

G-Protein–Coupled Receptors

Receptor:

- ✓ Receptors are proteins found on the cell surface, cytoplasm or nuclear membrane to which specific molecules such as neurotransmitters, hormones or other ligands will bind and initiate the cellular response

G-Protein–Coupled Receptor:

- ✓ It is also called GPCR.
- ✓ GPCR is also called as Serpentine receptor
- ✓ It is 7 trans membrane /metabotropic
- ✓ It is a cell surface receptor.

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G-Protein–Coupled Receptors

Importance of GPCR:

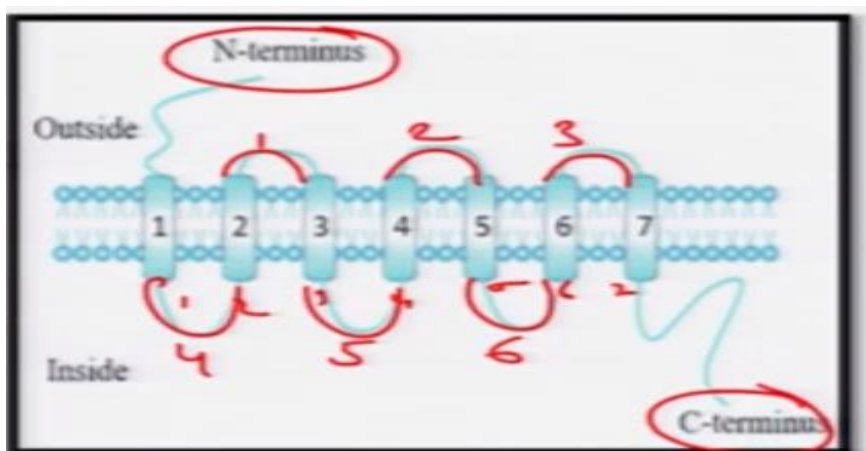
GPCRs have been a major target for drug developers because of their regulation of a wide variety of

- ✓ Human physiological processes, like **growth, metabolism and homeostasis.**
- ✓ Play important roles in **inflammation.**
- ✓ Mediate senses such as **odor, taste, vision, and pain**
- ✓ Plays important role on **behavioral changes**
- ✓ GPCR signaling plays an important role in **T cell activation**

G-Protein–Coupled Receptor

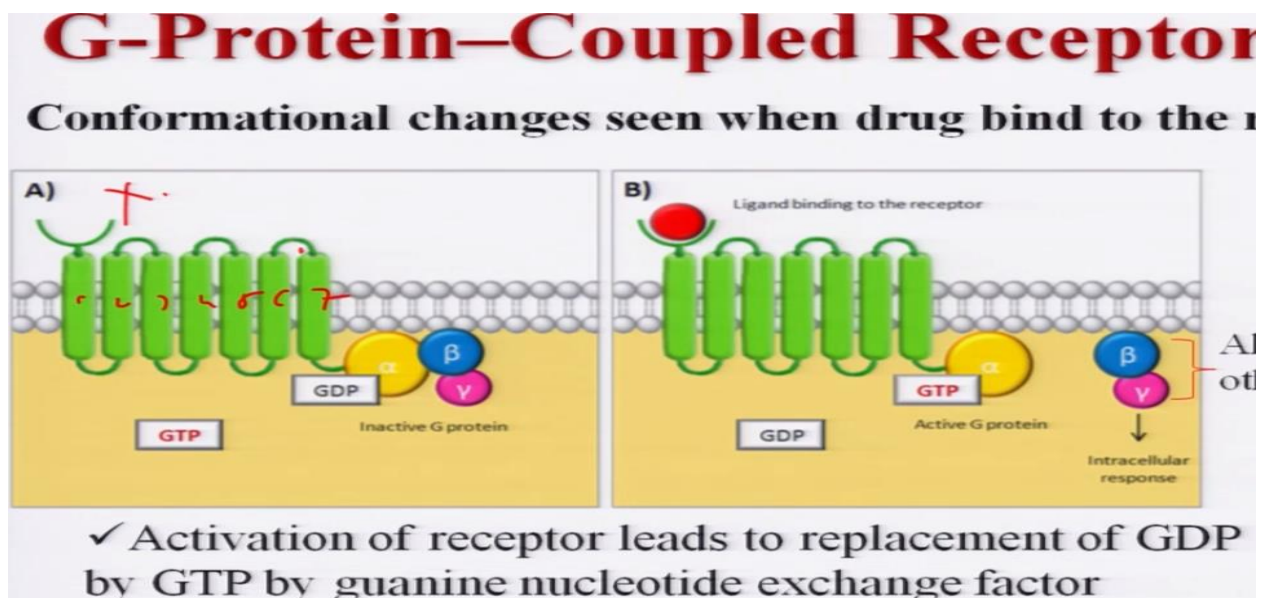
G-Protein–Coupled Receptor (Contd.):

