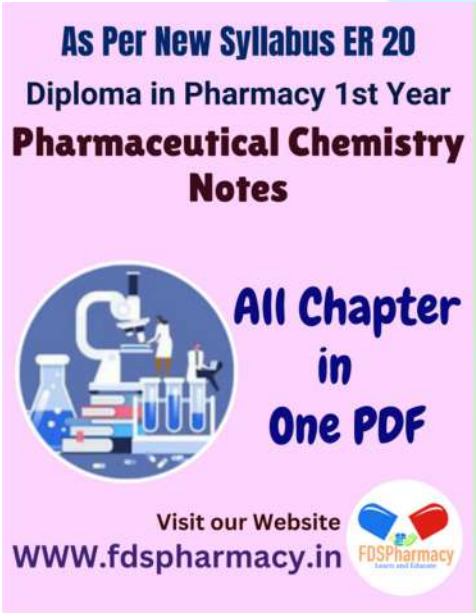
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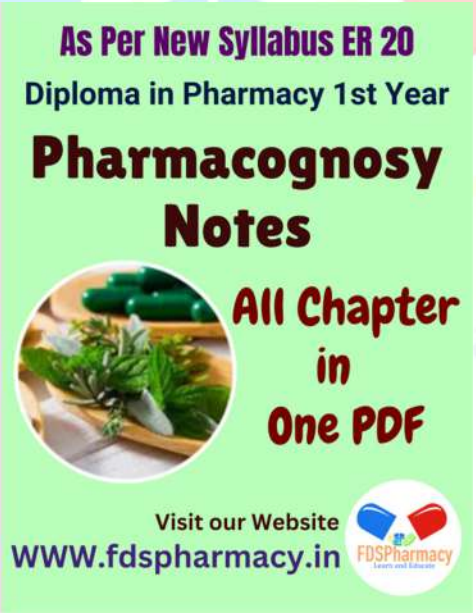
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Link in Description

Diploma in Pharmacy 1st Year
Pharmaceutical Chemistry
Chapter 7 : DRUGS ACTING ON CARDIOVASCULAR SYSTEM

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Chapter 7
DRUGS ACTING ON CARDIOVASCULAR SYSTEM
Anti Arrhythmic Drugs

→ The rhythm and normal heart rate may be affected by Some diseases and drugs. This condition is termed cardiac arrhythmia in which certain disorders affect the normal mechanical activity of heart

→ Drugs which have the ability to revert any irregular cardiac rhythm or rate to normal are known as anti-arrhythmic or anti-dysrhythmic or anti-fibrillatory drugs

properties of an ideal antiarrhythmic drug are:

1. It should be highly efficient in controlling symptoms and improving survival in both supraventricular and ventricular arrhythmias.
2. It should have no negative effect.
3. It should produce a favourable effect on myocardial Oxygen consumption.
4. It should produce both oral and intravenous activity

Examples

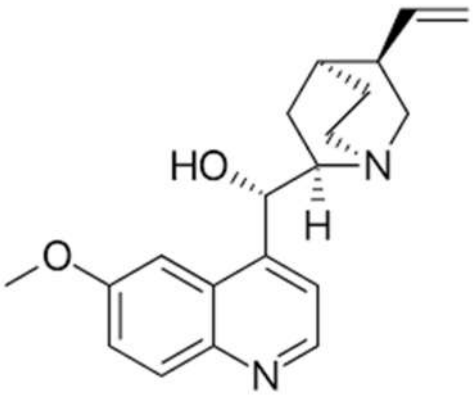
The Following anti-arrhythmic drugs are discussed below.

1. Quinidine sulphate,
2. Procainamide hydrochloride,
3. Verapmil
4. Phenytoin sodium, *
5. Lidocaine hydrochloride,
6. Lorcainide hydrochloride,
7. Amiodarone,
8. Sotalol

Quinidine Sulphate

→ Quinidine sulphate is the sulphate salt of quinidine, which is an alkaloid having antimalarial and antiarrhythmic (Class IA) properties.

Chemical Structure



Mechanism of Action

- This alkaloid dampens the excitability of cardiac and skeletal muscles by blocking sodium and potassium currents across cellular membranes. It prolongs cellular action potential, and decreases automaticity. Quinidine also blocks muscarinic and alpha-adrenergic neurotransmission.

Uses

- It is used for treating persistent, life-threatening ventricular arrhythmias, like sustained ventricular tachycardia.
- It is taken via intravenous route for treating Plasmodium falciparum malaria.

Stability and Storage Conditions

- It should be kept in a well-closed container and away from light

Types of Formulations

1. Tablet
2. Capsule

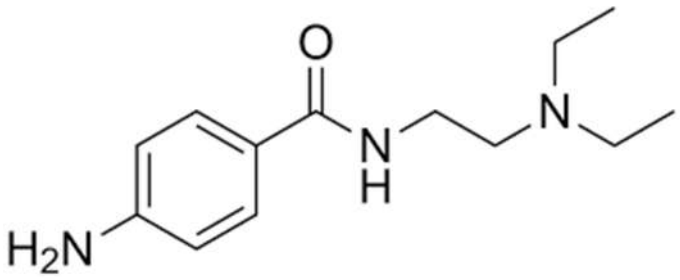
Popular Brand Names

- Quinaglute Dura-Tabs
- Quinora
- Cardioquin
- Quinidex Extentabs

Procainamide Hydrochloride

→ Procainamide hydrochloride is the hydrochloride salt of procainamide, which is a procaine analogue and an amide denvative having class IA anti-arrhythmic activity.

