Transporters As Targets For Drugs Topics In Medic

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Transporters As Targets For Drugs Topics In Medic ***2021-11-03***

SHAFFER HAILIE

Textbook of Drug Design and Discovery, Fifth Edition Springer Science & Business Media
Frontiers in Anti-Cancer Drug Discovery is an eBook series devoted to publishing the latest and the most important advances in Anti-Cancer drug design and discovery. Eminent scientists write contributions on all areas of rational drug design and drug discovery including medicinal chemistry, in-silico drug design, combinatorial chemistry, high-throughput screening, drug targets, recent important patents, and structure-activity relationships. The eBook series should prove to be of interest to all pharmaceutical scientists involved in research in Anti-Cancer drug design and discovery. Each volume is devoted to the major advances in Anti-Cancer drug design and discovery. The eBook series is essential reading to all scientists involved in drug design and discovery who wish to keep abreast of rapid and important developments in the field. The sixth volume of the series features chapters on several topics including: - Monocarboxylate transporters as anti-cancer drug targets - Interferon α-2b treatment for hepatocellular carcinoma - Anthracyclines in cancer therapy - Magnetosomes and tumor therapy ...and more.
Aquaporins in Health and Disease Elsevier
Plasmodiumfalciparum malaria poses one of the most important disease problems in the world. Despite decades of effort to improve disease outcome, the emergence and rapid dissemination of multi-drug resistant parasites has led to a disturbing increase in malaria mortality and morbidity. A critical limitation in managing multi-drug resistant falciparum malaria has been the incomplete understanding of both the underlying molecular mechanisms of drug resistance and the mode of action of widely used drugs. This study aimed to characterise the molecular mechanisms underlying multi- drug resistant malaria by studying the role of gene amplification in the P. falciparum multi-drug resistance gene 1 (pfmdrl) in determining parasite response to a variety of antimalarials in vitro and in vivo. In addition, P. falciparum ATPase 6 (PfATP6), a putative drug target of the widely used artemisinins, was also examined for possible drug-modulating mutations. First a real-time peR technique to measure amplification of pfmdri was developed and validated. This technique was used to determine pfmdri copy number in a unique set of field sample set (n = 600) collected in Northern Thailand, an area harbouring the world's most drug-resistant parasites. This allowed a comprehensive analysis of the importance of pfmdri amplification in (1) in vitro resistance to drugs, (2) in vivo response to mefloquine or mefloquine-artesunate therapy, (3) evolution of amplification in pre- and post-treatment samples. Subsequent studies also investigated the prevalence of pfmdrt amplification in Gabon, a Sub-Saharan country with very little mefloquine resistance. In addition, P. falciparum field isolates were studied for possible polymorphisms in PfATP6 and plasmid constructs generated to study the role of single nucleotide point mutations in the putative active site of the enzyme.
Molecular Pharmacology Springer Science & Business Media
Because progress in the field of transporters has been extraordinary, this volume will focus on recent advances in our understanding of the structure, function, physiology, and molecular biology of membrane transporters. There will be an emphasis on transporters as molecular targets for drug delivery and disposition in the body.
Antitargets Springer Science & Business Media
In this exceptionally important new work, a panel of distinguished authors discusses all the latest developments in the study of ocular transporters. Focusing on the molecular characteristics, localization, and substrate specificities in various compartments of the eye, this volume discusses how transporters regulate the clarity of the cornea and lens, the movements of fluids across the ciliary epithelium and nutrients across the retinal pigment epithelium.
Transporters as Drug Carriers Springer Nature
Of the thousands of novel compounds that a drug discovery project team invents and that bind to the therapeutic target, typically only a fraction of these have sufficient ADME/Tox properties to become a drug product. Understanding ADME/Tox is critical for all drug researchers, owing to its increasing importance in advancing high quality candidates to clinical studies and the processes of drug discovery. If the properties are weak, the candidate will have a high risk of failure or be less desirable as a drug product. This book is a tool and resource for scientists engaged in, or preparing for, the selection and optimization process. The authors describe how properties affect in vivo pharmacological activity and impact in vitro assays. Individual drug-like properties are discussed from a practical point of view, such as solubility, permeability and metabolic stability, with regard to fundamental understanding, applications of property data in drug discovery and examples of structural modifications that have achieved improved property performance. The authors also review various methods for the screening (high throughput), diagnosis (medium throughput) and in-depth (low throughput) analysis of drug properties. * Serves as an essential working handbook aimed at scientists and students in medicinal chemistry * Provides practical, step-by-step guidance on property fundamentals, effects, structure-property relationships, and structure modification strategies * Discusses improvements in pharmacokinetics from a practical chemist's standpoint
Membrane Transporters and Channels as Targets for Drugs Humana
Ocular transporters and receptors contains detailed descriptions of major transporters and receptors expressed in the eye, with special emphasis on their role in drug delivery. The complex anatomy and the existence of multiple barriers in the eye pose a considerable challenge to successful drug delivery to the eye. Hence ocular transporters and receptors are important targets for drug delivery. A significant advancement has been made in the field of ocular transport research and their role in drug delivery. In this book the cutting edge research being carried out in this

