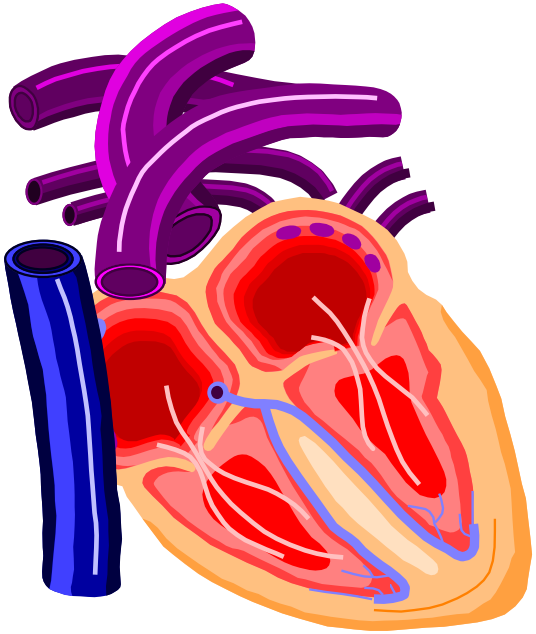
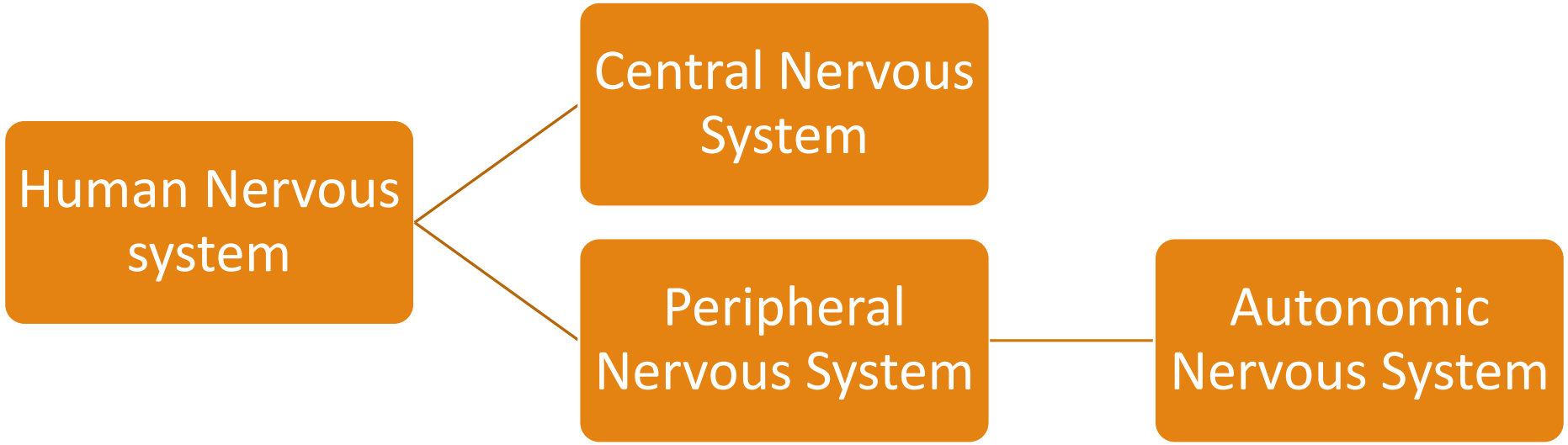


