D.PHARM • FIRST YEAR

Pharmaceutical Chemistry

CHAPTER 6

Drugs Acting on Autonomic Nervous System



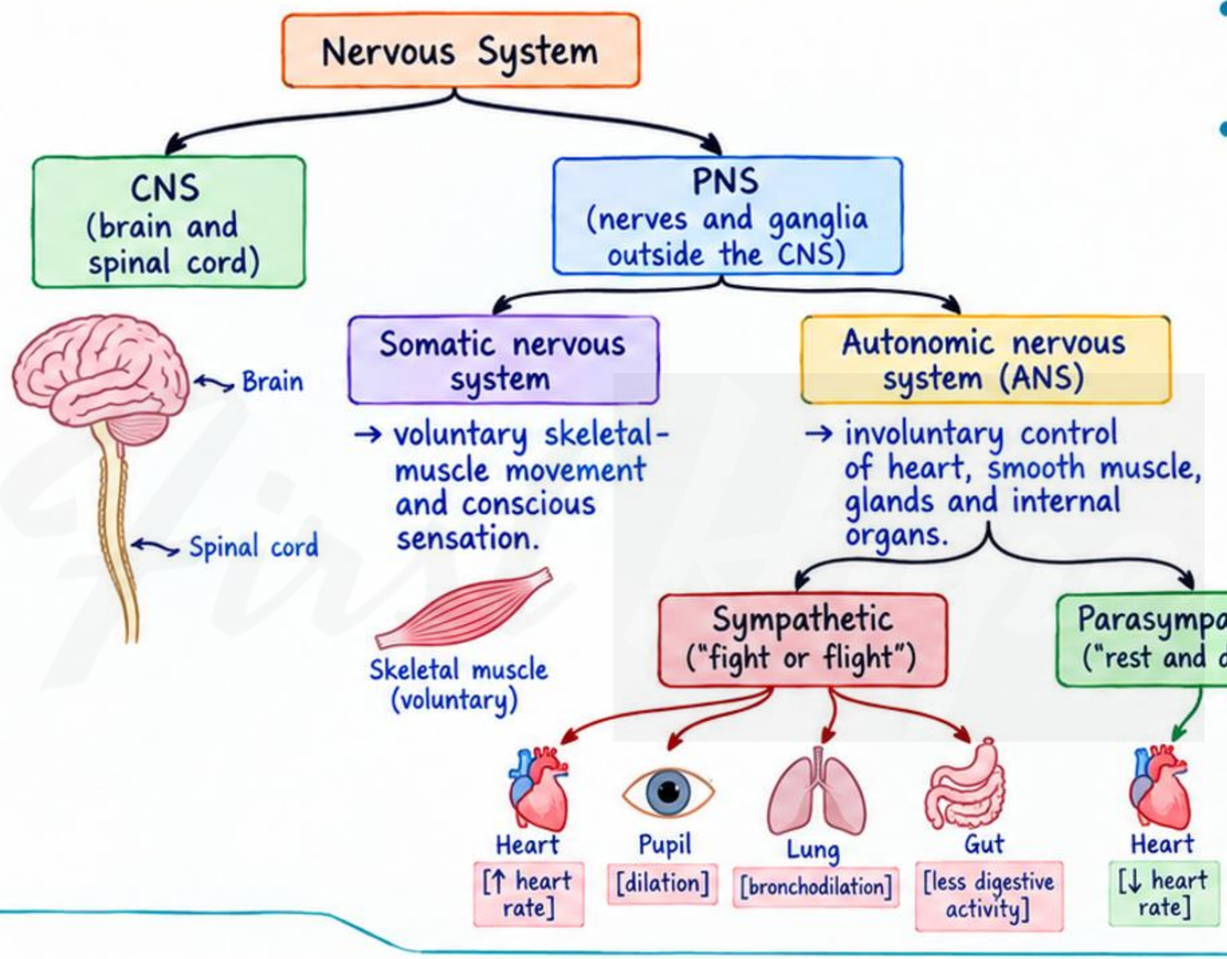
ENGLISH CHAPTER NOTES

DIPLOMA IN PHARMACY

DRUGS ACTING ON AUTONOMIC NERVOUS SYSTEM

NERVOUS SYSTEM

- Neurons carry electrical and chemical signals throughout the body.
- CNS: brain and spinal cord.
- PNS: nerves and ganglia outside the CNS; connects the CNS with organs, muscles and skin.



