BHARATHIDASAN UNIVERSITY

Program: M.Sc., Biomedical Science

Course Title : Neurobiology

G-protein coupled receptors

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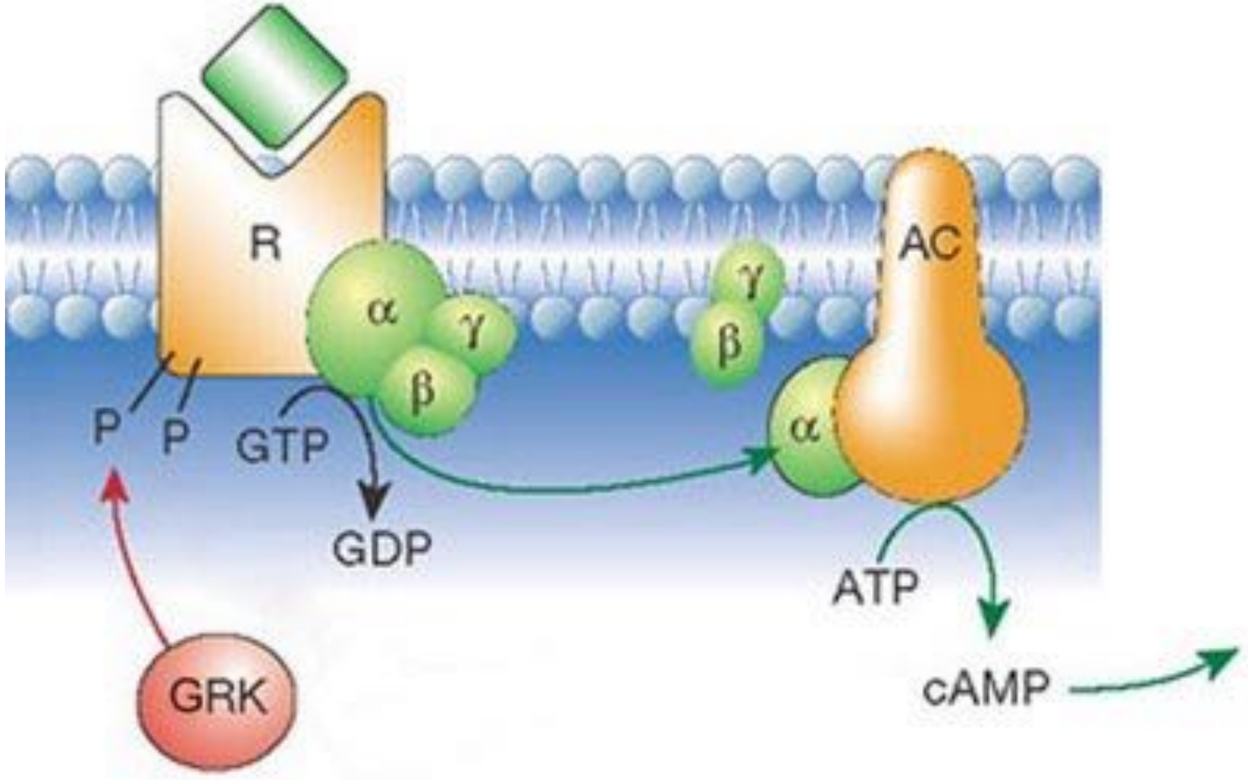
G-protein coupled receptors (GPCRs)

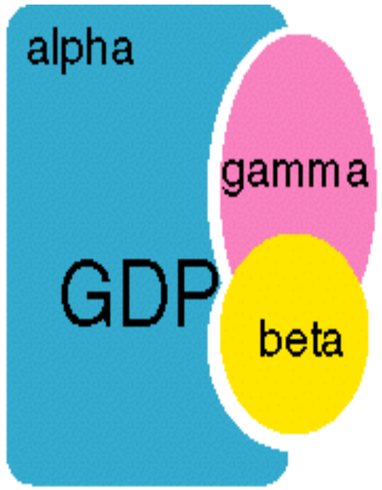
- **Transmembrane proteins** include **G protein-linked receptors** and they are seven-pass trans membrane proteins. This means that the polypeptide chain traverses the membrane seven times. When a chemical - a hormone or a pharmaceutical agent - binds to the receptor on the outside of the cell, this triggers a series of chemical reactions:

- including the movement and binding of the G-protein.
- transformation of GDP into GTP and
- activation of second messengers.

G-protein coupled receptors (GPCRs)



Second messengers (e.g., cyclic AMP) start a cascade of enzymatic reactions leading to the cellular response. This signaling method is quite fast and, it amplifies the signal.



