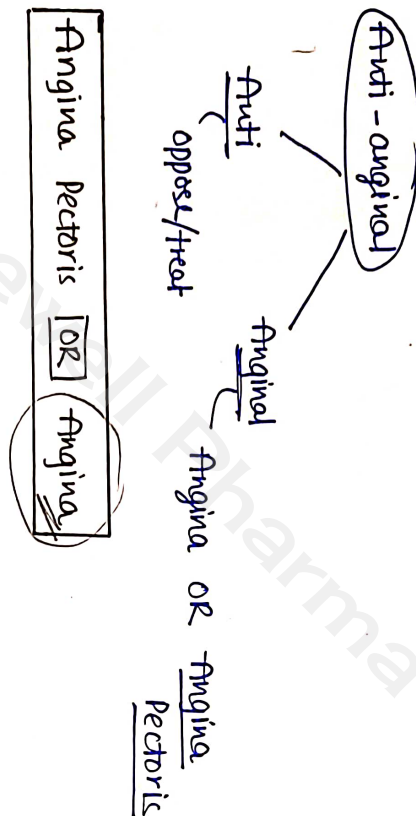


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ANTI-ANGINAL DRUGS

These are those drugs which used in the treatment of angina pectoris.



Also called as ischemic chest pain.

- Angina is severe chest pain due to ischemia (lack of O_2 to the heart muscles).

- This pain occurs in chest and left side of body spread to neck, jaw, back and arms.

- Pain feel like pressure or squeezing in chest.
- that is severe, sudden and pressing pain.

Cause →

- When our heart muscles (myocardium) does not get enough blood and Oxygen supply
- less O_2 supply } in heart
- more O_2 demand

↓ O_2 supply \neq ↑ O_2 demand

Reason :-

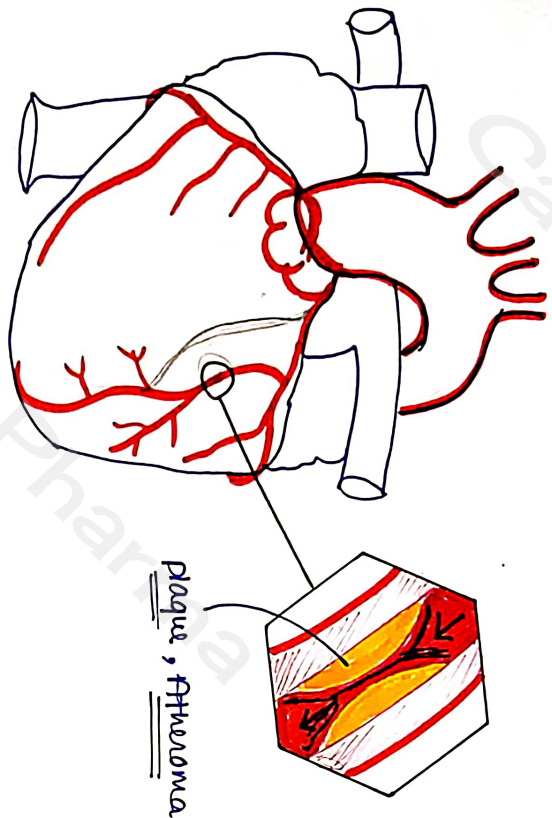
- Coronary artery disease (CAD)
- Vasospasm of coronary arteries.
- Due to this, heart does not get enough blood, without blood tissue loses O_2 and die.

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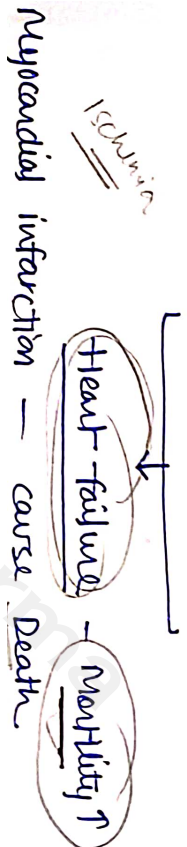
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Coronary artery disease - Due to formation of these atherosclerosis, heart muscles does not get enough blood and oxygen (O_2).

- Coronary artery disease
- Vasoospasm
- Heart work load \uparrow



Risk factors :-

- Hyperlipidemia (\uparrow lipids)
- Hypertension (\uparrow heart work load - O_2 demand)
- Diabetes
- Smoking
- weak lifestyle
- family history (of heart disease).

Types :-

- three types

- i) Stable angina
- ii) Variant angina
- iii) Unstable angina

i) Stable Angina -

- Also known as classical angina,

- It is most common type of angina.
- These attacks are predictable and caused by exercise, emotions and work load.

