G Protein Coupled Receptors In Drug Discovery Drug Discovery Series

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Understanding G Protein-coupled Receptors and Their Role in the CNS - Menelas N. Pangalos 2002
Pharmacological and molecular cloning studies have shown that the superfamily of G-protein coupled receptors (GPCRs) forms one of the largest and most diverse protein families in nature. They are involved in the modulation of almost all our bodily functions and are pivotal in the regulation and control of central nervous system function. As such, pharmacological manipulation of GPCRs has been demonstrated to be valuable in the treatment of diseases of the central nervous system, ranging from Alzhmeimer's disease to schizophrenia and continues to be a key area of investigation in the search for tomorrow's medicines. The aim of this book is to bring together, for the first time in one volume, all aspects of GPCR structure and function, with an emphasis on receptors expressed in the central nervous system. The book comprises two major parts: part one provides a detailed overview of GPCR superfamily structure and function, including reviews of the mechanisms of ligand activation and inactivation, signalling through G-proteins and downstream signal transduction pathways and effector systems. Part two focuses on individual members of each of the three subfamilies of the GPCR superfamily, providing specific information about their localization, pharmacology, physiology and disease relevance. GPCRs in the CNS is essential reading for neuroscientists, pharmacologists, biochemists, molecular biologists, physiologists and all those involved in the process of drug discovery.
From Structure to Clinical Development: Allosteric Modulation of G Protein-Coupled Receptors - 2020-05-22
From Structure to Clinical Development: Allosteric Modulation of G Protein-Coupled Receptors, Volume 88, the latest release in the Advances in Pharmacology series, presents a variety of chapters from the best authors in the field. Chapters in this updated edition include Targeting muscarinic M1 receptor in neurodegeneration, Photo-switchable allosteric ligands, Computational approaches for the design of mGlu receptor allosteric modulators, Allosteric modulation of GLP-1 receptor in metabolic disorders, Group II mGluR roles in the nervous system and their roles in addiction, RAMPs as allosteric modulators of Class B GPCRs, Structure-based discovery and development of mGlu5 NAMs, and much more. Includes the authority and expertise of leading contributors in pharmacology Presents the latest release in the Advances in Pharmacology series
Pharmacology of G Protein Coupled Receptors - Richard R. Neubig 2011-09-19
G protein coupled receptors remain the most important class of therapeutic targets in medicine. In the last 5 years, tremendous advances have been made in our understanding of the structure and mechanism of this critical family of drug targets. The present volume explores the modern experimental and conceptual framework for drug discovery for G protein coupled receptors. It explores advances in structure determination and structure-based drug design as well as new concepts of allosteric modulation, functional selectivity/biased agonism, and pharmacological chaperones. In addition, emerging drug targets such as receptor families for fatty acids, carboxylic acids, lipid mediators, etc. are included. Final chapters cover novel mechanisms of signal regulation through PDZ domains and RGS proteins. This volume will bring an up-to-date perspective on the G protein coupled receptor field to both academic and industry scientists. The present volume explores the modern experimental and conceptual framework for drug discovery for G protein coupled receptors It explores advances in structure determination and structure-based drug design as well as new concepts of allosteric modulation, functional selectivity/biased agonism, and pharmacological chaperones This volume will bring an up-to-date perspective on the G protein coupled receptor field to both academic and industry scientists
Allosteric Modulation of G Protein-Coupled Receptors - Robert Laprairie 2022-02-10
Allosteric Modulation of G Protein-Coupled Receptors reviews fundamental information on G protein-coupled receptors (GPCRs) and allosteric modulation, presenting original research in the area and collectively providing a comprehensive description of key issues in GPCR allosteric modulation. The book provides background on core concepts of molecular pharmacology while also introducing the most important advances and studies in the area. It also discusses key methodologies. This is an essential book for researchers and advanced students engaged in pharmacology, toxicology and pharmaceutical sciences training and research. Many of the GPCR-targeted drugs released in the past decade have specifically worked via allosteric mechanisms. Unlike direct orthosteric-acting compounds that occupy a similar receptor site to that of endogenous ligands, allosteric modulators alter GPCR-dependent signaling at a site apart from the endogenous ligand. Recent methodological and analytical advances have greatly improved our ability to understand the signaling mechanisms of GPCRs. We now know that allostery is a common regulatory mechanism for all GPCRs and not - as we once believed - unique to a few receptor subfamilies. Introduces background on core concepts of molecular pharmacology, including statistical analyses, non-linear regression, complex models and GPCR-dependent signal transduction as they relate to allosteric modulation Discusses critical advances and landmark studies, including discoveries in the area of GPCR allosteric modulation, which are reviewed for their importance in positive and negative regulation, protein-protein interactions, and small molecule drug discovery Includes key methodologies used to study allosteric modulation at the in silico, in vitro, and in vivo levels of drug discovery and characterization
GPCRs as Therapeutic Targets - Annette Gilchrist 2022-09-19
A thorough discussion of the structure, pharmacology, function, and role of G protein-coupled receptors In GPCRs as Therapeutic Agents, distinguished researcher Dr. Annette Gilchrist delivers an authoritative and in-depth compendium of a vibrant and active area of academic and industrial drug discovery. The book serves as an important reference for new and experienced researchers studying G protein-coupled receptors and discusses the molecular pharmacology of this important target class. It also includes up-to-date material on GPCR structures and structure-based drug design. The book explores the role of GPCRs in the treatment of disease and novel approaches to their study. In addition to providing information on the structure, pharmacology, and function of GPCRs, it discusses their role in disease states, and advances new methods for measuring GPCR activity in an accessible and engaging way. The book includes: A thorough introduction to the molecular pharmacology of G protein-coupled receptors, including up-to-date material on GPCR structures and structure-based drug design In-depth discussions of the evolving pharmacology for GPCRs, intracellular trafficking, and subcellular GPCR signaling Comprehensive explorations of allosteric modulation, receptor dimerization, deorphanization, and ubiquitination Fulsome treatments of the role played by GPCRs in the treatments of cancer, substance use disorders, cerebrovascular diseases, and metabolic diseases Perfect for researchers in biochemistry, cell biology, and pharmacology, GPCRs as Therapeutic Agents will also earn a place in the libraries of professionals working in medicinal chemistry, structural biology, and clinical pharmacology.
1st Symposium on Functional Autoantibodies Targeting G-Protein-Coupled Receptors - Gabriela Riemekasten 2016-09-29
This Book of Abstracts is a collection of contributions to the first symposium on functional autoantibodies targeting G-protein-coupled receptors (GPCRs) in Lubeck. GPCRs are involved in a variety of

