CALCIUM CHANNEL BLOCKERS (CCB)

Official Drugs

1. AMLODIPINE
2. BEPRIDIL Hcl
3. DILTIAZEM Hcl
4. FELODIPINE
5. NIFEDIPINE
6. NICARDIPINE
7. NIMODIPINE
8. VEERAPAMIL

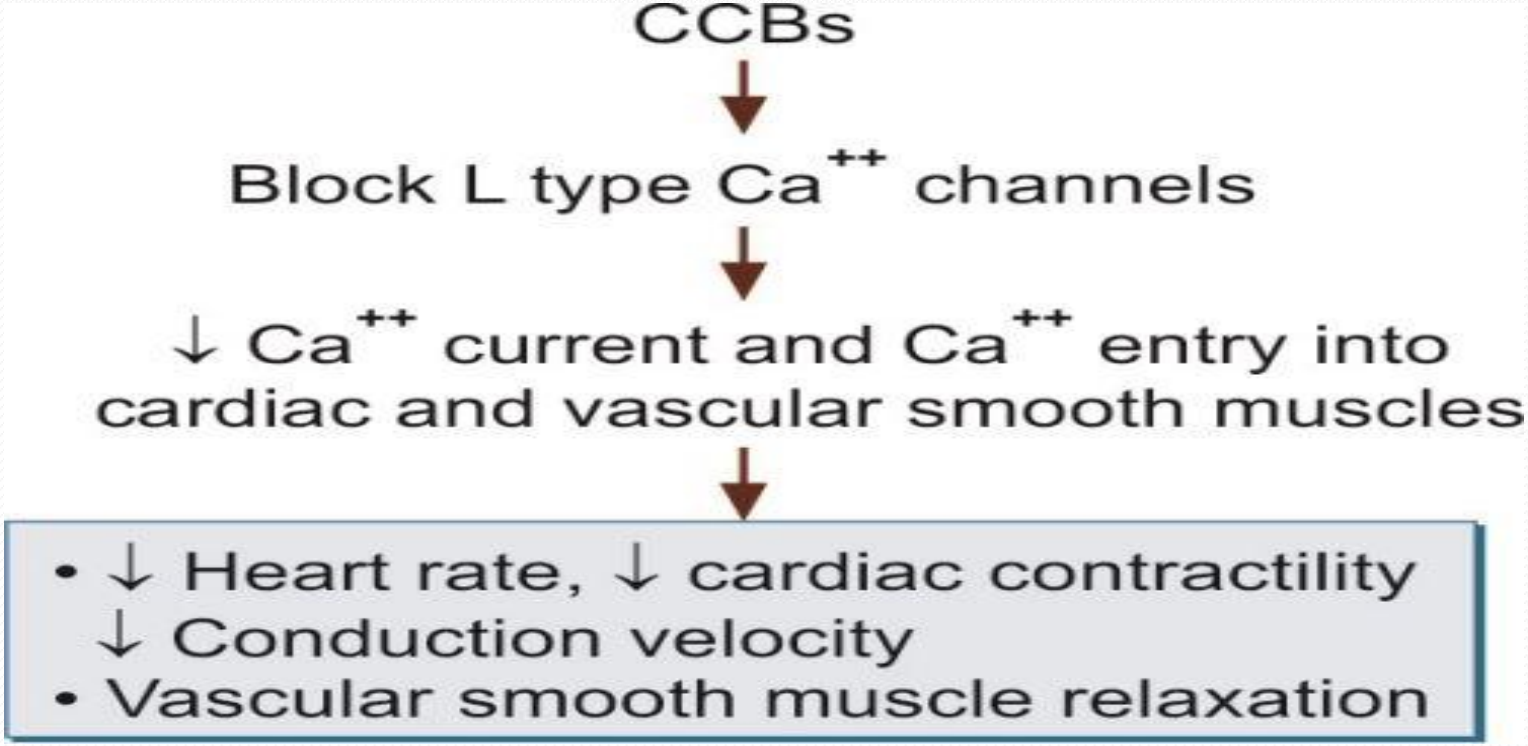
CLASSIFICATION:

- **1,4- DIHYDROPYRIDINE: 'The-pines'**
  1. **AMLODIPINE**
  2. **FELODIPINE**
  3. **NIFEDIPINE**
  4. **NICARDIPINE**
  5. **NIMODIPINE**
- **DIARYL AMINO-PROPYLAMINE ETHER:**
  6. **BEPREDIL Hcl**
- **1,5-BENZOTHIAZIPINE:** 7. **DILTIAZEM Hcl**
- **ALKYL AMINES –** 8. **VEERAPAMIL**

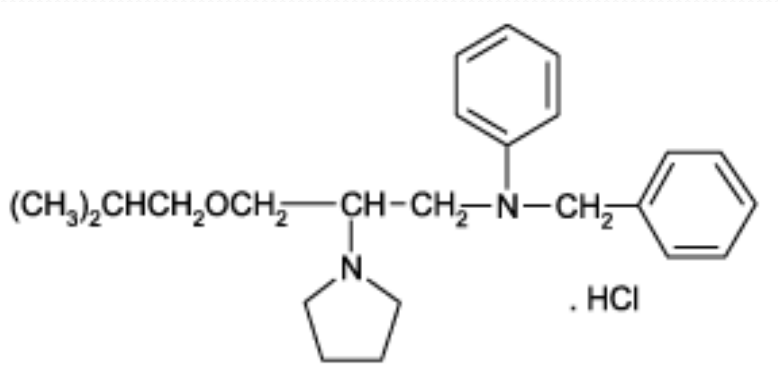
CALCIUM CHANNEL BLOCKERS: (CCBs)

calcium antagonists/

- CCBs are drugs used to lower blood pressure. They work by slowing the movement of **calcium (Ca++)** into the cells of the heart and blood vessel walls, which makes it easier for the heart to pump and widens blood vessels. As a result, the heart doesn't have to work as hard, and blood pressure lowers. **Mechanism:**

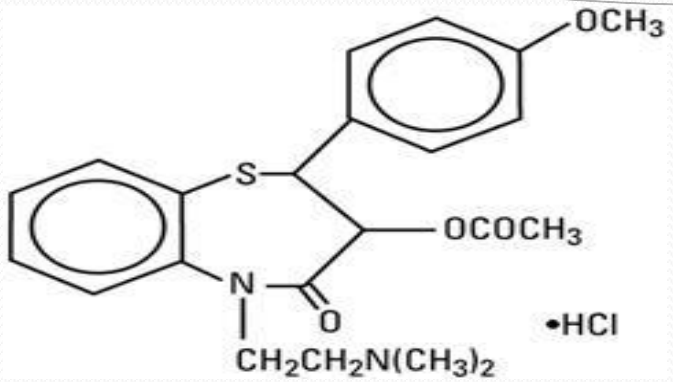


BEPREDIL Hcl (Vasocor)



- **Nomenclature**: **1-pyrrolidine ethylamine**, beta-[(methylpropoxy)methyl]-N-Phenyl-N-(phenyl methyl)-
- **Properties**: water soluble , crystalline powder (ppb99%)
- **MOA** : Long acting, Non selective Ca++ clocker, it also inhibit Na+ flow. Less potent than 3-prototype Ca++ blockers: (***Veerapamil/Nifedipine/Diltiazem)***
- **Uses** : Used in stable angina, Hypertension
- **Dose** :200mg daily as OD,
- **Preparations**: Tablets (300, 200,400 mg)

DILTIAZEM Hcl (Azidem)



- **Nomenclature:** ***1,5- benzthiazine-4-one*** **derivative**
  3-acetyloxy-5-(dimethylamine)-ethyl-2,3-dihydro-2-(4-hydroxy phenyl)- 1,5- benzthiazine-4-one
- **Properties:** White crystalline powder, freely soluble in water, stored in light resistant container
- **MOA :** Potent vasodilator (depressing A-V node conduction). Increases coronary blood flow and decreasing heard rate
- **Uses :** Used in stable unstable angina, dysmenorrhea, Atrial fibrillation, **SVT**: Supraventricular tachycardia
- **Dose : 60mg**
- **Preparations**: Diltiazem Hcl Tablets, Injection

