Pharmacodynamics1

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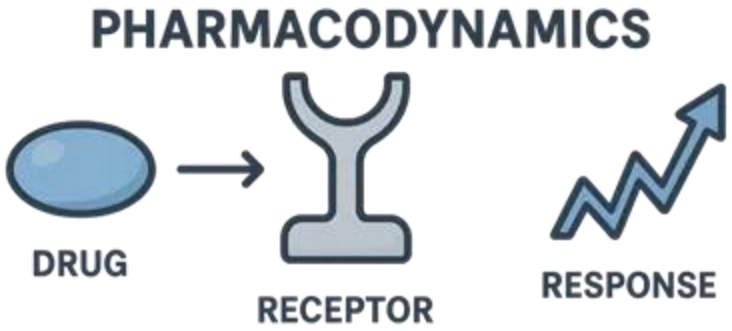
ILOS

- Recognize different drug actions.
- Define receptors
- Outline different types of receptors and mention examples

PHARMACODYNAMICS

What the drug does to the body?

1. Mechanism of action
2. Pharmacological actions

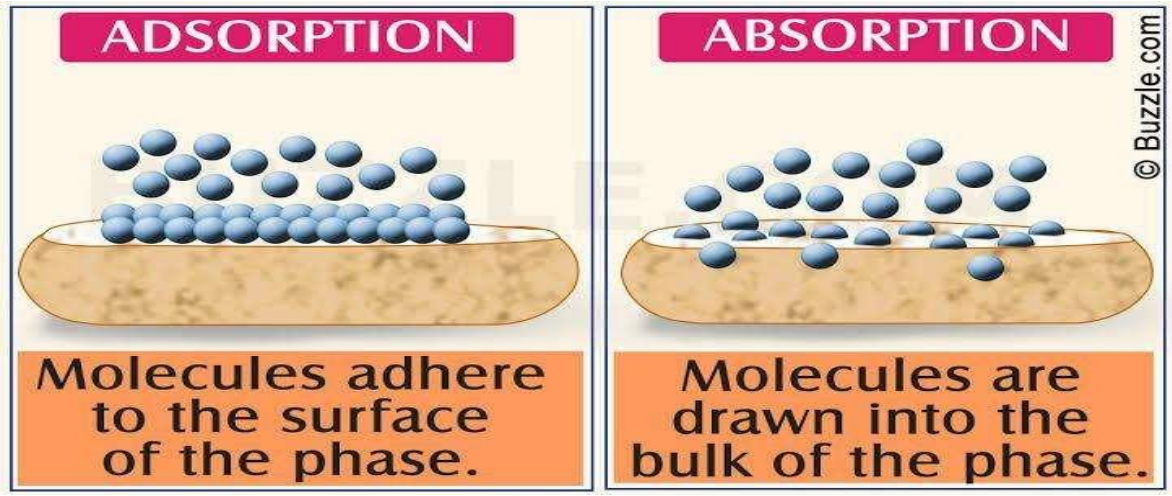


P H A R M A C O D Y N A M I C S

* Possible Mechanism/s of Action of Drugs:

1- Physical:

a- **Adsorption**: Kaolin & Activated charcoal in diarrhea.



