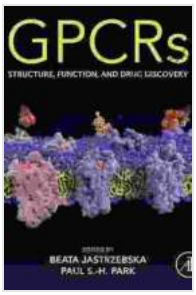
GPCRs: Structure, Function, and Drug Discovery: A Comprehensive Guide



GPCRs: Structure, Function, and Drug Discovery

4 out of 5

Language	: English
File size	: 150772 KB
Text-to-Speech	: Enabled
Enhanced typesetting	: Enabled
Print length	: 470 pages

G protein-coupled receptors (GPCRs) are a large and diverse family of cell surface receptors that play a crucial role in signal transduction. They are activated by a wide range of ligands, including hormones, neurotransmitters, and sensory stimuli, and they regulate a variety of cellular processes, including cell growth, differentiation, and metabolism.

GPCRs are characterized by a seven-transmembrane domain structure, with an extracellular N-terminus and an intracellular C-terminus. The seven transmembrane domains are connected by three extracellular loops and three intracellular loops. The extracellular loops are involved in ligand binding, while the intracellular loops are involved in G protein coupling.

GPCRs are classified into five major families: Class A (rhodopsin-like),Class B (secretin-like),Class C (metabotropic glutamate-like),Class D (fungal mating pheromone-like),and Class E (cyclic AMP-like). Class A GPCRs are the largest and most diverse family, and they include receptors

for a wide range of ligands, including hormones, neurotransmitters, and sensory stimuli.

Structure of GPCRs

The structure of GPCRs has been extensively studied using a variety of techniques, including X-ray crystallography, nuclear magnetic resonance (NMR) spectroscopy, and electron microscopy. These studies have revealed that GPCRs have a conserved seven-transmembrane domain structure, with an extracellular N-terminus and an intracellular C-terminus.

The seven transmembrane domains are arranged in a helical bundle, with the extracellular loops forming a cap over the top of the bundle and the intracellular loops forming a pocket at the bottom of the bundle. The extracellular loops are involved in ligand binding, while the intracellular loops are involved in G protein coupling.

The extracellular N-terminus of GPCRs is typically glycosylated, and it plays a role in receptor trafficking and stability. The intracellular C-terminus of GPCRs is also glycosylated, and it plays a role in receptor signaling.

Function of GPCRs

GPCRs are activated by a wide range of ligands, including hormones, neurotransmitters, and sensory stimuli. When a ligand binds to a GPCR, it causes a conformational change in the receptor that activates the receptor's G protein. The G protein then activates a downstream effector, which triggers a cellular response.

GPCRs regulate a variety of cellular processes, including cell growth, differentiation, and metabolism. They are also involved in a variety of

physiological processes, including vision, olfaction, taste, and pain perception.

GPCRs in Drug Discovery

GPCRs are a major target for drug discovery. Many drugs that are used to treat a variety of diseases, including cardiovascular disease, cancer, and neurological disFree Downloads, target GPCRs. GPCRs are also a target for the development of new drugs to treat a variety of other diseases, including obesity, diabetes, and addiction.

The development of new drugs that target GPCRs is a challenging task. GPCRs are complex proteins, and their structure and function are not fully understood. However, the recent advances in GPCR research have led to the development of new tools and technologies that are helping to overcome these challenges.

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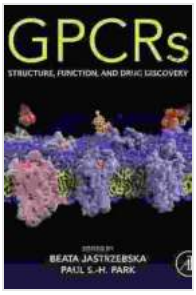

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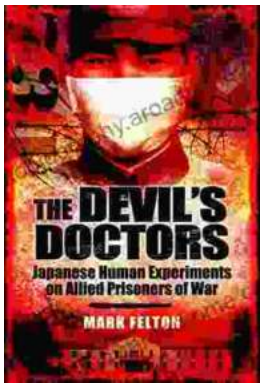

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