

MEDICINAL CHEMISTRY-II

Unit-2 | Part-1



ANTI-ANGINAL AGENTS

MORE INFORMATION:



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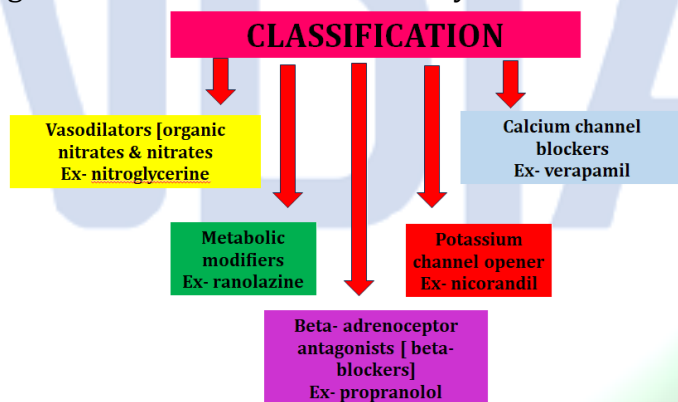
B. PHARMA 5TH SEM ONE SHOT NOTES

UNIT-2 | PART-1

ANTI-ANGINAL

INTRODUCTION

- ❑ Angina pectoris, usually referred to as angina, denotes severe chest pain which may be caused by ischemia (lack of blood, and hence lack of oxygen supply) of heart muscle. This ischemia is the result of obstruction or spasm of coronary artery (vessels supplying blood to heart).
- ❑ the main cause of angina is coronary artery disease which results from atherosclerosis of the cardiac arteries.
- ❑ Unstable angina (usually grouped with similar conditions as the acute coronary syndrome) may have symptoms like:
 - Worsening (“crescendo”) of angina attacks,
 - Sudden onset of angina at rest, and
 - Angina lasting more than 15 minutes.
- ❑ Presence of these conditions may lead to myocardial infarction (a heart attack), thus, needs medical aid on an urgent basis.
- ❑ Anti-anginal drug therapy aims at restoring the balance between the supply and demand of oxygen in the ischemic area of the myocardium.



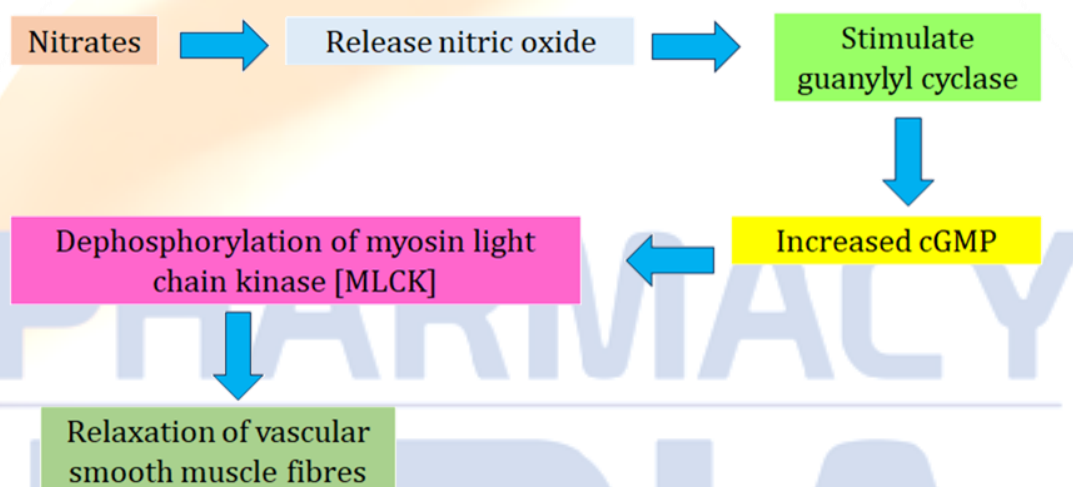
VASODILATORS

- ❑ Organic nitrates and nitrites are simple nitric and nitrous acid esters of glycerol having different volatilities (e.g., isosorbide dinitrate and isosorbide mononitrate are solids at room temperature, nitro glycerine is moderately volatile, and amyl nitrite is highly volatile).
- ❑ These compounds are used in angina pectoris. They rapidly reduce the myocardial oxygen demand, followed by rapid relief of symptoms.

