PHARM.D • YEAR 3

Medicinal Chemistry

CHAPTER 7.2

Antianginal Agents and Vasodilators



PPT CHAPTER COVER

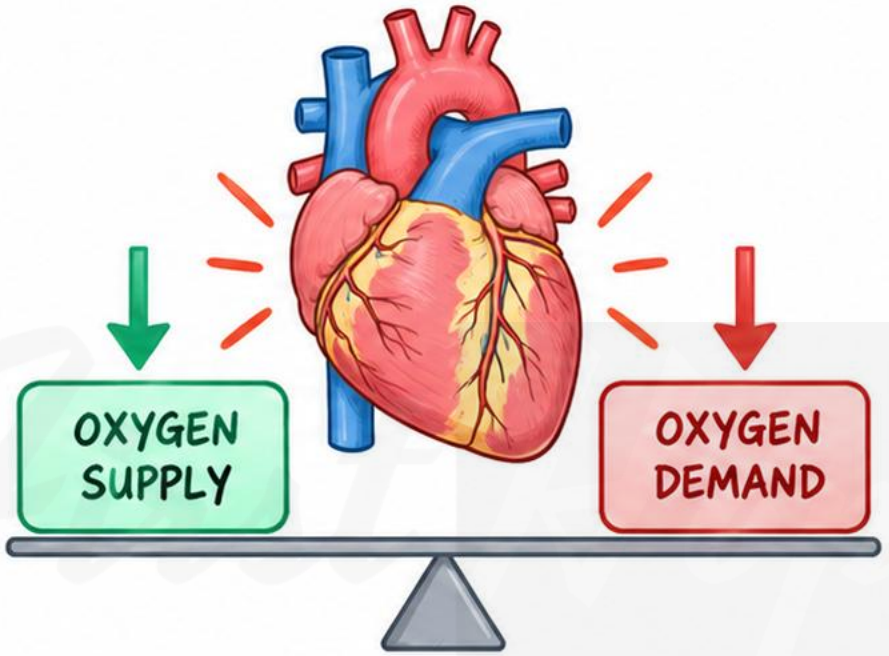
Angina: oxygen supply and demand

What is angina?

Angina occurs when myocardial oxygen supply is inadequate for demand.

Determinants of oxygen supply

Coronary flow and blood oxygen content influence supply.



Determinants of oxygen demand

Heart rate, contractility and ventricular wall stress influence demand.

Antianginal drug actions

Antianginal drugs can lower demand, improve supply or both.

Stable angina

Stable angina often reflects fixed coronary obstruction.

Vasospastic angina

Vasospastic angina involves reversible coronary spasm.

Unstable angina

Unstable symptoms may represent an acute coronary syndrome and require urgent assessment.

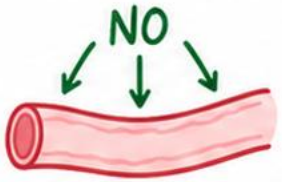
A long list of vasodilators should not be treated as equivalent therapies.
These pages explain chemistry and mechanisms, not self-treatment instructions.

Antianginal classes and targets

Main antianginal classes and mechanisms

Organic nitrates

Activate nitric-oxide-related signalling and reduce preload; coronary effects can also matter.



↓ Preload
Coronary effects can also matter

Beta blockers

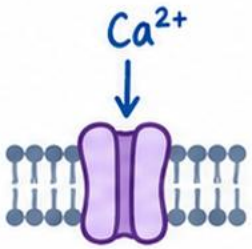
Reduce heart rate and contractility in suitable settings.



↓ Heart rate
↓ Contractility

Calcium-channel blockers

Reduce calcium entry, with vascular versus cardiac effects varying by subclass.



Vascular vs cardiac effects vary by subclass

Important exceptions

Amyl nitrite

Is a nitrite, not a nitrate ester.



Amyl nitrite (nitrite)

Dipyridamole

Is mainly an antiplatelet or pharmacological-stress agent, not a conventional nitrate or routine angina-relief drug.



Antiplatelet / pharmacological-stress agent

not

a conventional nitrate or routine angina-relief drug

Key point | Class selection depends on the type of ischaemia and patient factors; functional labels do not erase structural differences.

Nitrates versus nitrites

Organic nitrates

$R-O-NO_2$

Contain $R-O-NO_2$ groups

Organic nitrites

$R-O-NO$

Contain R–O–NO groups





Increases soluble-guanylate-cyclase activity





Examples and formulation



- Nitroglycerin, pentaerythritol tetranitrate and isosorbide dinitrate are nitrate esters.
- Amyl nitrite belongs to the nitrite group.

Pharmacology



- Bioactivation and nitric-oxide-related signalling increase soluble-guanylate-cyclase activity and cGMP, promoting smooth-muscle relaxation.

Key distinction



- Their chemical groups and clinical formulations are distinct.

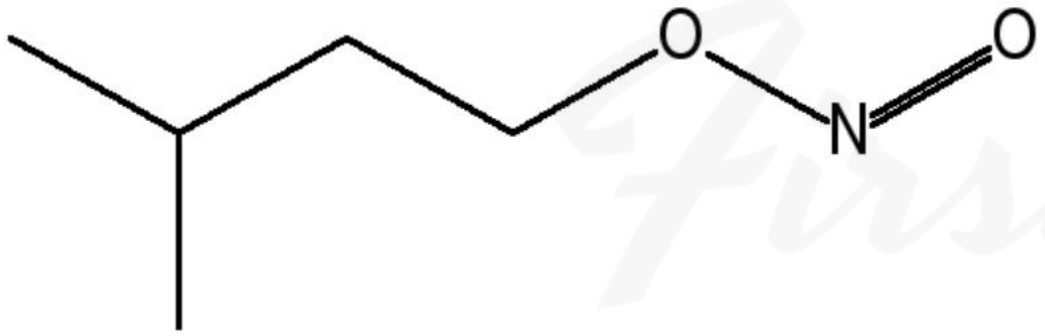
Important note



- These compounds can be hazardous energetic materials: preparation instructions and reagent conditions are omitted.
- Revision focuses on functional-group identity, pharmacology and formulation-related behaviour, not laboratory production.

Amyl nitrite: historical vasodilator

Parent/free form: $C_5H_{11}NO_2$



Verified molecular structure

Identity and structure

- Amyl nitrite is an alkyl nitrite with formula $C_5H_{11}NO_2$
- Different amyl isomers can occur in product descriptions
- The reference shown is the named PubChem amyl-nitrite entity
- This is the verified parent entity; salts and hydrates have different formulas

Mechanism of action

- Nitric-oxide-related signalling rapidly relaxes vascular smooth muscle

Historical context

- It is mainly a historical antianginal example rather than a routine modern first-line recommendation

Important risks

- Headache, hypotension and methaemoglobinaemia are important risks

Important distinction

- It must not be confused with nitroglycerin or described as a nitrate ester
- No preparation, inhalation-use or recreational-use instructions are provided

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