Chemical Structure



Mechanism of Action

- Procainamide is a class 1A anti-arrhythmic that binds to fast sodium channels inhibiting recovery after repolarization. It also prolongs the action potential and reduces the speed of impulse conduction.

Uses

- It is used to treat ventricular arhythmias, ventricular ectopy, tachycardia,supraventricular arrhythmias, atrial fibrillation, and automatic supraventricular tachycardia.

Stability and Storage Conditions

- It should be kept in a tightly closed container

Types of Formulations

1) Capsule
2) Injection

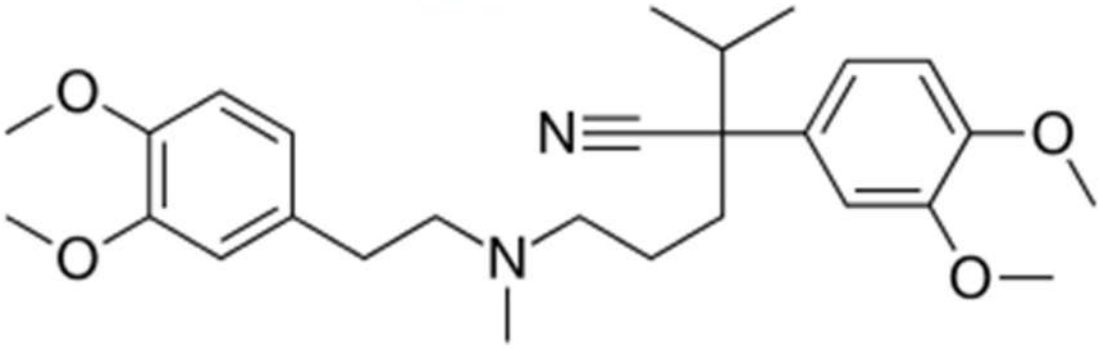
Popular Brand Names

- Pronestyl
- Procanbid
- Procan SR
- Pronestyl-SR)

Verapamil

→ Verapamil is a calcium channel blocker of class IV antiarrhythmic agent. It acts by inhibiting voltage-dependent calcium channels. Due to its effect on L-type calcium channels in the heart, ionotropy and chronotropy is reduced, which further reduces heart rate and blood pressure.

Chemical Structure



Mechanism of Action

➢ Verapamil is in a class of medications called calcium-channel blockers. It works by relaxing the blood vessels so the heart does not have to pump as hard. It also increases the supply of blood and oxygen to the heart and slows electrical activity in the heart to control the heart rate.

Uses

- It is the first generation calcium channel blocker used for treating hypertension, supraventricular tachyarrhythmias, cluster headache prophylaxis, and angina pectoris.

Storage Conditions

- It should be stored in a cool dry place and Away from light

Types of Formulation

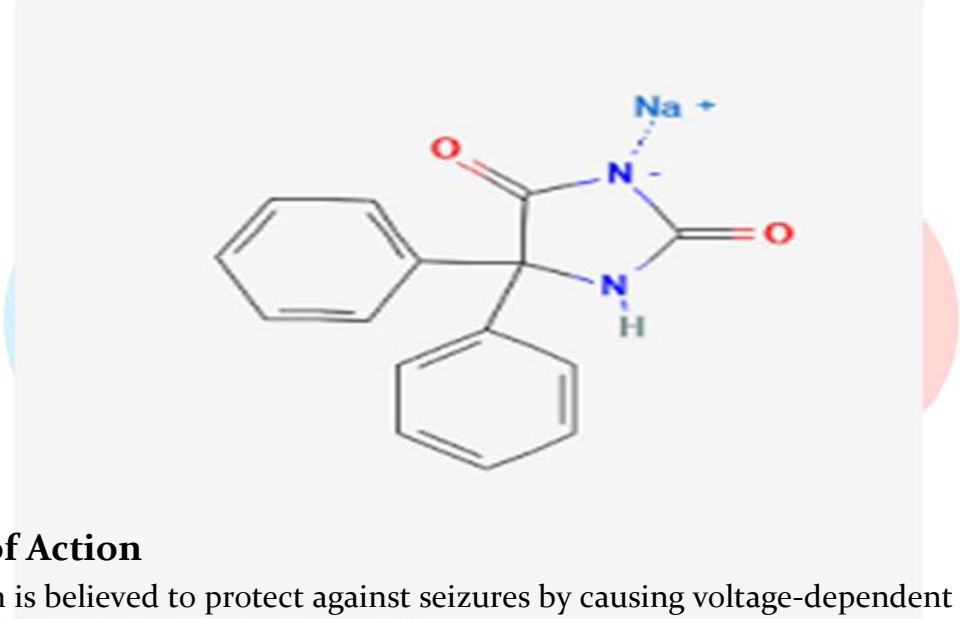
1. Tablet
2. Capsule
3. Solution

Phenytoin Sodium *

→ Phenytoin Sodium is the sodium salt of phenytoin, which is a hydantoin derivate and a non-sedative antiepileptic Having anticonvulsant activity.