physiological and pathophysiological processes. So far, much effort has been made on anti-GPCR drug discovery with a focus on the development of small molecules and monoclonal antibodies for the treatment of cancer, infection, metabolic disorders or inflammatory diseases. Recently, functional autoantibodies against GPCRs were identified in various diseases associated with pathogenesis, uncovering a potential new field of therapeutic intervention. Thus, the aim of this symposium is to combine the current knowledge about the role of GPCRs in different pathologies, their mode of action and state-of-the-art research techniques to identify common fundamental pathways that can be transferred to other disease entities with similar manifestations."

G Protein-coupled Receptors - Jesús Giraldo 2011

This book considers the relationship between structure and function in G protein-coupled receptors (GPCRs) and the implications for drug design.

G-Protein-Coupled Receptor Dimers - Katharine Herrick-Davis 2017-08-31

G-protein-coupled receptors (GPCRs) are believed to be the largest family of membrane proteins involved in signal transduction and cellular responses. They dimerize (form a pair of macromolecules) with a wide variety of other receptors. The proposed book will provide a comprehensive overview of GPCR dimers, starting with a historical perspective and including, basic information about the different dimers, how they synthesize, their signaling properties, and the many diverse physiological processes in which they are involved. In addition to presenting information about healthy GPCR dimer activity, the book will also include a section on their pathology and therapeutic potentials.

The G Protein-Coupled Receptors Handbook - Lakshmi A. Devi 2008-03-01

A comprehensive survey of the many recent advances in the field of G protein-coupled receptors (GPCR). The authors describe the current knowledge of GPCR receptor structure and function, the different mechanisms involved in the regulation of GPCR function, and the role of pharmacological chaperones in GPCR folding and maturation. They also present new findings about how GPCR dimerization/oligomerization modifies the properties of individual receptors and show how recent developments are leading to significant advances in drug discovery, such as the detection of ligands for orphan GPCRs. Also discussed are the most recent developments that could lead to new drug discoveries: the role of GPCRs in mediating pain, the development of receptor-type selective drugs based on the structural plasticity of receptor activation, and the identification of natural ligands of orphan GPCRs (deorphanization) as possible drug targets.

