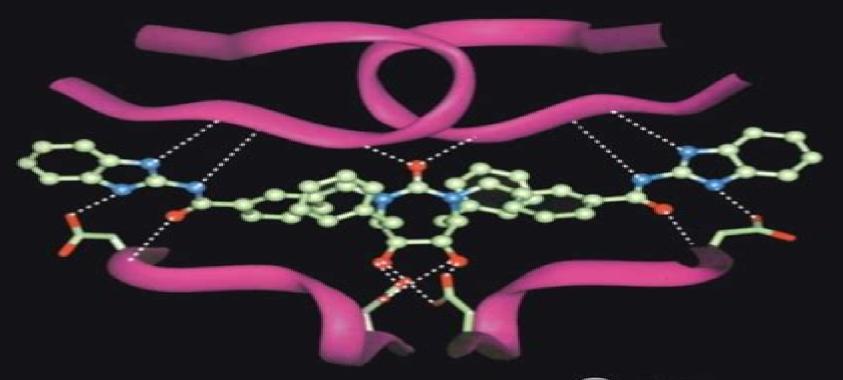
PROTEINASE AND PEPTIDASE INHIBITION

RECENT POTENTIAL TARGETS FOR DRUG DEVELOPMENT

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Satya Prakash Gupta

Proteinase and Peptidase Inhibition H. John Smith, Claire Simons, 2002-03-28 Cellular proteinases and their physiological role in normal and disease states have been the subject of great interest recently Proteinase and Peptidase Inhibition presents new reports on a wide range of cellular proteinases whose inhibitors may hold the key to the development of new drugs It deals with enzyme classes inhibitor types and regulatory mechanisms governing proteinase activity Target enzymes are fully described and classified by structural similarity rather than by pharmacological effects This is a valuable reference for academic and industrial researchers in medicinal chemistry drug design and development pharmacology **Proteinase and Peptidase Inhibition** Senior Lecturer in Medicinal biochemistry and molecular and cell biology Chemistry H John Smith, Claire Simons, 2019-12-02 Cellular proteinases and their physiological role in normal and disease states have been the subject of great interest over recent decades At present specific protease inhibitors are exploited both as tools in unraveling the role of individual proteinases in particular cellular processes and for the development of chemotherapeutic agents for the treatment of various disorders Proteinase and Peptidase Inhibition presents updated progress reports on the characterization of a wide range of cellular proteinases whose inhibitors may hold the key to the development of new drugs Introductory chapters deal with the broad enzyme classes inhibitor types and regulatory mechanisms governing proteinase activity. The target enzymes themselves together with all aspects of their inhibition and inhibitor design are comprehensively described The classification of target enzymes by structural similarity rather than by pharmacological effects provides a new and coherent platform for understanding how inhibition of different targets can lead to the same therapeutic outcomes This is a valuable reference book that will appeal to both academic and industrial researchers in the fields of medicinal chemistry drug design and development pharmacology biochemistry and molecular and Proteinase and Peptidase Inhibition H. John Smith, Claire Simons, 2002-03-28 Cellular proteinases and cell biology their physiological role in normal and disease states have been the subject of great interest recently Proteinase and Peptidase Inhibition presents new reports on a wide range of cellular proteinases whose inhibitors may hold the key to the development of new drugs It deals with enzyme classes inhibitor types and regulatory mechanisms governing proteinase activity Target enzymes are fully described and classified by structural similarity rather than by pharmacological effects This is a valuable reference for academic and industrial researchers in medicinal chemistry drug design and development pharmacology biochemistry and molecular and cell biology *Enzymes and Their Inhibitors* H. John Smith, Claire Simons, 2004-11-29 Focusing on the development of enzyme inhibitors as the rapeutic drugs Enzymes and Their Inhibitors Drug Development provides a concise overview of the chemistry of major types of enzymes and their inhibitors The opening chapters introduce readers to the structure functions mechanisms and kinetics of enzymes including their use as disease mar Smith and Williams' Introduction to the Principles of Drug Design and Action H. Jphn Smith, H. Jphn Williams, 2005-10-10