Chemical Name And Structure

sodium 5,5-diphenyl-2, 4-imidazolidinedione,



Mechanism of Action

➢ Phenytoin is believed to protect against seizures by causing voltage-dependent block of voltage gated sodium channels. This blocks sustained high frequency repetitive firing of action potentials.

Uses

- It is used in the prophylactic management of tonic- clonic seizures with complex symptomatology.
- It provides protection against the development of focal seizures with complex symptomatology.
- It is used for treating ventricular tachycardia and sudden episodes of atrial tachycardia when the patients do not respond to other antiarrhythmic medications or cardioversion.

Stability and Storage Conditions

- It should be stored at room temperature and away from light and moisture.

Types of Formulations

1. Capsue
2. Injection

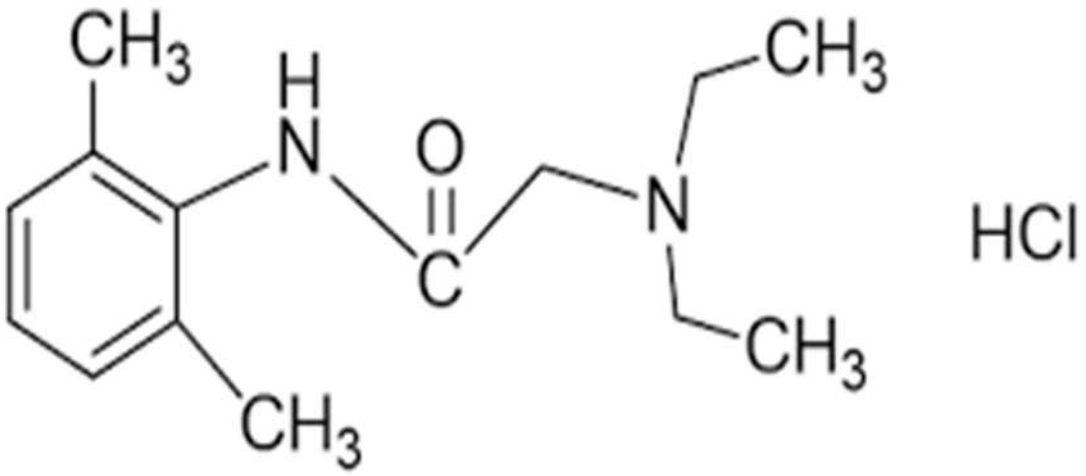
Popular Brand

- Dilantin
- Phenytek

Lidocaine Hydrochloride

→ Lidocaine hydrochloride is the hydrochloride Salt of lidocaine, which is an aminoethylamide and a prototypical member of the amide class anaesthetics having anti-arrhythmia activity

Chemical Structure



Mechanism of Action

➢ Lidocaine is an antiarrhythmic medication of the class Ib type. This means it works by blocking sodium channels and thus decreasing the rate of contractions of the heart. When injected near nerves, the nerves cannot conduct signals to or from the brain.

Uses

- This medication is used to prevent and relieve pain during certain medical procedures (such as inserting a tube into the urinary tract). It is also used to numb the lining of the mouth, throat, or nose before certain medical procedures (such as intubation).

Stability and Storage Conditions

▪ Its solution should be stored at controlled room temperature 15-30°C (59-86°F).

Type of Formulation

1. Solution

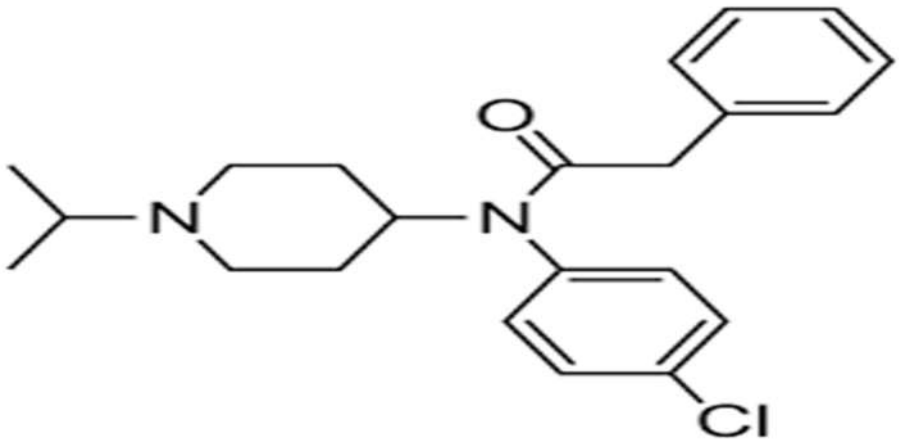
Popular Brand Name

♦ Xylocaine Viscous

Lorcainide Hydrochloride

→ Lorcainide hydrochloride is a Class IC antiarrhythmic agent. It helps in restoring the normal heart rhythm and conduction in patients having premature ventricular contractions, ventricular tachycardiac, and Wolff Parkinson-White syndrome.

