Nifedipine | apollo +9191 46 950 950

**Nifedipine**

**CAS Number**: 21829-25-4  
**Molecular Formula**: C\textsubscript{17}H\textsubscript{18}N\textsubscript{2}O\textsubscript{6}  
**Molecular Weight**: 346.33 g/mol  
**Systematic (IUPAC)**: 3,5-dimethyl 2,6-dimethyl-4-(2-nitrophenyl)-1,4-dihydropyridine-3,5-dicarboxylate  
**Type**: small molecule

**Description**  
Nifedipine has been formulated as both a long- and short-acting 1,4-dihydropyridine calcium channel.
blocker. It acts primarily on vascular smooth muscle cells by stabilizing voltage-gated L-type calcium channels in their inactive conformation. By inhibiting the influx of calcium in smooth muscle cells, nifedipine prevents calcium-dependent myocyte contraction and vasoconstriction. A second proposed mechanism for the drug’s vasodilatory effects involves pH-dependent inhibition of calcium influx via inhibition of smooth muscle carbonic anhydrase. Nifedipine is used to treat hypertension and chronic stable angina.

**Categories**
- Vasodilator Agents
- Calcium Channel Blockers
- Tocolytic Agents
- Dihydropyridines

**Taxonomy**

**Kingdom**: Organic

**Classes**
- Nitrobenzenes

**Substructures**
- Dihydropyridines
- Carboxylic Acids and Derivatives
- Nitrobenzenes
- Acetates
- Oxoazaniums
- Ethers
- Benzene and Derivatives
Indication: For the management of vasospastic angina, chronic stable angina, hypertension, and Raynaud's phenomenon. May be used as a first line agent for left ventricular hypertrophy and isolated systolic hypertension (long-acting agents).

Pharmacodynamics: Nifedipine, the prototype of the dihydropyridine class of calcium channel blockers (CCBs), is similar to other dihydropyridines including amlodipine, felodipine, isradipine, and nicardipine. There are at least five different types of calcium channels in Homo sapiens: L-, N-, P/Q-, R- and T-type. CCBs target L-type calcium channels, the major channel in muscle cells that mediates contraction. Similar to other DHP CCBs, nifedipine binds directly to inactive calcium channels stabilizing their inactive conformation. Since arterial smooth muscle depolarizations are longer in duration than cardiac muscle depolarizations, inactive channels are more prevalent in smooth muscle cells. Alternative splicing of the alpha-1 subunit of the channel gives nifedipine additional arterial selectivity. At therapeutic sub-toxic concentrations, nifedipine has little effect on cardiac myocytes and conduction cells. By blocking the calcium channels, Nifedipine inhibits the spasm of the coronary artery and dilates the systemic
arteries, results in a increase of myocardial oxygen supply and a decrease in systemic blood pressure.

**Mechanism of action** : Nifedipine decreases arterial smooth muscle contractility and subsequent vasoconstriction by inhibiting the influx of calcium ions through L-type calcium channels. Calcium ions entering the cell through these channels bind to calmodulin. Calcium-bound calmodulin then binds to and activates myosin light chain kinase (MLCK). Activated MLCK catalyzes the phosphorylation of the regulatory light chain subunit of myosin, a key step in muscle contraction. Signal amplification is achieved by calcium-induced calcium release from the sarcoplasmic reticulum through ryanodine receptors. Inhibition of the initial influx of calcium inhibits the contractile processes of smooth muscle cells, causing dilation of the coronary and systemic arteries, increased oxygen delivery to the myocardial tissue, decreased total peripheral resistance, decreased systemic blood pressure, and decreased afterload. The vasodilatory effects of nifedipine result in an overall decrease in blood pressure.

**Absorption** : Rapidly and fully absorbed following oral administration.

**Protein binding** : 92-98%

**Metabolism** : Hepatic metabolism via cytochrome P450 system. Predominantly metabolized by CYP3A4, but also by CYP1A2 and CYP2A6 isozymes.
Route of elimination: Nifedipine is extensively metabolized to highly water-soluble, inactive metabolites accounting for 60 to 80% of the dose excreted in the urine. The remainder is excreted in the feces in metabolized form, most likely as a result of biliary excretion.

