

a) Ion channels

- ✓ minute pores present in the cell membrane.
- ✓ common ion channels are of Na^+ , K^+ , Ca^{+2} and Cl^-
- ✓ are **selective** – for particular ion species
- ✓ **have gating properties**
 - . **Ligand – gated channels** – activated by binding of a chemical ligand.
 - . **Voltage – gated channels** – open when the cell membrane is depolarized.

Ion – channels:

- **Blockers**

- . Calcium channel blockers.

- e.g. diltiazem

- . Sodium channel blockers.

- e.g. phenytoin, carbamazepine, lidocaine

b) Enzymes

- Biocatalysts present in the cell
- Common target enzymes: cholinestrase (ChE) ,
monoamine oxidase (MAO), cyclooxygenase, (cox)
angiotensin converting enzyme (ACE) etc.
- **Inhibitor** – normal reaction inhibited
 - competitive inhibitor
 - e.g. captopril – acting on ACE
 - normal reaction inhibited
 - non – competitive and irreversible inhibitor.
 - e.g. aspirin – acting on COX.
- **Activator.**
 - E.g. nitroglycerine activates guanylyl cyclase

c) Carrier molecules (transporters).

- The transport of ions and small organic molecules across cell membranes generally requires a carrier protein, because permeating molecules are often too polar.

➤ Normal transporter

- Transport glucose and aminoacid, transport Na^+ and Ca^{+2} out of cells.
- Uptake of neurotransmitters precursor (e.g.choline).

➤ Inhibitor

- $\text{Na}^+ / \text{K}^+ / 2\text{Cl}^-$ – cotransporter
e.g. diuretics – transport blocked (furosemide)
- Selective serotonin reuptake inhibitors (SSRI)
e.g. fluoxetine
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d) receptors

- A receptor is a protein, which is embedded in a cell membrane that facilitates communication between the outside and the inside of a membrane
- It is the initial site of action of a biologically active agent such as neurotransmitter, hormone, or drug (all referred to as ligands)

d) Receptors

A macromolecular component of an organism that interacts with a drug and initiates the chain of events leading to the drug's effect.

- ✓ Receptors are responsible for selectivity of drug action.
(Size, shape, electrical change of drug determines binding to a receptor.)
- ✓ Receptors mediate the actions of both pharmacologic agonists and antagonists.
- ✓ Function as regulatory proteins & components of chemical signaling mechanisms that provides targets for important drugs.
- ✓ Receptors largely determine the quantitative relations between dose or concentration of drug and pharmacologic effect.

Chemical bonds established during interactions between drug and its receptor

- *Ionic bond
- *Hydrogen bond
- *Hydrophobic interaction
- *Covalent bond is responsible for irreversible interaction.