Chemical Structure



Mechanism of Action

➢ Lorcainide is a class Ic antiarrhythmic medication. It was reported to be highly efficient for the treatment of ventricular arrhythmias, ventricular fibrillation, and tachycardia. The drug was used under the name Remivox. The mechanism of lorcainide action involves the blockage of sodium channels.

Used

- Uses It is for treating premature ventricular contractions, ventricular tachycardiac, and Wolff-Parkinson-White syndrome.

Stability and Storage Conditions

- It should be stored and dispensed at room temperature for up to 1 year or it should be stored at -20°C for up to 3 months

Type of Formulation

1. Tablets

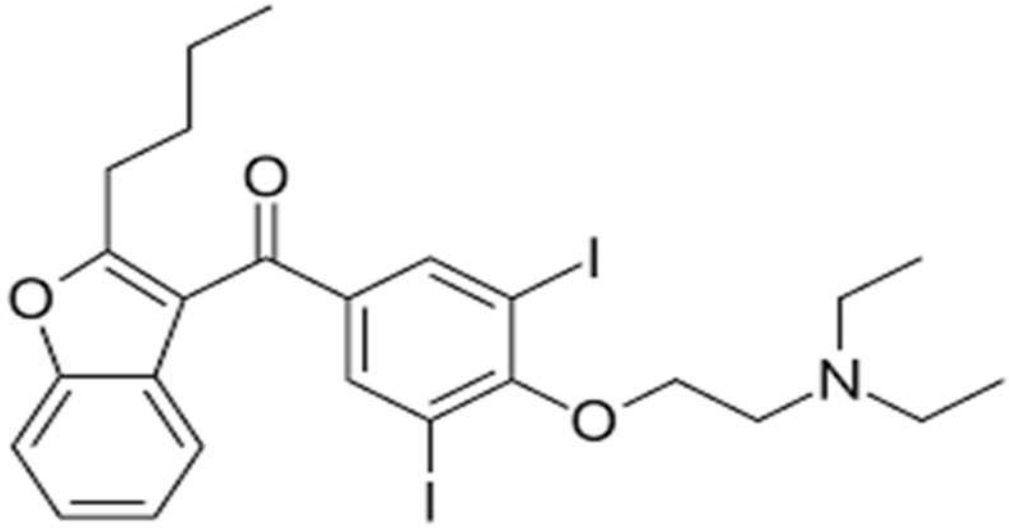
Popular Brand Names

- Lerka
- Larpin
- Aristo Larpin
- Landip

Amiodarone

→ Amiodarone is an anti-anginal and anti-arrhythmic drug, which increases the duration of ventricular and atrial muscle action by blocking the Na-K-activated myocardial adenosine triphosphatase. This reduces the heart rate and vascular resistance.

Chemical Structure



Mechanism of Action

➢ Amiodarone is considered a class III anti-arrhythmic drug. It blocks potassium currents that cause repolarization of the heart muscle during the third phase of the cardiac action potential.

Uses

- Amiodarone is used to treat life-threatening heart rhythm problems called ventricular arrhythmias.

Stability and Storage Conditions

- Store at room Temperature

Type of Formulation

1. Tablets

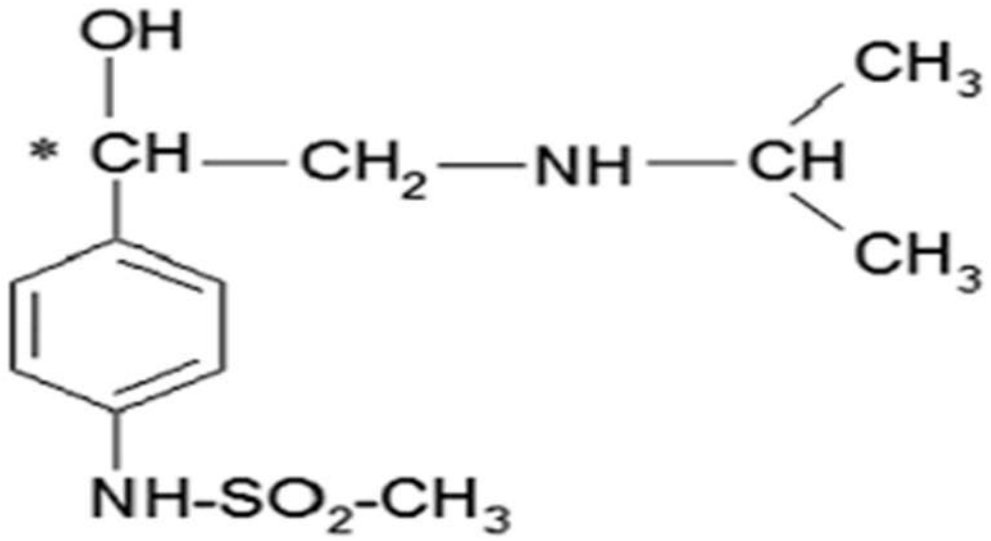
Popular Brand Names

- Pacerone
- Cordarone
- Cordarone IV
- Nexterone

Sotalol

→ Sotalol is an ethanolamine derivative having Class III anti-arrhythmic and anti-hypertensive activities. It is a non-selective B-adrenergic receptor and potassIum channel antagonist.

