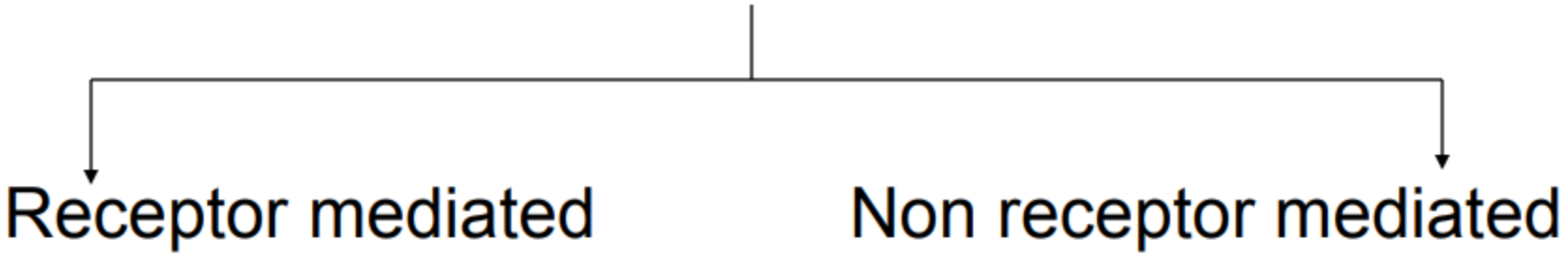
Mechanism of Action of Drugs

- Drugs act either by receptor or by non receptor or by targeting specific genetic changes.

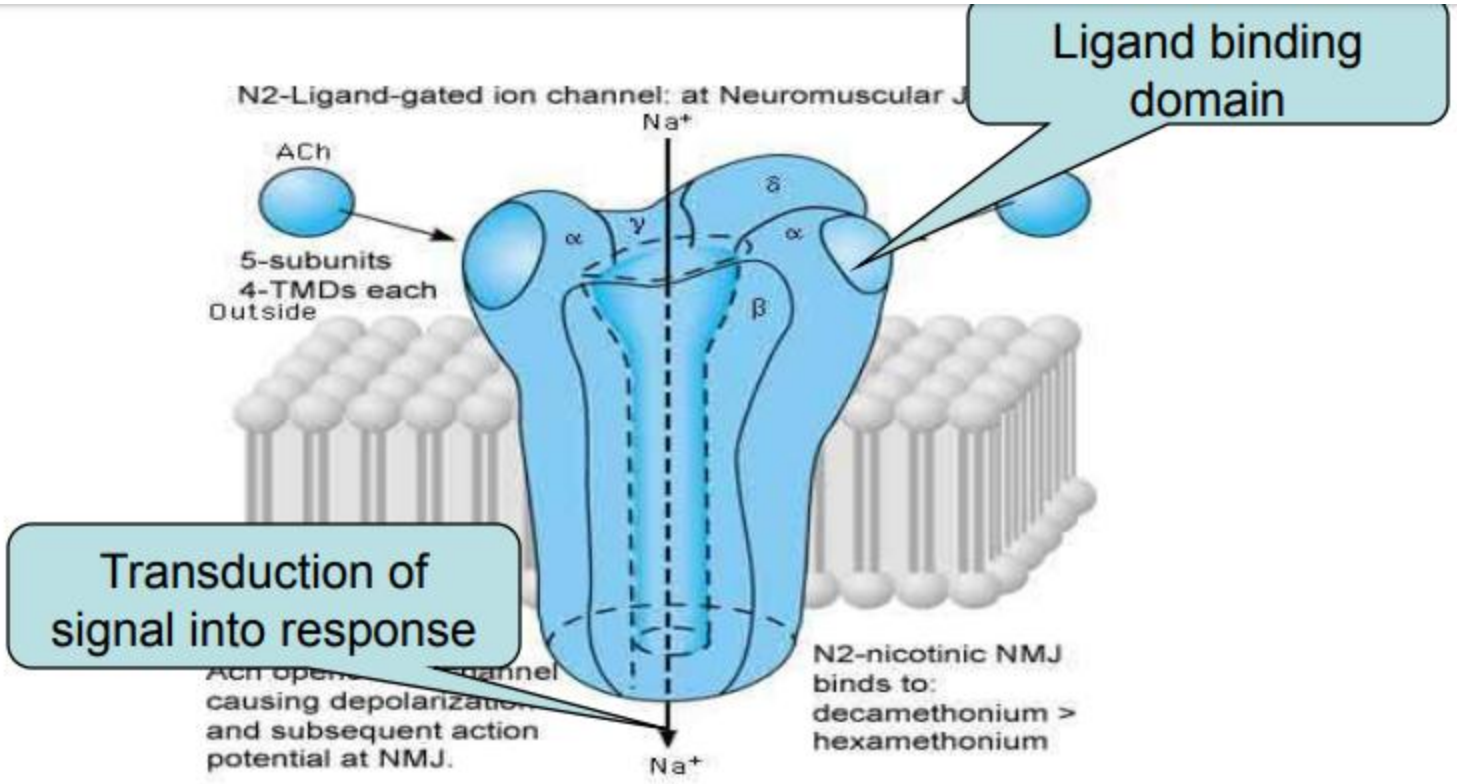
Majority of drugs acts by (HOW)



Receptor Mediated action

- Drug produce their effect through interacting with some chemical components of living organism **or** Receptor.