GPCRs - Beata Jastrzebska 2019-09-11

GPCRS: Structure, Function, and Drug Discovery provides a comprehensive overview of recent discoveries and our current understanding of GPCR structure, signaling, physiology, pharmacology and methods of study. In addition to the fundamental aspects of GPCR function and dynamics, international experts discuss crystal structures, GPCR complexes with partner proteins, GPCR allosteric modulation, biased signaling through protein partners, deorphanization of GPCRs, and novel GPCR-targeting ligands that could lead to the development of new therapeutics against human diseases. GPCR association with, and possible therapeutic pathways for, retinal degenerative diseases, Alzheimer’s disease, Parkinson’s disease, cancer and diabetic nephropathy, among other illnesses, are examined in-depth. Addresses our current understanding and novel advances in the GPCR field, directing readers towards recent finding of key significance for translational medicine Combines a thorough discussion of structure and function of GPCRs with disease association and drug discovery Features chapter contributions from international experts in GPCR structure, signaling, physiology and pharmacology

GPCR Molecular Pharmacology and Drug Targeting - Annette Gilchrist 2010-12-10

G protein-coupled receptors (GPCRs) are a large protein family of transmembrane receptors vital in dictating cellular responses. GPCRs are involved in many diseases, but are also the target of around half of all modern medicinal drugs. Shifting Paradigms in G Protein Coupled Receptors takes a look at the way GPCRs are examined today, how they react, how their mutations lead to disease, and the many ways in which they can be screened for compounds that modulate them. Chemists, pharmacologists, and biologists will find essential information in this comprehensive reference.

Functional Selectivity of G Protein-Coupled Receptor Ligands - Kim Neve 2016-05-01

In Functional Selectivity of G Protein-Coupled Receptors, experts review the work that demonstrated the existence of functional selectivity, placed it within a theoretical framework, and provided a mechanistic basis for the phenomenon.

Receptor-Receptor Interactions - Kjell Fuxe 2013-03-13

G Protein Pathways, Part A: Receptors - 2001-10-09

G Protein Pathways is the first of three volumes examining the nature of heterotrimeric G proteins. The text takes an integrated approach to studying common experimental questions at many different levels related to G proteins. Methods related to G proteins using molecular modeling, systems biology, protein engineering, protein biochemistry, cell biology, and physiology are all accessible in the same volume. The critically acclaimed laboratory standard for more than forty years, Methods in Enzymology is one of the most highly respected publications in the field of biochemistry. Since 1955, each volume has been eagerly awaited, frequently consulted, and praised by researchers and reviewers alike. Now with more than 300 volumes (all of them still in print), the series contains much material still relevant today truly an essential publication for researchers in all fields of life sciences.

Oligomerization in Health and Disease: From Enzymes to G Protein-Coupled Receptors - 2020-01-16

Oligomerization in Health and Disease: From Enzymes to G Protein-Coupled Receptors, Volume 169 in the Progress in Molecular Biology and Translational Science series, provides in-depth reviews on topics of exceptional scientific importance. Topics of note in this new release include Computational prediction and re-design of aberrant oligomerization, Oligomerization of G protein-coupled receptors: an historical overview, Prediction and targeting of GPCR oligomer interfaces, GPCR Oligomerization dynamics: Functional consequences, GPCR heteromerization in neuropsychiatric disorders, Structural basis of regulation and oligomerization of human cystathionine β-synthase, and Oligomerization of Porphobilinogen Synthase. Includes comprehensive coverage of molecular biology Presents ample use of tables, diagrams, schemata and color figures to enhance the reader's ability to rapidly grasp the information provided Contains contributions from renowned experts in the field of molecular biology

Regulatory Autoantibodies Targeting G-Protein-Coupled Receptors - Gabriela Riemekasten 2018-09-28

This book concludes the results of the 2nd symposium on functional or regulatory autoantibodies targeting G-protein-coupled receptors (GPCRs) in Lübeck in 2018. GPCRs are involved in a variety of physiological and pathophysiological processes. So far, much effort has been made on anti-GPCR drug discovery with a focus on the development of small molecules for the treatment of cancer, infection, metabolic disorders or inflammatory diseases. Recently, functional autoantibodies against GPCRs were identified in healthy donors and were found to be dysregulated in various diseases. They are associated with pathogenesis, uncovering a potential new field of therapeutic intervention. Thus, the aim of the symposium in 2018 was to combine the current knowledge about the role of anti-GPCR ab in different pathologies, their mode of action and state-of-the-art research techniques to identify common fundamental pathways that can be transferred to other disease entities with similar manifestations.