Chemical Structure



Mechanism of Action

➢ Sotalol inhibits beta-1 adrenoceptors in the myocardium as well as rapid potassium channels to slow repolarization, lengthen the QT interval, and slow and shorten conduction of action potentials through the atria.

Uses

- It is used for maintaining the normal sinus
- It also used for treating documented life-threatening ventricular arrhythmias.

Stability and Storage Conditions

▪ It Should be stored in room temperature.

Types of Formulations

1) Solution
2) Tablets

Popular Brand Names

♦ Betapace
♦ Betapace AF
♦ Sorine
♦ Sotalol Hydrochloride AF
♦ Sotylize

ANTI-HYPERTENSIVE AGENTS

→ A condition in which the blood pressure of systemic artery increases beyond the normal pressure is known as hypertension. Therefore to deliver blood to tissues, the heart works harder to overcome the increased systemic pressure. This increased systemic arterial pressure puts

→ Strain on heart and other arteries thus Resulting in high blood pressure

Examples

The following anti-hypertensive agents are- below

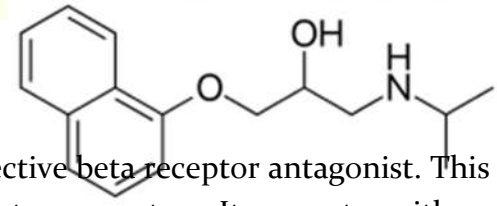
- Propranolol, *
- Captopril, *
- Ramipril,
- Methyldopate hydrochloride,
- Clonidine hydrochloride,
- Hydralazi hydrochloride,
- Nifedipine

Propranolol *

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

Chemical Name and Structure

(RS)-1-(1-methylethylamino)-3-(1-naphthyloxy)propan-2-ol



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 of propranolol should be stored at room temperature, and in a tightly closed container.

Types of Formulations

Capsule, Solution, Tablet

Popular Brand Names

♦ Hemangeol, Hemangiol

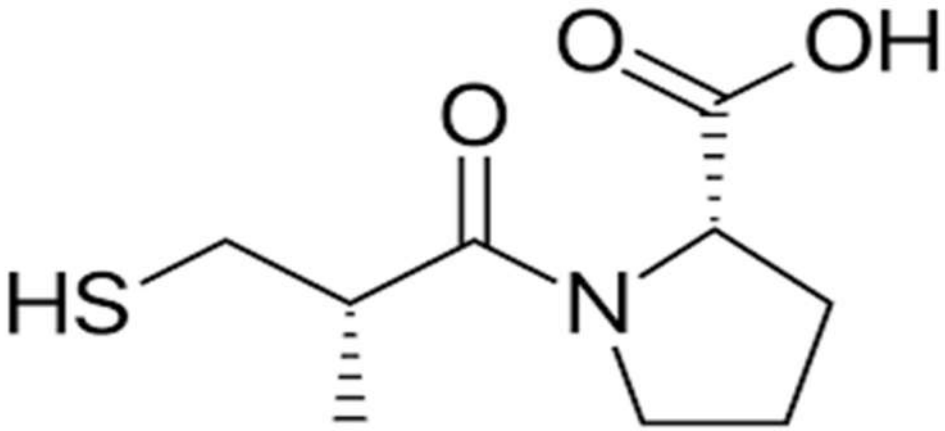
♦ Inderal, Innopran

Captopril *

→ Captopril is a potent competitive inhibitor of Angiotensin-Converting Enzyme (ACE), which is responsible for converting Angiotensin I (ATI) to Angiotensin II (ATII). ATII controls the blood pressure and is a major component of the Renin-Angiotensin- Aldosterone System (RAAS).)

Chemical name And Structure

(2S)-1-[(2S)-2-methyl-3-sulfanylpropanoyl]pyrrolidine-2-carboxylic acid



Mechanism of Action

➢ Captopril blocks the conversion of angiotensin I to angiotensin II and prevents the degradation of vasodilatory prostaglandins, thereby inhibiting vasoconstriction and promoting systemic vasodilation.

Uses

- Captopril is used alone or together with other medicines to treat high blood pressure (hypertension).

