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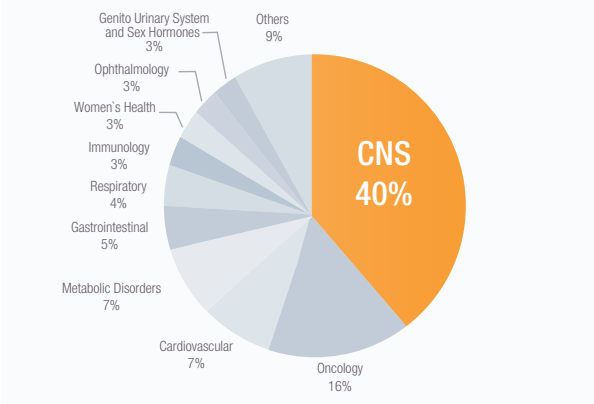
GPCR

Introduction

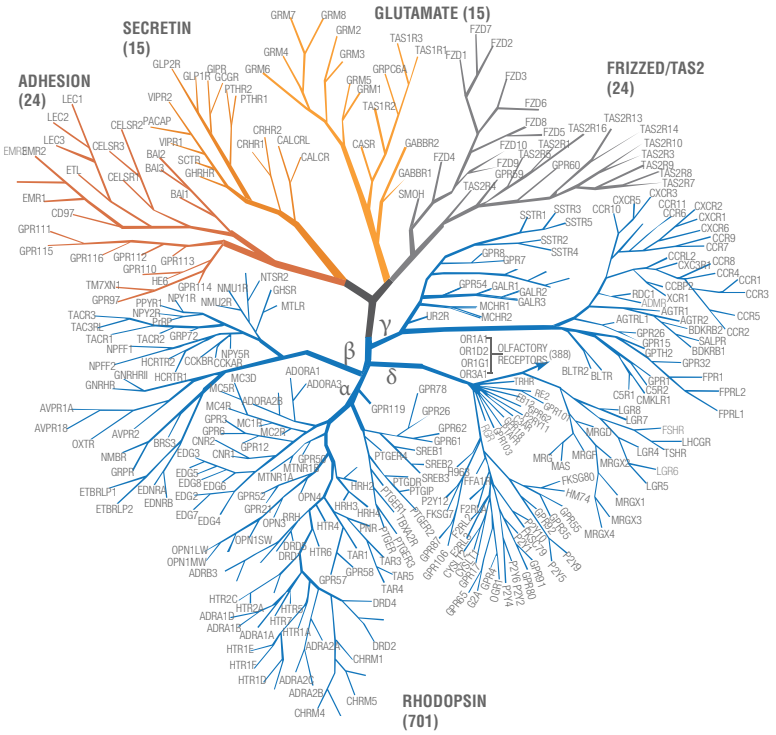
G protein-coupled receptors (GPCRs) are the largest family of transmembrane receptors and are responsible for the transduction of a diverse range of extracellular signals. The range of physiological processes mediated by GPCRs makes them one of the most important classes of proteins for drug discovery.

The study of GPCRs may involve ligand binding, G protein activation, internalization, and downstream second messenger events. Each step of the pathway offers an opportunity to exploit the full therapeutic potential of targeting GPCRs.



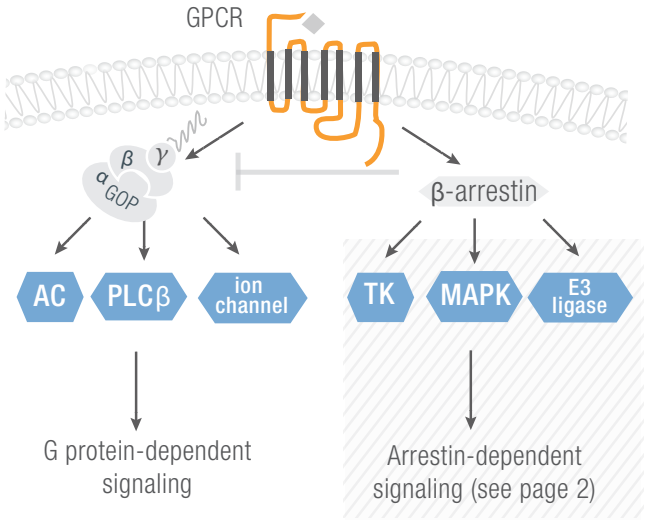
GPCRs Super-Family

375 GPCR Drug Targets, 225 with Known Ligands, 150 Orphan Targets



G protein-coupled receptor signaling

Classic GPCR signaling arises from heterotrimeric G protein dependent activation of membrane-delimited effectors [e.g., adenylyl cyclase (AC), phospholipase C isoforms (PLCβ), and ion channels] that generate intracellular second messengers. In the current model, arrestins function as ligand-regulated scaffolds, linking GPCRs to nontraditional effector pathways [e.g., nonreceptor tyrosine kinases (TK), MAP kinases (MAPK), and E3 ubiquitin ligases]. Because arrestin binding precludes further heterotrimeric G protein coupling, these two signaling "states" of the receptor are mutually exclusive.



