



Proteases As Targets For Therapy

Sean Ekins, Jinghai J. Xu



Proteases As Targets For Therapy:

Proteases as Targets for Therapy Klaus, von der Helm, Bruce D. Korant, John C. Cheronis, 2012-12-06 With contributions by numerous experts

Aspartic Acid Proteases as Therapeutic Targets Arun K. Ghosh, 2011-08-04 In this ground breaking practical reference the family of aspartic acid proteases is described from a drug developer's perspective The first part provides a general introduction to the family of aspartic acid proteases their physiological functions molecular structure and inhibition Parts two to five present various case studies of successful protease inhibitor drug design and development as well as current and potential uses of such inhibitors in pharmaceutical medicine covering the major therapeutic targets HIV 1 protease renin beta secretase gamma secretase plasmepsins and fungal proteases A ready reference aimed primarily at professionals in the pharmaceutical industry as well as for anyone studying proteases and their function

Proteases: Structure and Function Klaudia Brix, Walter Stöcker, 2014-01-21 Proteolysis is an irreversible posttranslational modification affecting each and every protein from its biosynthesis to its degradation Limited proteolysis regulates targeting and activity throughout the lifetime of proteins Balancing proteolysis is therefore crucial for physiological homeostasis Control mechanisms include proteolytic maturation of zymogens resulting in active proteases and the shut down of proteolysis by counteracting endogenous protease inhibitors Beyond the protein level proteolytic enzymes are involved in key decisions during development that determine life and death from single cells to adult individuals In particular we are becoming aware of the subtle role that proteases play in signaling events within proteolysis networks in which the enzymes act synergistically and form alliances in a web like fashion Proteases come in different flavors At least five families of mechanistically distinct enzymes and even more inhibitor families are known to date many family members are still to be studied in detail We have learned a lot about the diversity of the about 600 proteases in the human genome and begin to understand their physiological roles in the degradome However there are still many open questions regarding their actions in pathophysiology It is in this area where the development of small molecule inhibitors as therapeutic agents is extremely promising Approaching proteolysis as the most important irreversible post translational protein modification essentially requires an integrated effort of complementary research disciplines In fact proteolytic enzymes seem as diverse as the scientists working with these intriguing proteins This book reflects the efforts of many in this exciting field of research where team and network formations are essential to move ahead

Viral Proteases and Antiviral Protease Inhibitor Therapy Uwe Lendeckel, Nigel M. Hooper, 2009-06-19 The 8th volume in the Proteases in Biology and Disease series focuses on the role of proteases in virus function and their potential as anti viral targets Viral infections are still difficult to threat and some remained life threatening diseases in spite of antiviral drug research over decades Proteases are still regarded as an Achilles heel of the pathogens and thus protease inhibitors may help to handle the known and the emerging viral threads The book discusses viral proteases of the most important pathogenic viruses responsible for severe diseases AIDS SARS Hepatitis

Cytomegalovirus T cell lymphotropic virus Picornavirus This book focuses specifically on the viral proteases crucial prerequisites for viral entry into cells and viral replication Viral proteases represent an important pharmaceutical target The current stage of protease inhibitor development and therapy are summarised and discussed by experts in the field This volume represents a timely and valuable continuation of the Proteases in Biology and Disease series The reader will learn the potential for proteases as targets for effective anti virals This book will be a valuable source of information on viral proteases and provoke further research in this important field Cancer-Leading Proteases Satya Prakash Gupta,2020-01-09 Cancer

Leading Proteases Structures Functions and Inhibition presents a detailed discussion on the role of proteases as drug targets and how they have been utilized to develop anticancer drugs Proteases possess outstanding diversity in their functions Because of their unique properties proteases are a major focus of attention for the pharmaceutical industry as potential drug targets or as diagnostic and prognostic biomarkers This book covers the structure and functions of proteases and the chemical and biological rationale of drug design relating to how these proteases can be exploited to find useful chemotherapeutics to fight cancers In addition the book encompasses the experimental and theoretical aspects of anticancer drug design based on proteases It is a useful resource for pharmaceutical scientists medicinal chemists biochemists microbiologists and cancer researchers working on proteases Explains the role of proteases in the biology of cancer Discusses how proteases can be used as potential drug targets or as diagnostic and prognostic biomarkers Covers a wide range of cancers and provides detailed discussions on protease examples **Proteases as Therapeutic Targets** ,1995