Protein Allostery in Drug Discovery - Jian Zhang 2019-11-09

The book focuses on protein allostery in drug discovery. Allosteric regulation, 'the second secret of life', fine-tunes virtually most biological processes and controls physiological activities. Allostery can both cause human diseases and contribute to development of new therapeutics. Allosteric drugs exhibit unparalleled advantages compared to conventional orthosteric drugs, rendering the development of allosteric modulators as an appealing strategy to improve selectivity and pharmacodynamic properties in drug leads. The Series delineates the immense significance of protein allostery—as demonstrated by recent advances in the repertoires of the concept, its mechanistic mechanisms, and networks, characteristics of allosteric proteins, modulators, and sites, development of computational and experimental methods to predict allosteric sites, small-molecule allosteric modulators of protein kinases and G-protein coupled receptors, engineering allostery, and the underlying role of allostery in precise medicine. Comprehensive understanding of protein allostery is expected to guide the rational design of allosteric drugs for the treatment of human diseases. The book would be useful for scientists and students in the field of protein science and Pharmacology etc.

Insights into Receptor Function and New Drug Development Targets - P. Michael Conn 2006-09-28

This book describes the current state of knowledge in receptor function in the development of new drugs. Science is on the verge of viewing effector molecules and other regulatory sites as therapeutic targets for the amelioration of human and animal disease. The book reviews the availability of state-of-the-art tools that allow measurement of interactions and afford unprecedented insight into the biomolecular interactions that present novel approaches to drug design.

Oligomerization and Allosteric Modulation in G-Protein Coupled Receptors - 2012-12-02

In this thematic volume of Progress in Molecular Biology and Translational Science, researchers reflect on recent developments and research surrounding G protein-coupled receptors. The chapters cover a large breadth of research, including GPCR role in stem cell function and pharmacology. Authors explore in-depth research techniques and applications of GPCR usage, covering theory, laboratory approaches, and unique qualities that make GPCRs a crucial tool in microbiological and cancer research. Contributions from leading authorities Informs and updates on all the latest developments in the field

Receptor - Based Drug Design - Paul Leff 1998-04-10

Employing a wide range of examples from G-protein-coupled receptors and ligand-gated ion channels, this detailed, single-source reference illustrates the principles of pharmacological analysis and receptor classification that are the basis of rational drug design. Explains the experimental and theoretical methods used to characterize interactions between ligands and receptors-providing the pharmacological information needed to solve treatment problems and facilitate the drug design process! Demonstrating the achievements of the receptor-based approach in therapeutics and indicating future directions, Receptor-Based Drug Design introduces novel computer-assisted strategies for the design of new agonists, antagonists, and inverse agonists for G-protein-coupled receptors shows how to assess agonist concentration-effect curve data discusses radioligand binding assays presents new in vitro multiarray assays for G-protein-coupled receptors explains the use of individual second messenger signaling responses in analyzing drug-receptor interactions examines the role of electrophysiology in finding new drugs and drug targets describes selectively acting b-adrenoceptor agonists and glucocorticoid steroids for asthma treatment outlines the rationale for using angiotensin receptor antagonists and more! Written by over 25 international authorities and containing nearly 1200 bibliographic citations, Receptor-Based Drug Design is a practical resource for pharmacologists, pharmacists, and pharmaceutical scientists; organic and medicinal chemists and biochemists; molecular biologists; biomedical researchers; and upper-level undergraduate and graduate students in these disciplines.

Biomolecular Simulations in Structure-Based Drug Discovery - Francesco L. Gervasio 2019-04-29

A guide to applying the power of modern simulation tools to better drug design Biomolecular Simulations in Structure-based Drug Discovery offers an up-to-date and comprehensive review of modern simulation tools and their applications in real-life drug discovery, for better and quicker results in structure-based drug design. The authors describe common tools used in the biomolecular simulation of drugs and their targets and offer an analysis of the accuracy of the predictions. They also show how to integrate modeling with other experimental data. Filled with numerous case studies from different therapeutic fields, the book helps professionals to quickly adopt these new methods for their current projects. Experts from the pharmaceutical industry and academic institutions present real-life examples for important target classes such as GPCRs, ion channels and amyloids as well as for common challenges in structure-based drug discovery. Biomolecular Simulations in Structure-based Drug Discovery is an important resource that: -Contains a review of the current generation of biomolecular simulation tools that have the robustness and speed that allows them to be used as routine tools by non-specialists -Includes information on the novel methods and strategies for the modeling of drug-target interactions within the framework of real-life drug discovery and development -Offers numerous illustrative case studies from a wide-range of therapeutic fields -Presents an application-oriented reference that is ideal for those working in the various fields Written for medicinal chemists, professionals in the pharmaceutical industry, and pharmaceutical chemists, Biomolecular Simulations in Structure-based Drug Discovery is a comprehensive resource to modern simulation tools that complement and have the potential to complement or replace laboratory assays for better results in drug design.

