Molecular Biology of G-Protein-Coupled Receptors

Mark R. Brann, Editor

Foreword by Leslie L. Iversen

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Molecular Biology of G-Protein-Coupled Receptors M. Brann, 2012-12-06 LESLIE L IVERSEN The present series of volumes is well timed as the impact of molecular genetics on pharmacology has been profound and a comprehensive review of the rapid advances of the past decade is much needed Since the pioneering work of Dale Ariens and others in the early years of this century much of pharmacology has been founded on the concept of receptors To begin with the receptor was conceived of as a black box which recognized and transduced the biological effects of neurotransmit ters hormones or other biological messengers and which could also represent a target for man made drugs It is only in the last two decades that molecular pharmacology has blossomed first with the advent of radioligand binding techniques and second messenger studies which greatly facilitated the biochemical study of drug receptor interactions and latterly with increasing knowledge of the molecular architecture of the receptor proteins themselves This started with the traditional biochemical approach of isolating and purifying the receptor molecules This proved to be a task of immense technical difficulty because of the low density of receptors in most biological source tissues although there were some notable successes e g the purification of the nicotinic acetylcholine receptor from the electric organ of Torpedo It was the ap plication of molecular genetics technology during the 1980s however which really accelerated progress in this field G Protein-Coupled Receptors Tiina P. Iismaa, Trevor J. Biden, John Shine, 2013-06-29 This book is about the recent advances in the structural and functional characterization of receptors that influence intracellular signalling events through interaction with intracellular GTP binding proteins G proteins Molecular cloning of members of the G protein coupled receptor superfamily has complemented pharmacological investigations in providing a realization of the structural and functional diversity of these receptors An increased understanding of the involvement of particular receptor subtypes in normal and pathophysiological processes represents exciting possibilities for the development of highly specific and effective therapeutic agents Molecular Biology of G-protein-coupled Receptors Mark R. Brann, 1992 LESLIE L IVERSEN The present series of volumes is well timed as the impact of molecular genetics on pharmacology has been profound and a comprehensive review of the rapid advances of the past decade is much needed Since the pioneering work of Dale Ariens and others in the early years of this century much of pharmacology has been founded on the concept of receptors To begin with the receptor was conceived of as a black box which recognized and transduced the biological effects of neurotransmit ters hormones or other biological messengers and which could also represent a target for man made drugs It is only in the last two decades that molecular pharmacology has blossomed first with the advent of radioligand binding techniques and second messenger studies which greatly facilitated the biochemical study of drug receptor interactions and latterly with increasing knowledge of the molecular architecture of the receptor proteins themselves This started with the traditional biochemical approach of isolating and purifying the receptor molecules This proved to be a task of immense technical difficulty because of the low density of receptors in most biological

source tissues although there were some notable successes e g the purification of the nicotinic acetylcholine receptor from the electric organ of Torpedo It was the ap plication of molecular genetics technology during the 1980s however which really accelerated progress in this field G Protein-Coupled Receptors - Part A, 2022-11-08 G Protein Coupled Receptors Part A Volume 193 in the Progress in Molecular Biology and Translational Science series highlights new advances in the field G protein coupled receptors GPCRs represent the largest class of cell surface proteins with an intricate involvement of nearly every physiological process in our body Approximately one third of the clinically prescribed medicines target GPCRs and the quest to improve the exiting GPCR targeting therapeutics continues These two volumes are focused on activation signaling and regulation of GPCRs with specific examples of receptor systems and cellular processes Covers a broad range of topics related to GPCR activation and signaling Covers several receptor systems with an emphasis on emerging paradigms Covers different processes and model systems with a focus on GPCRs **G Protein Coupled Receptors** ,2013-01-17 This new volume of Methods in Enzymology continues the legacy of this premier serial by containing quality chapters authored by leaders in the field This volume covers G protein coupled receptors and includes chapters on such topics as post translation modification of GPCR in relationship to biased agonism structure based virtual screening and GPCR oligomerization in the brain Continues the legacy of this premier serial with quality chapters authored by leaders in the field Covers G protein coupled receptors Contains chapters on such topics as post translation modification of GPCR in relationship to biased agonism structure based virtual screening and GPCR oligomerization in the brain G Protein-Coupled Receptors - Part B ,2023-01-25 G Protein Coupled Receptors Part B Volume 195 represents the largest class of cell H103 surface proteins that have intricate involvement in nearly every physiological process in our body Approximately one third of the clinically prescribed medicines target GPCRs and the guest to improve the exiting GPCR targeting therapeutics continues Sections in this volume include Adhesion G protein coupled receptors Structure and functions Molecular and cellular mechanisms underlying brain region specific cannabinoid receptor modulation by estradiol across the rodent estrus cycle Probing the orphan receptors Tools and directions Asymmetric activation of Class C GPCRs Common and selective signal transduction mechanisms of GPCRs and much more Covers a broad range of topics related to GPCR activation and signaling Provides several receptor systems with an emphasis on emerging paradigms Includes different processes and model systems with a G Protein-Coupled Receptors: Emerging Paradigms in Activation, Signaling and Regulation Part A focus on GPCRs ,2018-04-23 G Protein Coupled Receptors Emerging Paradigms in Activation Signaling and Regulation Part A Volume 337 in the International Review of Cell and Molecular Biology series reviews and details current advances in cell and molecular biology The IRCMB series has a worldwide readership maintaining a high standard by publishing invited articles on important and timely topics that are authored by prominent cell and molecular biologists This new release includes comprehensive sections on the classical paradigms of GCPR signaling and regulation the family of small GTPases Beyond