Advances in knowledge and technology have revolutionized the process of drug development making it possible to design drugs for a given target or disease Building on the foundation laid by the previous three editions Smith and Williams Introduction to the Principles of Drug Design and Action Fourth Edition includes the latest informatio Inhibitors of Proprotein Convertase Subtilisin Kexins (PCSKs) Utpal Chandra De, Priyambada Mishra, Prasenjit Rudra Pal, Biswanath Dinda, Ajoy Basak, 2012-10-01 The Ca 2 dependent mammalian Proprotein Convertase Subtilisin Kexins PCSKs or Proprotein Prohormone Convertases PCs are a family of endoproteases that play critical roles not only in normal development and metabolism but also in various physiological and pathological conditions These were initiated by the proteolytic processing of large inactive proproteins into their shorter bioactive mature forms by the PCSK enzymes These events take place in a highly selective orchestrated and stepwise manner Among the various proprotein substrates of PCSK enzymes particularly important are the precursor growth factors that include proPDGF A B proIGF 1 2 and proVEGF C because of their strong implications in neoplasia initiation progression and metastasis As a result of these findings PCSK enzymes particularly furin or PCSK3 became a major target for possible interventions of cancer via the use of their selective inhibitors Significant progress has been accomplished in the development of peptide and protein based PCSK inhibitors However non peptide PCSK9 inhibitors are more preferable because of their drug like and other characteristics So far a few non peptide inhibitors of PCSK enzymes of various types of chemical structures have been described in the literature These include i Carbocyclic compounds of diterpene and streptamine class ii Nitrogen N based heterocyclic compounds of various types and chemical structures such as a pyrrolidine bis piperazines b Cu Zn chelating terpyridine derivatives iii Oxygen O based Heterocyclic compounds of varying types of chemical structures such as a Flavonoids b Coumarins of simple and dimeric types c Quinonoids d Iridoids iv Aromatic compounds such as a Aryl quanidino and amidino derivatives b Naphthyl fluorescein derivative and c Phenyl Arsonic acids and v C2 symmetrical aromatic azo compounds When measured against a small peptidyl MCA fluorogenic substrate these inhibitors displayed IC50 values ranging from nM to M A number of these inhibitors exhibited significant anti PCSK activity when tested in ex vivo or cell culture conditions This article provides an overall review of all non peptide PCSK inhibitors so far reported in the literature along with those we identified recently for the first time and not yet published The potential implications of these molecules as biochemical therapeutical or clinical agents will also be discussed Drug Design of Zinc-Enzyme Inhibitors Claudiu T. Supuran, Jean-Yves Winum, 2009-10-22 Brings together functional and structural information relevant to the design of drugs targeting zinc enzymes The second most abundant transition element in living organisms zinc spans all areas of metabolism with zinc containing proteins offering both established and potential drug targets Drug Design of Zinc Enzyme Inhibitors brings together functional and structural information relevant to these zinc containing targets With up to date overviews of the latest developments field this unique and comprehensive text enables readers to understand zinc enzymes and evaluate them in a drug design context With

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Carbonic Anhydrase Claudiu T. Supuran, Andrea Scozzafava, Janet Conway, 2004-05-27 Carbonic Anhydrase Its Inhibitors and Activators provides a state of the art overview of the latest developments and challenges in carbonic anhydrase research Authors describe the mechanisms of action of specific inhibitors in relation to physiological function and present previously unpublished research on CA activators Written by a team of in Matrix Metalloproteinase Inhibitors Satya Prakash Gupta, 2012-04-05 Matrix metalloproteinases MMPs are proteolytic enzymes that are involved in many physiological and pathological processes The field of MMP research is very important due to the implications of the distinct paralogs in both human physiology and pathology Over activation of these enzymes results in tissue degradation producing a wide array of disease processes such as rheumatoid arthritis osteoarthritis tumor growth and metastasis multiple sclerosis congestive heart failure and others Thus MMP inhibitors are candidates for therapeutic agents to combat a number of diseases The present book discusses the design and development of different classes of inhibitors of important classes of MMPs such as gelatinases and collagenases The articles focus specifically on structure activity relationships of all classes of compounds and on their modes of action and specificity of binding with the receptors based on experimental and theoretical studies These studies constitute a valuable asset for all those involved in drug development

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