Heterocycles In Drugs And Drug Discovery

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Halogenated Heterocycles
Royal Society of Chemistry
The chemistry of heterocycles is an important branch of organic chemistry. This is due to the fact that a large number of natural products, e. g. hormones, antibiotics, vitamins, etc. are composed of heterocyclic structures. Often, these compounds show beneficial properties and are therefore applied as pharmaceuticals to treat diseases or as insecticides, herbicides or fungicides in crop protection. This volume presents important pharmaceuticals. Each of the 20

chapters covers in a concise manner one class of heterocycles, clearly structuredas follows: * Structural formulas of most important examples (market products) * Short background of history or discovery * Typical syntheses of important examples * Mode of action * Characteristic biological activity * Structure-activity relationship * Additional chemistry information (e.g. further transformations, alternative syntheses, metabolic pathways, etc.) * References. A valuable one-stop reference source for researchers in academia and industry as well as for graduate students with career aspirations in the pharmaceutical chemistry.

Metal and Nonmetal Assisted Synthesis of Six-Membered Heterocycles

Academic Press

This book examines and evaluates the strategies utilized to design and synthesize pharmaceutically active agents. Significant updates over the last 10 years since the publication of the 1st edition include synthesis of enantiomerically pure isomers, novel chemical methodologies, and new pharmaceutical agents targeted at novel biological endpoints. Written by an experienced successful author, this book meets the needs of a growing community of researchers in pharmaceutical R &D, as well as medical professionals, by providing a useful guide for designing and synthesizing pharmaceutical agents. Additionally, it is a useful text for medicinal chemistry students.

The Medicinal Chemist's Guide to

Solving ADMET Challenges Mdpi AG

Piperidine-Based Drug Discovery outlines the complexities of Piperidine scaffold use in drug discovery, including derivative chemistry, structural properties, methods of synthesis and practical implementations. Piperidine scaffolds are the cornerstones of over 70 commercialized drugs (including multiple blockbusters). Designed as a guide for both experts and students working in this and related areas, it is hoped that this volume will encourage and inspire the continued design and development of novel pharmaceuticals based on Piperidine and its derivatives. Heterocyclic compounds are of central importance to medicinal chemistry, as demonstrated by the high percentage of marketable drugs that feature heterocyclic fragments in their structures. As starting points for drug discovery they offer a broad range of attractive properties, and a detailed understanding of the particular characteristics of each is of great benefit to researchers. The most commonly used heterocycle among US FDA approved pharmaceuticals, Piperidine is an extremely important building block in the synthesis of medicinal agents. This heterocycle and its derivatives exhibit a

number of important functionalities and have been employed variously as CNS modulators, antiaggregants, anticoagulants, antihistamines, anti-cancer drugs and analgesics. Explores this extremely important heterocycle to a high level of detail Describes synthesis methods for 70 current drugs based on Piperidine scaffolds Gives drug designers all the key knowledge required to develop new drugs utilizing Piperidine

Provides pharmacologists a solid overview of the chemical background of existing Piperidine-based drugs

Bioactive Heterocyclic Compound Classes Academic Press

Applications of Heterocycles in the Design of Drugs and Agricultural Products, Volume 134 in the Advances in Heterocyclic Chemistry series represents the most definitive series in the field - one of great importance to organic chemists, polymer chemists, and many biological scientists. Chapters in this updated volume cover Hydroxy azoles as carboxylic acid bioisosteres, Cyclic sulfoxides and sulfones in drug design, Thiazoles and topological control in drug design, Applications of fused pyrrolidine [3.3.0] heterocycles in drug design, 1,4 Disubstituted and 1,4,5 trisubstituted-1,2,3-triazoles in drug discovery and development: from the flask to the clinic, and Conformationally restricted [3.2.2]- and [3.2.1]-3-azabicyclic diamines. Because biology and organic chemistry increasingly intersect, the associated nomenclature is being used more frequently in explanations. Written by established authorities in the field from around the world, this comprehensive review combines descriptive synthetic chemistry

and mechanistic insight to yield an understanding of how chemistry drives the preparation and useful properties of heterocyclic compounds. Considered the definitive serial in the field of heterocyclic chemistry Serves as the go-to reference for organic chemists, polymer chemists and biological scientists Provides the latest, comprehensive reviews written by established authorities in the field Combines descriptive synthetic chemistry and mechanistic insight to enhance understanding of how chemistry drives the preparation and useful properties of heterocyclic compounds