heterotrimeric G proteins GPCR endocytosis Arrestins arrestin like proteins signaling from internalized G Protein Coupled Receptors GPCR kinases and more Publishes only invited review articles on selected topics Authored by established and active cell and molecular biologists whose work is drawn from international sources Offers a wide range of perspectives on 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 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** David Poyner, Mark Wheatley, 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 Receptor Signaling in Plants Mark P. Running, 2013 Remarkably while G protein coupled receptors GPCRs are highly prevalent in animals and yeast very few candidate GPCRs have been identified in plants In G Protein Coupled Receptor Signaling in Plants Methods and Protocols experts in the field describe techniques used in the study of small GTPases and related proteins Beginning with a chapter on bioinformatics approaches for GPCR

discovery this detailed volume continues with chapters on heterotrimeric G protein subunits Rab GTPases as well as lipid modifications including myristoylation acylation and prenylation Written in the highly successful Methods in Molecular Biology series format 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 dependable G Protein Coupled Receptor Signaling in Plants Methods and Protocols aims to aid further studies into the roles of small GTPases which will help elucidate numerous key processes in plants **G Protein-Coupled Receptors Part A** ,2017-10-02 G Protein Coupled Receptors Second Edition Volume 143 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 It contains a wide array of topics about the G protein coupled receptors as well as updates of chapters from the first edition Contains contributions from experts in the field from across the world Covers a wide array of topics on G protein coupled receptors Includes analysis G Protein-Coupled Receptors: Emerging Paradigms in Activation, Signaling and Regulation Part B ,2018-05-15 International Review of Cell and Molecular Biology reviews and details current advances in cell and molecular biology The IRCMB series has a worldwide readership maintaining a high standard by publishing invited articles on important and timely topics that are authored by prominent cell and molecular biologists The articles published in IRCMB have a high impact and an average cited half life of 9 years. This great resource ranks high amongst scientific journals dealing with cell biology Publishes only invited review articles on selected topics Authored by established and active cell and molecular biologists and drawn from international sources Offers a wide range of perspectives on specific subjects 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 therapeuticuses which may include drugs such as allosteric regulators inverse agonists and identification of orphan GPCR ligands Aswelearnmoreaboutthemolecular signaling cascades following GPCR activation we acquire a better appreciation of the complexity of cell signaling and as a result also acquire a vast array of new molecularmethods to investigate these and other 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, Bengt von Mentzer, 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 **Identification and Expression of G Protein-Coupled Receptors** Kevin pharmacology and cell and molecular biology R. Lynch,1999-05-10 The past decade has seen tremendous advances in the study of G protein coupled receptors GPCRs including the molecular cloning and identification of more than 100 hundred GPCR genes But while GPCRs serve as targets for more than 300 medicines in the modern pharmacopoeia the shrinking pool of known ligands and the continuing discovery of orphan GPCR genes have underscored the need for new approaches to ligand identification Identification and Expression of G Protein Coupled Receptors addresses this new direction in GPCR biochemistry offering a definitive laboratory bench manual that emphasizes expression over primary cloning strategies In a series of expert contributions by well known researchers this book provides detailed protocols for various expression systems from bacteria to mammalian cells as well as

straightforward opinions on the advantages and shortcomings of each approach Topics covered include Homology screening and the polymerase chain reaction in the cloning of GPCR genes Cloning of GPCRs using mammalian cell expression GPCR informatics and the orphan problem The use of Xenopus laevis oocytes for the study of GPCRs Stable expression of GPCRs in mammalian cells Heterologous expression in primary cell cultures Expression of GPCR in Escherichia coli Large scale expression and purification of GPCRs in mammalian cells High level expression of GPCRs in the Baculovirus Sf9 cell expression system Expression of GPCRs in Drosophila Schneider 2 cells Methods for genetic analysis and ligand identification using heterologous GPCRs expressed in Saccharomyces cerevisiae Supplemented with numerous photographs and illustrations Identification and Expression of G Protein Coupled Receptors is important reading for biochemists pharmacologists neuroscientists structural biologists and anyone involved in GPCR based research It delivers a wealth of useful advice practical tips and invaluable insight into trends at the cutting edge of current research GPCRs Guangyu Wu, 2015-06-05 G protein coupled receptors GPCRs constitute the largest superfamily of cell surface receptors that regulate a variety of cell functions Over the past few decades great progress has been made in defining the roles of intracellular trafficking in controlling the functionality of the receptors as well as in the development of various human diseases This volume of Progress in Molecular Biology and Translational Science reviews the recent understanding of GPCR trafficking regulators and molecular mechanisms Written by future leaders in the pain field Covers a wide range of targets Contains provocative ideas about GPCR trafficking **G Protein Coupled Receptors** ,2013-01-28 This new volume of Methods in Enzymology continues the legacy of this premier serial with quality chapters authored by leaders in the field This volume covers G protein coupled receptors and includes chapters on such topics as GPCR modelling interactions with other molecules virtual screening and GPCR activation Continues the legacy of this premier serial with quality chapters authored by leaders in the field Covers G protein coupled receptors Contains chapters on such topics as GPCR modelling interactions with other molecules virtual screening and GPCR activation **G Protein Coupled Receptors** ,2013-01-24 This new volume of Methods in Enzymology continues the legacy of this premier serial by containing quality chapters authored by leaders in the field This volume covers G protein coupled receptors and includes chapters on such topics as G protein coupled receptor trafficking motifs structure based virtual screening and automation friendly high throughput assays for identification of pharmacoperone drugs Continues the legacy of this premier serial with quality chapters authored by leaders in the field Covers G protein coupled receptors Contains chapters on such topics as G protein coupled receptor trafficking motifs structure based virtual screening and automation friendly high throughput assays for identifying pharmacoperone drugs Signal Transduction by G Protein-Coupled Receptors Paul H.J. Nederkoorn, Paul Timmerman, Gabrielle M. Donne-Op Den Kelder, 2013-06-29

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