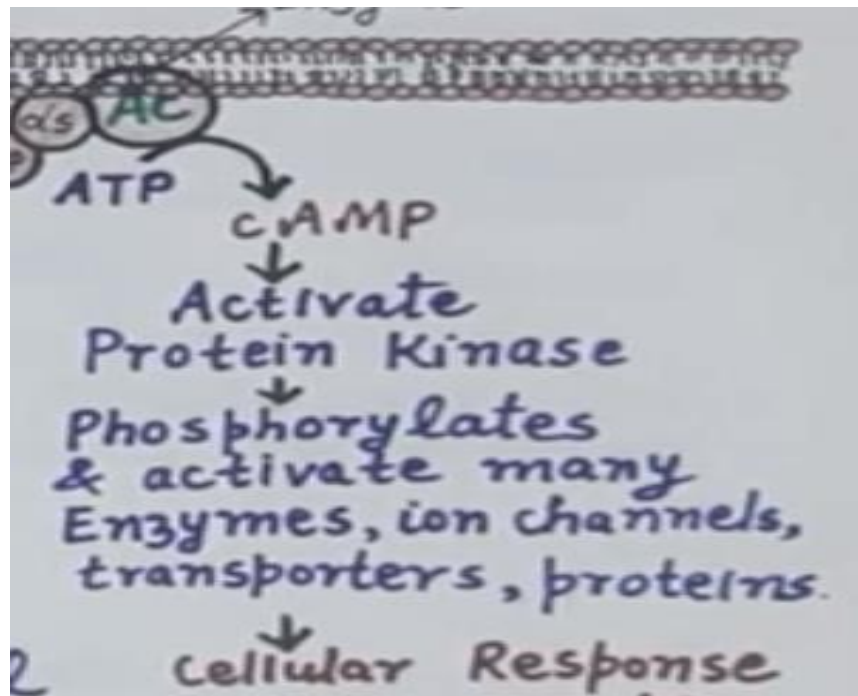
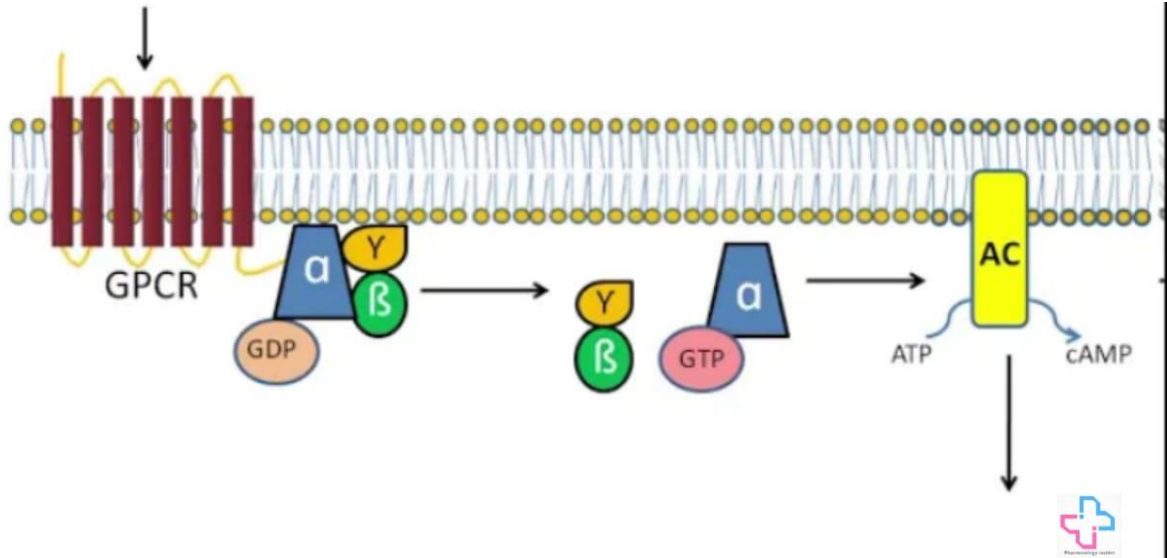
- ✓ The molecule has 7 α -helical membrane spanning hydrophobic amino acid (AA) segments
- ✓ GPCR has 6 loops- 3 intracellular, 3 extracellular.
- ✓ The agonist binding site is located somewhere between the helices on the extracellular face, while another recognition site formed by cytosolic segments (inside the cell membrane)