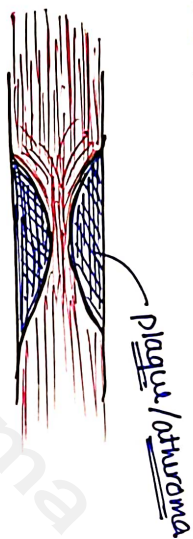
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main reason behind classical angina is severe arteriosclerotic in coronary artery which supply blood to the deeper tissue of heart.



— this results in decrease ↓ coronary artery perfusion —
 (Blood to organ/tissue)

ii) Variant Angina —

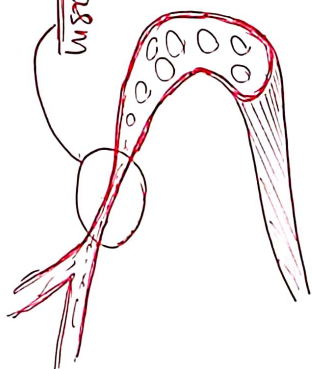
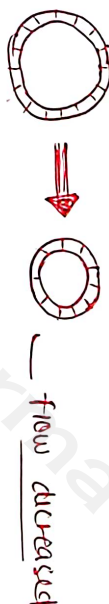
Also known as vasospastic and prinzmetal angina.

- uncommon and unpredictable
- Attacks occurs at rest
- Caused by coronary vasospasm.

\downarrow → ↓ Blood supply

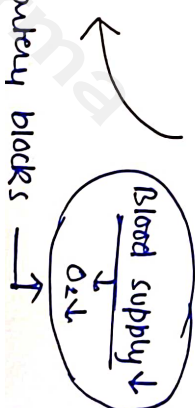
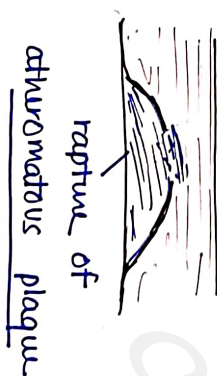
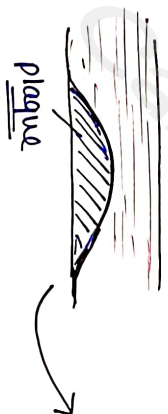
• No atherosclerosis.

- In this, drugs used to relieve vasospasm.



iii) Unstable Angina —

~~slow~~ Rapid increasement in duration and severity of attacks which occurs during rest.