Take care with these drugs

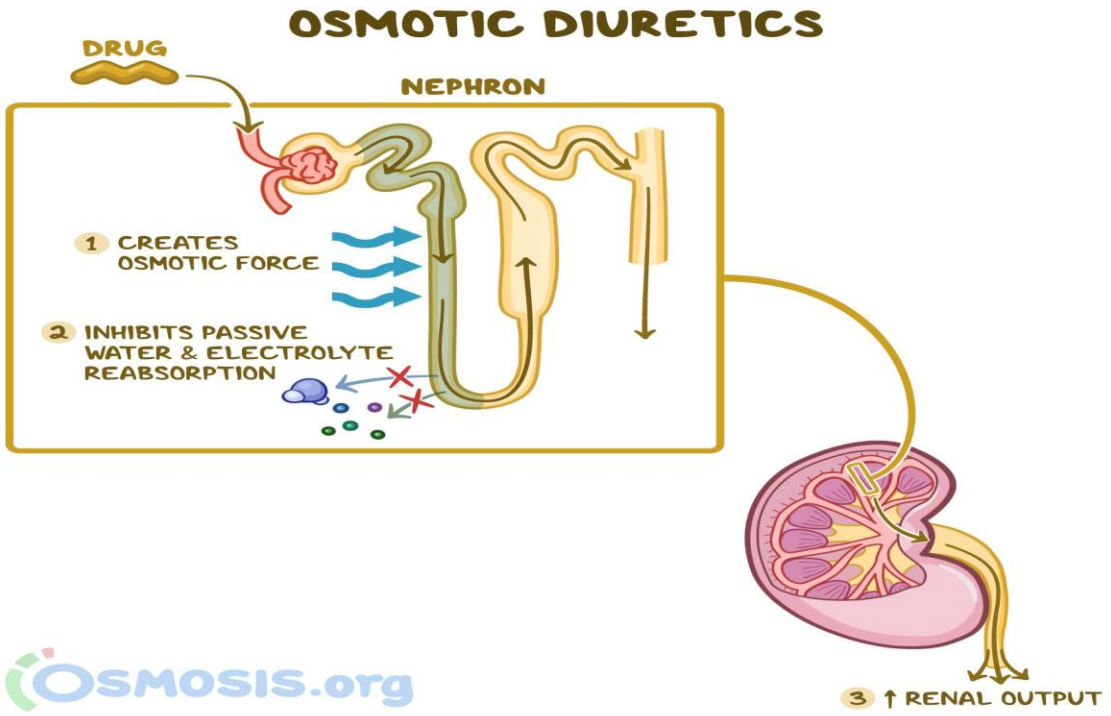
P H A R M A C O D Y N A M I C S

* Possible Mechanism/s of Action of Drugs:

1- Physical:

b- **Osmotic**:

Mannitol as a diuretic.



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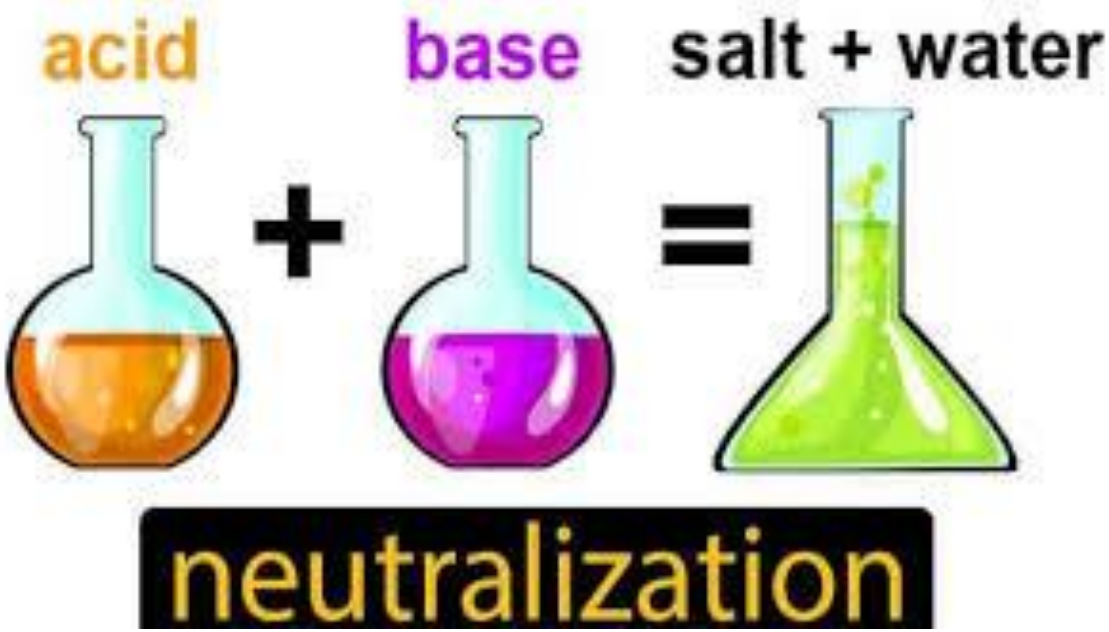
* Possible Mechanism/s of Action of Drugs:

2- Chemical:

a- Neutralization:



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* Possible Mechanism/s of Action of Drugs:

2- Chemical:

a- Neutralization:

i- NaHCO3 (Antacid) + HCl (Gastric acid) in treatment of hyperacidity.

****Antacids are weak bases that neutralize HCl in the stomach**

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* Possible Mechanism/s of Action of Drugs:

2- Chemical:

ii- Protamine sulfate (Basic) + Heparin (Acid) → Chemical antagonism.

- If bleeding occurs with heparin , administration of specific antidote protamine sulfate is indicated.
- Protamine is a highly basic, positively charged peptide that combines with negatively charged heparin as an ion pair to form a stable complex devoid of anticoagulant activity.

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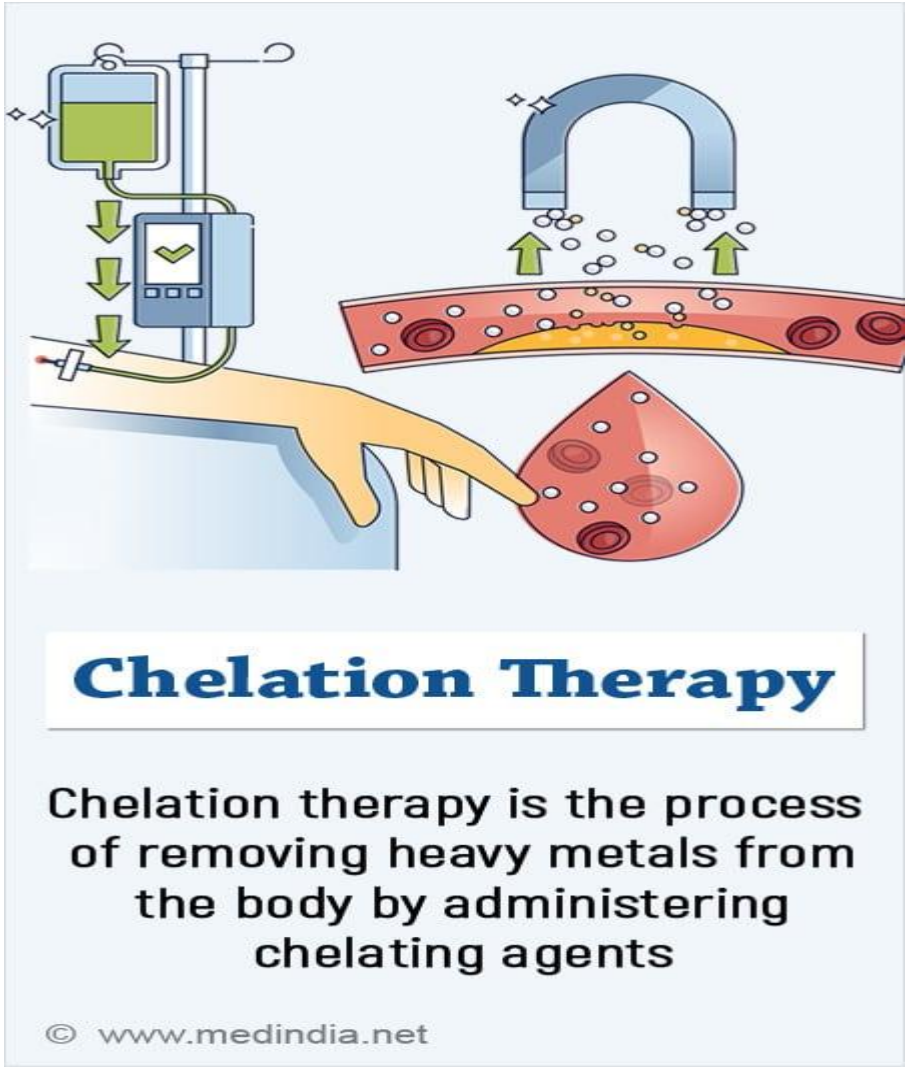
* Possible Mechanism/s of Action of Drugs:

2- Chemical:

b- Chelation:

Organic compound + Heavy metal → Non-toxic easy excreted complex e.g. Desferrioxamine for ferric iron (Fe+3).



