Localization of G-protein-coupled receptors in health and disease

G Proteins, Receptors, And Disease

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The G-protein-coupled receptors (GPCRs) are the most abundant family of transmembrane proteins in the human genome, involved in the transmission of signals from the environment to cells. Mutations in GPCRs have been linked to a wide range of diseases, including cardiac diseases, metabolic disorders, and neurodegenerative conditions. In this chapter, we will discuss the role of GPCRs in disease, their pharmacological modulation, and the development of novel therapeutic strategies.

G protein-coupled receptors (GPCRs) are part of a superfamily of proteins, with more than 1000 members in the human genome. They are involved in numerous physiological processes, including the response to hormones and neurotransmitters. The GPCRs are activated by ligands that bind to their extracellular domains, leading to the activation of heterotrimeric G proteins, which in turn activate effectors such as adenyl cyclase or phospholipase C.

GPCRs are involved in many diseases, and are also the target of approximately 34% of all modern medicinal drugs. For example, the β2-adrenergic receptor, which is a GPCR, is involved in the regulation of heart rate and blood pressure. Mutations in the β2-adrenergic receptor have been linked to conditions such as asthma and heart failure.

In the context of human disease, GPCRs have been implicated in the pathogenesis of numerous conditions, including cardiovascular diseases, metabolic disorders, and neurodegenerative diseases. The GPCRs have been identified as the targets of many drugs, and their selective activation or inhibition has been shown to be effective in treating a variety of diseases.

In summary, GPCRs are a rich source of targets for drug discovery, and their characterization will continue to be a major focus of research in the years to come. Understanding the role of GPCRs in disease will help to guide the development of new therapeutic strategies, and improve our ability to treat a wide range of conditions.
diverse extracellular signals to effectors that generate intracellular signals altering cell function. GPCRs comprise an evolutionarily conserved gene superfamily. G Protein–Coupled Receptors as Potential Drug Targets for receptors GPCR. In the past few years, mutations in G proteins and GPCR have been identified as the causes of several endocrine diseases. Understanding, Constitutive activation of G protein-coupled receptors and diseases. 10 Oct 2008. Genetic variation in G-protein coupled receptors GPCRs is associated with a wide spectrum of disease phenotypes and predispositions that G Protein-Coupled Receptors Disrupted in Human Genetic Disease Naturally occurring mutations in the G protein Gs-? subunit and in a number of G protein-coupled receptors GPCRs have been identified in human diseases.