



Topic: ANTI ANGINAL AGENTS

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ANTI ANGINAL AGENTS

OBJECTIVE

By the end of the chapter you will be able to know:

- Angina, its signs and symptoms and types.
- Antianginal agents and their classification.
- Mechanism of action of antianginal agents
- Structure and uses of Amyl nitrate, Iso sorbide dinitrate and Nitro glycerine.

Angina is chest pain or discomfort caused when your heart muscle doesn't get enough oxygen-rich blood. It may feel like pressure or squeezing in your chest. The discomfort also can occur in your shoulders, arms, neck, jaw, or back. Angina pain may even feel like indigestion.

But, angina is not a disease. It is a symptom of an underlying heart problem, usually coronary heart disease (CHD). There are many types of angina, including microvascular angina, Prinzmetal's angina, stable angina, unstable angina and variant angina. View an animation of angina.

This usually happens because one or more of the coronary arteries is narrowed or blocked, also called ischemia.

Angina can also be a symptom of coronary microvascular disease (MVD). This is heart disease that affects the heart's smallest coronary arteries and is more likely to affect women than men. Coronary MVD also is called cardiac syndrome X and non-obstructive CHD. Learn more about angina in women.

Depending on the type of angina you have, there are many factors that can trigger angina pain. The symptoms also vary based on the type of angina you have.

Types of Angina - Knowing the types of angina and how they differ is important.

- Stable Angina / Angina Pectoris
- Unstable Angina
- Variant (Prinzmetal) Angina

- Microvascular Angina

Classification of anti anginal agents

Drugs Used in treatment and prevention Of angina can be classified into three main groups;

1. Organic Nitrates and Nitrites

- Nitroglycerin
- Isosorbide Dinitrate
- Isosorbide mononitrate
- Amyl nitrite.

2. Calcium Channel Blockers

These can be further divided into two groups;

1. Dihydropyridines

- Amlodipine
- Nicardipine
- Nifedipine
- Nimodipine
- Nisoldipine
- Nitrendipine

2. Miscellaneous Drugs

- Verapamil
- Diltiazem
- Bepridil

3. Beta-Adrenoceptor Blockers

These can be further subdivided into two groups;

1. Beta 1 and Beta2 Antagonist

- Propranolol
- Penbutolol
- Pindolol
- Satolo
- Timolol

2. Beta 1 Selective Blockers

- Metoprolol
- Atenolol
- Esmolol
- Acebutolol
- Betaxolol

MECHANISM OF ACTION OF ANTI ANGINALS

Nitroglycerin

a. Prodrug metabolized to NO is VSM by mitochondrial aldehyde dehydrogenase-2 (ALDH2); supplements vascular endothelium production of endogenous NO

b. NO-induced VSM relaxation produces venous and arterial vasodilation:

1. Venous dilation predominates over arterial at therapeutic doses
2. Decreased venous return reduces preload, which in turn reduces oxygen demand

Isosorbide dinitrate

Prodrug that is metabolized to isosorbide mononitrate that contributes to antianginal efficacy

Calcium channel blockers

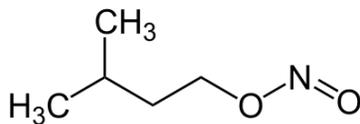
- A. All block inward flow of Ca^{2+} through voltage-gated L-type calcium channels by binding to the channel α_1 subunit (at different sites)
- B. Produced marked decrease in transmembrane calcium current (intracellular free Ca^{2+} decreases) in VSM, cardiac myocytes and nodal tissue
- C. All are effective vasodilators of both peripheral and coronary arteries; the predominate effect is to decrease after load and thus reduce oxygen demand
- D. Especially useful in relaxing coronary artery vasospasm to increase oxygen supply in variant angina

Antianginal effects are produced without changes in heart rate or blood pressure:

- A. There is increased sodium influx in ischemic myocytes that leads to calcium overload (mediated by the $\text{Na}^+-\text{Ca}^{++}$ exchanger)
- B. Calcium overload impairs myocardial relaxation, decreases myocardial perfusion, and increases oxygen demand

Structure and uses of the drugs.

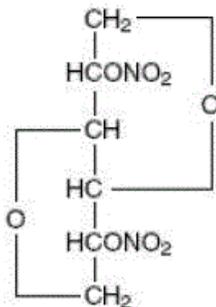
Amyl nitrate



Uses: It is used in the treatment of Angina Pectoris.

IsoSorbide Di nitrate

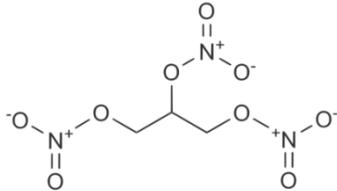
Isosorbide dinitrate (ISDN) is 1,4:3,6-dianhydro-D-glucitol 2,5-dinitrate, an organic nitrate



The organic nitrates are **vasodilators**, active on both arteries and veins.

USES: It is used as an anti anginal agent.

NITROGLYCERINE



USES: Nitroglycerin extended-release capsules are used to prevent chest pain (angina) in people with a certain heart condition (coronary artery disease). This medication belongs to a class of drugs known as nitrates. Angina occurs when the heart muscle is not getting enough blood. This drug works by relaxing and widening blood vessels so blood can flow more easily to the heart.