VEERAPAMIL (Veramil)

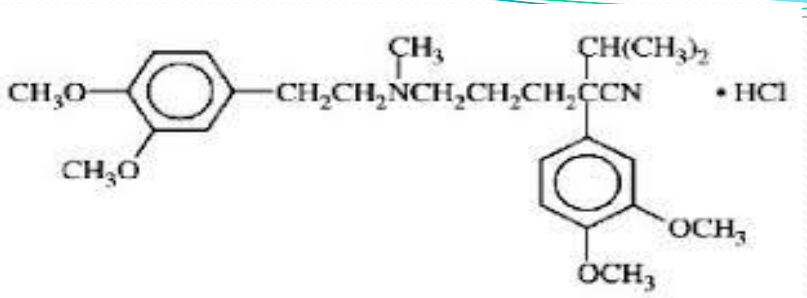
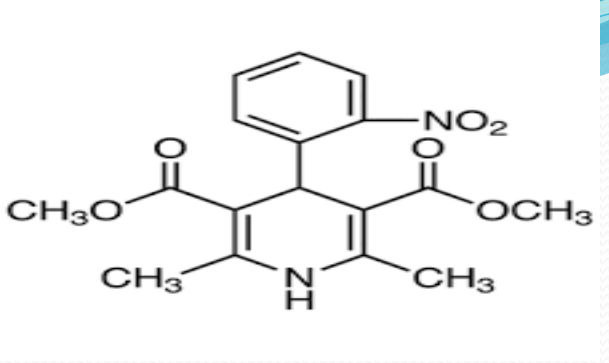


Fig. 1. Structural formula of verapamil hydrochloride.

- **Nomenclature:** 2-(3,4-dimethoxy phenyl)5-[2-(3,4-dimethoxyphenyl)ethyl)-methyl)amino]-2-(1-methylethyl) pentanenitrile Hcl
- **Properties:** white crystalline powder, soluble in water, stored protected from light and moisture
- **MOA :** Potent vasodilator (depressing A-V node conduction). Increases coronary blood flow and decreasing heard rate. (**its** bioavailability is only 20%). ***It is most active in slow Ca++ channel***
- **Uses :** Used in the management of angina pectoris and hypertension. Atrial fibrillation, **PSVA**: Paroxysmal Supra ventricular Arrhythmias
- **Dose :** 40-120mg TID antiarrhythmic;

  80-120mg TID anti-anginal; 240-480mg daily (antihypertensive**)**
- **Preparations**: Tablets, Injection, and prolonged release tablets

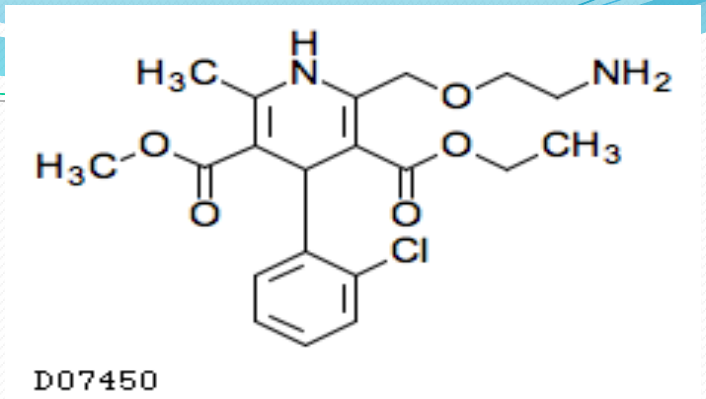
NIFEDIPINE (Mevacor, Adalat)