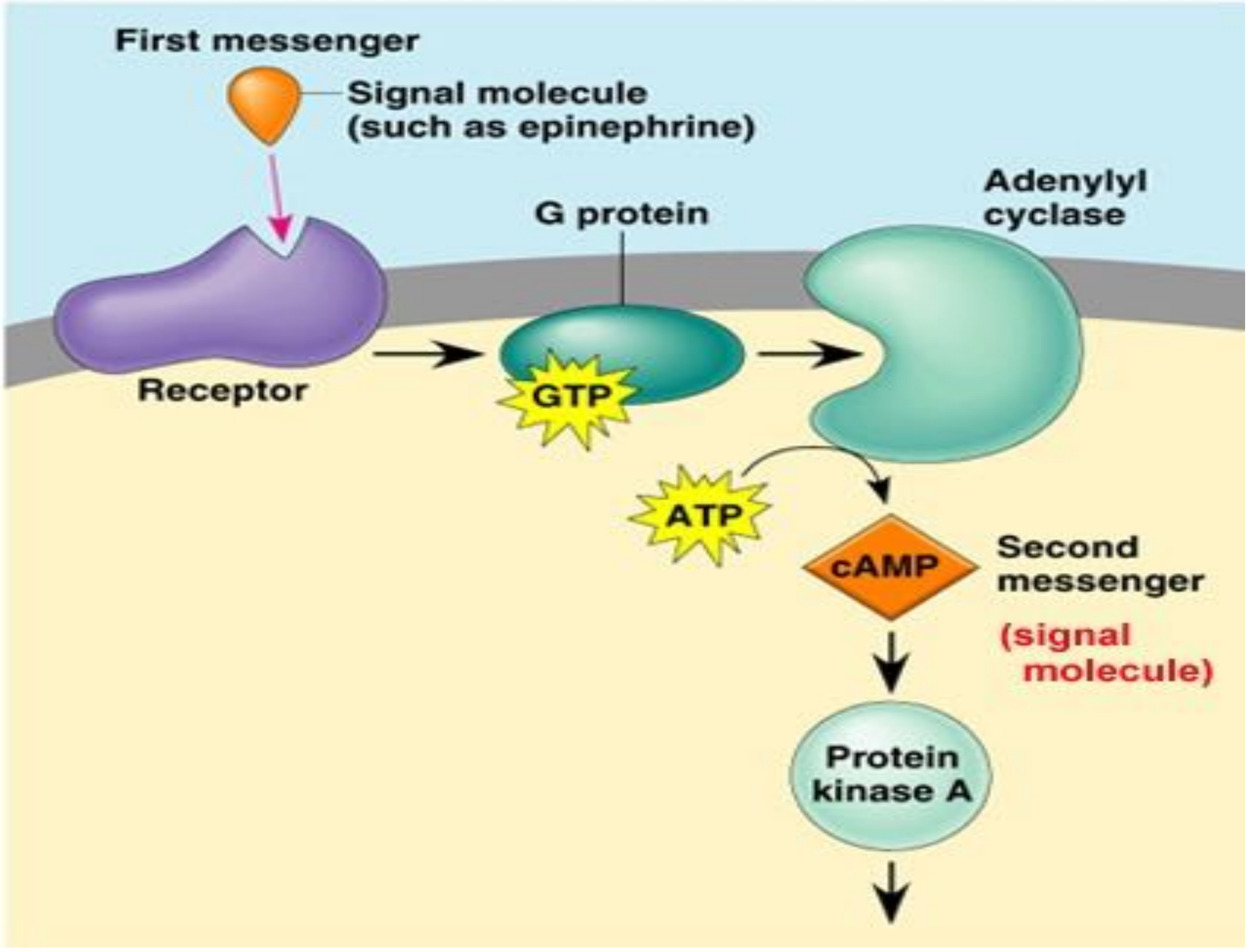
Inactive Heterotrimeric G-protein

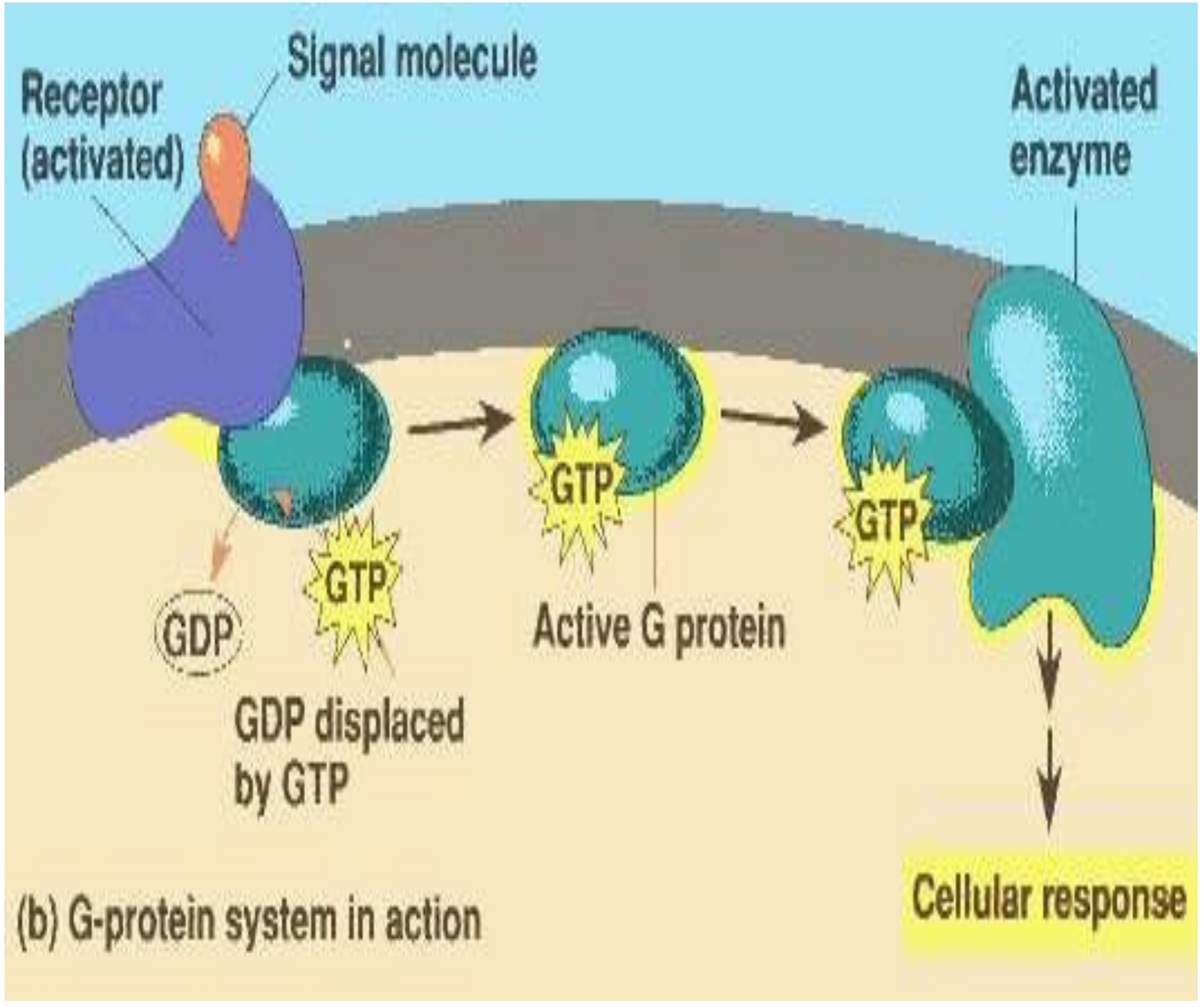
inactive G-protein binds to receptor

receptor activates G-protein

G-alpha drops GDP, picks up GTP

when G-alpha binds GTP --> G-beta and G-gamma are released.


First messenger
Signal molecule
(such as epinephrine)
Adenylyl
cyclase
G protein
Receptor
GTP
ATP
cAMP
Second
messenger
(signal
molecule)
Protein
kinase A


Receptor
(activated)
Signal molecule
Activated
enzyme
GTP
GDP
GTP
Active G protein
GTP
GDP displaced
by GTP
(b) G-protein system in action
Cellular response

- Recognition domain: it binds the hormone
- Coupling domain: it generates a signal that couples the hormone recognition to some intracellular function.
- Coupling means signal transduction.
- Receptors are proteins.

G- protein receptors

A. **Basic G-protein Receptor**

1. whole family of receptors
2. All use same basic pattern

a. **ligand binds** to receptor (outer surface of cell).

b. receptor **changes shape** (inner surface of cell).

- shape change allows receptor **to bind inactive G-protein**
- **inactive G-protein = G-alpha + GDP + G-beta + G-gamma**

- G-alpha + GTP is released from receptor into cytoplasm
- G-alpha + GTP = active G-protein.
- activated G-protein binds to target protein target protein's activity is altered - might be stimulated or might be inhibited .

Adenylyl Cyclase

- Different peptide hormones can either stimulate or inhibit the production of cAMP from adenylyl cyclase.

- There are two parallel systems that converge upon a single catalytic molecule – (C).