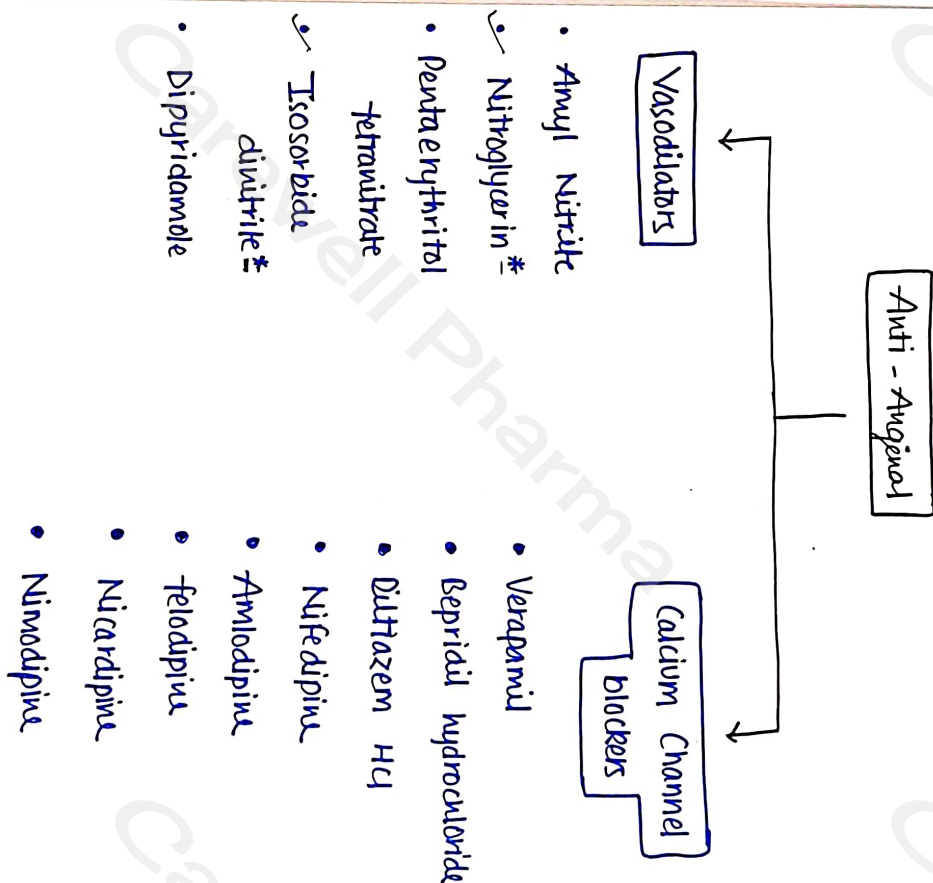
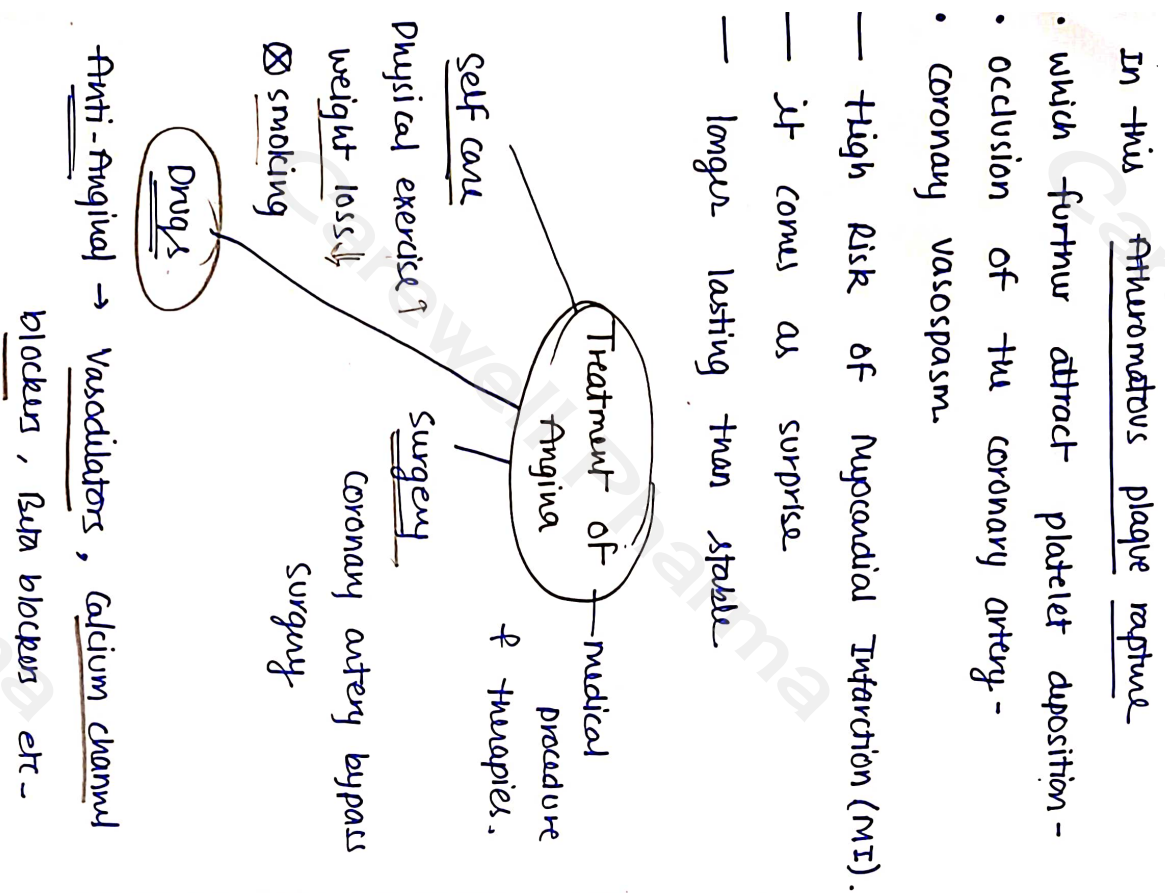
— formation of blood clot → artery blocks → ↓