Bioactive Marine Heterocyclic Compounds John Wiley & Sons

A thorough survey of synthetic methods, chemistry, and applications of major classes of fluorinated heterocycles Merging organic, heterocyclic, and fluoroorganic chemistry, fluorinated heterocyclic compounds have distinctively desirable properties suitable for use in pharmaceuticals and agrichemicals, especially their ability to penetrate the cell membrane barrier for drug absorption. Offering a needed overview of this relatively new addition to the heterocyclic family, this essential reference provides the latest state-of-the-art information on key application areas within fluorine chemistry. With contributions from experts from both industry and academia, the book covers the chemistry, synthesis, and applications of fluorinated heterocycles with chapters on: Three-, four-, five-, six-, and seven-membered fluorine-containing heterocycles Fluorinated nucleosides Fluorointermediates Applications of fluorinated heterocycles in agricultural products Pharmaceuticals

containing fluorinated heterocycles Technical applications of fluorinated heterocycles Written by a team of world-recognized experts in the area of organic and industrial chemistry of fluorine, Fluorinated Heterocyclic Compounds: Synthesis, Chemistry, and Applications will prove valuable to both students and researchers from academia and industry seeking further knowledge of the synthetic methods, chemistry, and applications of major classes of fluorinated heterocycles. *Heterocyclic Chemistry At A Glance* Heterocyclic Drug Discovery Enables researchers to fully realize the potential to discover new pharmaceuticals among heterocyclic compounds Integrating heterocyclic chemistry and drug discovery, this innovative text enables readers to understand how and why these two fields go hand in hand in the effective practice of medicinal chemistry. Contributions from international leaders in the field review more than 100 years of findings, explaining their relevance to contemporary drug discovery practice. Moreover, these authors have provided plenty of practical guidance and tips based on their own academic and industrial laboratory experience, helping readers avoid common pitfalls. Heterocyclic Chemistry in Drug Discovery is ideal for readers who want to fully realize the almost limitless potential to discover new and effective pharmaceuticals among heterocyclic compounds, the largest and most varied family of organic compounds. The book features: Several case studies illustrating the role and application of 3, 4, 5, and 6+

heterocyclic ring systems in drug discovery Step-by-step descriptions of synthetic methods and practical techniques Examination of the physical properties for each heterocycle, including NMR data and quantum calculations Detailed explanations of the complexity and intricacies of reactivity and stability for each class of heterocycles Heterocyclic Chemistry in Drug Discovery is recommended as a textbook for organic and medicinal chemistry courses, particularly those emphasizing heterocyclic chemistry. The text also serves as a guide for medicinal and process chemists in the pharmaceutical industry, offering them new insights and new paths to explore for effective drug discovery.

Fundamentals of Heterocyclic Chemistry CRC Press Imidazole-Based Drug Discovery covers all categories of imidazole and its derivatives, synthesis, pharmacological applications and drug-based studies. Imidazole scaffolds act as a channel between organic synthesis and medicinal chemistry and compel researchers to explore new drug candidates. This book provides detailed coverage of several greener synthetic protocols and pharmacological applications of imidazole derivatives that are useful to researchers working on designing more promising clinical lead compounds with this scaffold. It also includes information on past decades of research on the synthesis and biological applications of imidazole derivatives. This is an ideal resource

for researchers in organic chemistry both in academic and industrial settings, as well as postgraduates in chemistry and medicinal chemistry. Reviews the most current developments and future perspectives of imidazole on different disease therapies to achieve the ultimate goal of disease eradication Discusses the role of imidazole in contemporary science, technological innovation, drug development, critical challenges and future research directions Covers emerging trends on different eco-benign pathways to synthesize imidazole derivatives for the development of simpler synthetic protocols

