

VASODILATORS

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VASODILATION

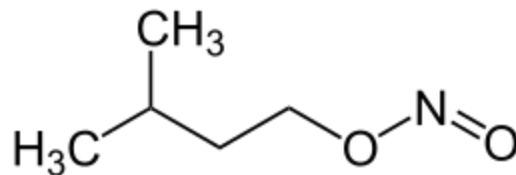
- **Vasodilation** is the widening of [blood vessels](#).
- It results from relaxation of [smooth muscle](#) cells within the vessel walls, in particular in the large [veins](#), large [arteries](#), and smaller [arterioles](#).
- The process is the opposite of [vasoconstriction](#), which is the narrowing of blood vessels.
- When blood vessels [dilate](#), the [flow of blood](#) is increased due to a decrease in [vascular resistance](#) and increase in [cardiac output](#)
- -Therefore, dilation of arterial blood vessels (mainly the^l) decreases [blood pressure](#). The response may be [intrinsic](#) (due to local processes in the surrounding [tissue](#)) or [extrinsic](#) (due to [hormones](#) or the [nervous system](#)).
- In addition, the response may be localized to a specific [organ](#) (depending on the [metabolic](#) needs of a particular tissue, as during strenuous exercise), or it may be systemic (seen throughout the entire [systemic circulation](#)).
- [Endogenous](#) substances and [drugs](#) that cause vasodilation are termed **vasodilators**. Such [vasoactivity](#) is necessary for [homeostasis](#) (keeping the body running normally)

CLASSIFICATION

- Vasodilator drugs can be classified based on their site of action (arterial versus venous) or by mechanism of action. Some drugs primarily dilate resistance vessels (arterial dilators; e.g., hydralazine), while others primarily affect venous capacitance vessels (venous dilators; e.g., nitroglycerine). Most vasodilator drugs, however, have mixed arterial and venous dilator properties (mixed dilators; e.g., alpha-adrenoceptor antagonists, angiotensin converting enzyme inhibitors).

AMYL NITRITE

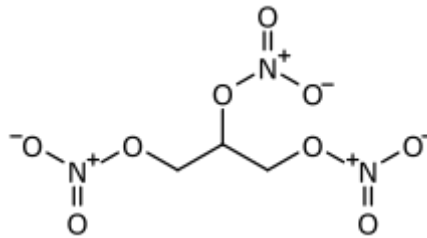
- **Amyl Nitrite** is an antihypertensive medicine. **Amyl nitrite** is employed medically to treat heart diseases such as angina and to treat cyanide poisoning. Its use as a prescription medicine comes from its ability to lower blood pressure.



- Amyl nitrite, in common with other [alkyl nitrites](#), is a potent [vasodilator](#); it expands [blood vessels](#), resulting in lowering of the [blood pressure](#). Amyl nitrite may be used during cardiovascular stress testing in patients with suspected hypertrophic cardiomyopathy to cause vasodilation and thereby reduce afterload and provoke obstruction of blood flow towards the aorta from the ventricle by increasing the pressure gradient, thereby causing left ventricular outflow obstruction.
- Alkyl nitrites are a source of [nitric oxide](#), which signals for relaxation of the [involuntary muscles](#). Physical effects include decrease in blood pressure, headache, flushing of the face, increased heart rate, dizziness, and relaxation of involuntary muscles, especially the [blood vessel](#) walls and the [internal](#) and [external anal sphincter](#).
- There are no [withdrawal](#) symptoms.
- [Overdose](#) symptoms include [nausea](#), [vomiting](#), [hypotension](#), [hypoventilation](#), [shortness of breath](#), and [fainting](#). The effects set in very quickly, typically within a few seconds and disappear within a few minutes. Amyl nitrite may also intensify the experience of [synesthesia](#).

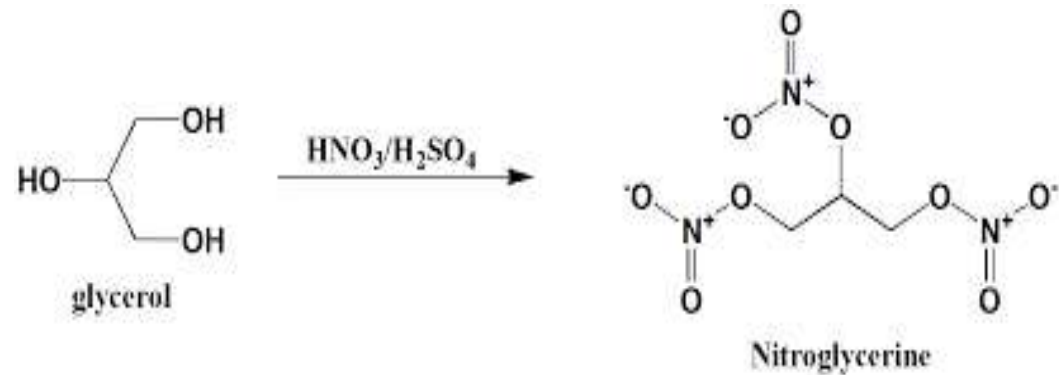
NITROGLYCERIN

- **Nitroglycerin**, also known as glyceryl trinitrate (GTN), is a medication used for heart failure, high blood pressure, anal fissures, painful periods, and to treat and prevent chest pain caused by decreased blood flow to the heart (angina) or due to the recreational use of cocaine.
- **1,2,3-trinitroxypropane**