field is compiled and summarized. The book focuses on key areas, including the anatomy and physiology of the eye, biology of ocular transporters and receptors, techniques in characterization of transporters and receptors, transporters and receptors in the anterior and posterior segment in the eye, the role of ocular transporters and receptors in drug delivery, and transporter-metabolism interplay in the eye. Highly focused on ocular transporters Most up-to-date research compilation Detailed description of role of transporters and receptors in ocular drug discovery and delivery

Principles of Clinical Pharmacology Springer Science & Business Media

Written by a leading researcher in the field, Transporters in Drug Discovery and Development provides a comprehensive and practical guide to drug transporter families that are the most important for drug discovery and development. It covers: an overview of transporter families and organ distribution; clinical relevant drug-drug interaction; clinical relevant polymorphism; drug transporter related pharmacokinetic, pharmacodynamics and toxicity; in vitro/in vivo probes of drug transport studies; the practical methodologies of industrial transporter screening and translational aspect in drug discovery and developments. A comprehensive overview of drug transporter families and their clinical relevance in drug discovery and development Balanced coverage of molecular biology aspects and functional outcomes State of art knowledge related to transporter-mediated DDI and the clinical relevance in pharmacokinetics, dynamics, and toxicity

Membrane Transporters as Drug Targets John Wiley & Sons

Understanding and quantifying the effects of membrane transporters within the human body is essential for modulating drug safety and drug efficacy. In this first volume on Drug Transporters, the current knowledge and techniques in the transporter sciences and their relations to drug metabolism and pharmacokinetics are comprehensively reviewed. The second volume of the book is specifically dedicated to emerging science and technologies, highlighting potential areas for future advances within the drug transporter field. The topics covered in both volumes ensure that all relevant aspects of transporters are described across the drug development process, from in silico models and preclinical tools through to the potential impact of transporters in the clinic. Contributions are included from expert leaders in the field, at-the-bench industrial scientists, renowned academics and international regulators. Case studies and emerging developments are highlighted, together with the merits and limitations of the available methods and tools, and extensive references to reviews on specific in-depth topics are also included for those wishing to pursue their knowledge further. As such, this text serves as an essential handbook of information for postgraduate students, academics, industrial scientists and regulators who wish to understand the role of transporters in absorption, distribution, metabolism, and excretion processes. In addition, it is also a useful reference tool on the models and calculations necessary to predict their effect on human pharmacokinetics and pharmacodynamics.

Antitargets and Drug Safety Elsevier

This revised second edition covers the pharmacologic principles underlying the individualization of patient therapy and contemporary drug development, focusing on the fundamentals that underlie the clinical use and contemporary development of pharmaceuticals. Authors drawn from academia, the pharmaceutical industry and government agencies cover the spectrum of material, including pharmacokinetic practice questions, covered by the basic science section of the certifying examination offered by the American Board of Clinical Pharmacology. This unique reference is recommended by the Board as a study text and includes modules on drug discovery and development to assist students as well as practicing pharmacologists. Unique breadth of coverage ranging from drug discovery and development to individualization and quality assessment of drug therapy Unusual cohesive of presentation that stems from author participation in an ongoing popular NIH course Instructive linkage of pharmacokinetic theory and applications with provision of sample problems for self-study Wide-ranging perspective of authors drawn from the ranks of Federal agencies, academia and the pharmaceutical industry Expanded coverage of pharmacogenetics Expanded coverage of drug transporters and their role in interactions Inclusion of new material on enzyme induction mechanisms in chapters on drug metabolism and drug interactions A new chapter on drug discovery that focuses on oncologic agents Inclusion of therapeutic antibodies in chapter on biotechnology products

Encyclopedia of Molecular Pharmacology Jones & Bartlett Publishers

Drug metabolism and transport are very important facets within the discipline of pharmaceutical sciences, with enzyme kinetic concepts utilized regularly in characterizing and modeling the disposition and elimination of drugs. Enzyme Kinetics in Drug Metabolism: Fundamentals and Applications focuses on very practical aspects of applying kinetic principles to drug metabolizing enzymes and transporters. Divided into five convenient sections, topics include the fundamental principles of enzyme kinetics, the kinetics of oxidative and conjugative drug metabolizing enzymes and drug transporters, modeling approaches for both drug metabolizing enzymes and transporters including novel systems biology approaches, understanding of variability both experimental and interindividual (pharmacogenomic), and case studies that provide real life examples of applying these principles. Written in the successful Methods in Molecular Biology series format, chapters include introductions to their respective topics especially suitable for the novice, in some cases step-by-step, readily reproducible protocols, and insights to help with troubleshooting and avoiding known pitfalls with extensive cross referencing to assist in learning. Authoritative and easily accessible, Enzyme Kinetics in Drug Metabolism: Fundamentals and Applications serves as a very practical teaching tool for novice, non-mathematically trained scientists interested in these fundamental concepts and as an aid for their supervisors in teaching these principles.

