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The Eurofins Discovery **PRODUCTS COMPANY**

INSIGHTS INTO GPCR DRUG DISCOVERY & DEVELOPMENT

Explore GPCR–Ligand Interactions & Signaling Pathways with Binding & Functional Assays

G protein-coupled receptors (GPCRs) represent the largest family of validated therapeutic targets with over 800 known human GPCRs. Their physiological and pathological involvements are vast, ranging from regulation of hunger, metabolism, homeostasis to the development of fetal structures, and from roles in cardiovascular disorders, cancer, diabetes to neurological to rare diseases. Therapeutics targeting GPCRs represent over 40% of all currently marketed drug therapeutics acting on GPCRs either directly or indirectly.

GPCR PHYSIOLOGICAL AND PATHOLOGICAL INVOLVEMENTS

Physiological		Pathological	
Addiction	Pain tolerance	Cancers	Fertility disorders
Behavioral/mood regulation	Pheromone response	Cardiovascular disorders (e.g. high blood pressure)	Neurological diseases (e.g., Alzheimer's, schizophrenia, depression, Parkinson's disease)
Embryonic development	Regulation of heart rate	Endocrine and metabolic disorders (e.g. diabetes, obesity, hypo- and hyperthyroidism, and nephrogenic diabetes insipidus)	Ocular disorders (e.g. Retinitis pigmentosa)
Homeostasis	Regulation of cellular machinery		Rare diseases
Hunger regulation	Smell (olfactory)		Respiratory disorders (e.g. asthma)
Learning and memory	Taste		
Metabolism	Vision		

CELL-BASED ASSAYS IN DRUG DISCOVERY & DEVELOPMENT

Drug discovery programs for developing therapeutics targeting GPCRs go through several steps, from the identification and characterization of the GPCR through pre-clinical testing. As drug development progresses, hundreds of potential therapeutic agents targeting GPCRs continue to enter into clinical trials. These drug candidates include small molecule agonists and antagonists that target known GPCRs.

GPCR DRUG DISCOVERY AND DEVELOPMENT APPLICATIONS

Target ID & Characterization	Screening & Hit ID	Lead Optimization	Pre-Clinical & Quality Control
GPCR target hunting & characterization	High-throughput screening	Lead characterization & optimization	Quality Control lot release studies
MOA determination	Secondary & orthogonal screening	Ligand bias studies	Stability testing of biologics
Deorphanization	Hit-to-lead	Structure activity relationship for potency & efficacy	
Multiple GPCR pathways analysis	Rank order hits	Multiple species (orthologs) analysis	
Mutation / disease analysis	Pharmacochaperone discovery	Internalization studies	
Assay development			

For more information on GPCR products, please visit discoverx.com/gpcrs.

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Over the past decade, there has been an increased number of biological drugs, allosteric modulators, and biased agonists as well as candidates targeting orphan GPCRs without a known ligand or approved drug. Additionally, there has been a shift towards a focus on metabolic and neurological disorders as well as cancers.

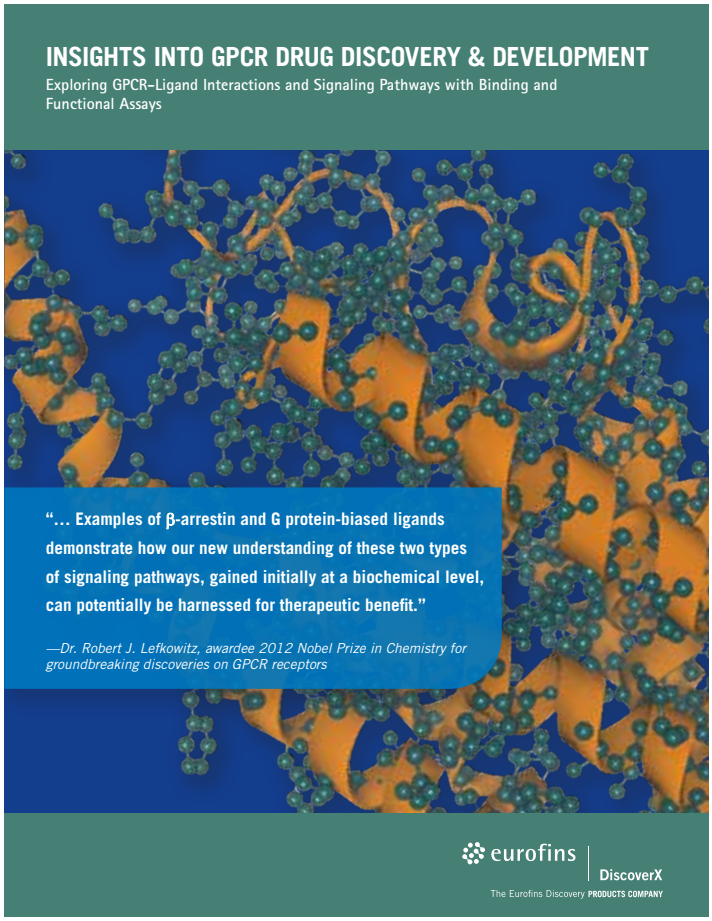
Advanced development of basic tools to study GPCRs can contribute toward a greater understanding of GPCRs, as well as in the number and quality of therapeutics. Moreover, the evolution of GPCR research from traditional *in vivo* models to modern and functional *in vitro* cell-based systems has accelerated efforts to fully understand their biological function, signaling pathways and ligand/therapeutic binding, MOAs (mechanism-of-action), and pharmacological profiles. Investigating how small molecule or biologic therapeutics bind to GPCRs and modulate their downstream cellular signal pathways, particularly via cell-based assays, provides insights into the therapeutic MOA and resulting phenotypic responses.

DISCOVER FUNCTIONAL SYSTEMS DRIVING GPCRS TO THE FOREFRONT OF FOCUSED & SAFE THERAPEUTICS

To help researchers fully characterize their specific GPCR and GPCR-binding small molecule or biologic of interest, Eurofins DiscoverX encourages exploring all possible scenarios with a variety of available biologically relevant cell-based functional and binding assays and membrane preparations. These products are target specific, sensitive, and robust for detecting receptor-mediated second messenger signals, β-arrestin recruitment, receptor internalization, and ligand binding.

Discover via a new GPCR eBook how cell-based functional and binding assays uncover GPCR-ligand interactions and signaling pathways through various articles and resources. Make use of how cell-based applications and benefits are de-lineated to corresponding GPCR assay types with specific offerings of solutions.

- Gain expert insights including exclusive Q & A's from industry experts including Dr. Kenakin on GPCR drug discovery and development
- Review articles and application notes on ligand bias, allosteric modulation, and orphan GPCR
- Watch videos on GPCR assays for β-arrestin recruitment, 2nd messenger accumulation, GPCR internalization, and pharmacochaperone discovery
- View the human GPCRome phylogeny, families, targets, and couplings



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