**Half life**: 2 hours

Toxicity: Symptoms of overdose include dizziness, drowsiness, nausea, severe drop in blood pressure, slurred speech, and weakness. LD50=494 mg/kg (orally in mice); LD50=1022 mg/kg (orally in rats)

Affected organisms: Humans and other mammals

**Drug class And Mechanisms**
Nifedipine belongs to a class of medications called calcium channel blockers (CCBs) that are used to treat angina (heart pain), high blood pressure, and abnormal heart rhythms. Other drugs in the same class include amlodipine (Norvasc), diltiazem (Cardizem LA, Tiazac), felodipine (Plendil), isradipine (Dynacirc), nicardipine (Cardene), nimodipine (Nimotop), and verapamil (Covera-HS, Veralan PM, Calan). Like other CCBs, nifedipine works by blocking the flow of calcium into the muscle cells surrounding the arteries that supply blood to the heart (coronary arteries) as well as other arteries of the body. Since the inflow of calcium is what causes the muscle cells to contract, blocking the entry of calcium relaxes the muscles and dilates (widens) the arteries. By dilating coronary arteries, nifedipine
increases the flow of blood to the heart. This treats and prevents angina which occurs when the flow of blood to the heart is not adequate to supply the heart with enough oxygen necessary to pump blood. Relaxing the muscles surrounding other arteries of the body lowers blood pressure and thereby reduces the pressure against which the heart must pump blood and function. This reduces the demand of the heart for oxygen--another mechanism by which CCBs treat and prevent angina. In addition, nifedipine slows conduction of the electrical current that travels through the heart that causes the muscle of the heart to contract. This effect can be used to correct abnormally rapid heartbeats.

**Dosing**

The usual dose for nifedipine capsules is 10 to 20 mg three times daily. It is important to swallow capsules whole. For extended release tablets, the usual dose is 30 or 60 mg once daily. The tablets should be swallowed whole and not bitten or cut in half. Nifedipine can be taken with or without food.

**Drug interactions**

In rare instances, congestive heart failure has been associated with nifedipine, usually in patients already on a beta blocker, for example, propranolol (Inderal), metoprolol (Lopressor), etc. Excessive lowering of blood pressure (hypotension) during initiation of nifedipine treatment can occur, especially in patients already taking another blood pressure lowering drug. Generally, nifedipine is avoided in children. Nifedipine decreases the elimination of digoxin (Lanoxin) by the kidneys which can increase digoxin
blood levels in the blood and give rise to digoxin toxicity. It is important, therefore, to monitor blood levels of digoxin in order to avoid toxicity.

Nifedipine interferes with the breakdown of tacrolimus (Prograf) by the liver, which in turn causes elevated blood levels of tacrolimus and may increase the risk of toxicity from tacrolimus.

Nifedipine reduces the blood levels of quinidine (Quinaglute, Quinidex, Quinora) which may reduce the effectiveness of quinidine. Conversely, blood levels of nifedipine are increased by quinidine and may lead to side effects from nifedipine.

Cimetidine (Tagamet) interferes with breakdown by the liver of nifedipine and increases nifedipine blood levels. Therefore, cautious dosing is necessary when both medications are administered concurrently.

Nifedipine should not be taken with grapefruit juice since grapefruit juice (one glass, approximately 200 ml) inhibits the breakdown of nifedipine by the liver and increases the levels of nifedipine in the blood.

**Why is this medication prescribed?**

Nifedipine is used to treat high blood pressure and to control angina (chest pain). Nifedipine 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.

**How should this medicine be used?**

Nifedipine comes as a capsule and an extended-release (long-acting) tablet to take by mouth. The capsule is usually taken three or four times a day. The extended-
release tablet should be taken once daily on an empty stomach, either 1 hour before or 2 hours after a meal. Take nifedipine at around the same time(s) every day.

Follow the directions on your prescription label carefully, and ask your doctor or pharmacist to explain any part you do not understand. Take nifedipine exactly as directed. Do not take more or less of it or take it more often than prescribed by your doctor.

Swallow the extended-release tablets whole; do not split, chew, or crush them.

Your doctor will probably start you on a low dose of nifedipine and gradually increase your dose, generally once every 7 to 14 days.

Nifedipine controls high blood pressure and chest pain (angina) but does not cure them. Continue to take nifedipine even if you feel well. Do not stop taking nifedipine without talking to your doctor. Your doctor will probably decrease your dose gradually.

**Other uses for this medicine**

Nifedipine is also used sometimes to treat preterm labor and Raynaud's syndrome. Talk to your doctor about the possible risks of using this medication for your condition.

This medication is sometimes prescribed for other uses; ask your doctor or pharmacist for more information.