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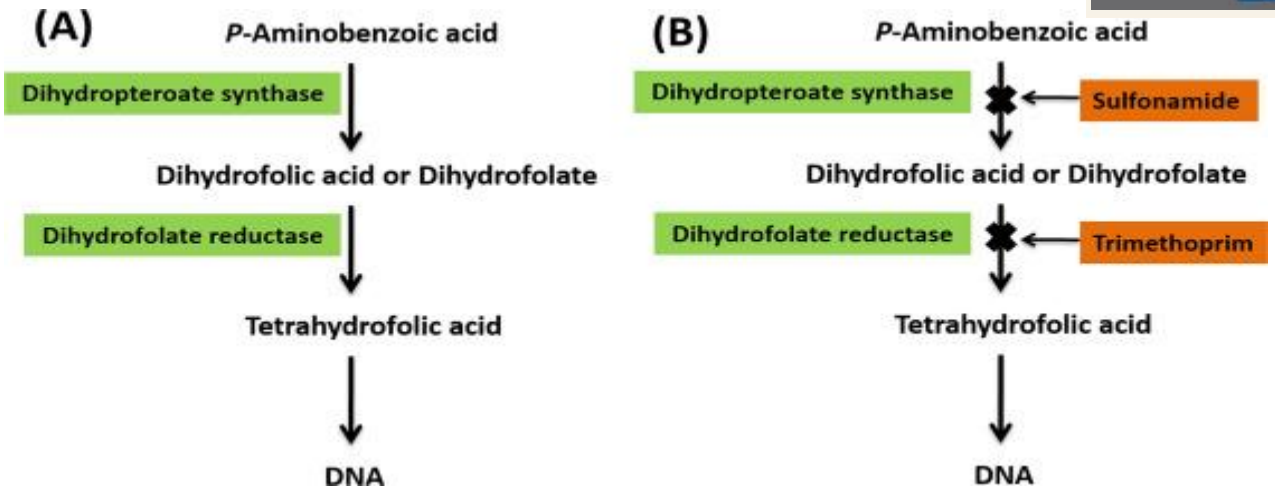
* Possible Mechanism/s of Action of Drugs:

3- Interference with Cell Division:

e.g. anti-cancer drugs

4- Interference with Metabolic Pathway:

Sulfonamides compete with PABA in bacteria leading to inhibition of synthesis of folic acid.



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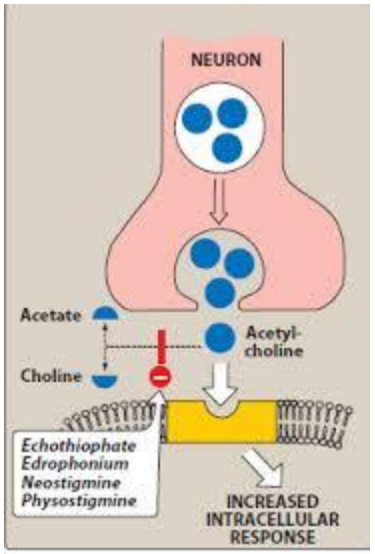
* Possible Mechanism/s of Action of Drugs:

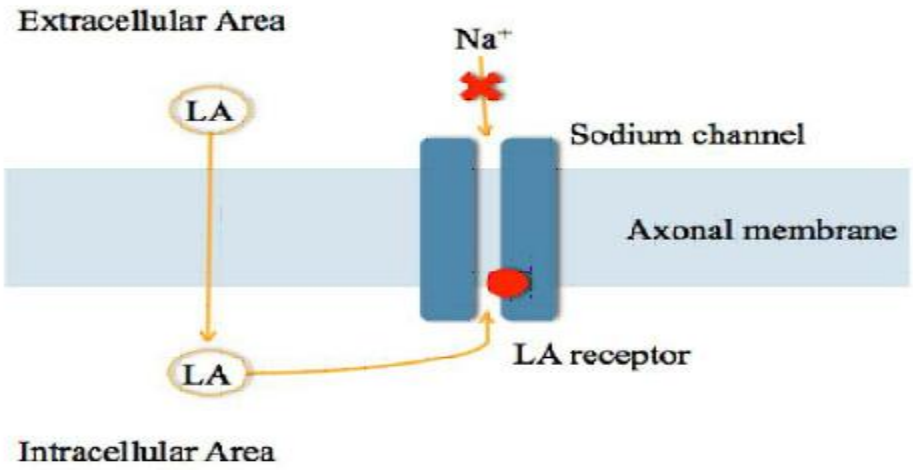


5- Inhibition of Enzymes:

- **Physostigmine** (↓ Cholinesterase).

6- Action on Voltage Dependent Ion Channel:

Local anesthetics as procaine → block Sodium (Na+) channels → membrane stabilization.