Structure and Function of GPCRs - Guillaume Lebon 2019-08-01

This book introduces readers to the latest advances in G protein-coupled receptor (GPCR) biology. It reviews our current understanding of the structural basis of ligand binding and allosteric mechanisms, following a decade of technological breakthroughs. Several examples of structure-based drug discovery are presented, together with the future challenges involved in designing better drugs that target GPCRs. In turn, the book illustrates the important concept of GPCR biased signaling in physiological contexts, and presents fluorescent- and light-based methodologies frequently used to measure GPCR signaling or to trace their dynamics in cells upon ligand activation. Taken together, the chapters provide an essential overview and toolkit for new scientific investigators who plan to develop GPCR projects. All chapters were written by experts in their respective fields, and share valuable insights and powerful methodologies for the GPCR field.

G Protein-Coupled Receptors - David Poyner 2009-12-11

G-Protein Coupled Receptors (GPCRs) are not only the largest protein family in the human genome but are also the single biggest target for therapeutic agents. Research into GPCRs is therefore growing at a fast pace and the range of techniques that can be applied to GPCRs is vast and continues to grow. This book provides an invaluable bench-side guide into the best and most up-to-date techniques for current and future research on GPCRs. With contributions from leading international authorities, this book equips readers with clear and detailed protocols for both well-known and up-and-coming techniques along with hints and tips for success. All the methods have been tried and tested by leading international research labs and are presented in easy-to-follow stages along with a useful overview of each technique. This book is an essential resource for all researchers in molecular biology, biochemistry, pharmacology and for graduate students.

G Protein-Coupled Receptors in Drug Discovery - Kenneth H Lundstrom 2019-08-30

The broad range of G protein-coupled receptors (GPCRs) encompasses all areas of modern medicine and have an enormous impact on the process of drug development. Using disease-oriented methods to cover everything from screening to expression and crystallization, G Protein-Coupled Receptors in Drug Discovery describes the physiological roles of GPCRs and their involvement in various human diseases. The book presents current approaches in drug discovery that include target selection, establishment of screening and functional assays. It also covers recombinant GPCR expression for drug screening and structural biology, different methods for structural characterization of GPCRs, and the importance of bioinformatics. The book has been carefully edited to avoid overlapping information, some duplication has been intentionally permitted so that each chapter can function as an independent unit. Providing in-depth discussions on structure and dynamics of GPCRs, this book outlines the importance of the GPCRs to drug discovery in general and drug targets specifically. Daniel E. Levy, editor of the Drug Discovery Series, is the founder of DEL BioPharma, a consulting service for drug discovery programs. He also maintains a blog that explores organic chemistry.

Functional Selectivity of G Protein-Coupled Receptor Ligands - Kim Neve 2009-02-27

Functional selectivity refers to the ability of different ligands acting at one receptor subtype to activate multiple signaling pathways in unique combinations; that is, one drug can be an agonist at pathway A and an antagonist or partial agonist at pathway B, and another drug can have the reverse profile. Functional selectivity has profound implications for drug development, for chemical biology, and for the design of experiments to characterize receptor function. In Functional Selectivity of G Protein-Coupled Receptors expert neuroscientists and pharmacologists review the work that demonstrated the existence of functional selectivity, placed it within a theoretical framework, and provided a mechanistic basis for the phenomenon. This exciting, comprehensive, and future-oriented volume includes chapters that focus on theoretical and mechanistic aspects of functional selectivity and that cut across subfamilies of GPCRs. Additional chapters focus on subfamilies of therapeutically relevant receptors where there is considerable evidence of ligand functional selectivity. Accessible and authoritative, Functional Selectivity of G Protein-Coupled Receptors is a valuable educational tool and reference source for students and scientists interested in drug development, chemical biology, and GPCR function.

G Protein-Coupled Receptors - Tatsuya Haga 2005-08-04

This book provides a broad base of knowledge of G-protein-coupled receptors. Useful at both the university and industrial levels, this book is

of particular interest to those who are developing therapeutic approaches to diseases using drugs that influence receptor activation.

Ligand Design for G Protein-coupled Receptors, Volume 30 - Didier Rognan 2006-03-10

1. G protein-coupled receptors in the human genome -- 2. Why G protein-coupled receptors databases are needed -- 3. A novel drug screening assay for G protein-coupled receptors -- 4. Importance of GPCR dimerization for function : the case of the class C GPCRs -- 5. Molecular mechanisms of GPCR activation -- 6. Allosteric properties and regulation of G protein-coupled receptors -- 7. Chemogenomics approaches to ligand design -- 8. Strategies for the design of pGPCR-targeted libraries -- 9. Ligand-based rational design : virtual screening -- 10. 3-D structure of G protein-coupled receptors --11. 7TM models in structure-based drug design -- 12. Receptor-based rational design : virtual screening.