**What special precautions should I follow?**

Before taking nifedipine, tell your doctor and pharmacist if you are allergic to nifedipine, any other medications, or any of the ingredients in nifedipine. Ask your pharmacist for a list of the ingredients.
tell your doctor and pharmacist what other prescription and nonprescription medications, vitamins, nutritional supplements, you are taking or plan to take. Be sure to mention any of the following: acarbose (Prandase, Precose); anticoagulants ('blood thinners') such as warfarin (Coumadin, Jantoven); antifungals such as fluconazole (Diflucan), itraconazole (Sporanox), and ketoconazole (Nizoral); beta blockers such as atenolol (Tenormin), labetalol (Trandate), metoprolol (Lopressor, Toprol XL), nadolol (Corgard), propranolol (Inderal), and timolol (Blocadren); carbamazepine (Carbatrol, Epitol, Tegretol); cimetidine (Tagamet); digoxin (Lanoxin, Lanoxicaps); diltiazem (Cardizem); doxazosin (Cardura); erythromycin (E.E.S., E-Mycin, Erythrocin); fentanyl (Actiq, Duragesic, Fentora, Sublimaze); flecainide (Tambocor); HIV protease inhibitors including amprenavir (Agenerase), atazanavir (Reyataz), delavirdine (Rescriptor), fosamprenavir (Lexiva), indinavir (Crixivan), nelfinavir (Viracept), and ritonavir (Norvir, in Kaletra); metformin (Glucophage); nefazodone; phenobarbital (Luminal); phenytoin (Dilantin, Diphenylan Sodium); quinidine (Quinidex); quinupristin and dalfopristin (Synercid); rifampin (Rifadin, in Rifamate, in Rifater, Rimactane); rifapentine (Priftin); tacrolimus (Prograf); valproic acid (Depakene, Depakote); and verapamil (Calan, Covera, Isoptin, Verelan). Your doctor may need to change the doses of your medications or monitor you carefully for side effects.

tell your doctor what herbal products you are taking, especially St. John's wort.
tell your doctor if you have or have ever had a narrowing or blockage of your digestive system or any other condition that causes food to move through your
digestive system more slowly; or heart, liver, or kidney disease. Also tell your doctor if you have had a myocardial infarction (MI) within the last 2 weeks.
tell your doctor if you are pregnant, plan to become pregnant, or are breast-feeding. If you become pregnant while taking nifedipine, call your doctor.
talk to your doctor about the safe use of nifedipine capsules if you are 65 years of age or older. Older adults should not usually take nifedipine capsules because they are not as safe as other medications that can be used to treat the same condition.
if you are having surgery, including dental surgery, tell your doctor or dentist that you are taking nifedipine.
ask your doctor about the safe use of alcoholic beverages while you are taking nifedipine. Alcohol can make the side effects from nifedipine worse.

**What special dietary instructions should I follow?**

Do not drink grapefruit juice or eat grapefruit 3 days before and while taking nifedipine.
If your doctor prescribes a low-salt or low-sodium diet, follow these directions carefully.

**What should I do if I forget a dose?**

Take the missed dose as soon as you remember it. However, if it is almost time for the next dose, skip the missed dose and continue your regular dosing schedule. Do not take a double dose to make up for a missed one.

**What side effects can this medication cause?**

Nifedipine may cause side effects. Tell your doctor if any of these symptoms are severe or do not go away:
headache
nausea
dizziness or lightheadedness
flushing (feeling of warmth)
heartburn
fast heartbeat
muscle cramps
constipation
cough
decreased sexual ability

Some side effects can be serious. If you experience any of the following symptoms, call your doctor immediately:
swelling of the face, eyes, lips, tongue, hands, arms, feet, ankles, or lower legs
difficulty breathing or swallowing
fainting
rash
yellowing of the skin or eyes
increase in frequency or severity of chest pain (angina)

**What storage conditions are needed for this medicine?**
Keep this medication in the container it came in, tightly closed, and out of reach of children. Store it at room temperature, away from light, and away from excess heat and moisture (not in the bathroom). Throw away any medication that is outdated or no longer needed. Talk to your pharmacist about the proper disposal of your medication.

**Symptoms of overdose may include**
dizziness
fast heartbeat
flushing (feeling of warmth)
nervousness
nausea
vomiting
swelling of the hands, feet, ankles, or lower legs
blurred vision
fainting

What other information should I know?
Keep all appointments with your doctor and the laboratory. Your blood pressure should be checked regularly to determine your response to nifedipine.
If you are taking certain extended-release tablets (Afeditab CR, Procardia XL), you may notice something that looks like a tablet in your stool. This is just the empty tablet shell, and this does not mean that you did not get your complete dose of medication.
Do not let anyone else take your medication. Ask your pharmacist any questions you have about refilling your prescription.
It is important for you to keep a written list of all of the prescription and nonprescription (over-the-counter) medicines you are taking, as well as any products such as vitamins, minerals, or other dietary supplements. You should bring this list with you each time you visit a doctor or if you are admitted to a hospital. It is also important information to carry with you in case of emergencies.

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