

AMCAB
Amlodipine 2.5/5/10

GENERIC NAME: Amlodipine

PHARMACOLOGICAL CLASS: Calcium channel blocker

THERAPEUTIC CATEGORY: Antihypertensive

COMPOSITION AND PRESENTATION:

Amcab 2.5:

Composition:

Each uncoated tablet contains Amlodipine Besylate BP equivalent to amlodipine 2.5 mg.

Presentation:

30 tablets X 5 blisters

Amcab 5:

Composition:

Each uncoated tablet contains Amlodipine Besylate BP equivalent to amlodipine 5 mg.

Presentation:

30 tablets X 5 blisters

Amcab 10:

Composition:

Each uncoated tablet contains Amlodipine Besylate BP equivalent to amlodipine 10 mg.

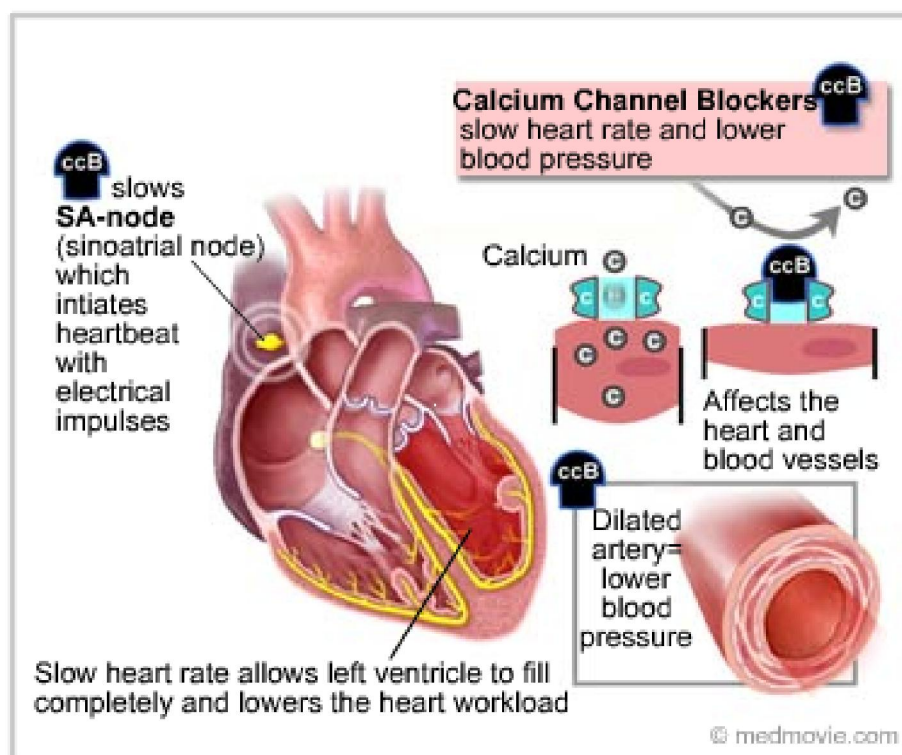
Presentation:

30 tablets X 5 blisters

MECHANISM OF ACTION:

Amlodipine selectively blocks calcium ion influx across the membranes of cardiac and vascular smooth muscle cells without changing serum calcium concentrations.

- ❖ The contractile processes of cardiac muscle and vascular smooth muscle are dependent upon the movement of extracellular calcium ions into these cells through specific ion channels
- ❖ Amlodipine inhibits the transmembrane influx of calcium ions into vascular smooth muscle and cardiac muscle thus inhibiting the contraction of the muscles.
- ❖ Amlodipine is also peripheral arterial vasodilator that acts directly on vascular smooth muscle to cause a reduction in peripheral vascular resistance and reduction in blood pressure.



PHARMACOKINETIC ([Clin Pharmacokinet.](#) 1992 Jan; 22(1):22-31.)

Absorption:

Well absorbed by the oral route with a mean oral bioavailability of approximately 60-65%. Peak plasma level is achieved after 6-8 hrs of administration. Onset of action is 30-50 mins.

Distribution:

Volume of distribution is large (21 L/kg) and there is a high degree of protein binding (98%).

Metabolism:

Amlodipine is extensively metabolized in the liver (but there is no significant presystemic or first-pass metabolism). The major metabolite identified was 2-([4-(2-chlorophenyl)-3-ethoxycarbonyl-5-methoxycarbonyl-6-methyl-2-pyridyl]methoxy) acetic acid.

Elimination:

Renal elimination is the major route of excretion with about 60% of an administered dose recovered in urine, largely as inactive pyridine metabolites. A terminal elimination half-life of 40 to 50h.

INDICATIONS AND CLINICAL USE:

- **Hypertension** (Mild to moderate essential hypertension)
- **Chronic and stable Angina** (effort associated angina)

DOSES AND ADMINISTRATION:

- Adult recommended starting dose is 5 mg once daily with maximum dose 10 mg once daily.
- Small, fragile, or elderly patients or patients with hepatic insufficiency may be started on 2.5 mg once daily.
- Pediatric starting dose: 2.5 mg to 5 mg once daily.

NOTE: Safety of doses in excess of 5 mg daily have not been studied in pediatric patients.

ADVERSE EFFECTS:

- Cardiovascular: flushing, palpitation
- Central nervous system: Headache, fatigue
- Dermatologic: Rashes
- Gastrointestinal:nausea,abdominal pain

USE IN SPECIFIC POPULATIONS

- Pregnancy: Use only if the potential benefit justifies the potential risk.
- Nursing: Discontinue when administering Amlodipine besylate.
- Pediatric: Effect on patients less than 6 years old is not known.
- Geriatric: Start dosing at the low end of the dose range, due to the greater frequency of decreased hepatic, renal, or cardiac function and of concomitant disease or other drug therapy.

CONTRAINDICATIONS

Amlodipine besylate tablets are contraindicated in patients with known sensitivity to Amlodipine.

SPECIAL PRECAUTIONS

- **Hypotension:** Symptomatic hypotension is possible, particularly in patients with severe aortic stenosis. Because of the gradual onset of action, acute hypotension is unlikely.
- **Increased Angina or Myocardial Infarction:** Worsening angina and acute myocardial infarction can develop after starting or increasing the dose of Amlodipine besylate tablets, particularly in patients with severe obstructive coronary artery disease.
- **Beta-Blocker Withdrawal:** Amlodipine is not a beta-blocker and therefore gives no protection against the dangers of abrupt beta blocker withdrawal; any such withdrawal should be by gradual reduction of the dose of beta-blocker.
- Missing even one dose can lead to a significant rise in blood pressure and a return of clinical symptoms of high blood pressure.
- Patients with liver disease remove this drug from their systems very slowly thus necessitating modification of the dosing regimen.
- Amlodipine has some (usually insignificant) effects on the heart. It will slightly reduce the strength of contraction. This could be significant in patients with a history of heart failure and the drug should be used with caution in this situation.

DRUG INTERACTION:

- Amlodipine and benazepril may increase hypotensive effect
- Amlodipine and cyclosporine may increase cyclosporine levels
- Beta blocker in combination with calcium channel blocker may result in increased cardiac depression.

For further information, please contact:

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