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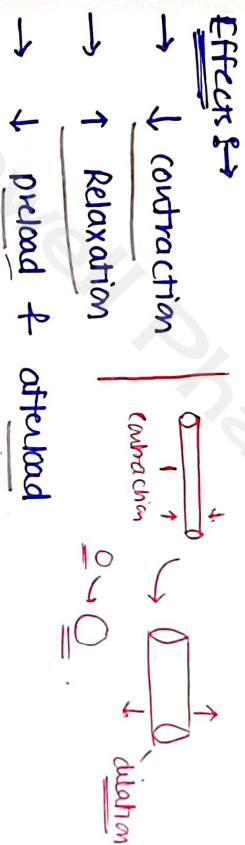
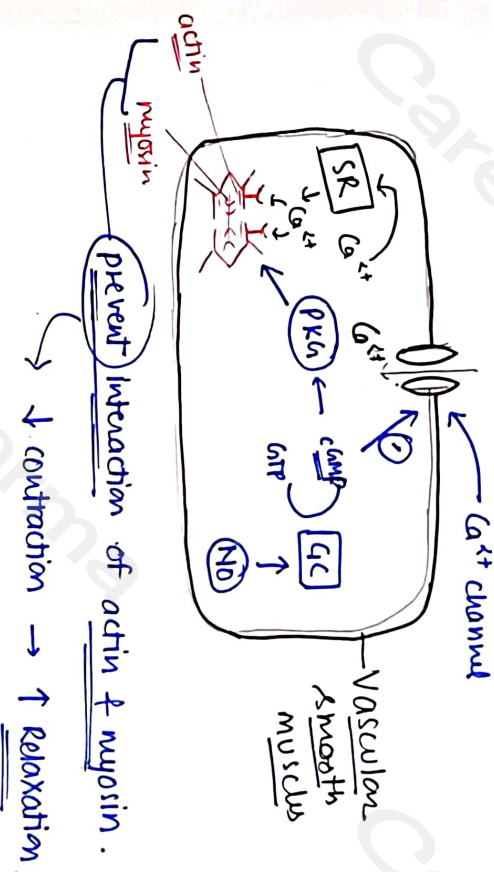
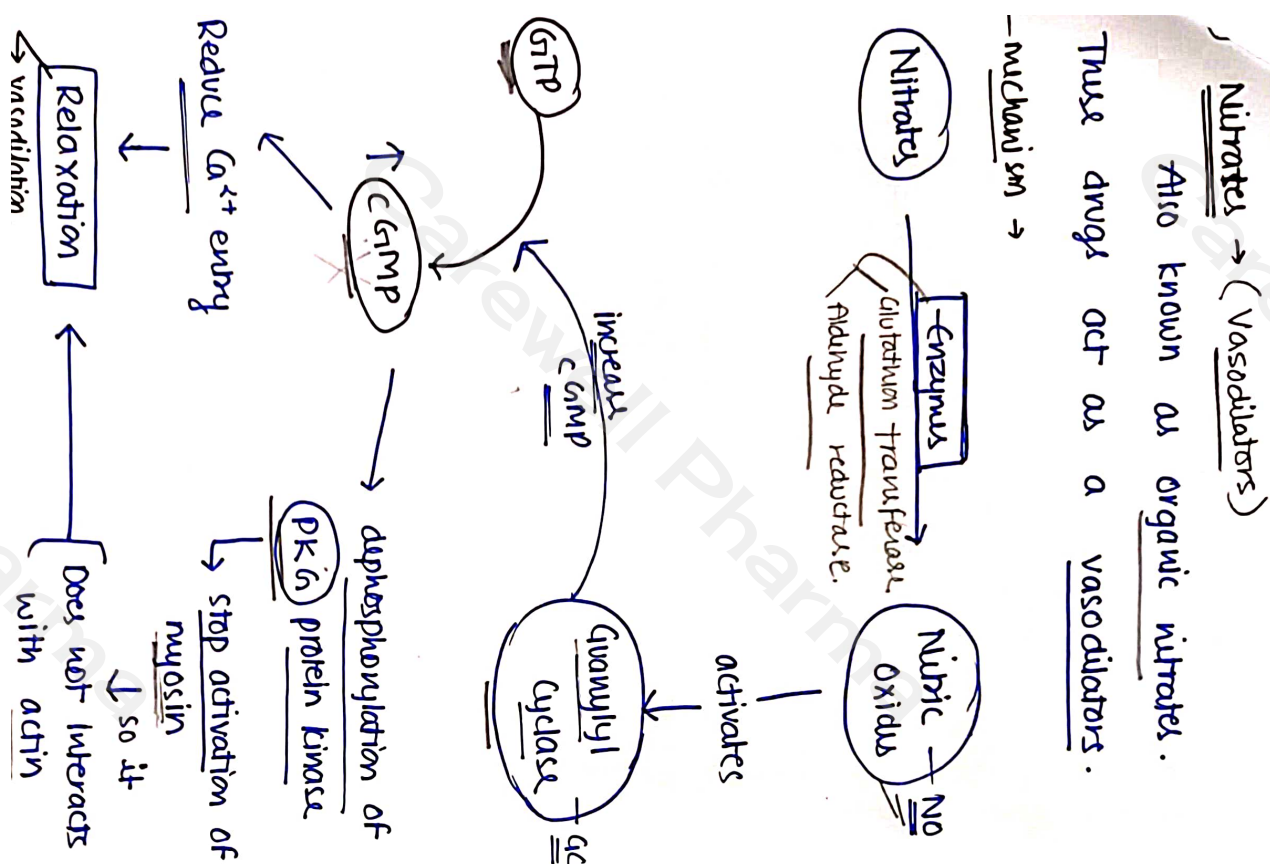


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(eg). NTN → Guanylyl nitrate / Nitroglycerin

Isosorbide dinitrate

• lipid soluble - well absorbed through

buccal mucosa, intestine & skin.

- Shortacting → if use sublingual

- long acting → if use orally.

• Adr → Headache, flushing, weakness, sweating etc.