G Protein-Coupled Receptors in Drug Discovery - Wayne R. Leifert 2016-08-23

The G protein-coupled receptors (GPCRs) and associated peripheral G proteins underpin a multitude of physiological processes. The GPCRs represent one of the largest superfamilies in the human genome and are a significant target for bioactive and drug discovery programs. It is estimated that greater than 50% of all drugs, including those in development, currently target GPCRs. Many of the characterized GPCRs have known ligands; however, approximately 20% of GPCRs are described as orphan GPCRs, apparent GPCRs that share the generic high-level structure charact- istic of GPCRs but whose endogenous ligand is not known. Therefore, it is expected that the field of GPCR drug discovery and development will greatly expand in the coming years with emphasis on new generations of drugs against GPCRs with unique therapeuticuseswhichmayincludedrugssuchasallostericregulators,inverse agonists, and identification of orphan GPCR ligands. AswelearnmoreaboutthemolecularsignalingcascadesfollowingGPCRactivation, we acquire a better appreciation of the complexity of cell signaling and as a result, also acquire a vast array ofnew molecularmethods toinvestigate these andother processes. Thegeneralaimofthisbookistoprovideresearcherswitharangeofprotocolsthatmay be useful in their GPCR drug discovery programs. It is also the basis for the devel- ment of future assays in this field. Therefore, the range of topics covered and the appropriate methodological approaches in GPCR drug discovery are reflected in this book. Itisinterestingtonotethatfuturedirectionsindrugdiscoverywillrequireinput and collaboration from a plethora of fields of research. As such, this book will likely be of interest to scientists involved in such fields as molecular biology, pharmacology, biochemistry, cellular signaling, and bio-nanotechnology.

G Protein-coupled Receptors - Georges Vauquelin 2008-01-08

G protein-coupled receptors (GPCRs) are membrane proteins that transduce a vast array of extracellular signals into intracellular reactions ranging from cell-cell communication processes to physiological responses. They play an important role in a variety of diseases from cancer and diabetes, to neurodegenerative, inflammatory and respiratory disorders. GPCRs are therefore of utmost interest in drug development: over half of all prescription drugs currently on the market act by targeting these receptors directly or indirectly. G Protein-coupled Receptors: Molecular Pharmacology provides a clear summary of the current knowledge in this fast-evolving field. The book sets out with an introduction to signalling molecules and their receptors, and an overview of the technical approaches used to investigate these interactions. Structural, functional and especially pharmacological aspects of GPCRs are then discussed in more detail and much attention is devoted to the analysis and interpretation of experimental data. The now widespread use of recombinant cell lies, receptor mutants and related artifices in drug research is critically evaluated. Special attention is also devoted to topical but often poorly understood concepts, such as insurmountable antagonism, inverse agonism and allosteric interactions. By combining general information with the major state-of-the-art concepts in GPCR-research, this outstanding book equips the reader with the necessary background for understanding and critically evaluating the current literature. Written by two experts from academia and industry, G Protein-coupled Receptors: Molecular Pharmacology offers a unique view of academic and applied approaches aiming to reveal new ideas in pharmaceutical research. The book is of interest to anyone involved in drug development and preclinical research and those who need to function within multi-disciplinary teams in the pharmaceutical industry: from investigators to product managers or clinicians who seek to have a broad mechanistic understanding of drug-receptor interactions. It is also an invaluable resource for final year undergraduate and postgraduate students in pharmacology and cell and molecular biology.

G Protein-Coupled Receptor Signaling - Mario Tiberi 2019-03-01

This detailed volume assembles comprehensive protocols to assist with the study of structural, molecular, cell biological, and in vivo facets of GPCRs, and to enable the development of experimental tools for screening novel GPCR drugs. Sections explore the tweaking of ligands, bioluminescence and FRET approaches, specific GPCR signaling properties, as well as visualization of subcellular compartmentalization. Written for the highly successful Methods in Molecular Biology series, chapters include introductions to their respective topics, lists of the necessary materials and reagents, step-by-step, readily reproducible laboratory protocols, and tips on troubleshooting and avoiding known pitfalls. Authoritative and practical, G Protein-Coupled Receptor Signaling: Methods and Protocols serves as an ideal reference for life scientists working in a variety of research fields including molecular pharmacology, cell and developmental biology, brain behavior and physiology, drug development and screening. Chapter 4 is available open access under a CC BY 4.0 license via link.springer.com.