Dr. Vishaal Bhat

anti-adrenergic drugs

Divisions of human nervous system

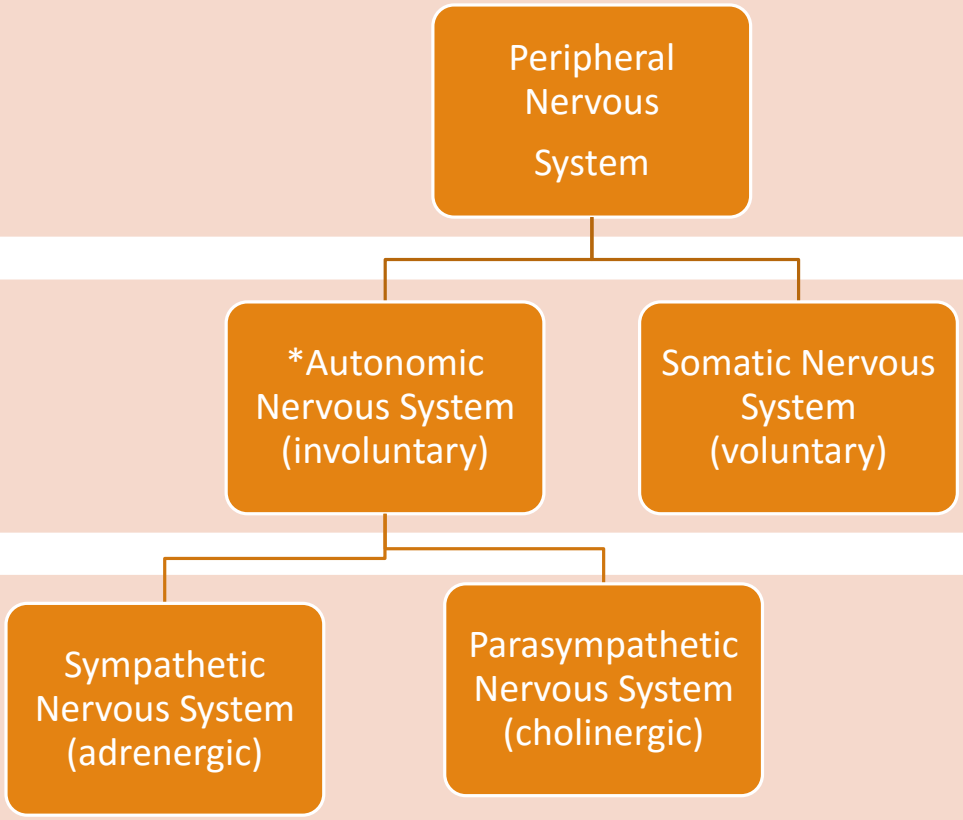


Nervous system

Includes neurons and ganglia outside of the brain and spinal cord

*Either "*fight and flight*" mode or "*rest and digest*"

With neurotransmitters norepinephrine and acetylcholine



Sympathomimetic or adrenergic in sympathetic nervous system—neurotransmitters are _____

Parasympathomimetic or cholinergic are used to describe parasympathetic system—neurotransmitter is _____

Sympathetic nervous system

Fight or flight response results in:

1. Increased BP
2. Increased blood flow to brain, heart and skeletal muscles
3. Increased muscle glycogen for energy
4. Increased rate of coagulation
5. Pupil dilation

Adrenergic receptors

Alpha—A1 and A2

Beta—B1, B2, B3

Review of functions of sympathetic nervous system receptors

Alpha 1—smooth muscle contraction

Alpha 2-negative feedback causes less norepinephrine to be released so BP is reduced