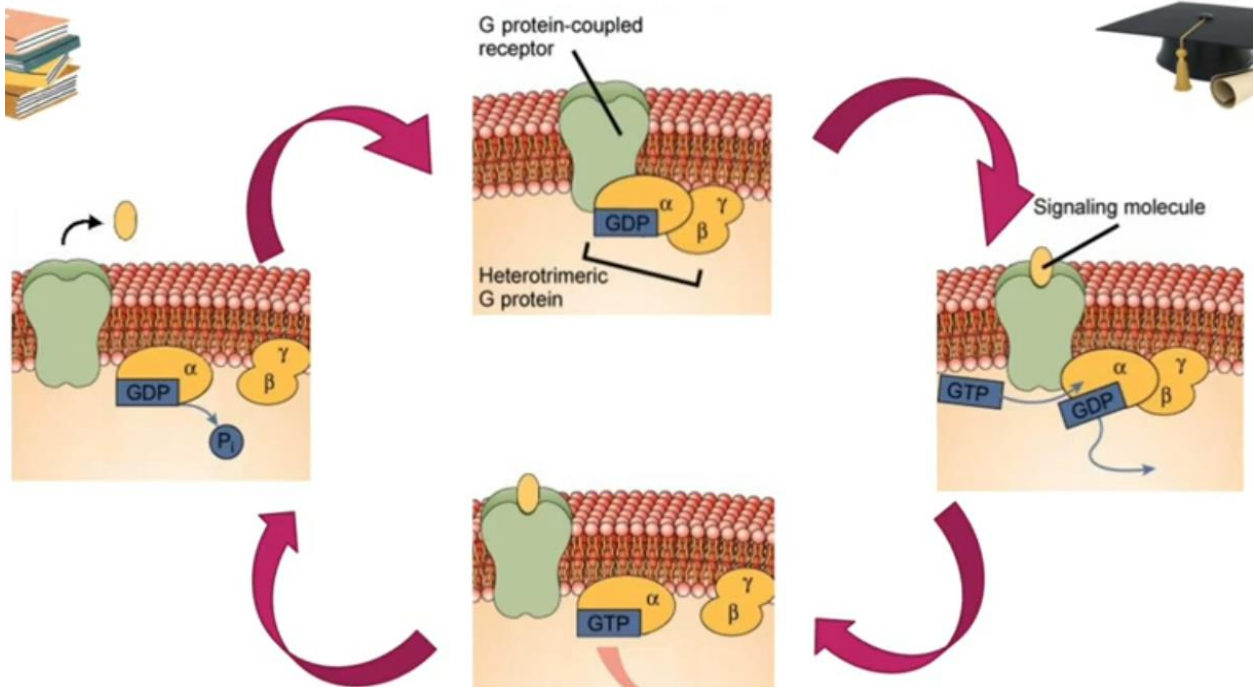


They are called Gproteins because of their interaction with the guanine nucleotides, GTP and GDP. G proteins are of different classes like Gs Gi, Go and Gq – GS is stimulatory and Gi is inhibitory