Modern Anesthetics John Wiley & Sons

Vicinal Diaryl-Substituted Heterocycles: A Gold Mine for the Discovery of Novel Therapeutic Agents draws together all of the key information about these compounds in one place for the first time. Following an informative overview of the importance of these structures to the discovery of potential therapeutic agents, the text goes on to outline the main compound types, with each chapter focusing on the activities of a different structure. Designed to support researchers by consolidating this important information in a single, practical guide, the authors hope to encourage further advancement and development in the discovery of novel therapeutic agents. As flexible building blocks for the production of novel compounds, vicinal diaryl-substituted heterocycles are a rich source of leads for the development of new drugs. Their adaptability means that they can be used

to produce structures with a broad range of attractive characteristics, and a large number of vicinal diaryl-substituted heterocyclic compounds have already been synthesized and investigated by medicinal chemists as promising lead molecules. Collects together details of the key vicinal diaryl-substituted heterocyclic compounds in one place for the first time Highlights biological activities and SAR of derivatives Structured practically for ease of navigation between different derivatives

Medicinal Inorganic Chemistry John Wiley & Sons An indispensable guide for all synthetic chemists who want to learn about the most relevant reactions and reagents employed to synthesize important heterocycles and drugs! The synthesis of natural products, bioactive compounds, pharmaceuticals, and drugs is of fundamental interest in modern organic chemistry. New reagents and reaction methods towards these molecules are being constantly developed. By understanding the mechanisms involved and scope and limitations of each reaction applied, organic chemists can further improve existing reaction protocols and develop novel efficient synthetic routes towards frequently used drugs, such as Aspirin or Penicillin. Applied Organic Chemistry provides a summary of important (name) reactions and reagents applied in modern organic chemistry and drug synthesis. It covers rearrangement, condensation,

olefination, metathesis, aromatic electrophilic substitutions, Pd-catalyzed C-C bond forming reactions, multi-component reactions, as well as oxidations and reductions. Each chapter is clearly structured, providing valuable information on reaction details, step-by-step mechanism, experimental procedures, applications, and (patent) references. By providing mechanistic information and representative experimental procedures, this book is an indispensable guide for researchers and professionals in organic chemistry, natural product synthesis, pharmaceutical, and medicinal chemistry, as well as post-graduates preparing themselves for a job in the pharmaceutical industry. Hot Topic: Reviews important classes of organic reactions (incl. name reactions) and reagents in medicinal chemistry. Useful: Provides information on reaction details, common reagents, and functional group transformations used to synthesize natural products, bioactive compounds, drugs, and pharmaceuticals, e.g. Aspirin, Penicillin. Unique: For every reaction the mechanism is explained step by step, and representative experimental procedures are given, unlike most books in this area. User-friendly: Chapters are clearly structured making it easy for the reader to compare different reactions. Applied Organic

Chemistry is an indispensable guide for researchers and professionals in organic chemistry, natural product synthesis, pharmaceutical, and medicinal chemistry, as well as post-graduates preparing themselves for a job in the pharmaceutical industry.

Bioactive Heterocycles II John Wiley & Sons The Medicinal Chemist's Guide to Solving ADMET Challenges summarizes a series of design strategies and tactics that have been successfully employed across pharmaceutical and academic laboratories to solve common ADMET issues. These are exemplified with a curated collection of concrete examples displayed in a highly visual "table-of-contents" style format, allowing readers to rapidly identify the most promising approaches applicable to their own challenges. Each ADMET parameter is introduced in a concise yet comprehensive manner and includes background, relevance and screening strategies. Medicinal chemistry knowledge of how best to modify molecular structure to solve ADMET issues is challenging to retrieve from the literature, public databases and even corporate data warehouses. The Medicinal Chemist's Guide to Solving ADMET Challenges addresses this gap by presenting state-of-the-art design strategies put together by a global group of experienced medicinal chemists and ADMET experts across academia and the pharmaceutical industry.