Pathophysiological Aspects of Proteases in Cancer Sajal Chakraborti,Srijit Das,Cheorl-Ho Kim,2024-10-22 Pathophysiological Aspects of Proteases in Cancer provides a comprehensive overview of the multifaceted field of proteases in the cellular environment and focuses on the recently elucidated functions of complex proteolytic systems in physiology and pathophysiology The book both gives insights on the general aspects of the role of proteases in cancer their role as diagnostics and prognostics biomarkers their impact on chemoresistance and the future cutting edge technologies like cocktail therapies and Cyber Knife technology Given the breadth and depth of information covered in the respective contributions the book will be immensely useful for researchers in oncology and working to identify targets for drug development Multidisciplinary in scope the book bridges the gap between fundamental and translational research with applications in the biomedical and pharmaceutical industry making it a thought provoking read for basic and applied scientists engaged in biomedical research Gives insight into the spectrum of proteases protease inhibitors and protease interactors involved at the cellular and molecular level in cancer development Gives a comprehensive overview of the role of proteases in modulating cellular metabolism and chemoresistance to better understand how proteases play in early to the end point in cancer development and metastasis Provides a multidisciplinary approach demonstrating the biochemical and signal transduction mechanisms associated with dysregulation of proteases leading to the manifestation of various diseases

Highlights the roles and regulation of different types of proteases as well as their synthetic and endogenous inhibitors
Bridges the gap between fundamental and translational research with applications in the biomedical and pharmaceutical industry Therapeutics of Natural and Synthetic Compounds in Protease-Induced Cancer Sajal Chakraborti,2025-06-07
Therapeutics of Natural and Synthetic Compounds in Protease Induced Cancer presents a detailed discussion on the role of therapeutic and synthetic compounds in proteases and how they have been utilized to develop anticancer drugs covering both their structure and functions In addition the book explores the experimental and theoretical aspects of natural and synthetic compound design based on proteases Sections bridge the gap between fundamental and translational research with applications in the biomedical and pharmaceutical industry making it a thought provoking read for basic and applied scientists engaged in biomedical research In 23 chapters this book gives both insights on the general aspects of the role of natural and synthetic compounds in cancer therapeutics in cancer development treatment and their use in clinical trails Given the breadth and depth of information covered in the respective contributions the book will be immensely useful for researchers in oncology and for those working to identify targets for drug development Discusses natural and synthetics compounds as promising targets for future research since they play a pivotal role in cancer progression and response to chemotherapy treatment Provides insights into the multifaceted role of natural and synthetic compounds in regulating many important cell processes including cell proliferation apoptosis and autophagy Discusses the key role of compounds in cancer progression focusing on the status of natural chemically modified and synthetic inhibitors in various types of malignancies

Therapeutic Protein Targets For Drug Discovery And Clinical Evaluation: Bio-crystallography And Drug Design D
Velmurugan,Atanu Bhattacharjee,D Gayathri,2022-10-04 The book reviews the recent research advances and their outcomes in the areas of structural biology bioinformatics phytochemistry and drug discovery Chapters in the book cover multidisciplinary research to understand the molecular mechanisms involved in protein protein ligand interactions It employs an integrative approach to identify the therapeutic targets for HIV and cancer pathogen and viral infection pathways and the identification of their potential drug candidates The book also provides examples of computational molecular dynamics simulations to understand the conformational changes in the molecules Some chapters are focused on exploring potent bioactive compounds from natural sources This book can serve as a single source that covers several interdisciplinary research fields which will be beneficial to Researchers and students in postgraduate studies Pathophysiological Aspects of Proteases Sajal Chakraborti,Naranjan S. Dhalla,2017-11-15 This book provides a comprehensive overview of the multifaceted field of protease in the cellular environment and focuses on the recently elucidated functions of complex proteolytic systems in physiology and pathophysiology Given the breadth and depth of information covered in the respective contributions the book will be immensely useful for researchers working to identify targets for drug development Multidisciplinary in scope the book bridges the gap between fundamental and translational research with applications in the

biomedical and pharmaceutical industry making it a thought provoking read for basic and applied scientists engaged in biomedical research. Proteases represent one of the largest and most diverse families of enzymes known and we now know that they are involved in every aspect of a given organism's life functions. Under physiological conditions, proteases are regulated by their endogenous inhibitors. However, when the activity of proteases is not correctly regulated, disease processes such as tumour progression, vascular remodelling, atherosclerotic plaque progression, ulcer, rheumatoid arthritis, Alzheimer's disease, and inflammation can result. Many infective microorganisms require proteases for replication or use them as virulence factors, which has facilitated the development of protease-targeted therapies for a variety of parasitic diseases.