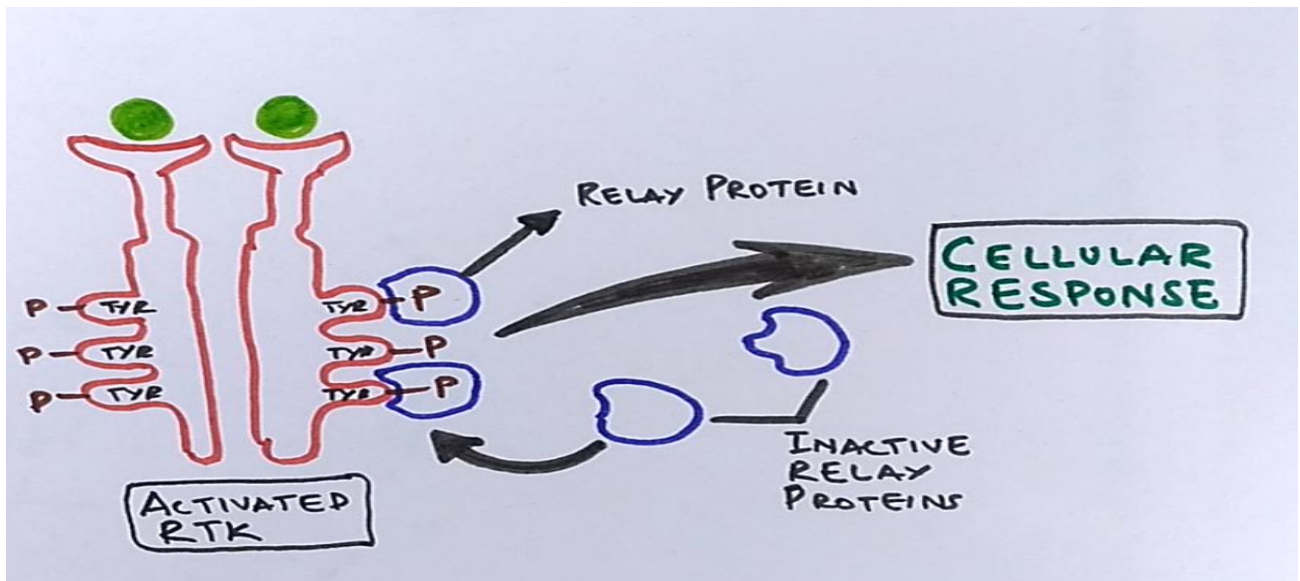
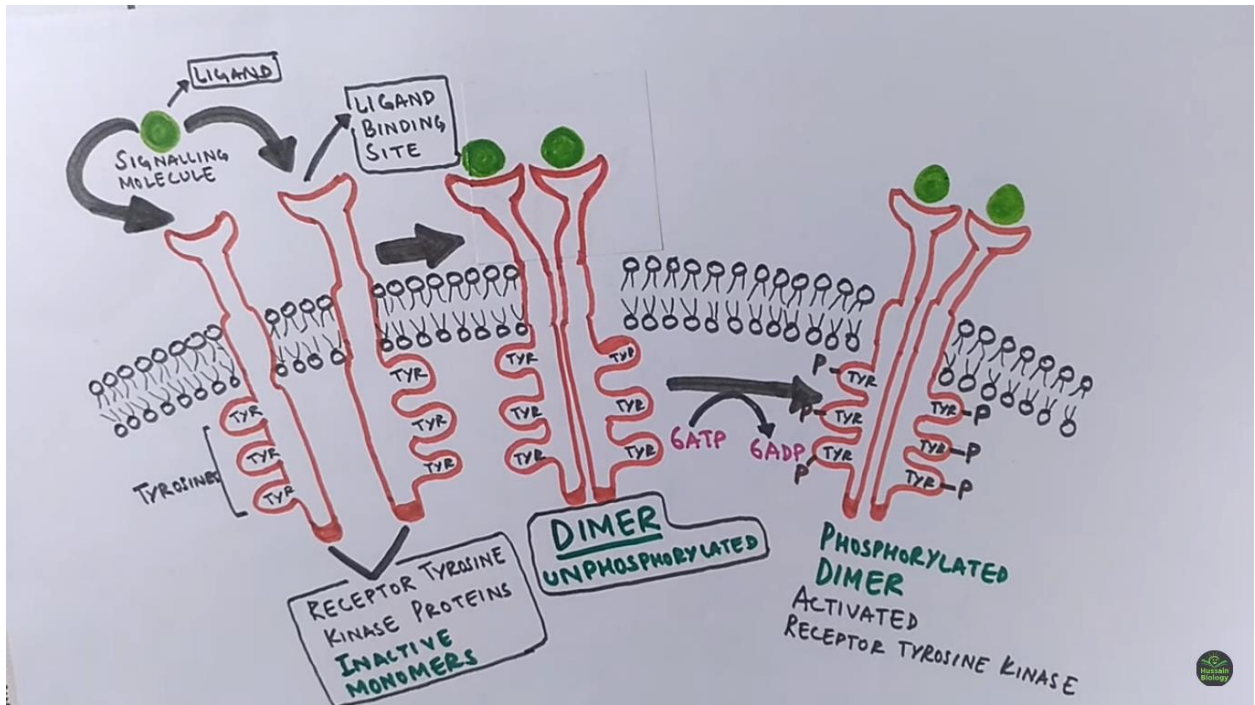
- a. Adenylylcyclase/cAMP pathway
- b. Phospholipase C/IP3-DAG pathway