G Protein-Coupled Receptors - 2016-02-26

G-Protein-Coupled Receptors: Signaling, Trafficking, and Regulation, a new volume in the Methods in Cell Biology series continues the legacy of this premier serial with quality chapters authored by leaders in the field. This volume covers research methods in G-Protein-Coupled Receptors, and includes sections on such topics signaling, trafficking and regulation. Covers the increasingly appreciated cell biology field of G-protein-coupled receptors Includes both established and new technologies Contributed by experts in the field Covers topics such as signaling, trafficking, and regulation

Oligomerization and Allosteric Modulation in G-Protein Coupled Receptors - Terry Kenakin 2013

In this thematic volume of Progress in Molecular Biology and Translational Science, researchers reflect on recent developments and research surrounding G protein-coupled receptors. The chapters cover a large breadth of research, including GPCR role in stem cell function and pharmacology. Authors explore in-depth research techniques and applications of GPCR usage, covering theory, laboratory approaches, and unique qualities that make GPCRs a crucial tool in microbiological and cancer research. Key features: * Contributions from leading authorities * Informs and updates on all the latest developments in the field

Adhesion G Protein-coupled Receptors - Tobias Langenhan 2016-11-09

Latest research on Adhesion GPCRs has unearthed surprising revelations about the events that govern the signal transduction of these receptor molecules and the cellular and organ requirements for these signals. Unexpected and unprecedented findings suggest that Adhesion GPCRs constitute a group of receptors that sense mechanical stimuli and transcode them into metabotropic signals through the action of a novel activation paradigm. Interdisciplinary efforts transcending many areas of biomedical research including pharmacology, physiology, genetics, cell biology, structural biology, biochemistry and bioinformatics were necessary to unveil these fundamental properties. The scientific leaders in the field that carried this research effort have teamed up here to provide a comprehensive overview of our current understanding, how Adhesion GPCRs signal and how these receptors shape organ structure and function.

Computational Methods for GPCR Drug Discovery - Alexander Heifetz 2017-11-30

This volume looks at modern computational strategies and techniques used in GPCR drug discovery including structure and ligand-based approaches and cheminformatics. The chapters in this book describe how these approaches can be applied to address key drug discovery issues, such as receptor structure modelling, function and dynamics, prediction of protein-water-ligand interactions and binding kinetics, free energy of binding, interconversion between agonists and antagonists, deorphanization of GPCRs, and the discovery of biased and allosteric modulators. Written in the highly successful Methods in Molecular Biology series format, chapters include introductions to their respective topics, lists of the necessary software and tools, step-by-step, readily reproducible modelling protocols, and tips on troubleshooting and avoiding known pitfalls. Cutting-edge and unique,Computational Methods for GPCR Drug Discovery is a valuable resource for structural and molecular biologists, computational and medicinal chemists, pharmacologists, and drug designers.

Regulation of G Protein-coupled Receptor Function and Expression - Jeffrey L. Benovic 2000

This comprehensive text describes many techniques that are used to define the molecular mechanisms involved in receptor regulation. It covers a broad range of important concepts and methodologies in the study of G protein-coupled receptors.

G Protein-Coupled Receptor Screening Assays - Sofia Aires M. Martins 2021-06-05

This fully updated edition targets not only those assays directly involved in the discovery of GPCR-active compounds but also those involved in cell-based experiments designed to study physiological responses. Whether coming from academia or industry, or being an experienced researcher or a newcomer to the field, the reader will find accessible methods and protocols that cover the latest developments on receptor purification, molecular biology, recombinant engineering, and analytical techniques that enable the real time monitoring of the complex GPCR signaling cascade and identification of potential drug targets. Written for the highly successful Methods in Molecular Biology series, chapters include introductions to their respective topics, lists of the necessary materials and reagents, step-by-step, readily reproducible laboratory protocols, and tips on troubleshooting and avoiding known pitfalls. Authoritative and up-to-date, G Protein-Coupled Receptor Screening Assays: Methods and Protocols, Second Edition aims to provide the tools necessary to contribute to the advancement of GPCR research and discovery and ultimately lead to the availability of innovative and more efficient drugs.