Presynaptic Receptors and Neuronal Transporters John Wiley & Sons

Candida, which was discovered more than a century ago as a causative organism of oral thrush, is now thought to potentially infect almost every tissue of the human body. Although we still do not have a safe anti-candida drug, the growing pace of progess of research on Candida albicans holds promise that a breakthrough is imminent. Though many monographs and articles on candida and candidoses have appeared in recent years, they mostly cover the clinical aspects. This particular text, however, explains the more basic features of candida including the molecular genetics, molecular biology and immunology of the cell wall, the molecular basis of morphogenesis and the structure and function of the plasma membrane. The role of anti-candida drugs and their mechanism of action are also discussed.

Transporters as Targets for Drugs Royal Society of Chemistry

Chemotherapy is one of the major treatment options for cancer patients; however, the efficacy of chemotherapeutic management of cancer is severely limited by multidrug resistance, in that cancer cells become simultaneously resistant to many structurally and mechanistically unrelated drugs. In the past three decades, a number of mechanisms by which cancer

cells acquire multidrug resistance have been discovered. In addition, the development of agents or strategies to overcome resistance has been the subject of intense study. This book contains comprehensive and up-to-date reviews of multidrug resistance mechanisms, from over-expression of ATP-binding cassette drug transporters such as P-glycoprotein, multidrug resistance-associated proteins, and breast cancer resistance p-tein to the drug ratio-dependent antagonism and the paradigm of cancer stem cells. The book also includes strategies to overcome multidrug resistance, from the development of compounds that inhibit drug transporter function to the modulation of transporter expression. In addition, this book contains techniques for the detection and imaging of drug transporters, methods for the investigation of drug resistance in animal models, and strategies to evaluate the efficacy of resistance reversal agents. The book intends to provide a state-of-the-art collection of reviews and methods for both basic and clinician investigators who are interested in cancer multidrug resistance mechanisms and reversal strategies. Tianjin, China Jun Zhou v Contents Preface. . . . . v Contributors. . . . . ix 1 Multidrug Resistance in Cancer . . . . . 1 Bruce C. Baguley 2 Multidrug Resistance in Oncology and Beyond: From Imaging of Drug Efflux Pumps to Cellular Drug Targets . . . . . .

Frontiers in Anti-Cancer Drug Discovery Bentham Science Publishers

Since the discovery of Aquaporin-1 (AQP1) as a water channel, many studies have revealed the importance of aquaporins in mammalian physiology and pathophysiology as well as plant and microbial biology. The studies have also shown aquaporins as potential drug targets and targets for improving crop properties. Written by an international group of contributors at the forefront of the field, Aquaporins in Health and Disease: New Molecular Targets for Drug Discovery presents the latest research advances in aquaporins and other major intrinsic protein (MIP) channels. The first section of the book describes the general concepts of aquaporin channel function, genomic research, structure-function analysis of aquaporins and glycerol facilitators, and regulation by gating and trafficking, including yeast aquaporin regulation and function. The second section discusses the physiological and pathophysiological roles of aquaporins in humans and microbes. The final section covers the development of inhibitors of aquaporin function. The book's epilogue offers future perspectives and directions, mainly in the area of aquaporin-based diagnostics and therapeutics. Stimulating future research on this important protein family, this book facilitates a paradigm shift in the understanding and roles of aquaporin membrane proteins in all biological settings. It encourages scientists to develop novel approaches for the treatment of human diseases based on aquaporin function or dysfunction.

Ocular Transporters in Ophthalmic Diseases and Drug Delivery Handbook of Experimental Pharmacology

This practice-oriented handbook surveys current knowledge on the prediction and prevention of adverse drug reactions related to off-target activity of small molecule drugs. It is unique in collating the current approaches into a single source, and includes several highly instructive case studies that may be used as guidelines on how to improve drug development projects. With its large section on ADME-related effects, this is key knowledge for every drug developer.

