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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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