PNS DIVISIONS

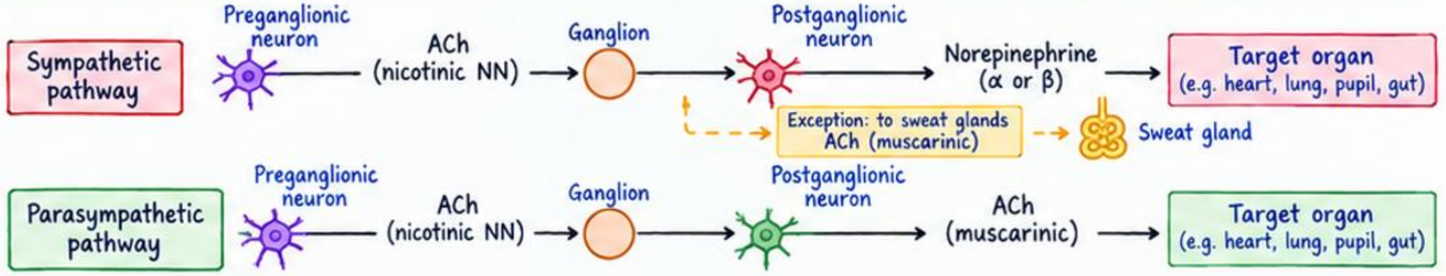
- Somatic nervous system → voluntary skeletal-muscle movement and conscious sensation.
- Autonomic nervous system (ANS) → involuntary control of heart, smooth muscle, glands and internal organs.

ANS DIVISIONS

- Sympathetic = "fight or flight": ↑ heart rate, bronchodilation, pupil dilation, less digestive activity.
- Parasympathetic = "rest and digest": ↓ heart rate, ↑ digestion and gland secretion, pupil constriction.

BASIC ANS WIRING

- All preganglionic autonomic neurons release ACh at nicotinic NN receptors.
- Most sympathetic postganglionic neurons release norepinephrine at α or β receptors.
- Exception; sympathetic fibres to sweat glands release ACh at muscarinic receptors.
- Parasympathetic postganglionic neurons release ACh at muscarinic receptors.



DRUG IDEA

- Agonist → activates receptor or increases transmitter action.
- Antagonist → blocks receptor and reduces transmitter action.

ADRENERGIC DRUGS: CLASSIFICATION

ADRENERGIC AGONISTS = SYMPATHOMIMETICS

They imitate sympathetic activity by activating adrenergic receptors or increasing norepinephrine in the synapse.