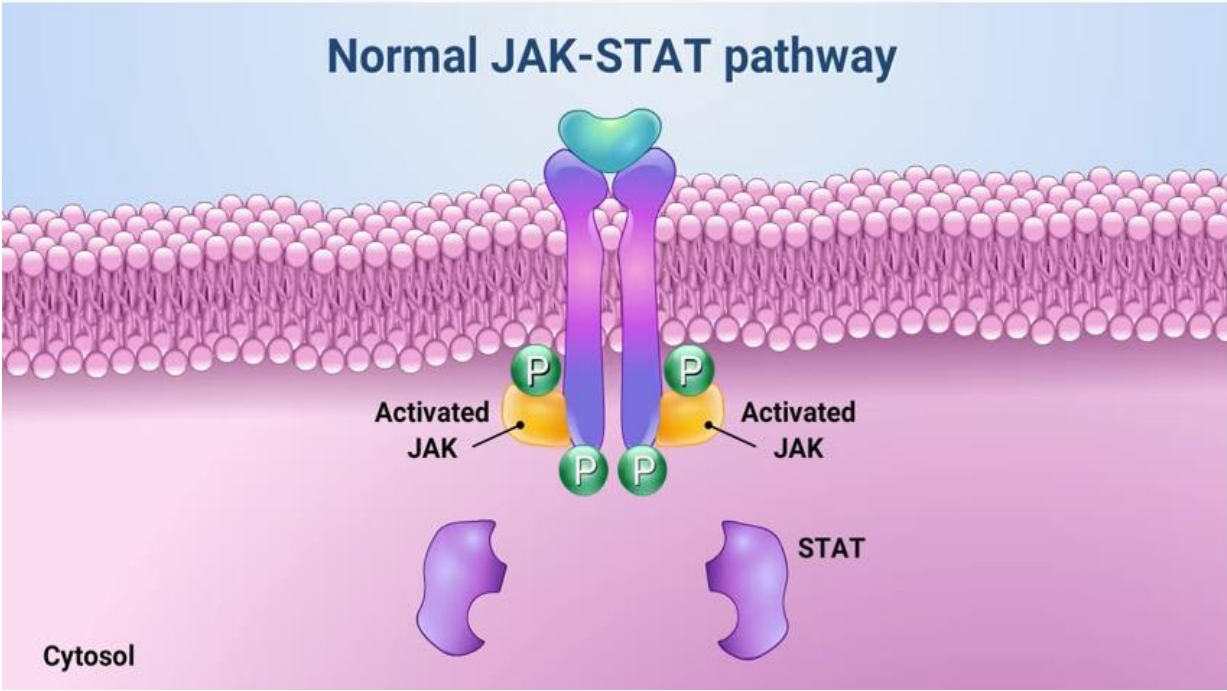
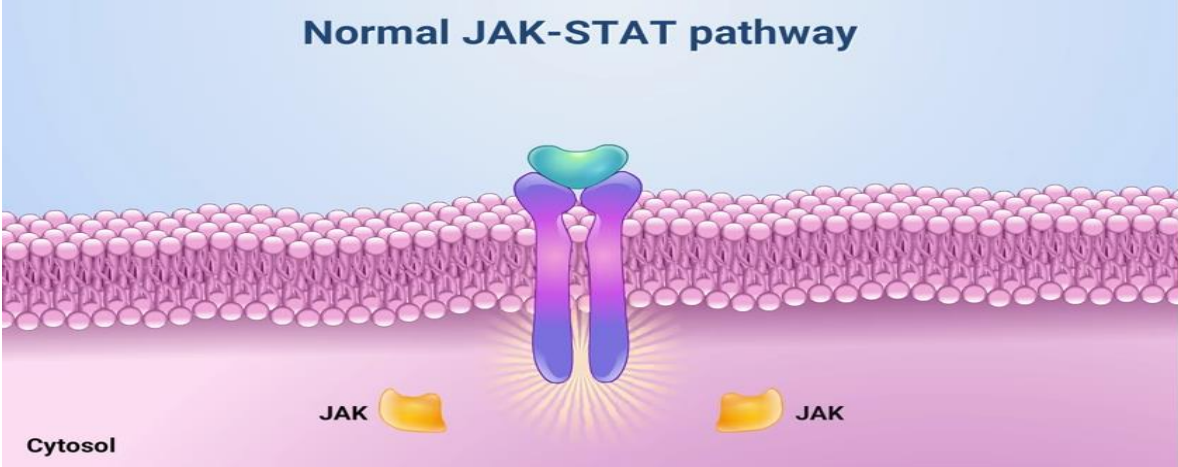