Handbook of Proteases in Cancer Sajal Chakraborti, 2024-12-13. This handbook provides comprehensive coverage of the role of proteases and the associated biochemical pathways in cancer development and metastasis. Proteases make up about 2% of the human genome and play a critical role in the tumor microenvironment. **Handbook of Proteases in Cancer: Cellular and Molecular Aspects** introduces the major classes of proteases and the signal transduction mechanisms associated with cancer initiation and progression. It discusses the role of inflammation and immune responses in protease-induced cancer. The book covers cancer-induced gene expression and apoptotic and necrotic pathways in cancer. This is useful for researchers and professionals in cancer research, biochemistry, and physiology. **Key Features:** Provides insights on the roles of proteases and anti-proteases in the tumor microenvironment; Covers various cancer-induced apoptotic and necrotic pathways; Discusses the mechanisms by which proteases induce an increase in inflammation, immune response, and gene expression; Covers the function of protease-activated receptors in cancer; Reviews the different gene expression pathways and the ways they become erratic during protease-induced cancer.

Bacterial Enzymes as Targets for Drug Discovery Punit Kaur, Priyanka Sharma, 2024-11-27. **Bacterial Enzymes as Targets for Drug Discovery: Meeting the Challenges of Antibiotic Resistance** addresses the gap between medical microbiology, structural biology, and genomic science in the development of new antibacterial drug development. This book consolidates detailed profiling of bacterial target enzyme families for the drug discovery process and methodologies for use and validation of the potential drug targets. The contents cover the foundations of the antibiotic drug discovery process and focus on bacterial enzymes as drug targets, building across these disciplines to provide a comprehensive resource in bacterial structural biology and genomics. This is the ideal reference for antibiotic drug discovery researchers in the pharma industry and academia. Biochemists, microbiologists, and medicinal chemists will also benefit from this book's content. Provides strategies and approaches to drug design aiming at overcoming antibiotic resistance. Includes most common roadblocks in identifying novel drug targets and presents the strategies to overcome. Provides potential methods to identify new drug targets by genome mining.

Spatially Variable Genes in Cancer: Development, Progression, and Treatment Response Raghavan, Ramya, 2024-12-17. Spatially variable genes (SVGs) in cancer refer to genes that exhibit different expression levels or patterns across different regions or cells within a tumor. Cancer-associated

fibroblasts CAFs are a crucial component of the tumor microenvironment TME playing a fundamental role in tumor progression metastasis and therapy response Identifying and characterizing SVGs can reveal novel targets for cancer treatment Combining spatial transcriptomics with other omics data can provide a more comprehensive picture of tumor biology Spatially Variable Genes in Cancer Development Progression and Treatment Response illuminates the heterogeneity within tumors challenging the traditional one size fits all approach The chapters examine how SVGs influence tumor biology and pave the way for novel diagnostic and therapeutic approaches Covering topics such as bioengineering gene expression and tumor initiation this book is an excellent resource for academicians researchers students oncologists medical professionals medical administrators scientists and more

Drug Efficacy, Safety, and Biologics Discovery Sean Ekins, Jinghai J. Xu, 2009-01-28 Drug Efficacy Safety and Biologics Discovery Emerging Technologies and Tools covers key emerging technologies in pharmaceutical R D and how they have substantially impacted or are currently impacting drug discovery The cross disciplinary collaborations implicit in integrating these technologies with drug discovery operations will fuel the engine for future innovations This book cuts across the multiple areas of drug discovery each chapter authored by pioneers in that field making for a broad appeal to the chemical and biological scientists and technologists involved in drug discovery and development