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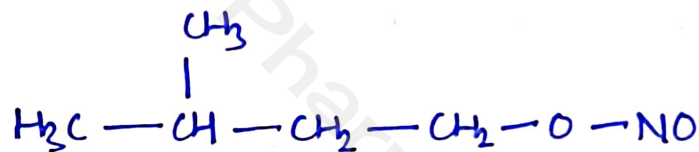
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① Vasodilators :-

Also known as Nitrates

1) Amyl Nitrate :-



• MOA →

- It produces Nitric oxide which results in the reduction of systemic and pulmonary arterial pressure and decrease cardiac output by peripheral vasodilation.

• Uses →

used to treat Angina and other heart disease

- Sometimes used as an antidote for cyanide poisoning

- In industry, it used as cleaning agent and as a solvent.

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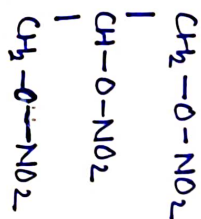
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② Nitroglycerin :-

Also known as Glycerol Trinitrate

(GTN).



• MOA -

- It produces Nitric oxide (NO)
- then some

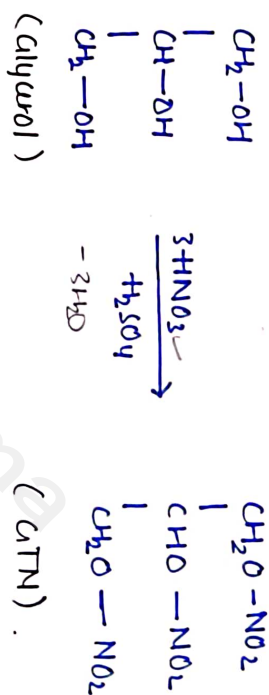
• Uses -

- Used in the treatment of Angina and other heart disease
- used in the form of sublingual tablet for fast acting

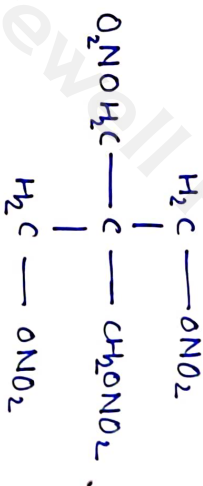
• Synthesis -

When Glycerol is treated with Nitric acid in the presence of sulphuric

acid give Nitroglycerine [Nitration].



③ Pentaerythritol tetranitrate :-



• MOA -

- Similar as GTN but duration of action is more than GTN.

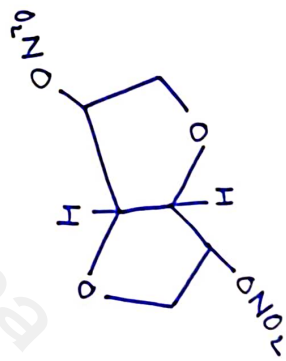
• Uses -

- Used in the treatment of Angina and other heart disease.

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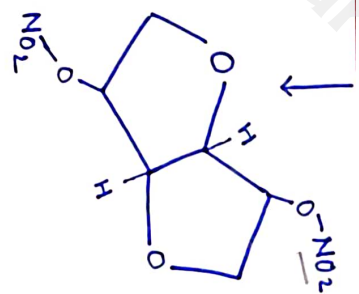
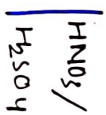
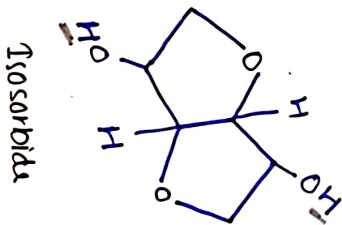
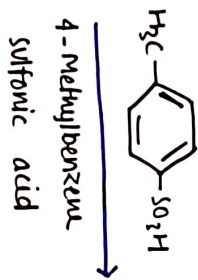
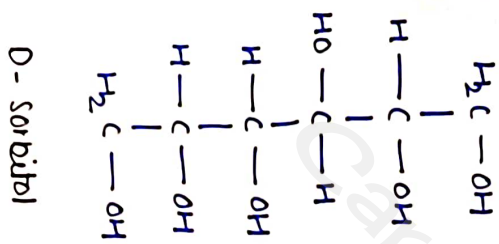
④ Isosorbide dinitrate :-



• M.O.A -

- Similar as GTN (Nitro glycerin).

• Synthesis -

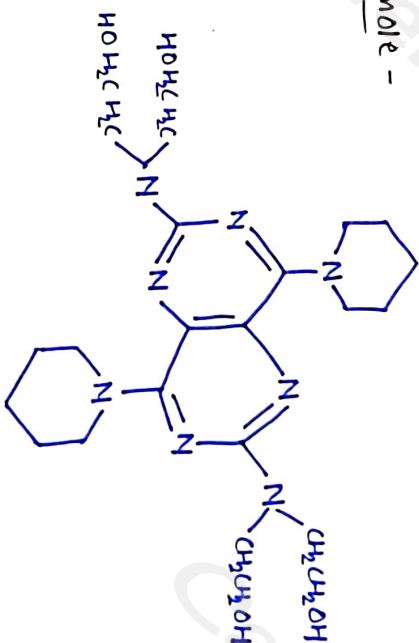


— Isosorbide dinitrate

• Uses -

- used in the treatment of acute angina in the form of sublingual or chewable tablets.