1. DIRECT-ACTING AGONISTS

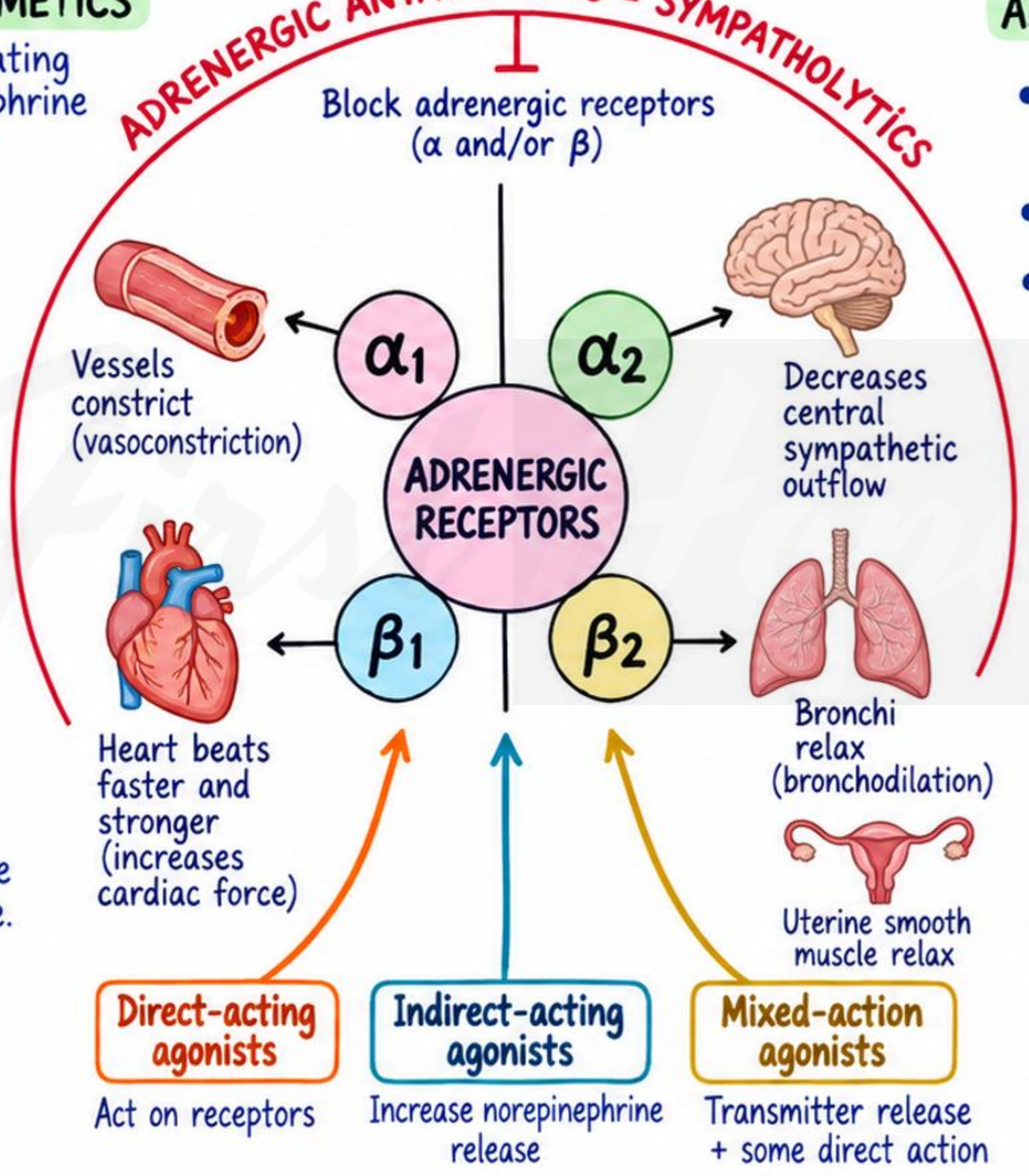
- **α_1:** Phenylephrine → vasoconstriction and mydriasis.
- **α_2:** Clonidine → decreases central sympathetic outflow.
- **β_1:** Dobutamine → increases cardiac force.
- **β_2:** Salbutamol and Terbutaline → bronchodilation.
- **$\beta_1 + \beta_2$:** Isoproterenol.
- **Multiple receptors:** Epinephrine, Norepinephrine and Dopamine.

2. INDIRECT-ACTING

Hydroxyamphetamine enters adrenergic nerve terminals and promotes norepinephrine release.

3. MIXED-ACTION

Ephedrine, Pseudoephedrine and Metaraminol combine transmitter release with some direct receptor action.



ADRENERGIC ANTAGONISTS = SYMPATHOLYTICS

- **α blockers:** Tolazoline, Phentolamine, Phenoxybenzamine and Prazosin.
- **β blockers:** Propranolol and Atenolol.
- **Mixed $\alpha_1 + \beta$ blocker:** Carvedilol.

RECEPTOR MEMORY

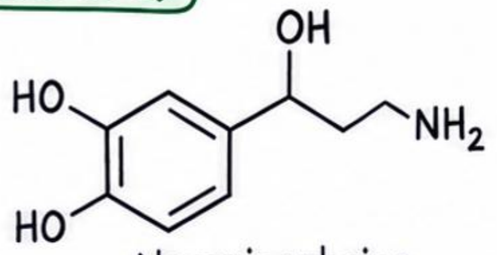
- α_1 → vessels constrict.
- β_1 → heart beats faster and stronger.
- β_2 → bronchi and uterine smooth muscle relax.