Beta 1—increased heart rate

Beta 2—bronchodilation

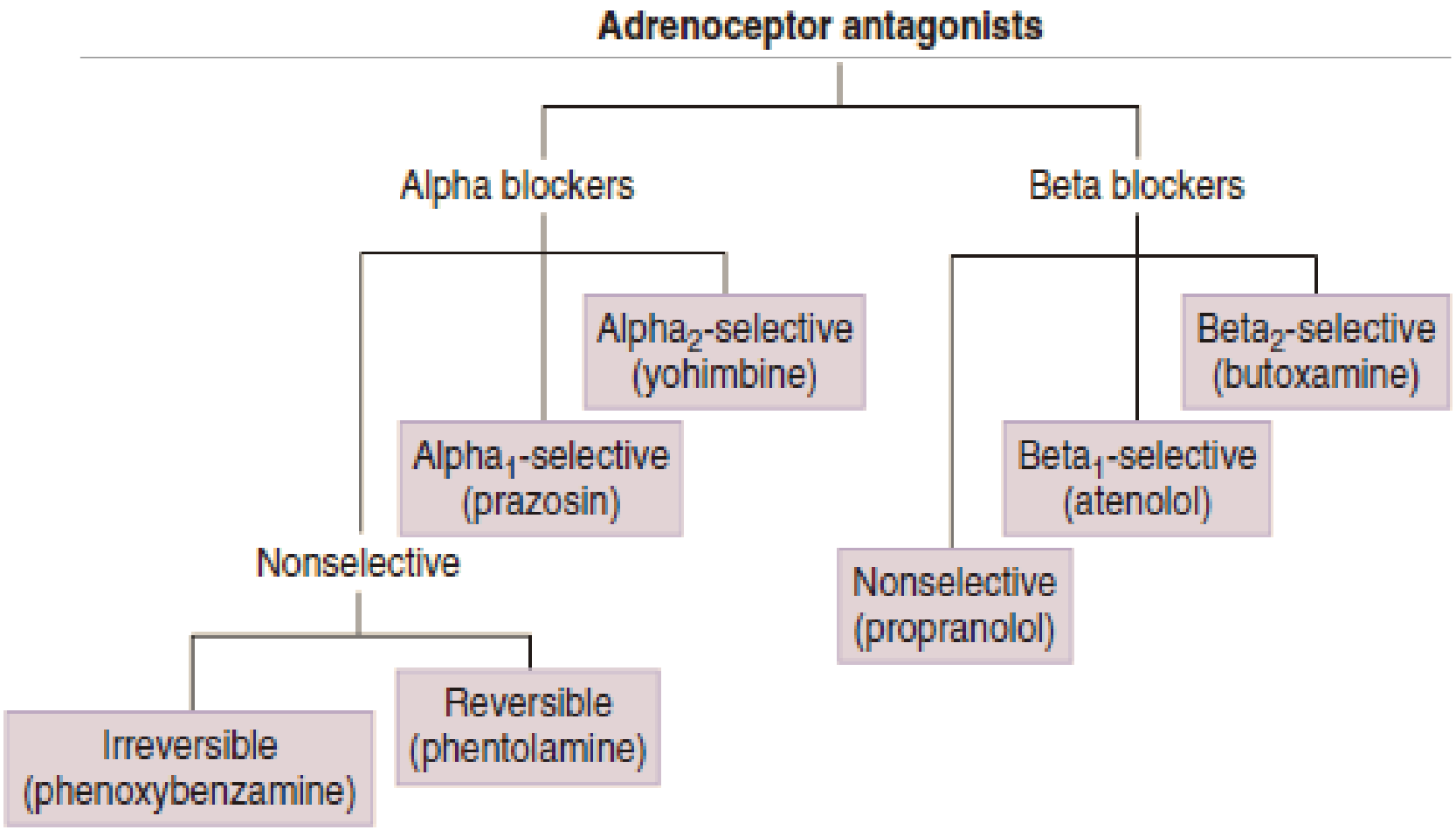
Beta 3—actual site for lipolysis

Anti-adrenergics

Sympatholytic

Block or decrease the effects of sympathetic nerve stimulation, endogenous catecholamines and adrenergic drugs

Adrenergic Antagonists



ALPHA-BLOCKERS

A. Classification

Irreversible, Non selective: Phenoxybenzamine

Reversible, Non selective: Phentolamine

Alpha1-selective: Prazosin, Terazosin, Tamsulosin

Alpha2-selective: Yohimbine, rauwolscine

Beta-blockers (antagonists)

Selective (β_1)	Non selective (β_1 & β_2)	Mixed (β & α)
Atenolol	Esmolol	Carvedilol
Betaxalol	Propranolol	Labetalol
Metoprolol	Sotalol	
	Timolol	

Beta adrenergic blocking medications

Prevent receptors from responding to sympathetic nerve impulses, catecholamines and beta adrenergic drugs.

Effects of Propranolol

Decreased heart rate

Decreased force of contraction

Decreased CO

Slow cardiac conduction

Decreased automaticity of ectopic pacemakers

Effects of Propranolol

Decreased renin secretion from kidneys

Decreased BP

Bronchoconstriction

Less effective metabolism of glucose. May result in more pronounced hypoglycemia and early s/s of hypoglycemia may be blocked (tachycardia)

Effects of Propranolol

Decreased production of aqueous humor in eye

May increase VLDL and decrease HDL

Diminished portal pressure in patients with cirrhosis

Beta Blockers - Indications

Mainly for cardiovascular disorders (angina, dysrhythmias, hypertension, MI and glaucoma)

In angina, beta blockers decrease myocardial oxygen consumption by decreasing rate, BP and contractility. Slow conduction both in SA node and AV node.

Beta blockers

Inhibition of renin, decreasing cardiac output and by decreasing sympathetic stimulation

May worsen condition of heart failure as they are negative inotropes

May reduce risk of “sudden death”

Beta blockers

Decrease remodeling seen in heart failure

In glaucoma, reduce intraocular pressure by binding to beta-adrenergic receptors in ciliary body, thus decrease formation of aqueous humor

Beta blockers

Propranolol is prototype

Useful in treatment of hypertension, dysrhythmias, angina pectoris, MI

Useful in pheochromocytoma in conjunction with alpha blockers (counter catecholamine release)

migraines

Beta Blockers

In cirrhosis, propranolol may decrease the incidence of bleeding esophageal varices

Used to be contraindicated in heart failure, now are standard

Known to reduce sudden death

Often given with ACEIs

Indications include: htn, angina, prevention of MI

Adverse reactions of β-blockers

- Blockade of β_1-receptors may cause bradycardia, AV block, heart failure.

- Blockade of β_2-receptors may cause bronchospasm, cold extremities,intermittent claudication (reducing peripheral blood flow) and hypoglycemia.

- CNS effects: sleep disturbance, dreams and hallucinations (more common with lipophilic drugs which cross the BBB).

- Fatigue is probably a result of reducing of cardiac output and reduced muscle perfusion in exercise

- Most beta-blockers raise the plasma concentration of triglycerides and lower the concentration of HDL.

- Sudden withdrawal syndrome: β-blockers should be stopped gradually.

Drug Interactions

- Propranolol + lignocaine - reduces the clearance of lignocaine by decreasing hepatic blood flow. This will lead to lignocaine toxicity.

- Beta Blockers should be used very carefully in diabetic patients who are being treated with Insulin / Sulphonylureas.
  - Propranolol masks the hypoglycemic symptoms such as tachycardia and sweating .
  - It also prevents the synthesis of new glucose molecules and breakdown of glycogen in the liver, preventing recovery from hypoglycaemia.

Thank You