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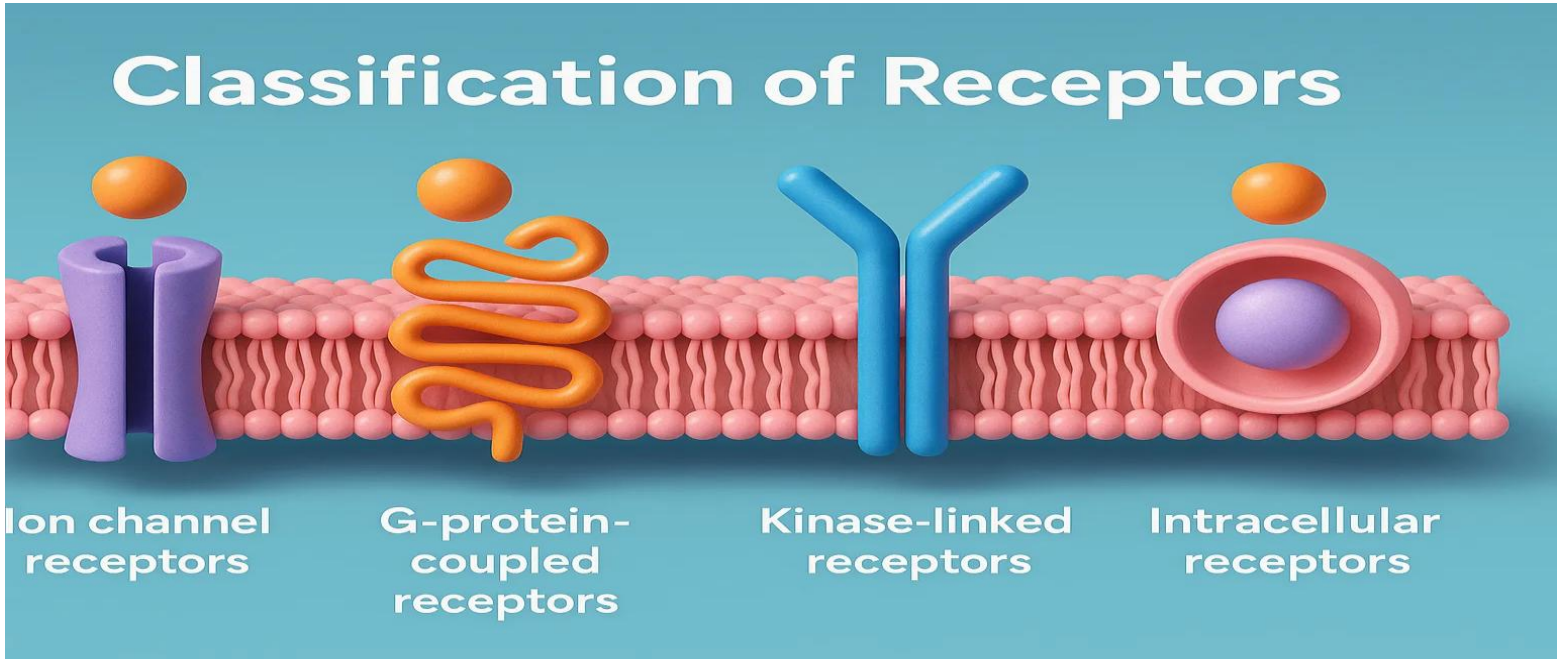
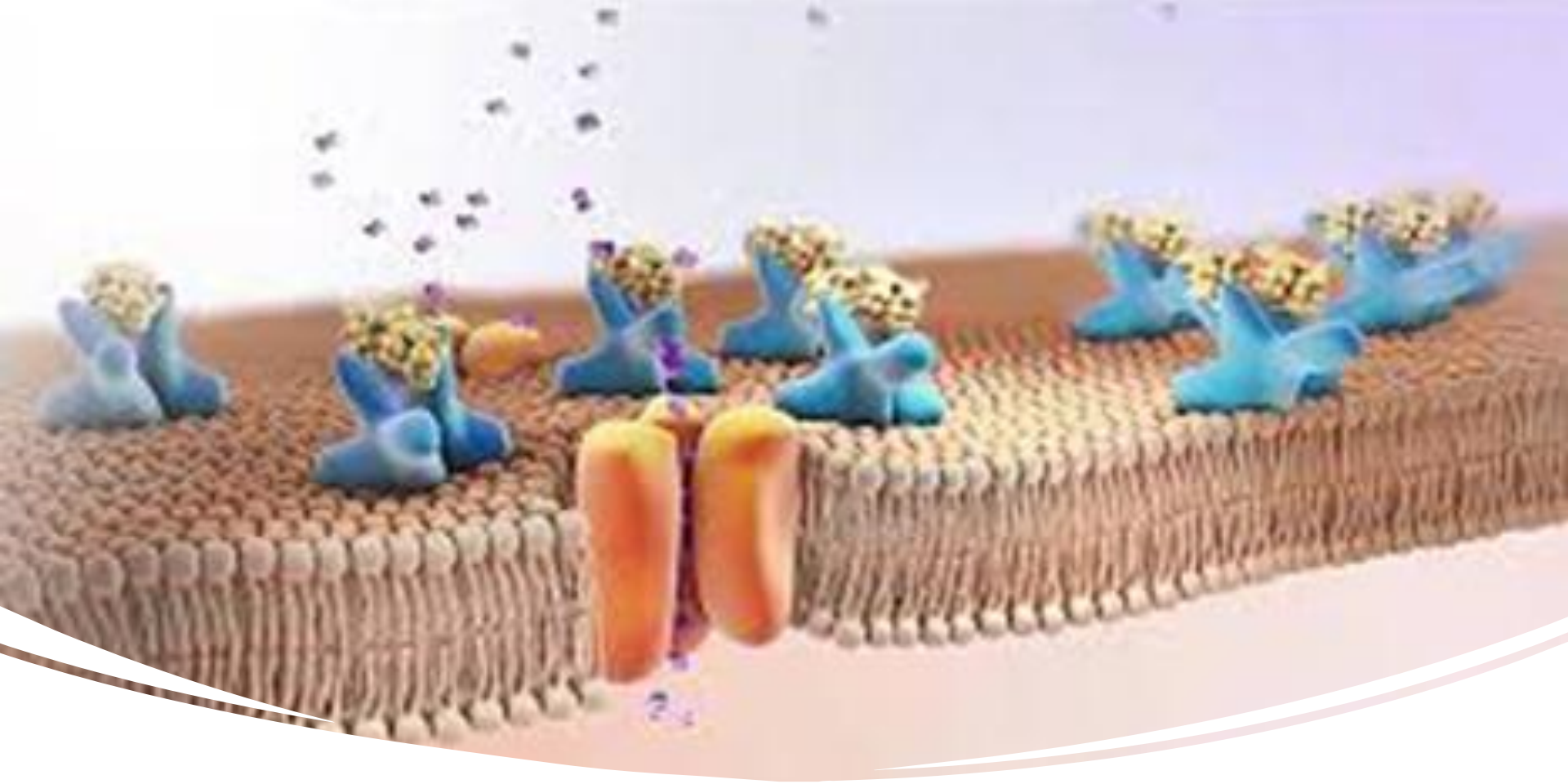
* Possible Mechanism/s of Action of Drugs:

7- Action on Receptors:

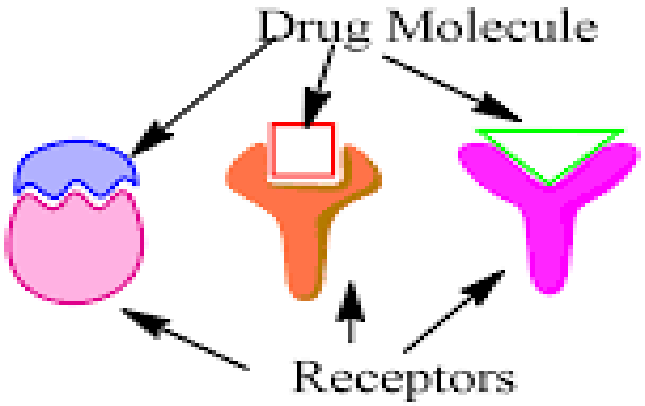
Most common mechanism of action.





- **A *Receptor*** is a macromolecule that reacts specifically with **a *Ligand*** (drug, transmitter or hormone) to produce **a biological response.**
- **The receptor may be membrane or cytoplasmic or nuclear.**

LOCK-KEY MODEL FOR DRUG-RECEPTOR INTERACTION



Affinity (Ka) *Efficacy*

Drug + Receptor ⟶ **Drug/Receptor Complex Response** ⟶ **Response**

(Kd) ⟵

1- *Affinity* = Ability of a drug to fit onto a receptor to form Drug/Receptor complex.

2- *Efficacy or Intrinsic Activity* = Ability of D/R complex to evoke a response.

3- *Ka* = Association constant with the receptor

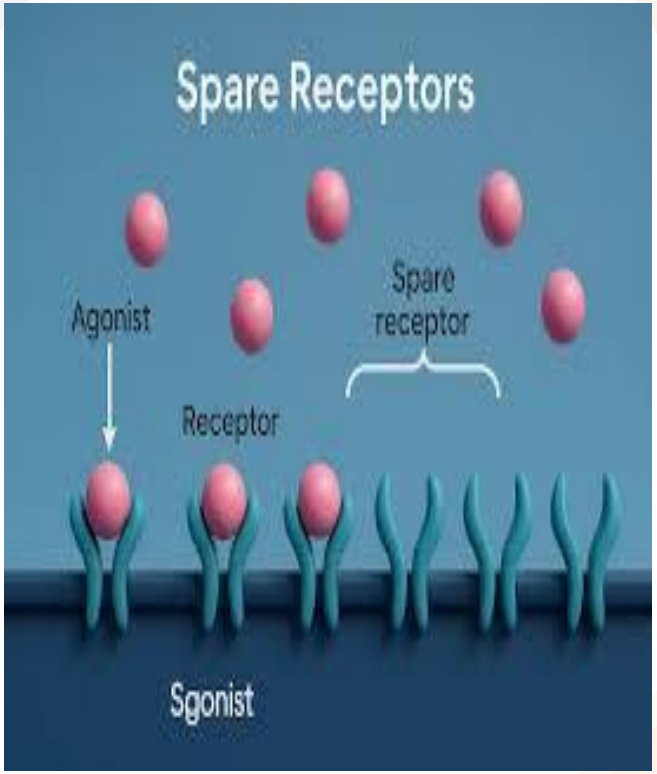
4- *Kd* = Dissociation constant from the receptor