Stability and Storage Conditions

- It should be stored at room temperature and away from light and moisture

Types of Formulations

1) Tablet

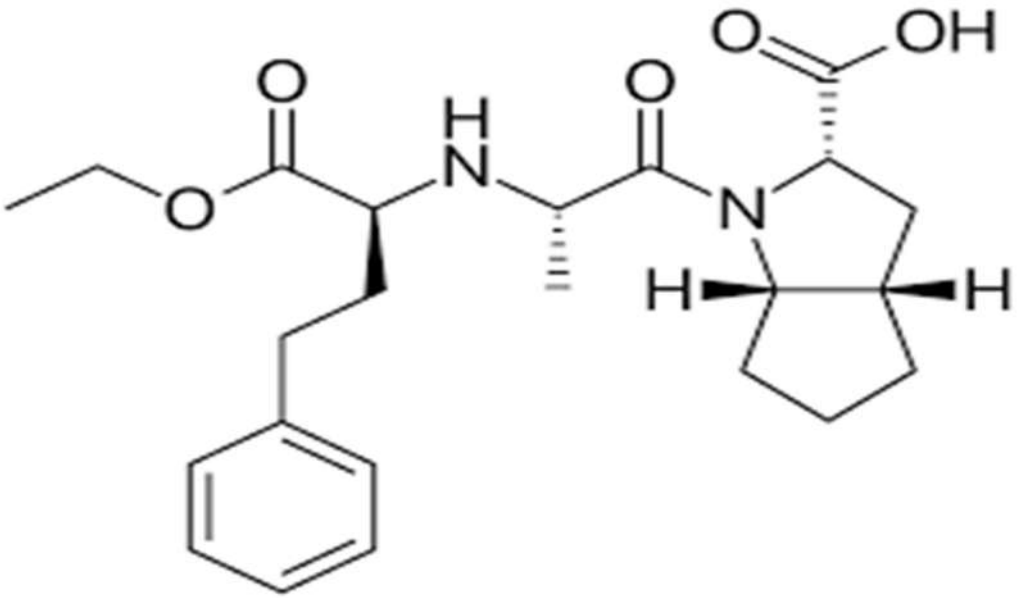
Popular Brand Name

♦ Capoten

Ramipril

→ Rampril is an ACE inhibitor that is used for the treatment of hypertension and reduction of cardiovascular mortality which may result myocardial infraction in hemodynamically stable patients having symptoms of congestive heart failure

Chemical Structure



Mechanism of Action

➢ Ramipril inhibits angiotensin-converting enzyme and decreases angiotensin II formation. As a result, sympathetic activity goes down, sodium and water reabsorption from the kidneys reduces, smooth muscles in the arterioles also relax. As a result, blood pressure decreases.

Uses

- Ramipril is used alone or together with other medicines to treat high blood pressure (hypertension).

Storage and Stability Condition

▪ It should be stored at room temperature and away from light and moisture.

Types of Formulations

1) Tablet
2) Capsule

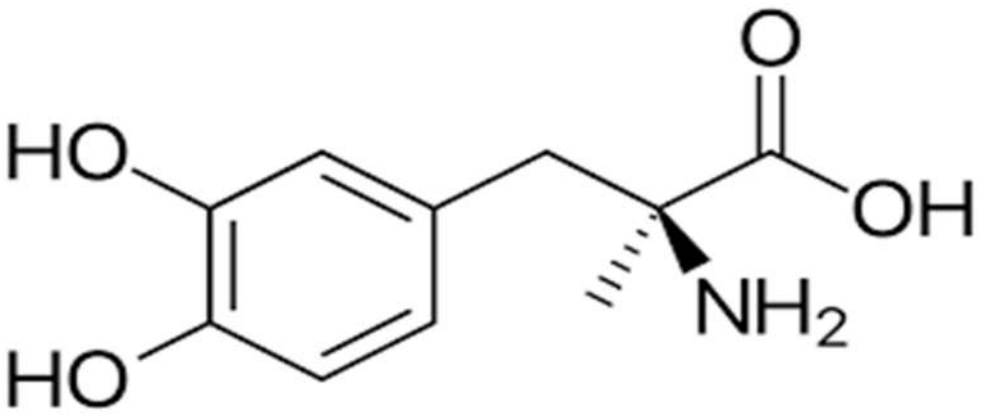
Popular Brand Name

♦ Altace

Methyldopate Hydrochloride

→ Methyldopate hydrochloride is the hydrochloride salt of methyldopa, which is a derivate of phenylalanine and inhibitor of aromatic amino acid decarboxylase.It also exhibits antihypertensive activity

Chemical Structure



Mechanism of Action

- Although the mechanism of action has yet to be conclusively demonstrated, the antihypertensive effect of methyldopa probably is due to its metabolism to alpha-methyl-norepinephrine, which then lowers arterial pressure by stimulation of central inhibitory alpha-adrenergic receptors, false neurotransmission, and/or reduction of plasma renin activity.

Uses

- It is used for treating hypertension.
- It also used for treating gestational hypertension (or pregnancy-induced hypertension) and pre eclampsia.

Stability and Storage condition

- It Should be stored in a well-closed container at controlled room temperature.