G Protein-Coupled Receptors in Drug Discovery - Marta Filizola 2015-08-11

This detailed volume provides an overview of recent techniques employed in the field of G protein-coupled receptors (GPCRs) to screen for new drugs and to derive information about their receptor structure, dynamics, and function for the purpose of developing improved therapeutics. Owing to remarkable recent advances in the structural, biophysical and biochemical analyses of these receptors, as well as a growing body of evidence hinting at the possible relevance of allosteric modulators, biased agonists and oligomer-selective ligands as improved therapeutic agents, drug discovery for GPCRs has recently taken a completely new direction. For this book, expert contributors have shared their protocols and views on the impact of these methodologies on modern drug discovery. Written for the highly successful Methods in Molecular Biology series, chapters include introductions to their respective topics, lists of the necessary materials and reagents, step-by-step, readily reproducible laboratory protocols and tips on troubleshooting and avoiding known pitfalls. Practical and fully updated, G Protein-Coupled Receptors in Drug Discovery: Methods and Protocols, Second Edition serves as an ideal guide for a diverse audience from structural and molecular biologists to pharmacologists and drug designers who wish to explore this extensive class of key drug targets.

G Protein-Coupled Receptors as Drug Targets - Roland Seifert 2006-05-12

With its particular emphasis on the constitutive activity of G-protein-coupled receptors (GPCRs)s, this book comprehensively discusses an important biological process that has not yet been covered in such depth in any other existing books on GPCRs. The international team of highly distinguished authors addresses in detail current models and concepts, to introduce medicinal chemists, physiologists, pharmacologists, and medical researchers into the advances in the understanding of GPCR activation and constitutive activity. In addition, the book provides an overview on methods of investigating constitutive GPCR activity. The text is well illustrated by selected experimental data and schemes._The chaptes are all cross-referenced with each other and cover general mechnisms, methodological approaches and cover selected important GPCR sysstems, the consequences for drug action, including, side effects, and rational drug design for GPCR targets. A highly recommended reference for researchers in academia and industry. authors addresses in detail current models and concepts, so as to introduce pharmaceutical chemists, physiologists and medical researchers to the advances in the understanding of GPCR activation and constitutive activity, and provides an overview of the methods of investigating GPCR activity. The text is backed by abundant case studies and methodological advice for analyzing GPCRs, covering selected pharmacologically relevant GPCR systems, the consequences for drug action, including unwanted side effects, and rational drug design for GPCR targets. A highly practical reference for researchers in academia and industry.

G Protein-coupled Receptors - Georges Vauquelin 2008-03-11

G protein-coupled receptors (GPCRs) are membrane proteins that transduce a vast array of extracellular signals into intracellular reactions ranging from cell-cell communication processes to physiological responses. They play an important role in a variety of diseases from cancer and diabetes, to neurodegenerative, inflammatory and respiratory disorders. GPCRs are therefore of utmost interest in drug development: over half of all prescription drugs currently on the market act by targeting these receptors directly or indirectly. G Protein-coupled Receptors: Molecular Pharmacology provides a clear summary of the current knowledge in this fast-evolving field. The book sets out with an introduction to signalling molecules and their receptors, and an overview of the technical approaches used to investigate these interactions. Structural, functional and especially pharmacological aspects of GPCRs are then discussed in more detail and much attention is devoted to the analysis and interpretation of experimental data. The now widespread use of recombinant cell lies, receptor mutants and related artifices in drug research is critically evaluated. Special attention is also devoted to topical but often poorly understood concepts, such as insurmountable antagonism, inverse agonism and allosteric interactions. By combining general information with the major state-of-the-art concepts in GPCR-research, this outstanding book equips the reader with the necessary background for understanding and critically evaluating the current literature. Written by two experts from academia and industry, G Protein-coupled Receptors: Molecular Pharmacology offers a unique view of academic and applied approaches aiming to reveal new ideas in pharmaceutical research. The book is of interest to anyone involved in drug development and preclinical research and those who need to function within multi-disciplinary teams in the pharmaceutical industry: from investigators to product managers or clinicians who seek to have a broad mechanistic understanding of drug-receptor interactions. It is also an invaluable resource for final year undergraduate and postgraduate students in pharmacology and cell and molecular biology.

Orphan G Protein-Coupled Receptors and Novel Neuropeptides - Olivier Civelli 2008-05-15

Over the last decade it has been shown that orphan G protein-coupled receptors (GPCRs) can be used as targets to discover novel neuropeptides. A dozen neuropeptides have been identified through this approach. Each of these neuropeptides has opened new doors for our understanding of fundamental physiological or behavioral responses. For example the orexins, MCH and ghrelin carry fundamental roles in regulating food intake while neuropeptide S, neuromedin S, the prokineticins and the orexins are major players in modulating sleep and circadian rhythms. The chapters of this book review the latest research in the field, most of them are written by the original discoverers of the respective novel neuropeptide. Emphasis is set not only on their discovery but also on their functional significance. Since many of these neuropeptides are part of drug discovery programs, this book impacts academic as well as pharmaceutical research.