Privileged Scaffolds in Medicinal Chemistry Springer 10.22 Piperidine-Based Gastric Antisecretory Drugs -- 10.23 Piperidine-Based Hypoglycemic Drugs -- 10.24 Piperidine-Based Drugs Used in the Treatment of Rheumatoid Arthritis -- 10.25 Piperidine-Based Nicotinic Cholinomimetics -- 10.26 Piperidine-Based Immunosuppresant Drugs -- 10.27

Conclusion -- Index-Trade Names -- Index-Substance Classes -- Back Cover

Applications of Heterocycles in the Design of Drugs and Agricultural Products John Wiley & Sons

Benzodiazepine-Based Drug Discovery covers benzodiazepines and benzothiazepines, which constitute two pivotal classes of heterocyclic compounds widely used as core structures of medicinal drugs for the treatment of depression, epilepsy, seizures and muscle spasms. 1,4-Benzodiazepine, 1,5-benzodiazepine, and 1,5-benzothiazepine are the most studied groups of benzodiazepines and benzothiazepines because of their outstanding potential biological activities. This book offers a broad range of recent developments and detailed coverage of the synthesis and biological activities of the drugs based on benzodiazepine and benzothiazepine matrixes, and is an ideal reference guide to researchers working in organic and medicinal chemistry. The importance of these privileged pharmacophores is not limited to the treatment of psychotic disorders because minor changes in the structures can generate various biological activities. They represent a wide range of therapeutic functions such as anticonvulsant, antianxiety, anti-depressant, antiviral, anti-HIV, anti-inflammatory, anticoagulant, anti-obesity, endothelin antagonist, cholecystokinin antagonist, and vasopressin receptor antagonist activities. Presents detailed coverage of chemical structures and practical synthetic methods of benzodiazepines and benzothiazepines in drug discovery Compiles detailed in vivo and in vitro biological activity data of 1,4-benzodiazepine- and 1,5-benzodiazepine-based drugs that will help researchers design and develop innovative drugs Discusses promising avenues and potential challenges in the development of new benzodiazepines and benzothiazepines in medicinal drug synthesis

Fused Pyrimidine-Based Drug Discovery Elsevier Heterocycles in Life and Society is an introduction to the chemistry of heterocyclic compounds, focusing on their origin and occurrence in nature, biochemical significance and wide range of applications. Written in a readable and accessible style, the book takes a multidisciplinary approach to this extremely important area of organic chemistry. Topics covered include an introduction to the structure and properties of heterocycles; the key role of heterocycles in important life processes such as the transfer of hereditary information, how enzymes function, the storage and transport of bioenergy, and photosynthesis; applications of heterocycles in medicine, agriculture and industry; heterocycles in supramolecular chemistry; the origin of heterocycles on primordial Earth; and how heterocycles can help us solve 21st century challenges. For this second edition, Heterocycles in Life and Society has been completely revised and expanded, drawing on a decade of innovation in heterocyclic chemistry. The new edition includes discussions of the role of heterocycles in nanochemistry, green chemistry, combinatorial chemistry, molecular devices and sensors, and supramolecular chemistry. Impressive achievements include the creation

of various molecular devices, the recording and storage of information, the preparation of new organic conductors, and new effective drugs and pesticides with heterocyclic structures. Much new light has been thrown on various life processes, while the chemistry of heterocycles has expanded to include new types of heterocyclic structures and reactions, and the use of heterocyclic molecules as ionic liquids and proton sponges. Heterocycles in Life and Society is an essential guide to this important field for students and researchers in chemistry, biochemistry, and drug discovery, and scientists at all levels wishing to expand their scientific horizon.

Synthesis of Heterocycles in Contemporary Medicinal Chemistry John Wiley & Sons

Until now, the area of drug metabolism and pharmacokinetics has been lacking in texts written for the Medicinal Chemist. This outstanding book, aimed at postgraduate medicinal chemists and those working in industry, fills this gap in the literature. Written by medicinal chemists and ADMET scientists with a combined experience of around 300 years, this aid to discovering drugs addresses the absorption, distribution, metabolism, excretion and toxicity (ADMET) issues associated with drugs. The book starts by describing drug targets and their structural motifs before moving on to explain ADMET for the medicinal chemist. It is the functional groups which most profoundly influence the drug molecules of which they form a part. They

characterise the pharmacology, are essential to the activity, and alter the ADMET characteristics of each drug. Their effects follow a pattern, thus allowing medicinal chemists to predict and overcome potential challenges. For this reason, the Editors have taken the unique approach of dividing the remainder of the book into chapters which each focus on a different functional group. They describe drugs containing the functional group under consideration, explain why the group is there, and outline its physicochemical properties before going on to detail the ADMET issues. Where possible, prodrugs and bioisosteres, which may give alternative ADMET outcomes, are described. The chapters cross refer where similar matters are covered but individual chapters can be used in a stand alone manner. The book ends with a discussion of future targets and chemistry needs.

