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Nota di bibliografia	Includes bibliographical references and index.
Nota di contenuto	<p>Analogue-based Drug Discovery; Contents; Preface; Introduction; List of Contributors; Abbreviations; Part I General Aspects of Analogue-Based Drug Discovery; 1 Analogues as a Means of Discovering New Drugs; 1.1 Designing of Analogues; 1.1.1 Analogues Produced by Homologous Variations; 1.1.1.1 Homology Through Monoalkylation; 1.1.1.2 Polymethylenic Bis-Ammonium Compounds: Hexa- and Decamethonium; 1.1.1.3 Homology in Cyclic Compounds; 1.1.2 Analogues Produced by Vinylogy; 1.1.2.1 Zaprinast Benzologues; 1.1.3 Analogues Produced by Isosteric Variations</p> <p>1.1.3.1 The Dominant Parameter is Structural 1.1.3.2 The Dominant Parameter is Electronic; 1.1.3.3 The Dominant Parameter is Lipophilicity; 1.1.4 Positional Isomers Produced as Analogues; 1.1.5 Optical Isomers Produced as Analogues; 1.1.5.1 Racemic Switches; 1.1.5.2 Specific Profile for Each Enantiomer; 1.1.6 Analogues Produced by Ring Transformations; 1.1.7 Twin Drugs; 1.2 The Pros and Cons of Analogue Design; 1.2.1 The Success is Almost Warranted; 1.2.2 The Information is Available; 1.2.3 Financial Considerations; 1.2.4 Emergence of New Properties</p> <p>1.3 Analogue Design as a Means of Discovering New Drugs 1.3.1 New Uses for Old Drugs; 1.3.2 The PASS Program; 1.3.3 New Leads from Old Drugs: The SOSA Approach; 1.3.3.1 Definition; 1.3.3.2 Rationale; 1.3.3.3 Availability; 1.3.3.4 Examples; 1.3.3.4 Discussion; 1.4 Conclusion; 2 Drug Likeness and Analogue-Based Drug Discovery; 3 Privileged Structures and Analogue-Based Drug Discovery; 3.1 Introduction; 3.2 Drugs from Side Effects; 3.3 Agonists and Antagonists; 3.4 Privileged Structures; 3.5 Drug Action on Target Classes; 3.5.1 GPCR Ligands; 3.5.2 Nuclear Receptor Ligands; 3.5.3 Integrin Ligands</p> <p>3.5.4 Kinase Inhibitors 3.5.5 Phosphodiesterase Inhibitors; 3.5.6 Neurotransmitter Uptake Inhibitors; 3.6 Summary and Conclusions; Part II Selected Examples of Analogue-Based Drug Discoveries; 1 Development of Anti-Ulcer H(2)-Receptor Histamine Antagonists; 1.1 Introduction; 1.2 The Prototype Drug, Burimamide, Defined Histamine H(2)-Receptors; 1.3 The Pioneer Drug, Cimetidine: A Breakthrough for Treating Peptic Ulcer Disease; 1.4 Ranitidine: The First Successful Analogue of H(2) Antagonists; 1.5 The Discovery of Tiotidine and Famotidine; 1.6 Other Compounds</p> <p>1.7 The Use of H(2)-Receptor Histamine Antagonists as Medicines 2 Esomeprazole in the Framework of Proton-Pump Inhibitor Development; 2.1 Towards Omeprazole: The First Proton-Pump Inhibitor; 2.2 The Treatment of Acid-Related Disorders Before Losec®; 2.3 Pioneer Research at Hassle during the 1960s and 1970s; 2.3.1 Toxicological Challenges; 2.3.2 Discovery of H(+), K(+)-ATPase: The Gastric Proton Pump; 2.3.3 Analogue Optimization; 2.4 The Development of Omeprazole; 2.4.1 Further Toxicological Challenges and the Halt of the Clinical Program; 2.4.2 Resumption of Clinical Studies</p> <p>2.4.3 Omeprazole Reaches the Market and Supersedes H(2)-Receptor Antagonists</p>
Sommario/riassunto	The first authoritative overview of past and current strategies for successful drug development by analog generation, this unique resource spans all important drug classes and all major therapeutic fields, including histamine antagonists, ACE inhibitors, beta blockers, opioids, quinolone antibiotics, steroids and anticancer platinum

compounds. Of the 19 analog classes presented in detail, 9 are described by the scientists who discovered them. The book includes a table of the most successful drug analogs as based on the IMS ranking and compares them in terms of chemical structure, mode of
