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Commentary

G Protein Couple Receptors used as Drug Target

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ABSTRACT

The G- Protein coupled receptors are the largest class of cell-surface receptors and are encoded by > 1000 genes in the human genome. G-protein coupled receptors represented by far the largest class of targets for modern drugs. The current thinking surrounding GPCR homo-oilgomerization, hetero- oilgomerization and shows how new models point towards unexplored avenues in the development of new therapies. Numerous diseases and disorders have been linked mutations and Polymorphisms in GPCRs. They are the targets of an increasingly large number of therapeutic agents. It has been estimated that 50% of all modern drugs and almost one-quarter of the top 200 best–selling drugs in 2000 modulate GPCR activity. For many other classes of receptors such as tyrosine–kinase, ligand induced oilgomerization has long been known to be the essential for signalling. The greatest challenge facing the pharmaceutical industry will be to integrate GPCR homo- and hetero- oilgomerization into the molecular models that are used in the development of novel and improved therapeutics. The incorporation of oilgomerization receptor models into strategies for GPCR drug discovery might result in better therapeutic agents that target these receptors.

Keywords: GPCR; Receptor; GPCR homo- and hetero- oilgomerization

DESCRIPTION

Receptor

A Receptor is a protein molecule usually found embedded within the plasma membrane surface of a cell that receives chemical signals from outside the cell.

Types of receptors:

(A) Channel–linked receptors

(B) Enzyme–linked receptors

(C) G-protein coupled receptors

G-protein Coupled Receptors (GPCRs), also known as seven-transmembrane domain receptors, 7TM receptors, heptahelical receptors, serpentine receptors and G- protein linked receptors (GPLR)

The structures of activated and/or agonist-bound GPCRs have also been determined. These structures indicate how ligand binding conformational changes in the cytoplasmic side of the receptor. The biggest change is at the extracellular side of a receptor leads to the cytoplasmic part of the 5th and 6th transmembrane helix (TM5 and TM6).

The G protein-coupled receptor is activated by an external signal in the form of a ligand or other signal mediator. This creates a conformational change in the receptor causing activation of a protein. Further effect depends on the type of G- protein. G-proteins are subsequently inactivated by GTPase activating proteins, known as RGS proteins.

G protein-coupled receptors are found as it were in eukaryotes, counting yeast, choanoflagellates and creatures. The ligands that tie and actuate these receptors incorporate light-sensitive compounds, odors, pheromones, hormones, and neurotransmitters, and change in measure from little particles to peptides to huge proteins. G protein-coupled receptors are included in numerous maladies.

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G-protein-coupled receptors (GPCRs) intercede most of our physiological reactions to hormones, neurotransmitters and natural stimulants, and so have awesome potential as restorative targets for a wide range of illnesses. They are too captivating atoms from the point of view of membrane-protein structure and science. Incredible advance has been made over the past three decades in understanding differing GPCRs, from pharmacology to useful characterization in vivo. Later high- resolution basic ponders have given bits of knowledge into the atomic components of GPCR actuation and constitutive movements.