Drug Design Gerhard Klebe, 2025-02-04 This English language textbook based on the successful German edition Wirkstoffdesign brings the subject of drug design back to the cutting edge of research The reader learns about new methods in genetic engineering and the expanded range of structural biological methods Especially in the last 10 years many complex target structures such as G protein coupled receptors or ion channels have been elucidated by using these methods The reader learns how these long sought complex structures with classical drugs look like and how the therapeutic effect is achieved This textbook is aimed at students of pharmacy chemistry and the life sciences but also at career changers and medicinal chemists in research and development departments of the pharmaceutical industry Conceptually it is very different from classical textbooks on pharmaceutical chemistry It focuses on the path to a new drug substance The selection of case studies is based on didactic aspects and attempts to give a broad overview of methods and strategies without forgetting to look back at the beginnings of this field of work Thus the arc spans from the history of drug research the mechanisms of action of drugs and the methods for lead structure search and optimisation to structure determination methods modelling molecular dynamics and QSAR methods to structure and computer aided design This textbook also discusses new methods and concepts such as epigenetics the PROTAC approach CRISPR Cas9 gene scissors structural predictions from sequence the use of artificial intelligence and new screening technologies from biophysics It presents successes in disrupting or enhancing protein protein interactions as a concept for drug therapy and discusses optimising drugs considering their thermodynamic as well as kinetic binding profiles Videos via app simply download the SN More Media app free of charge scan a link with the play button and immediately play the video on your smartphone or tablet

The Macrophage as Therapeutic Target Siamon Gordon,2012-12-06 During the past decade the rapid growth of molecular and cellular knowledge of macrophages as a specialized host defense and homeostatic system has begun to offer attractive targets for therapeutic intervention Macrophages play a central role in a wide range of disease processes from genetically determined lysosomal storage diseases to acute sepsis chronic inflammation and repair tissue injury and cell death Under or overactivity of macrophage clearance immune effector functions and responses to metabolic abnormalities contribute to common disorders such as autoimmunity atherosclerosis Alzheimer s disease and major infections including AIDS and Tuberculosis Whilst the goals of therapeutic intervention based on improved understanding of macrophage functions and their contribution to pathogenesis may seem self evident there are considerable difficulties in producing useful new agents The present volume covers a range of subjects and provides opportunities for a more focused macrophage targeted approach The individual chapters review selected topics briefly to place cellular processes and molecular targets in perspective Overall the volume should provide a broad sample of the state of the art Useful reviews and references in the literature are cited within individual chapters

Proteases in Health and Disease Enrico Di Cera,2011-01-24 Proteases occur naturally in all organisms They are enzymes that are involved in many physiological reactions such as digestion of food and blood clotting This volume reviews their role in health and disease and presents the latest research and developments Discusses new discoveries approaches and ideas Contributions from leading scholars and industry experts Reference guide for researchers involved in molecular biology and related fields

Proteases in Health and Disease Sajal Chakraborti,Naranjan S. Dhalla,2013-12-04 In view of rapidly growing research in the deregulation of proteases and their impact in human health and diseases this book will highlight existing and emerging research in this exciting area In depth critical state of the art reviews will be written by established investigators on proteases dysfunctions associated with pathogenesis of different diseases that are known to occur due to deregulation of proteolytic systems Multidisciplinary approaches demonstrating biochemical and signal transduction mechanisms associated with deregulation of proteases leading to manifestation of the diseases will be discussed The book highlights the roles of both intracellular and extracellular proteases in health and disease

Hepatocellular Carcinoma: Novel Treatment Strategies - Volume II Fan Feng,Yinying Lu,Andrea Casadei Gardini,Xiaojie Xu,Dechun Feng,2023-10-17 Inflammation Jean-Marc Cavaillon,Mervyn Singer,2017-10-02 The leading reference on this topic of increasing medical relevance is unique in offering unparalleled coverage The editors are among the most respected researchers in inflammation worldwide and here have put together a prestigious team of contributors Starting with the molecular basis of inflammation from cytokines via the innate immune system to the different kinds of inflammatory cells they continue with the function of inflammation in infectious disease before devoting a large section to the relationship between inflammation and chronic diseases The book concludes with wound and tissue healing and options for therapeutic interventions A must have for clinicians and biomedical researchers

alike

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