ANTI-HYPERTENSIVE DRUGS

Effective treatment of hypertension is very important. This is so because any elevation of BP significantly increases morbidity and mortality.

Types of hypertensions:

Category	Systolic BP (mm Hg)		Diastolic BP (mm Hg)	Recommendation
Normal	<120	and		Recheck in 2 years
High Normal (prehypertension)	120–139	or	80–89	Recheck in 6 months.
Hypertension				
Mild	140–159	or	90–99	Confirm and treat within 2 months.
Moderate**	160–179	or	100–109	Treat within 1 month.
Severe**	180–209	or	110–119	Treat within 1 week.
Very severe**	≥ 210	or	≥ 120	Treat immediately.

The 03 major aims of treatment of hypertension are:

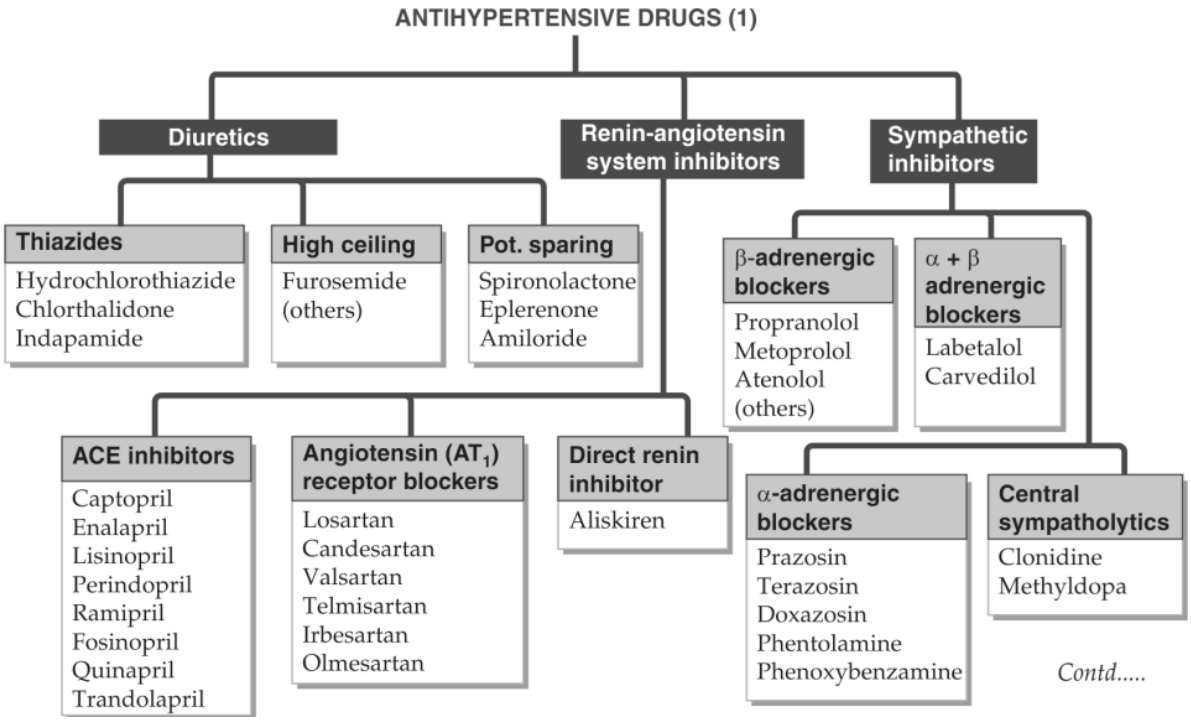
(a) Maintaining the BP as near normal as possible (less than 140/90 mm Hg) without undue side effects

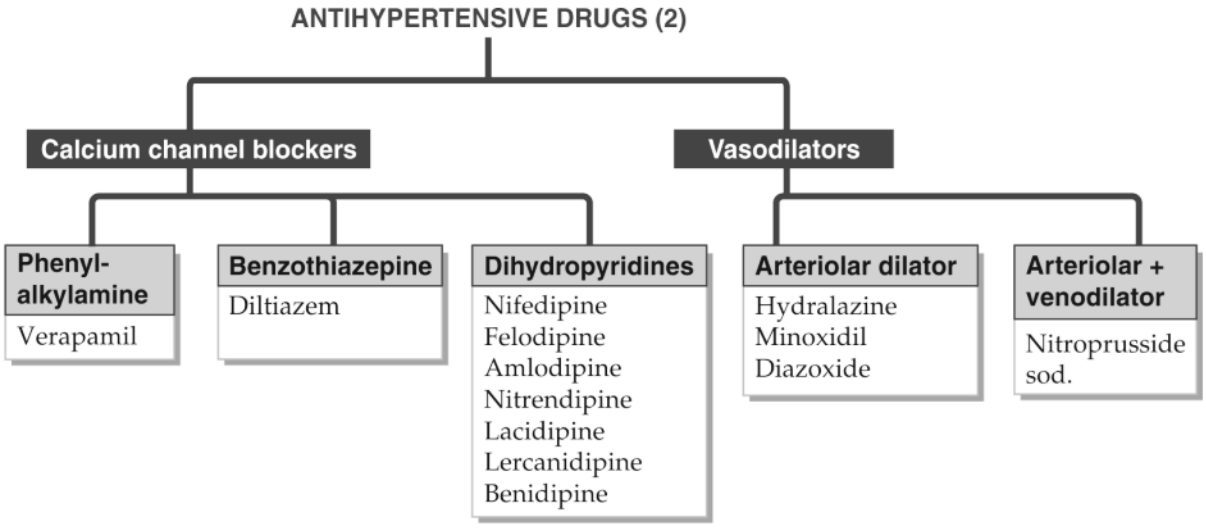
(b) Antihypertensive drugs are started in small doses, increased gradually (start low, go slow).

(c) Prevention of cardiac arrhythmias, heart failure and other complications.

Four main group of drugs used for controlling hypertension are:

Diuretics (decrease blood volume and sodium retention), Sympatholytics, vasodilators and the agents decreasing the activity of renin-angiotensin aldosterone system (RAAS)





Source: KDT

Sympatholytic agents:

This group of drugs is aimed at decreasing the activity of sympathetic system. This task may be accomplished with the use of drugs that decrease central sympathetic outflow, block the autonomic ganglia, deplete the neurotransmitter store or block the adrenergic receptors.

DRUGS INHIBITING CENTRAL SYMPATHETIC OUTFLOW

Clonidine and α-methyl dopa act as α2 agonists in the brain. Clonidine acts directly whereas the effect of α methyl dopa is due to its conversion to α methyl norepinephrine (α methyl dopa is a prodrug and converted to its active metabolite in the brain). Both of these drugs can cause sedation. Abrupt discontinuation of clonidine therapy can lead to rebound hypertension (treated by phentolamine); therefore, this drug is not suitable for people having travelling job. Clonidine, if administered by i.v. route initially leads to rapid rise in blood pressure followed by prolonged fall. The initial rise is due to the activation of vascular post-synaptic α2 receptors by high concentration of clonidine. Oral dose is slowly absorbed and such high concentrations are not attained, so orally it results only in antihypertensive effects.

New drugs like moxonidine and rilmenidine are congeners of clonidine with longer half lives. These drugs are selective for imidazoline receptors that modulate the central α2 receptor activity.

All of these drugs can result in sodium and water retention on prolonged use. Diuretics can be added to these agents to restore the sensitivity.