

1. Record Nr.	UNINA9911018822903321
Titolo	Aspartic acid proteases as therapeutic targets // edited by Arun K. Ghosh
Pubbl/distr/stampa	Weinheim, : Wiley-VCH, 2010
ISBN	9786612774904 9781282774902 1282774905 9783527641628 3527641629 9783527630943 3527630945 9783527630950 3527630953
Descrizione fisica	1 online resource (641 p.)
Collana	Methods and principles in medicinal chemistry ; ; v. 45
Altri autori (Persone)	GhoshArun K., Prof. Dr.
Disciplina	571.9/36 612.01516
Soggetti	Aspartic proteinases Aspartic proteinases - Inhibitors - Therapeutic use
Lingua di pubblicazione	Inglese
Formato	Materiale a stampa
Livello bibliografico	Monografia
Note generali	Description based upon print version of record.
Nota di bibliografia	Includes bibliographical references and index.
Nota di contenuto	Aspartic Acid Proteases as Therapeutic Targets; Contents; List of Contributors; Preface; A Personal Foreword; Part: One Overview of Aspartic Acid Proteases; 1 Introduction to the Aspartic Proteinase Family; 2 Aspartic Proteases: Structure, Function, and Inhibition; 3 Human Aspartic Proteinases; 4 Structure-Based Drug Design Strategies for Inhibition of Aspartic Proteinases; Part Two: HIV-1 Protease as Target for the Treatment of HIV/AIDS; 5 HIV-1 Protease: Role in Viral Replication, Protein-Ligand X-Ray Crystal Structures and Inhibitor Design 6 First-Generation HIV-1 Protease Inhibitors for the Treatment of HIV/AIDS7 Second-Generation Approved HIV Protease Inhibitors for the Treatment of HIV/AIDS; 8 Darunavir, a New PI with Dual Mechanism:

From a Novel Drug Design Concept to New Hope Against Drug-Resistant HIV; 9 Development of HIV-1 Protease Inhibitors, Antiretroviral Resistance, and Current Challenges of HIV/AIDS Management; Part Three: Renin as Target for the Treatment of Hypertension; 10 Discovery and Development of Aliskiren, the First-in-Class Direct Renin Inhibitor for the Treatment of Hypertension 11 Evolution of Diverse Classes of Renin Inhibitors Through the YearsPart Four:  $\gamma$ -Secretase as Target for the Treatment of Alzheimer's Disease; 12:  $\gamma$ -Secretase: An Unusual Enzyme with Many Possible Disease Targets, Including Alzheimer's Disease; 13  $\gamma$ -Secretase Inhibition: An Overview of Development of Inhibitors for the Treatment of Alzheimer's Disease; Part Five:  $\beta$ -Secretase as Target for the Treatment of Alzheimer's Disease; 14 BACE: A (Almost) Perfect Target for Staving Off Alzheimer's Disease 15 The Discovery of  $\beta$ -Secretase and Development Toward a Clinical Inhibitor for AD: An Exciting Academic Collaboration16 Peptidomimetic BACE1 Inhibitors for Treatment of Alzheimer's Disease: Design and Evolution; 17 Nonpeptide BACE1 Inhibitors: Design and Synthesis; Part Six: Plasmepsins and Other Aspartic Proteases as Drug Targets; 18 The Plasmepsin Family as Antimalarial Drug Targets; 19 Plasmepsins Inhibitors as Potential Drugs Against Malaria: Starving the Parasite; 20 Fungal Aspartic Proteases as Possible Therapeutic Targets; Index

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### Sommario/riassunto

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

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