⑤ Dipyridamole -



• M.O.A -

- It inhibits the phosphodiesterase enzyme that normally breakdown cAMP and cGMP.

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- It inhibits the cellular reuptake of adenosine into platelets - increase AMP.

• Uses -

- used as antiplatelet drugs.
- used to dilate the blood vessels.
- used as vasodilator to treat angina and other heart disease.

ii)

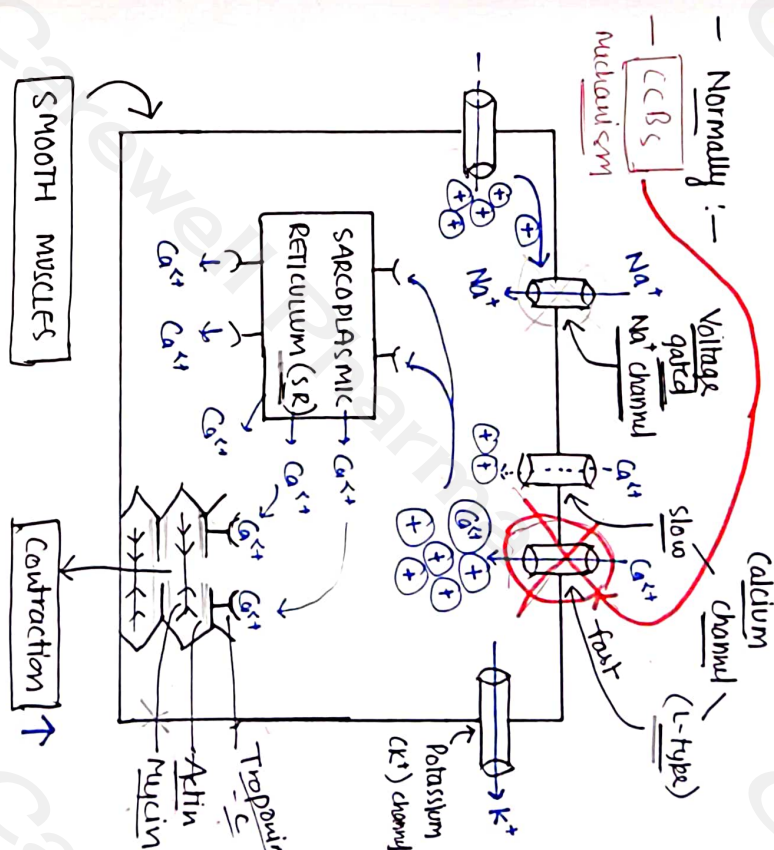
Calcium Channel blockers

- These drugs which are used in the treatment of Angina pectoris, hypertension and many other heart problems.

• These drugs give their action by blocking calcium channel which inhibit the influx of Ca^{2+} which is responsible for excitation, contraction and secretion.

• Mechanism of action :-

- Normally :-



- Calcium channel responsible for influx of Ca^{2+} ion.
- Now, Voltage gated L-type calcium channel are more sensitive and more sensitive and most of the Ca^{2+} ion comes through it.

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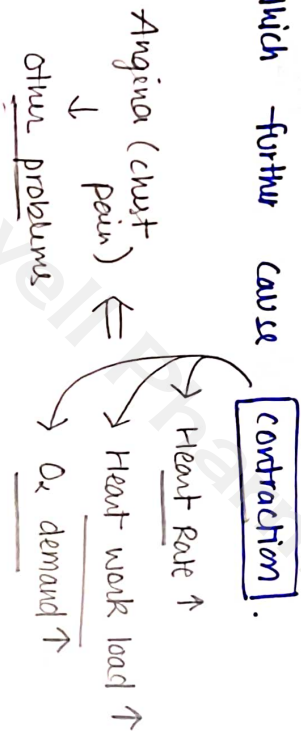
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- Now, these Ca^{2+} ion activate sarcoplasmic reticulum which further produce more Ca^{2+} ion.

- Now, these Ca^{2+} ion bind with Troponin-C receptor and cause interaction of Actin and myosin.

- Which further cause **contraction**.