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- ❑ They are effective in classic as well as in variant angina pectoris.
- ❑ Organic nitrates cause arterial and venous vasodilation by directly acting on the arterial and vascular smooth muscles.
- ❑ Nitroglycerine and isosorbide dinitrate decrease the oxygen consumption and restore the balance between oxygen supply and oxygen demand by decreasing the preload and afterload.
- ❑ Coronary blood flow remains unchanged.
- ❑ Reduction in mean blood pressure activates the sympathetic nervous system.

MOA OF VASODILATORS



Drug	Uses	Structure
Amyl nitrite	<ul style="list-style-type: none"> ▪ Heart diseases and angina. ▪ Used as an antidote to cyanide poisoning ▪ It is added in some perfumes in a very small quantity 	<chem>CC(C)CCON=O</chem>

Drug	Uses	Structure
Nitroglycerine	<ul style="list-style-type: none"> ▪ Treating angina ▪ Controlling hypertension ▪ Improved left ventricular function 	<chem>C1OC(=O)N1[O-]OC(=O)N1[O-]OC(=O)N1[O-]</chem>

SAR OF NITROGLYCERIN

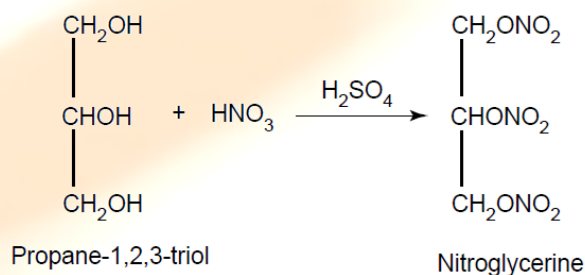
- ❑ Drug Name- Nitro-glycerine
- ❑ Class of Drug- Anti- Anginal of Vasodilators Class
- ❑ IUPAC Name- 1,3-dinitrooxypropan-2-yl nitrate

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- ❑ The number of nitrate groups determines the potency of organic nitrate for guanylate cyclase activation.
- ❑ Increase in nitric group increases the potency.
- ❑ Increase in lipophilicity doesn't have major effect over activation of drug.

SYNTHESIS

- ❑ Nitroglycerine is synthesized by treating dehydrated glycerine with a mixture of fuming nitric acid and sulphuric acid



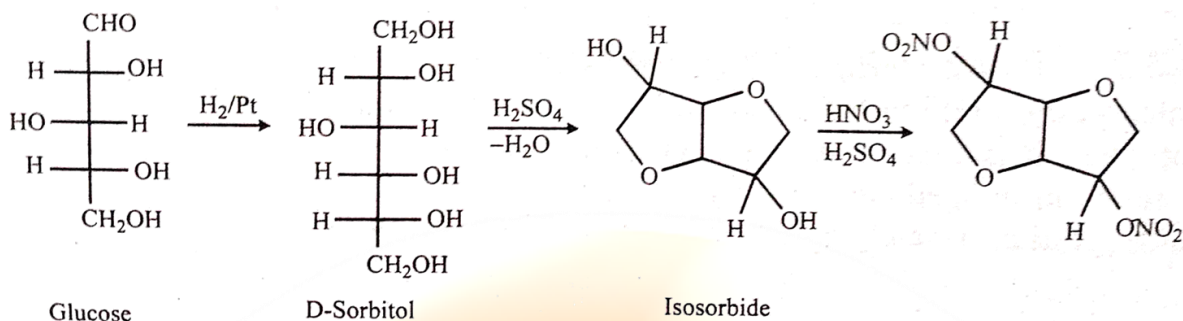
Drug	Uses	Structure
Pentaerythritol tetranitrate	<ul style="list-style-type: none"> ▪ Treating heart conditions ▪ Treatment of angina pectoris. ▪ Used as a vasodilator just like nitroglycerine 	