IMPORTANT CORRECTION

Metoprolol is a selective β_1 blocker, **not** a β_1 agonist.

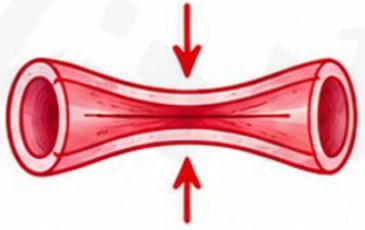
NORepinephrine & EPINEPHRINE

NORepinephrine (NORADRENALINE)



Norepinephrine
($C_8H_{11}NO_3$)

- **Chemical name:** (R)-4-(2-amino-1-hydroxyethyl)benzene-1,2-diol.
- **Main receptors:** strong α_1 and α_2; β_1 activity; little β_2 activity.
- **Action:** marked vasoconstriction → increased systemic vascular resistance and blood pressure.
- **Uses:** first-line vasopressor for septic shock; acute severe hypotension and vasodilatory shock.
- **Storage:** follow the product label; commonly 20–25°C and protected from light. Do not use a discoloured solution.
- **Form:** diluted IV infusion under close monitoring.



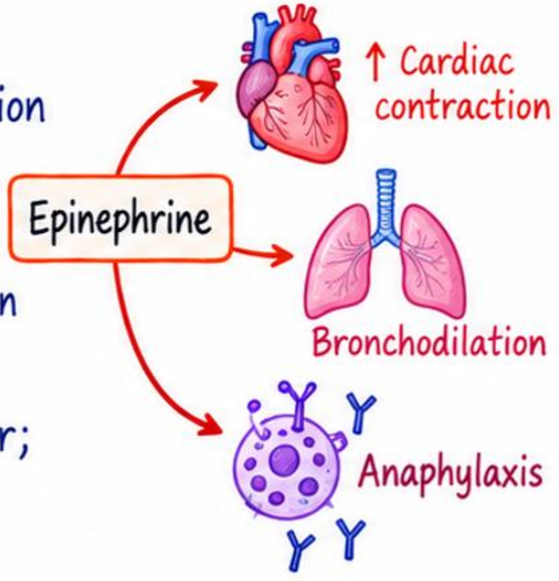
Vasoconstriction
→ ↑ Systemic vascular resistance and blood pressure

EPINEPHRINE (ADRENALINE)



Epinephrine
($C_9H_{13}NO_3$)

- **Chemical name:** (R)-4-[1-hydroxy-2-(methylamino)ethyl]benzene-1,2-diol.
- **Main receptors:** α_1, α_2, β_1 and β_2.
- **Action:** vasoconstriction + stronger cardiac contraction + bronchodilation.
- **Uses:** anaphylaxis, cardiac arrest, severe bronchospasm and prolongation of local-anaesthetic action.
- **Storage:** 20–25°C; protect from light and air; do not freeze. Oxidised solution may become pink or brown.
- **Forms:** injection and auto-injector; route depends on the emergency.



Receptor activity (comparison)

Drug	α_1	α_2	β_1	β_2
Norepinephrine	Strong	Strong	Present	Little
Epinephrine	Present	Present	Present	Present

KEY DIFFERENCE

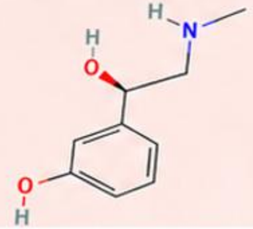
Norepinephrine mainly raises vascular tone.
Epinephrine has broader heart, vessel and bronchial actions.