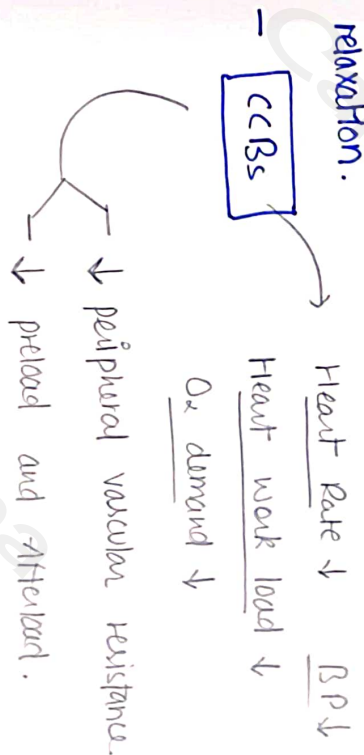
- Now, Calcium channel blockers (CCBs) introduced into the body.

- These drugs blocks L-type voltage gated calcium channel.

- which further inhibit the Ca^{2+} ion influx

- which decrease the contraction & cause

relaxation.



• Drugs :-

1) Phenylalkylamines

- Verapamil
- Bepridil hydrochloride.

2) Benzothiazines

- Diltiazem hydrochloride

3) Dihydropyridines

- Nifedipine
- Amlodipine
- felodipine
- Nicardipine
- Nimodipine

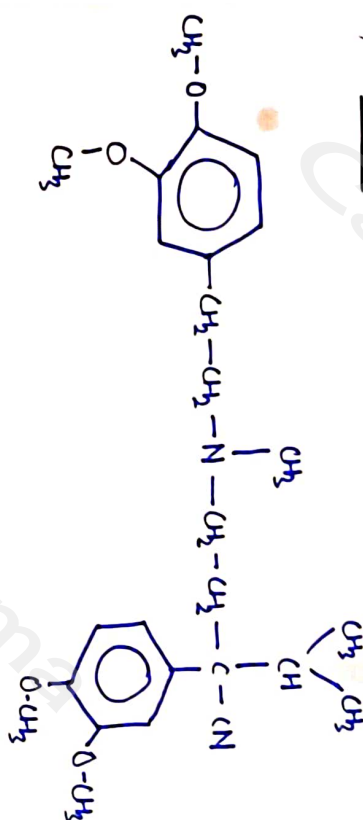
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1) Verapamil :-



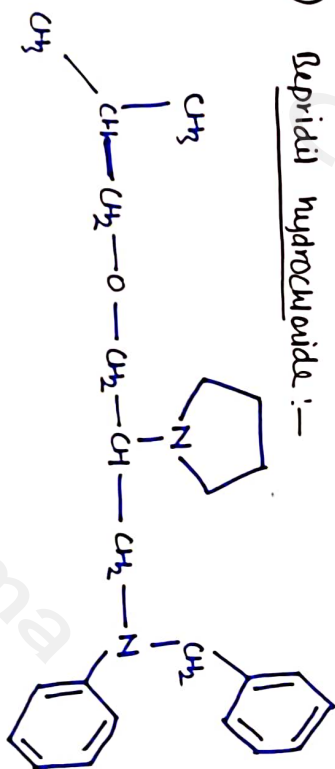
• MOA -

- Same as CCBs (inhibit L-type Ca^{2+} channel).

• Uses -

- used in the treatment of angina, hypertension and other heart diseases.
- used to control heart rate.

ii) Bepridil hydrochloride :-



• MOA -

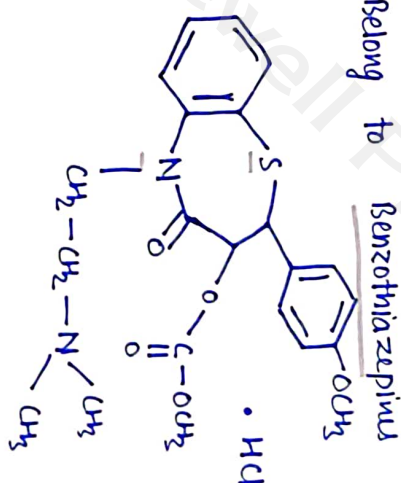
- It give their action by inhibiting both Calcium as well as sodium channel.

• Uses -

- used to treat angina, hypertension and other heart conditions.

iii) Diltiazem :-

Belong to Benzothiazepines



• HCl

• MOA -

- same as other

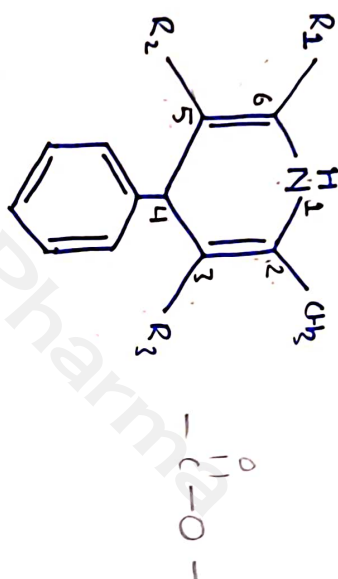
• Uses -

- used in the treatment of angina, pectoris, hypertension and other.