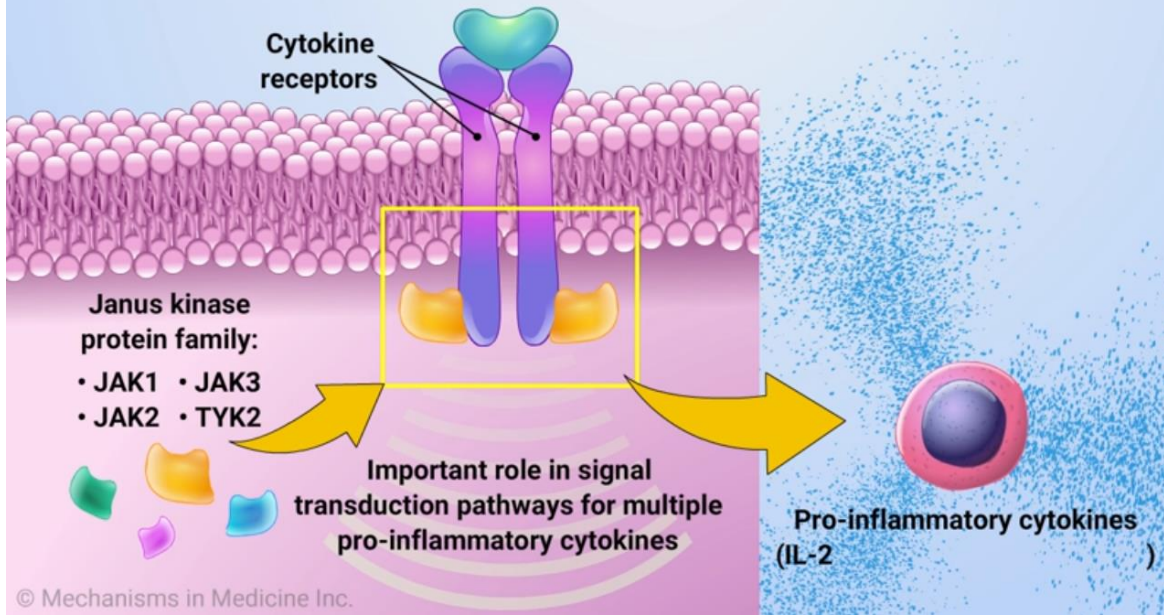
Tyrosine kinase Receptor



JAK STAT Pathway



JAK protein family and function



Normal JAK-STAT pathway