- Receptors are macromolecules
- Most are proteins
- Present either on the cell surface, cytoplasm or in the nucleus



Receptor Functions : Two essential functions

- 1. Recognization of specific ligand molecule (Ligand binding domain)
- 2. Transduction of signal into response (Effector domain)

Drug(D) +Receptor® ⟷ Drug receptor complex ⟶ Response

Drug receptor interaction:-

1. Selectivity:- Degree of complimentary co relation between drug and receptor.

Ex:- Adrenaline Selectivity for α, ß Receptor

2. Affinity:- Ability of drug to get bound to the receptor.

3. Intrinsic activity (IA) or Efficacy:- Ability of drug to produce a pharmacological response after making the drug receptor complex.

Drug classification

(on the basis of affinity & efficacy)

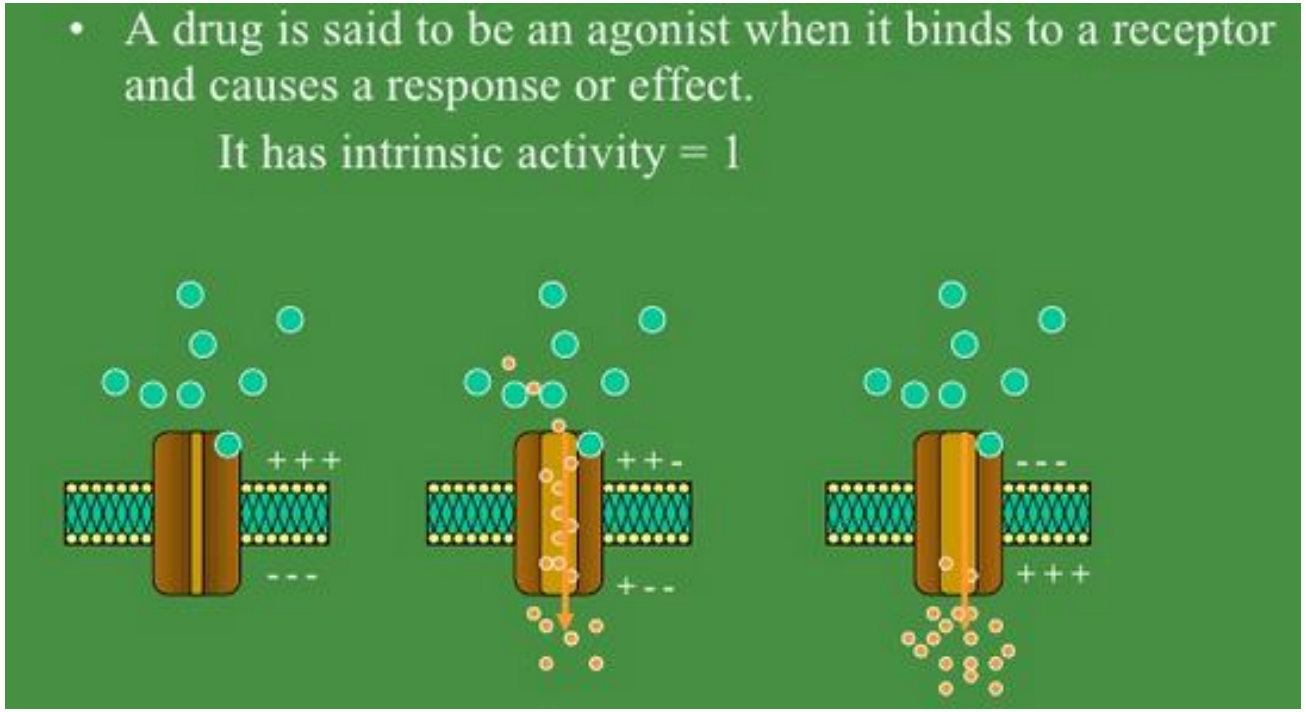
Agonist

Antagonist

Partial agonist

Inverse agonist

Agonist



Epinephrine → β adrenoreceptors → increased heart rate, myocardial contractility

Isoproterenol → β adrenoreceptors → increased heart rate → used in bradycardia

Antagonist

- A drug is said to be an antagonist when it binds to a receptor and prevents (blocks or inhibits) a natural compound or a drug to have an effect on the receptor. An antagonist has NO activity.

  Its intrinsic activity is = 0

Epinephrine → β adrenoreceptors → increased heart rate, myocardial contractility, contraction of vascular smooth muscle

Propranolol → β adrenoreceptors → No activity → used in high blood pressure

Partial agonist

- A drug is said to be a partial agonist when it binds to a receptor and causes a partial response.
- It has intrinsic activity < 1.

Endorphins → μ-opioid receptor → perception of pain ↓/χ, increase feelings of wellbeing ↑

Buprenorphine → μ-opioid receptor → weak morphine-like activity → in pain management

Inverse agonist

In pharmacology, an **inverse agonist** is a drug that binds to the same receptor as an agonist but induces a pharmacological response opposite to that of the agonist.

- Inverse agonist: These have full affinity towards the receptor but intrinsic activity is zero to -1 i.e., produces effect is just opposite to that of agonist.

Ex:- ß-Carboline is inverse agonist for Benzodiazepines receptors.

Benzodiazepines → GABA receptor → slow down CNS activity → used in anti-anxiety, muscle relaxant properties

B-Carboline → GABA receptor → neuronal excitability ↑ → used in anti-depressant

Gamma-aminobutyric acid (GABA) is an amino acid that functions as the primary inhibitory neurotransmitter for the central nervous system (CNS). It functions to reduce neuronal excitability by inhibiting nerve transmission.