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- Dihydropyridines (DHP)
- SAR (structure Activity Relationship)

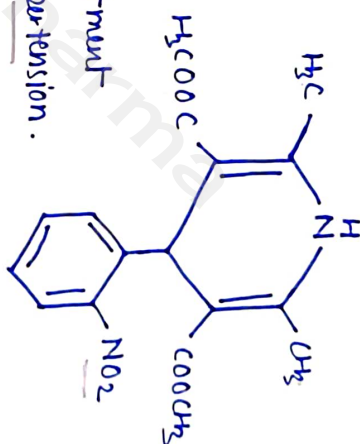


- Substituted phenyl ring at 4th position is essential for activity
- Now, substitute in this phenyl ring by (X) - Cl, NO₂ increases the activity.
- 1,4-dihydropyridine ring is essential for activity
- Substituted at 1st position decreases activity.
- Methyl group at position 2nd & 6th increases activity + essential. (except amlodipine).

- Presence of ester group at position 3rd & 5th increases the activity.

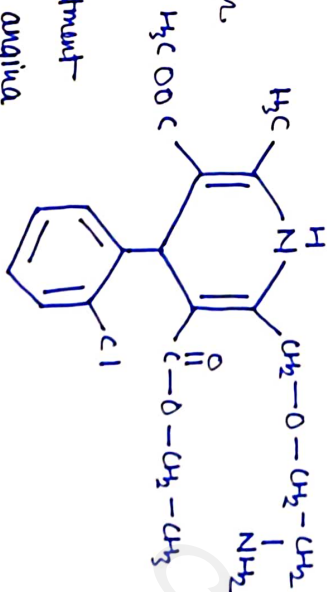
iv) Nifedipine -

- MOA -
- Same as other.
- Uses -
- Used in the treatment of Angina, hypertension.
- Used in Raynaud's phenomenon.



v) Amlodipine -

- MOA -
- Same as other.
- Uses -
- Used in the treatment of stable angina and hypertension.



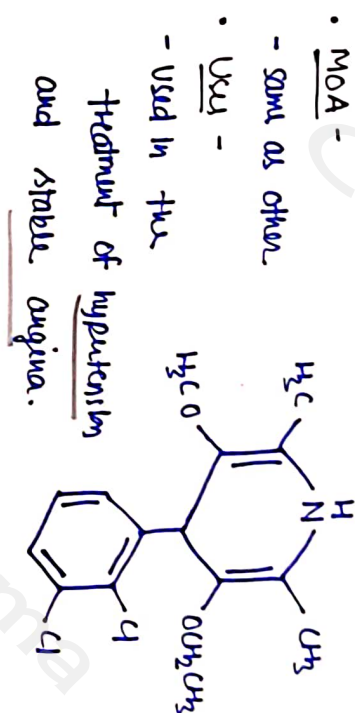
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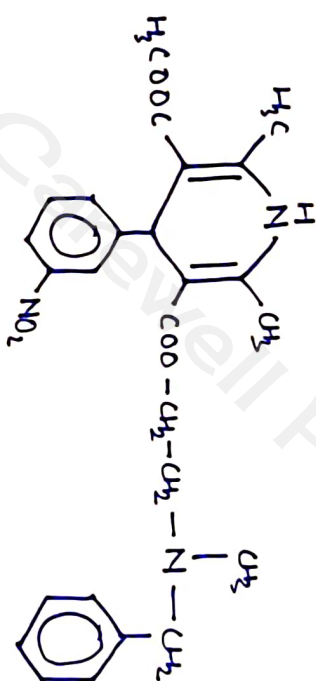
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vi) felodipine :-

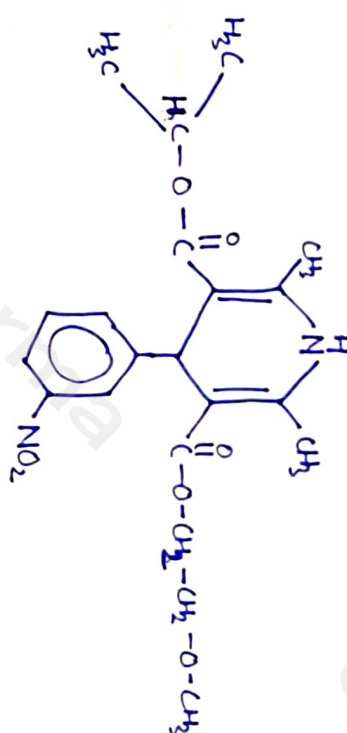


vii) Nitrendipine :-



- MOA -
- same as other.
- Uses -
- used in the treatment of angina and coronary spasm.
- used to treat hypertension.

viii) Nimodipine :-



- MOA -
- same as other.
- Uses -
- used in the treatment of Angina.
- dilates cerebral blood vessels.

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