Type of Formulation

1) Tablet
2) Popular

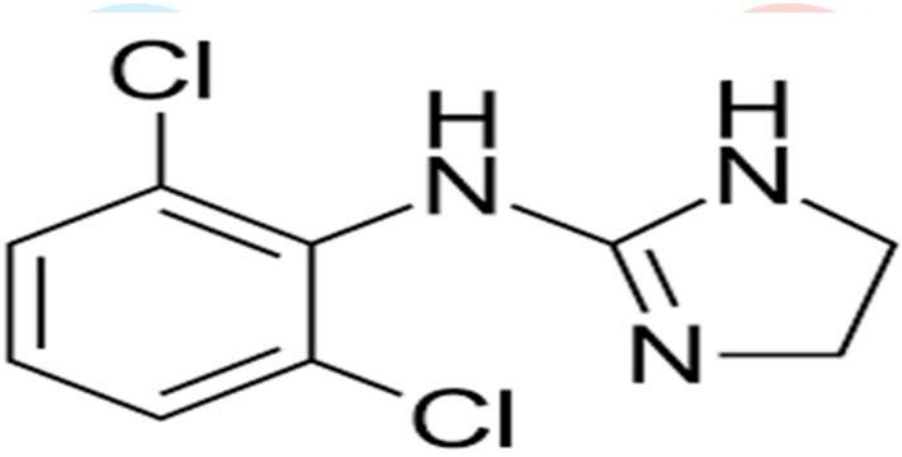
Brand Name

- Aldoril

Clonidine Hydrochloride

→ Clonidine hydrochloride is the hydrochloride salt of clonidine, Which is an imidazoline derivative, and centrally-acting alphaadrenergic agonist and antagonist having antihypertensive activity.

Chemical Structure



Mechanism of Action

➢ Clonidine has an alpha-antagonist effect in the posterior hypothalamus and medulla. The final response is reduced sympathetic outflow from the central nervous system (CNS), which clinically causes a decrease in arterial blood pressure.

Uses

- It is used as an adjunct in hypertension, as an epidural infusion as an adjunct treatment in severe cancer pain (not relieved by opiate analgesics alone), and for differential diagnosis of pheochromocytoma in hypertensive patients.

Stability and Storage Conditions

- It should be stored in a well-ventilated place at the temperature of -20°C

Type of Formulation

1) Tablets

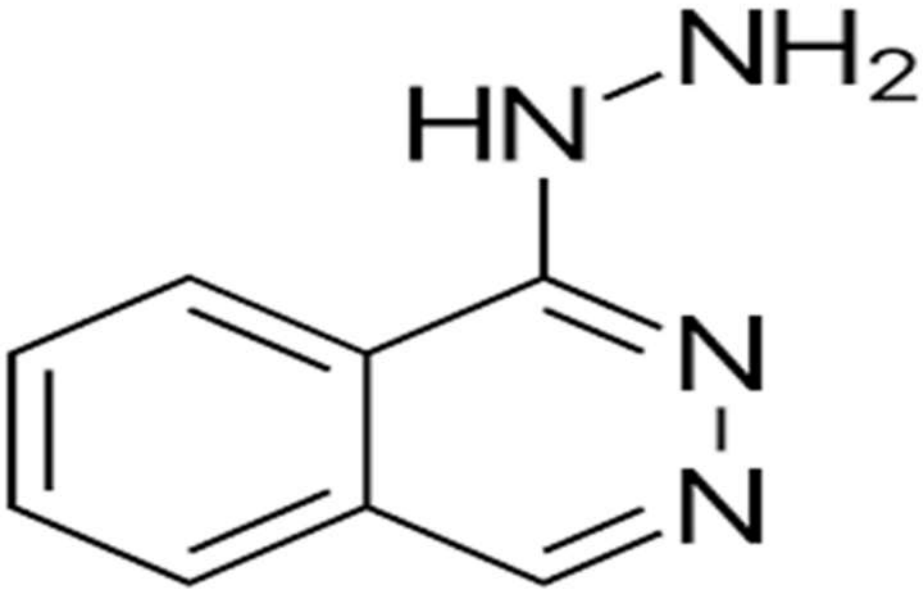
Popular Brand Names

- Catapres
- Kapvay
- Nexiclon

Hydralazine Hydrochloride

→ Hydralazine hydrochloride is the hydrochloride salt of hydralazine, which is a phthalazine derivative having antihypertensive and potential antineoplastic activities.

Chemical Structure



Mechanism of Action

- Hydralazine is a drug that conducts the blood pressure lowering effects by vasoconstrictive repression. It is a direct-acting smooth muscle relaxant and acts as a vasodilator primarily in resistance arterioles, also known as the smooth muscle of the arterial bed.

Uses

- It is used either as an adjunct or as a monotherapy to treat essential hypertension.
- It is also used to treat severe hypertension in cases when oral administration of the drug is not possible or when an immediate decrease in blood pressure is desired

Stability and Storage Conditions

- It should be stored in dry and tightly closed container.