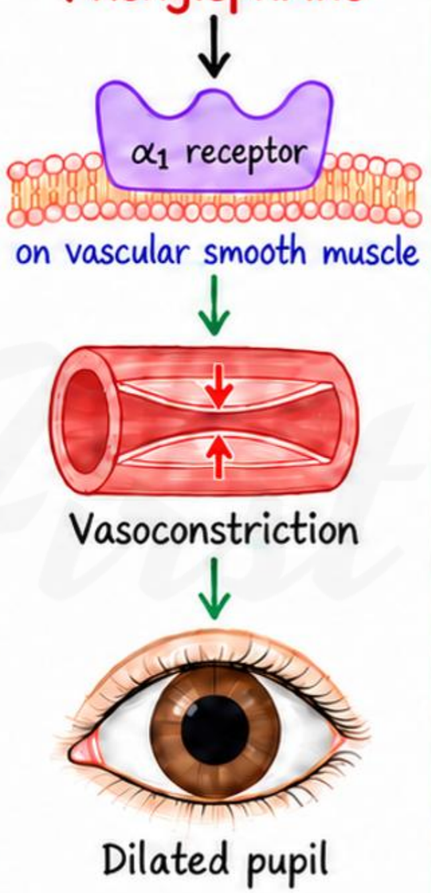
PHENYLEPHRINE & DOPAMINE

PHENYLEPHRINE

- **Class:** direct α_1-adrenergic agonist.
- **Chemical name:** (R)-3-[1-hydroxy-2-(methylamino)ethyl]phenol; commonly supplied as the hydrochloride salt.
- **Action:** α_1 stimulation → vasoconstriction and pupil dilation without cycloplegia.
- **Uses:** short-term nasal decongestion, mydriasis, and treatment of hypotension during anaesthesia or vasodilatory states.
- **Storage:** tightly closed at 20–25°C; protect from light and moisture.
- **Forms:** injection, nasal preparations and ophthalmic drops; oral products exist in some regions.

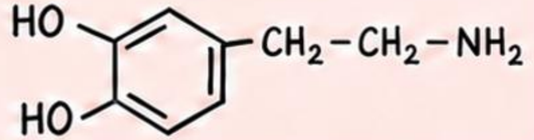
Structure (Phenylephrine)





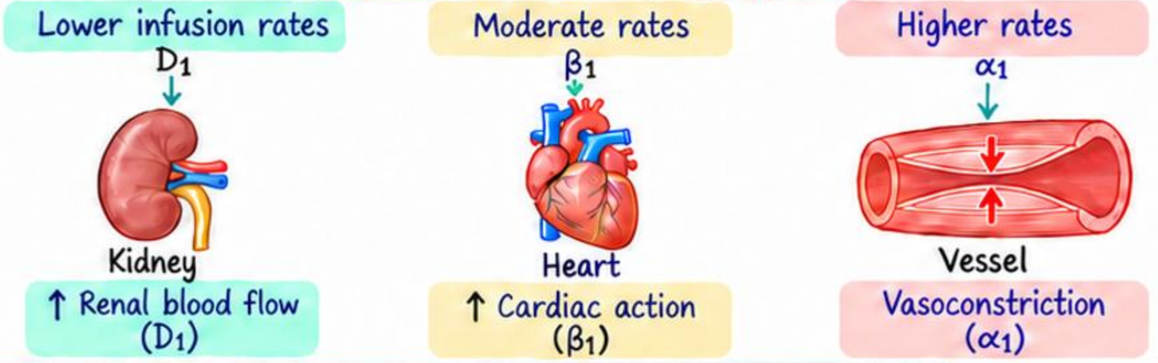
DOPAMINE

Structure (Dopamine)



- **Chemical name:** 4-(2-aminoethyl)benzene-1,2-diol.
- **Dose-related action:** lower infusion rates mainly stimulate D_1; moderate rates add β_1 cardiac action; higher rates add α_1 vasoconstriction.
- **Uses:** selected shock states with low cardiac output or hypotension when clinically appropriate.
- **Important:** "renal-dose dopamine" is not recommended solely to protect kidney function.
- **Storage:** protect injection from light and oxidation; store as labelled, commonly 20–25°C. Discard discoloured solution.
- **Form:** diluted IV infusion.

Dose-related action of dopamine



MEMORY LINE | Phenylephrine → mainly α_1. | Dopamine → receptor effect changes with infusion rate.

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