Medicinal Chemistry of Neglected and Tropical Diseases

Springer Science & Business Media

"Based on a symposium held at the fall 2006 meeting of the American Chemical Society in San Francisco, California"--Pref.

Drug Discovery for Leishmaniasis

Springer

This book addresses the various classes of privileged scaffolds and covers the history of their discovery and use.

Applications of Transition Metal Catalysis in Drug Discovery and Development

Elsevier

The series Topics in Heterocyclic Chemistry presents critical reviews on present and future trends in the research of heterocyclic compounds. Overall the scope is to cover topics dealing with all areas within

heterocyclic chemistry, both experimental and theoretical, of interest to the general heterocyclic chemistry community. The series consists of topic related volumes edited by renowned editors with contributions of experts in the field. All chapters from Topics in Heterocyclic Chemistry are published Online First with an individual DOI. In references, Topics in Heterocyclic Chemistry is abbreviated as Top Heterocycl Chem and cited as a journal.

Fluorinated Heterocycles Elsevier

Medicinal Chemistry of Neglected and Tropical Diseases: Advances in the Design and Synthesis of Antimicrobial Agents consolidates and describes modern drug discovery and development approaches currently employed to identify effective chemotherapeutic agents for the treatment of Neglected Tropical Diseases (NTDs) from a medicinal chemistry perspective. Chapters are designed to cater to the needs of medicinal chemists who work with chemotherapeutic developments for NTDs, as well as serve as a guide to budding medicinal chemists who wish to work in this area. It will introduce rational drug design approaches adopted in designing chemotherapeutics and validated targets available for the purpose.

Fluorinated Heterocyclic Compounds Royal Society of Chemistry

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volume cover Hydroxy azoles as carboxylic acid bioisosteres, Cyclic sulfoxides and sulfones in drug design, Thiazoles and topological control in drug design, Applications of fused pyrrolidine [3.3.0] heterocycles in drug design, 1,4 Disubstituted and 1,4,5 trisubstituted-1,2,3-triazoles in drug discovery and development: from the flask to the clinic, and Conformationally restricted [3.2.2]- and [3.2.1]-3-azabi cyclic diamines. Because biology and organic chemistry increasingly intersect, the associated nomenclature is being used more frequently in explanations. Written by established authorities in the field from around the world, this comprehensive review combines descriptive synthetic chemistry and mechanistic insight to yield an understanding of how chemistry drives the preparation and useful properties of heterocyclic compounds. Considered the definitive serial in the field of heterocyclic chemistry Serves as the go-to reference for organic chemists, polymer chemists and biological scientists Provides the latest, comprehensive reviews written by established authorities in the field Combines descriptive synthetic chemistry and mechanistic insight to enhance understanding of how chemistry drives the preparation and useful properties of heterocyclic compounds

Piperidine-Based Drug Discovery

John Wiley & Sons

Synthesis of Best-

Seller Drugs is a key reference guide for all those involved with the design, development, and use of the best-selling drugs. Designed for ease of use, this book provides detailed information on the most popular drugs, using a practical layout arranged according to drug type. Each chapter reviews the main drugs in each of nearly 40 key therapeutic areas, also examining their classification, novel structural features, models of action, and synthesis. Of high interest to all those who work in the captivating areas of biologically active compounds and medicinal drug synthesis, in particular medicinal chemists, biochemists, and pharmacologists, the book aims to support current research efforts, while also encouraging future developments in this important field. Describes methods of synthesis, bioactivity and related drugs in key therapeutic areas Reviews the main drugs in each of nearly 40 key therapeutic areas, also examining their classification, novel structural features, models of action, and more Presents a practical layout designed for use as a quick reference tool by those working in drug design, development and implementation