- **CATEGORY**: Antiangainal; Coronary vasodilator; CCB
- **NOMENCLATURE**: Dimethyl 1, 4-dihydro-2, 6-dimethyl-4-(2-nitrophenyl) pyridine-3, 5-dicarboxylate
- **PROPERTIES**: Yellow, crystalline powder. It is freely soluble in acetone, chloroform; sparingly soluble in ethanol; practically insoluble in water
- **MOA**: It is more potent calcium channel blocker. Selective inhibition of the slow calcium influx occur the cell membrane through the appropriate calcium channel.
- **USES**: Nifedipine is selective action on vascular smooth muscle, used in the treatment and prophylaxis of variant angina pectoris and hypertension. It is also useful in Reynaud's syndrome, migraine, congestive heart failure and cardiomyopathy.
- **DOSE**: Initial oral dose up to 30 mg daily; subsequent doses in accordance with the needs of the patient but total daily dose should not exceed 100 mg
- **OFFICIAL PREPARATIONS: Nifedipine** 5 mg, 10 mg Capsules and tablets

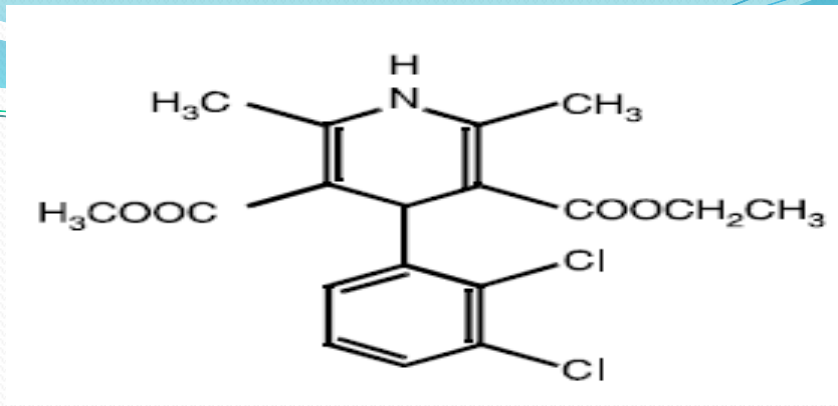
AMLODIPINE

(Besylate salt) , ISTIN. Amlopress



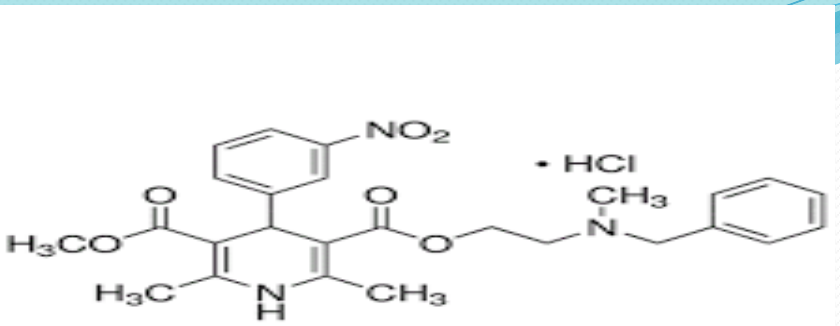
- **CATEGORY**: Antiangainal; Coronary vasodilator; CCB
- **NOMENCLATURE**:3ethyl-5-methyl-2-[(2-aminoethoxy)methyl]-4-(2-chlorophenyl)-6-methyl-1,4-dihydropyridine-3,5-dicarboxylate
- **PROPERTIES**: White, crystalline powder. It is slightly soluble in water. Protected from light.
- **MOA**: It is more potent calcium channel blocker. Selective inhibition of the slow calcium influx occur the cell membrane through the appropriate calcium channel. ***DOA***: 6-12Hrs
- **USES**: chronic stable angina pectoris and hypertension. **DOSE**: Initial oral dose 5mg, raised up to 10 mg once daily;
- **OFFICIAL PREPARATIONS: Amlo**dipine 5 mg, 10 mg tablets IP