NB) The Amount of Response to Drug/Receptor Complex Depends on:

A) Occupation Theory:

1-**The amount of action ∝ Number of receptors occupied by the drug.**

2-When a drug produces its Maximum effect; there will be some receptors remain free **= Spare receptors.**

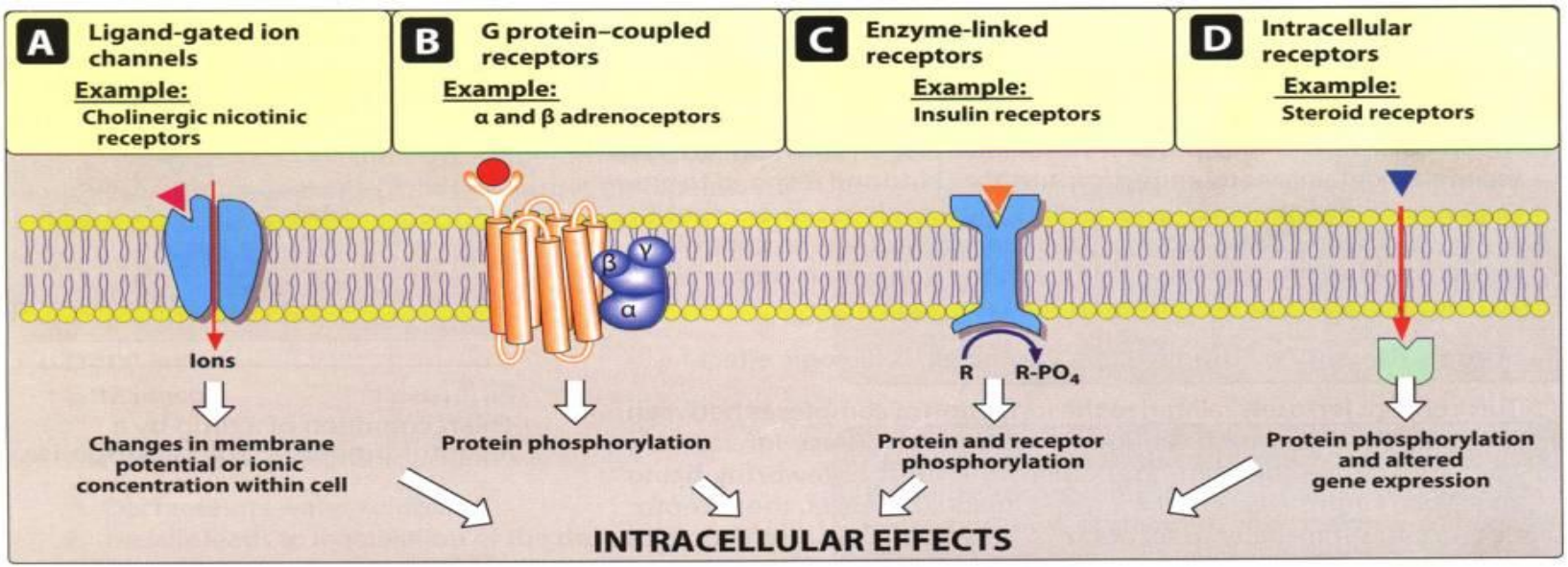


B) Rate Theory of Paton:

1-**The amount of action ∝ Rates of association (Ka) & dissociation (Kd) of D/R complex.**

2-Higher rates = Higher activity.

Types of receptors and signal transduction mechanism



A) Ligand binds to the extracellular domain of a ligand-gated ion channel.

B) Ligand binds to a domain of a transmembrane receptor, which is coupled to a G protein.

C) Ligand binds to the extracellular domain of a receptor that activates a kinase enzyme.

D) Lipid-soluble ligand diffuses across the membrane to interact with its intracellular receptor.

Questions

1-Mention different mechanisms of drug action.

2- Discuss mechanism of action of sulphonamides.

THANK YOU