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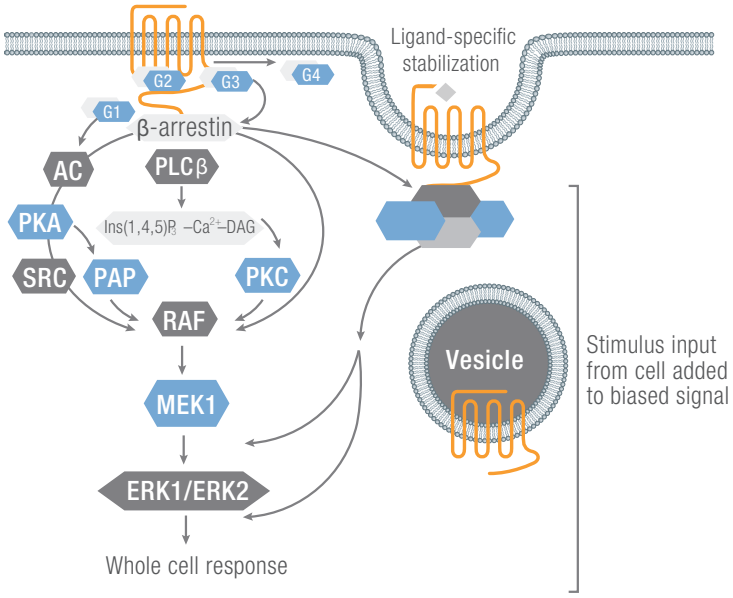
Allosteric interactions of GPCRs and beta-Arrestin signalling

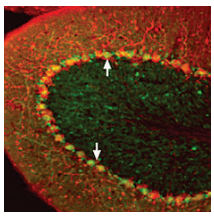
The stabilization of unique GPCR conformations is determined by the molecular properties of the agonist, receptor and signalling proteins (in this case the different G proteins G1, G2, G3 and β-arrestin) at the level of the ternary complex.

The strength of the GPCR signal imparted to the cell by agonists is determined by the molecular parameters governing the direct activation of the receptor and the allosteric effect of the ligand on endogenous receptor affinity. This signal can then interact with other pathways in the cell and the total cellular response thus becomes the result of an amalgam of stimuli.

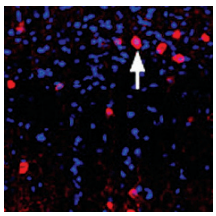
Under these circumstances, the stoichiometry of the GPCR signalling components in the cell can change the nature of the cellular response, making whole-cell responses cell type-dependent.



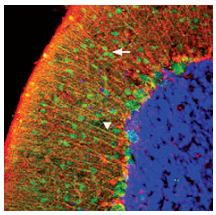
AC, adenylyl cyclase; DAG, diacylglycerol; ERK1, extracellular signal-regulated kinase 1; Ins(1,4,5) P3, inositol-1,4,5-trisphosphate; MEK1, MAPK (mitogen-activated protein kinase)/ERK kinase 1; PAP, poly(A) polymerase; PKA, protein kinase A; PLCβ, phospholipase Cβ



Angiotensin II Receptor Type-1 (extracellular) Antibody
Cat.# AG1463



alpha1D-Adrenoceptor (extracellular) Antibody
Cat.# AG1377



alpha1A-Adrenoceptor (extracellular) Antibody
Cat.# AG1381

CAT. #	NAME	UNIPROT	APPLICATION
AG1383	A1 Adenosine Receptor	P30542	WB,IHC,ICC
AG1386	A2A Adenosine Receptor	Q60613	WB,IHC
AG1385	A2B Adenosine Receptor (extracellular)	P29275	WB,IHC
AG1384	A3 Adenosine Receptor	P33765	WB,IHC,ICC
AG1381	alpha1A-Adrenoceptor (extracellular)	P35348	WB,IHC
AG1378	alpha1B-Adrenoceptor (extracellular)	P35368	WB,ICC,FC
AG1377	alpha1D-Adrenoceptor (extracellular)	P23944	WB,IHC,FC
AG1376	alpha2A-Adrenoceptor (extracellular)	P22909	WB
AG1375	alpha2B-Adrenoceptor (extracellular)	P19328	WB,IHC
AG1374	alpha2C-Adrenoceptor (extracellular)	P22086	WB,IHC
AG1463	Angiotensin II Receptor Type-1 (extracellular)	P30556	WB,IHC,ICC,FC
AG1462	Angiotensin II Receptor Type-2 (extracellular)	P35351	WB,IHC,ICC
AG1382	Angiotensin-(1-7) Mas Receptor	P12526	WB,IHC

CAT. #	NAME	UNIPROT	APPLICATION
AG1366	B1 Bradykinin Receptor	P97583	WB,IHC
AG1365	B2 Bradykinin Receptor	P30411	WB,IHC
AG1300	Cannabinoid Receptor 1 (extracellular)	P20272	WB,IHC
AG1299	Cannabinoid Receptor 2 (extracellular)	P34972	WB,ICC,FC
AG1436	Cannabinoid Receptor 2	Q9QZN9	WB,IHC
AG1214	Melanocortin Receptor 1	Q01726	WB,IHC,ICC
AG1213	Melanocortin Receptor 2 (extracellular)	Q01718	WB,IHC
AG1212	Melanocortin Receptor 3 (extracellular)	P32244	WB,IHC,FC
AG1211	Melanocortin Receptor 4 (extracellular)	P32245	WB,IHC,ICC
AG1210	Melanocortin Receptor 5	P41149	WB,IHC
AG1298	CXCR1 (extracellular)	P25024	WB,FC
AG1297	CXCR2 (extracellular)	P25025	WB,FC
AG1296	CXCR4 (extracellular)	P61073	WB,IHC,FC

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