Special Issue

G Protein-Coupled Receptors: Molecular Mechanisms Involved in Receptor Activation and Selectivity

Message from the Guest Editors

G Protein-Coupled Receptors (GPCRs) are seventransmembrane receptors that upon activation predominately transduce their signals through the alpha subunits of heterotrimeric G proteins. GPCRs are present in all cell types and regulate a plethora of physiological functions, whose alterations lead to a pathogenic readout. Many GPCRs show basal activity that can be modulated by ligands with different efficacy. Full agonists are able to induce the maximal signaling response, while some ligands, known as biased ligands, selectively activate certain receptor-associated pathways at the expense of others. The interaction of GPCRs with extracellular ligands induces an extremely variable response due to the distinct distribution of active conformations of these receptors. Ligand binding and downstream signaling have also been shown to be influenced by the dimeric nature of the receptors.

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