Drug	Uses	Structure
Isosorbide dinitrate	<ul style="list-style-type: none"> ▪ Treating angina ▪ Congestive heart failure ▪ The heart also pumps blood easily 	

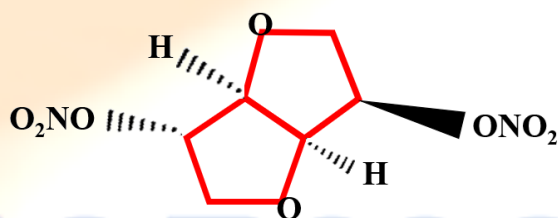
SYNTHESIS

- Sorbitol is obtained by chemical or fermentative reduction of glucose.
- The obtained sorbitol forms a cyclic intermediate on dehydration with sulphuric acid.
- Nitration of isosorbide produces isosorbide dinitrate.

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SAR OF NITROGLYCERIN



- Drug Name- Isosorbide Dinitrate
- Class of Drug- Anti- Anginal of Vasodilators Class
- IUPAC Name- 1,4:3,6-dianhydro-2,5-di-O-nitro-D-glucitol
- The number of nitrate groups determines the potency of organic nitrate for guanylate cyclase activation.
- Increase in nitric group increases the potency.
- Increase in lipophilicity doesn't have major effect over activation of drug.

Drug	Uses	Structure
Dipyridamole	<ul style="list-style-type: none"> ▪ Used for treating angina ▪ Preventing post-operative thromboembolic complications of cardiac valve replacement 	

CALCIUM CHANNEL BLOCKER

❑ Study of Individual

Drugs The following calcium channel blockers are discussed below:

- 1) Verapamil,
- 2) Bepridil hydrochloride,
- 3) Diltiazem hydrochloride,

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- 4) Nifedipine,
- 5) Amlodipine,
- 6) Felodipine,
- 7) Nicardipine, and
- 8) Nimodipine

MOA OF CALCIUM CHANNEL BLOCKERS

CCBs block voltage-sensitive L-type Ca²⁺ channels by binding to α_1 subunit



Prevent entry of Ca²⁺ into the cell



No excitation-contraction coupling in the heart & vascular smooth muscle

Drug	Uses	Structure
Verapamil	Used for treating hypertension Angina pectoris	

Drug	Uses	Structure
Bepidil hydrochloride	<ul style="list-style-type: none"> ▪ For treating hypertension ▪ Chronic stable angina 	

Drug	Uses	Structure
Diltiazem hydrochloride	<ul style="list-style-type: none"> ▪ Treating angina and hypertension. ▪ It is used for treating supraventricular tachycardias 	

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Drug	Uses	Structure
Nifedipine	<ul style="list-style-type: none"> ▪ Treatment of vasospastic angina ▪ Chronic stable angina ▪ Hypertension ▪ Raynaud's phenomenon. 	

Drug	Uses	Structure
Amlodipine	<ul style="list-style-type: none"> ▪ Hypertension ▪ Exertion-related angina ▪ Treating coronary artery disease ▪ Chronic stable angina ▪ Vasospastic angina 	

Drug	Uses	Structure
Felodipine	<ul style="list-style-type: none"> ▪ Treating hypertension. ▪ It lowers high blood pressure ▪ Prevents strokes ▪ Heart attacks ▪ Kidney problems. ▪ It is used for treating angina. 	

Drug	Uses	Structure
Nicardipine	<ul style="list-style-type: none"> ▪ Used for treating chronic stable angina. ▪ It is also used in the treatment of hypertension 	

Drug	Uses	Structure
Nimodipine	<ul style="list-style-type: none"> ▪ Improve neurologic outcome ▪ Used for treating chronic stable angina. 	

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