- Nitroglycerin is used for [angina pectoris](#), a painful symptom of [ischemic heart disease](#) caused by inadequate flow of blood and oxygen to the heart and as a potent antihypertensive agent.
- Nitroglycerin corrects the imbalance between the flow of oxygen and blood to the heart.
- At low doses, nitroglycerin dilates veins more than arteries, thereby reducing [preload](#) (volume of blood in the heart after filling); this is thought to be its primary mechanism of action. By decreasing preload, the heart has less blood to pump, which decreases oxygen requirement since the heart does not have to work as hard.
- Additionally, having a smaller preload reduces the ventricular transmural pressure (pressure exerted on the walls of the heart), which decreases the compression of heart arteries to allow more blood to flow through the heart. At higher doses, it also dilates arteries, thereby reducing [afterload](#) (decreasing the pressure against which the heart must pump)

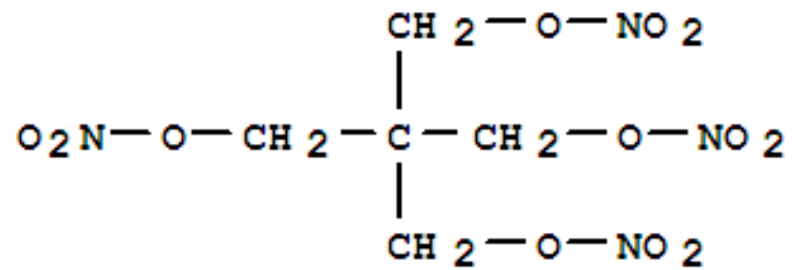
SYNTHESIS



Pentaerythritol tetranitrate

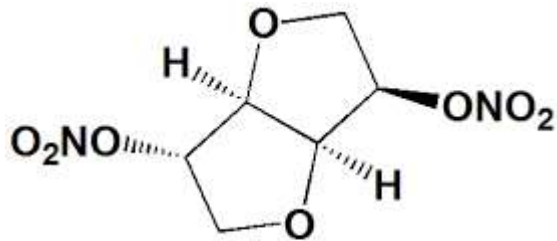
- [3-nitrooxy-2,2-bis(nitrooxymethyl)propyl] nitrate
- Organic [nitrate](#) which causes systemic vasodilation, decreasing cardiovascular preload .
- [Nitrate](#) enters vascular smooth muscle and converted to [nitric oxide](#) (NO) which acts as a cellular messenger, leading to activation of [cyclic GMP](#) and, therefore, vasodilation.
- The nitrovasodilator group of drugs relaxes most smooth muscles in the body, including those in the walls of arteries and veins, and selectively dilate large coronary vessels.
- Lower doses of nitrates increase coronary blood flow without significantly affecting systemic arterial pressure. Higher doses, especially if repeated frequently, decrease systolic and diastolic blood pressure as well as cardiac output, which can result in a headache, weakness, dizziness, and the activation of compensatory sympathetic reflexes, including tachycardia and peripheral arteriolar vasoconstriction. Smooth muscles in the bronchi, biliary tract, gastrointestinal tract, ureters, and uterus also can be relaxed by nitrovasodilators.

STRUCTURE



ISOSORBIDE DINITRATE

- Isosorbide Dinitrate is the dinitrate salt form of isosorbide, an organic nitrate with vasodilator activity. Isosorbide dinitrate relaxes vascular smooth muscle by formation of the free radical nitric oxide (NO), which is identical to the endothelium-derived relaxing factor (EDRF). NO activates guanylyl cyclase, thereby increasing the synthesis of cGMP within smooth muscle, resulting in dephosphorylation of light chain myosin and relaxation of peripheral arteries and veins. In addition, isosorbide dinitrate relaxes coronary arteries, thereby increasing the blood circulation through the ischemic area.



isosorbide dinitrate

Isosorbide 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. Dilatation of the veins promotes peripheral pooling of blood and decreases venous return to the heart, thereby reducing left ventricular end- diastolic pressure and pulmonary capillary wedge pressure (preload). Arteriolar relaxation reduces systemic vascular resistance, systolic arterial pressure, and mean arterial pressure.

SYNTHESIS