Multi-Drug Resistance in Cancer John Wiley & Sons

This textbook provides a fresh, comprehensive and accessible introduction to the rapidly expanding field of molecular pharmacology. Adopting a drug target-based, rather than the traditional organ/system based, approach this innovative guide reflects the current advances and research trend towards molecular based drug design, derived from a detailed understanding of chemical responses in the body. Drugs are then tailored to fit a treatment profile, rather than the traditional method of 'trial and error' drug discovery which focuses on testing chemicals on animals or cell cultures and matching their effects to treatments. Providing an invaluable resource for advanced under-graduate and MSc/PhD students, new researchers to the field and practitioners for continuing professional development, Molecular Pharmacology explores; recent advances and developments in the four major human drug target families (G-protein coupled receptors, ion channels, nuclear receptors and transporters), cloning of drug targets, transgenic animal technology, gene therapy, pharmacogenomics and looks at the role of calcium in the cell. Current - focuses on cutting edge techniques and approaches, including new methods to quantify biological activities in different systems and ways to interpret and understand pharmacological data. Cutting Edge - highlights advances in pharmacogenomics and explores how an individual's genetic makeup influences their response to therapeutic drugs and the potential for harmful side effects. Applied - includes numerous, real-world examples and a detailed case-study based chapter which looks at current and possible future treatment strategies for cystic fibrosis. This case study considers the relative merits of both drug therapy for specific classes of mutation and gene therapy to correct the underlying defect. Accessible - contains a comprehensive glossary, suggestions for further reading at the end of each chapter and an associated website that provides a complete set of figures from within the book.

Ocular Transporters and Receptors Woodhead Publishing

Abstract: Transporter proteins and receptors play a pivotal role in drug absorption, distribution and excretion. However, very few of the transporters have been crystallized and not all pharmaceutically significant receptors have been studied extensively. Nonetheless, currently available functional as well as structural data provide an attractive scaffold for generating combined models that merge ligand-based structure-activity relationship and protein-based homology structures. The resultant models offer features that extend the predictive function of previous single models. This dissertation is aimed at presenting alternative approaches for studying transporter and receptor structure by applying in silico technologies with the following specific aims: (1) to develop thoroughly validated, highly predictive Quantitative Structure Activity Relationship (QSAR) models and pharmacophore models for pharmaceutically important transporters and receptors; (2) to generate comparative three-dimensional models for essential drug targets; and (3) to identify novel inhibitors towards significant drug targets through database screening using pharmacophore models generated in aim 1. Chapter 1 presents an overview of in silico approaches for studying drug targets. A summary of the significance of transporters and receptors in human health is provided along with a comprehensive review of recent successful in silico applications. Also included is a detailed description of the methods used in later studies. Chapter 2 - 9 describe the QSAR and pharmacophore studies as well as pharmacophore-based database screening results for transporters involved in: drug absorption, i.e., nucleoside transporter and peptide transporters; drug elimination, i.e., organic cation transporter, organic anion transporting polypeptides and drug efflux, i.e., P-glycoprotein, and for pharmaceutically important receptors, i.e., androgen receptor, bile acid receptor. The significance of each drug target

is first presented, followed by the description of the modeling study. The implication of each model is discussed after the validation process. The database screening results are also listed with experimental verification, when available. Chapter 10 will summarize previous studies and compare advantages and disadvantages of different in silico methods. It will also discuss future directions of in silico modeling studies based on the work outlined in this dissertation.

Special Issue Transporters as Targets for Drugs and Endogenous Compounds John Wiley & Sons

Developed as a one-stop reference source for drug safety and toxicology professionals, this book explains why mitochondrial failure is a crucial step in drug toxicity and how it can be avoided. • Covers both basic science and applied technology / methods • Allows readers to understand the basis of mitochondrial function, the preclinical assessments used, and what they reveal about drug effects • Contains both in vitro and in vivo methods for analysis, including practical screening approaches for drug discovery and development • Adds coverage about mitochondrial toxicity underlying organ injury, clinical reports on drug classes, and discussion of environmental toxicants affecting mitochondria

Candida Albicans John Wiley & Sons

The present volume of the Handbook of Experimental Pharmacology gives a representative survey of the current status of the structure, function, regulation and molecular pharmacology of Neurotransmitter Transporters and aims at providing an overview of insights that were generated in the past 5 years. If the volume serves as both, a useful compendium of current concepts and an inspiring starting point, it will have fulfilled its mission and will be a source for students interested in this emerging field as well as for experienced scientists looking for an update. This volume is the brainchild of the editor-in-chief of the HEP series, Klaus Starke, awe-inspiring to all pharmacologists of younger generations.

Drug Delivery (book) Elsevier

This comprehensive encyclopedic reference provides rapid access to focused information on topics of cancer research for clinicians, research scientists and advanced students. Given the overwhelming success of the first edition, which appeared in 2001, and fast development in the different fields of cancer research, it has been decided to publish a second fully revised and expanded edition. With an A-Z format of over 7,000 entries, more than 1,000 contributing authors provide a complete reference to cancer. The merging of different basic and clinical scientific disciplines towards the common goal of fighting cancer makes such a comprehensive reference source all the more timely.

Drug Transporters Royal Society of Chemistry

With contributions by numerous experts