FELODIPINE (Plendil)



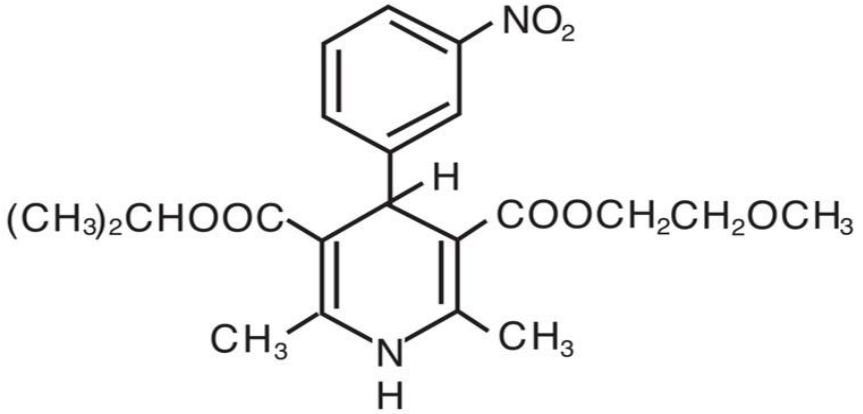
- **CATEGORY**: Antiangainal; Coronary vasodilator; CCB
- **NOMENCLATURE** :3-ethyl-5-methyl-4-(2,3-dichlorophenyl)-2,6-dimethyl-1,4-dihydropyridine-3,5-dicarboxylate
- **PROPERTIES**: White, crystalline powder. It is practically insoluble in water; very soluble in alcohol. Protected from light.
- **MOA**: It is a calcium channel blocker. Selective inhibition of the slow calcium influx occur the cell membrane through the appropriate calcium channel. It undergoes extensive 1st pass metabolism, oral BA: 15%
- **USES**: Reynaud's syndrom, and hypertension, CHF.
- **DOSE**: Initial oral dose 2.5mg, up to 10 mg once daily;
- **OFFICIAL PREPARATIONS: Felo**dipine 5 mg, 10 mg tablets IP

NICARDIPINE Hcl (Cardene)



- **CATEGORY**: Antiangainal; Coronary vasodilator; CCB
- **NOMENCLATURE** : 3,5-Pyridinedicarboxylic acid; 1,4-dihydro,2,6-dimethyl-4-(3-nitrophenyl)--methyl-2-[methyl(phenyl methyl)-amino]-ethyl ester, monohydrochloride
- **PROPERTIES**: White, crystalline powder. It is practically insoluble in water; very soluble in alcohol. Protected from light.
- **MOA**: It is a potent vasodilator. Selective inhibition of the slow calcium influx occur the cell membrane through the appropriate calcium channel. It undergoes extensive 1st pass metabolism, oral BA: 35%
- **USES**: Chronic stable angina, and mild to moderate hypertension, CHF. **DOSE**: Initial oral dose 20mg, TID;
- **OFFICIAL PREPARATIONS: Nicar**dipine 25 mg/ml iv Infusion. 30, 60 mg capsule (ER)

NIMODIPINE
(Vasotop)



- **CATEGORY**: Antiangainal; Coronary vasodilator; CCB
- **NOMENCLATURE**:2-methoxyethyl-1-methylethyl-2,6-dimethyl-4-(3-nitrophenyl)-1,4-dihydropyridine-3,5-dicarboxylate
- **PROPERTIES**: Yellow, crystalline powder. It is practically insoluble in water; very soluble in alcohol. It shows polymorphism.
- Stored Protected from light.
- **MOA**: It Selective inhibition of the slow calcium influx occur the cerebral blood vessels . It undergoes extensive 1st pass metabolism, oral BA: 13%
- **USES**: particularly used in cerebrovascular disorder and hypertension, **DOSE**: Initial oral dose 60mg, every 4hrs/ daily; also given by iv infusion
- **OFFICIAL PREPARATIONS: Nimodipine** tablets IP, iv infusion BP