Types of Formulations

1) Tablets
2) Solution

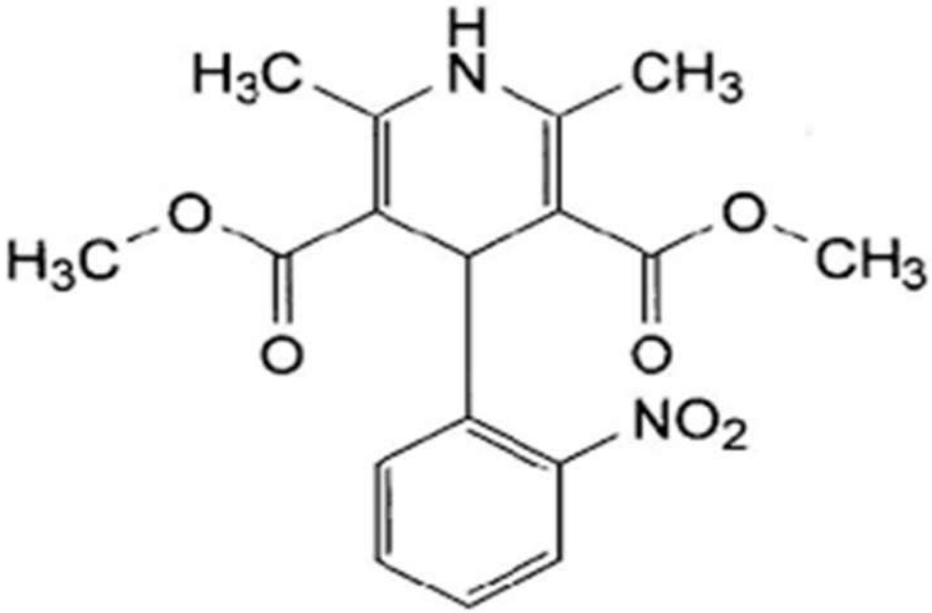
Brand Names Popular.

- Bidil
- Apresoline

Nifedipine

→ Nifedipine is a calcium channel blocker that relaxes the Nilessels (veins and arteries) so that the heart easily pumps blood and its workload is reduced.

Chemical Structure



Mechanism of Action

➢ Nifedipine is a peripheral arterial vasodilator which acts directly on vascular smooth muscle. The binding of nifedipine to voltage-dependent and possibly receptor-operated channels in vascular smooth muscle results in an inhibition of calcium influx through these channels.

Uses

- It is used in the treatment of vasospastic angina, chronic stable angina, hypertension, and Raynaud's phenomenon.
- It is also used as a first line agent for left ventricular hypertrophy and for isolated systolic hypertension (long-acting agents)

Stability and Storage Conditions

▪ It should be stored at room temperature and away from light and moisture. After each use it should be stored in a tightly close container.

Types of Formulations

1) Capsules
2) Tablets

Popular Brand Names

♦ Adalat
♦ Nifediac
♦ Afeditab CR
♦ Nifedical

ANTI-ANGINAL AGENTS

→ Angina pectoris, usually referred to as angina, denotes severe chest pain which may be caused by ischemia (lack of blood, and hence lack of oxygen supply) of heart muscle. This ischemia is the result of obstruction or spasm of coronary artery (vessels supplying blood to heart).Thus, the main cause of angina is coronary artery disease which results from atherosclerosis of the cardiac arteries.

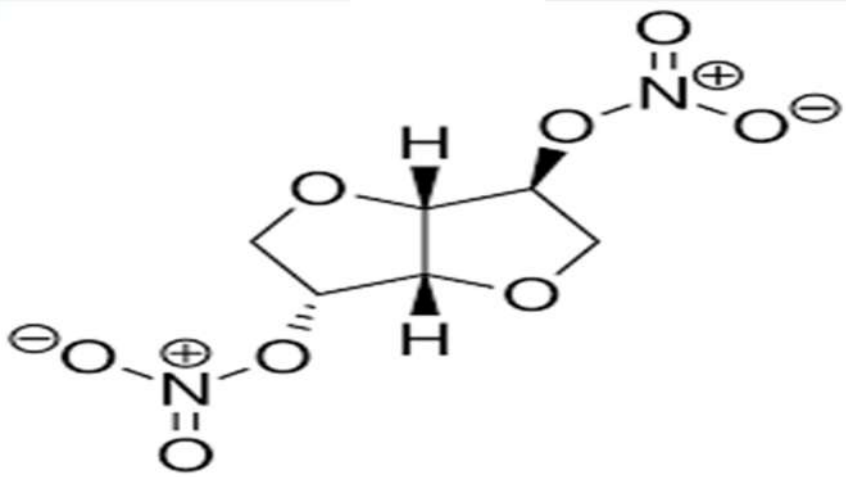
Example

- Isosorbide Dinitrate

Isosorbide dinitrate

→ Isosorbide dinitrate is a vasodilator. It is used for treating angina pectoris. It has actions similar to nitroglycerine, however it has a slower onset of action.

Chemical Structure



Mechanism of Action

➢ sosorbide Dinitrate is a moderate to long acting oral organic nitrate used for the relief and prophylactic management of angina pectoris. It relaxes the vascular smooth muscle and consequent dilatation of peripheral arteries and veins, especially the latter.

Used

- It is used for treating angina, congestive heart failure and oesophageal spasms.
- It is also used for treating or preventing the angina attacks.
- It dilates the blood vessels so that the blood flow easily through them and the heart also pumps blood easily.

Stability and Storage Conditions

- It should be stored at room temperature.

Types of Formulations

Tablets, Capsules

Popular Brand Names

Bidil, Dilatrate, Isordil