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Topics in Heterocyclic Chemistry

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Topics in Heterocyclic Chemistry

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With contributions by

S. Eguchi · M. Kita · H. Kiyota · H. Nishino

M. Ohno · M. Somei · D. Uemura

The series *Topics in Heterocyclic Chemistry* presents critical reviews on "Heterocyclic Compounds" within topic-related volumes dealing with all aspects such as synthesis, reaction mechanisms, structure complexity, properties, reactivity, stability, fundamental and theoretical studies, biology, biomedical studies, pharmacological aspects, applications in material sciences, etc. Metabolism will be also included which will provide information useful in designing pharmacologically active agents. Pathways involving destruction of heterocyclic rings will also be dealt with so that synthesis of specifically functionalized non-heterocyclic molecules can be designed.

The overall scope is to cover topics dealing with most of the areas of current trends in heterocyclic chemistry which will suit to a larger heterocyclic community.

As a rule contributions are specially commissioned. The editors and publishers will, however, always be pleased to receive suggestions and supplementary information. Papers are accepted for *Topics in Heterocyclic Chemistry* in English.

In references *Topics in Heterocyclic Chemistry* is abbreviated *Top Heterocycl Chem* and is cited as a journal.

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Series Editor

Prof. R. R. Gupta

10A, Vasundhara Colony
Lane No. 1, Tonk Road
Jaipur-302 018, India
rrg_yg@yahoo.co.in

Volume Editor

Prof. Shoji Eguchi

Department of Molecular Design and Engineering
Graduate School of Engineering
Nagoya University
Furo-cho, Chikusa-ku
Nagoya 464-8603, Japan
eguchi@lilac.ocn.ne.jp

Editorial Board

Prof. D. Enders

RWTH Aachen
Institut für Organische Chemie
D-52074, Aachen, Germany
enders@rwth-aachen.de

Prof. Steven V. Ley FRS

BP 1702 Professor
and Head of Organic Chemistry
University of Cambridge
Department of Chemistry
Lensfield Road
Cambridge, CB2 1EW, UK
svl1000@cam.ac.uk

Prof. G. Mehta FRS

Director
Department of Organic Chemistry
Indian Institute of Science
Bangalore- 560 012, India
gm@orgchem.iisc.ernet.in

Prof. A.I. Meyers

Emeritus Distinguished Professor of
Department of Chemistry
Colorado State University
Fort Collins, CO 80523-1872, USA
aimeyers@lamar.colostate.edu

Prof. K.C. Nicolaou

Chairman
Department of Chemistry
The Scripps Research Institute
10550 N. Torrey Pines Rd.
La Jolla, California 92037, USA
kcn@scripps.edu
and
Professor of Chemistry
Department of Chemistry and Biochemistry
University of California
San Diego, 9500 Gilman Drive
La Jolla, California 92093, USA

Prof. Ryoji Noyori NL

President
RIKEN (The Institute of Physical and Chemical Research)
2-1 Hirosawa, Wako
Saitama 351-0198, Japan
and
University Professor
Department of Chemistry
Nagoya University
Chikusa, Nagoya 464-8602, Japan
noyori@chem3.chem.nagoya-u.ac.jp

Prof. Larry E. Overman

Distinguished Professor
Department of Chemistry
516 Rowland Hall
University of California, Irvine
Irvine, CA 92697-2025
leoverma@uci.edu

Prof. Albert Padwa

William P. Timmie Professor of Chemistry
Department of Chemistry
Emory University
Atlanta, GA 30322, USA
chemap@emory.edu

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Preface to the Series

Topics in Heterocyclic Chemistry presents critical accounts of heterocyclic compounds (cyclic compounds containing at least one heteroatom other than carbon in the ring) ranging from three members to supramolecules. More than 50% of billions of compounds listed in *Chemical Abstracts* are heterocyclic compounds. The branch of chemistry dealing with these heterocyclic compounds is called heterocyclic chemistry, which is the largest branch of chemistry and as such the chemical literature appearing every year as research papers and review articles is vast and can not be covered in a single volume.

This series in heterocyclic chemistry is being introduced to collectively make available critically and comprehensively reviewed literature scattered in various journals as papers and review articles. All sorts of heterocyclic compounds originating from synthesis, natural products, marine products, insects, etc. will be covered. Several heterocyclic compounds play a significant role in maintaining life. Blood constituent hemoglobin and purines as well as pyrimidines, the constituents of nucleic acid (DNA and RNA) are also heterocyclic compounds. Several amino acids, carbohydrates, vitamins, alkaloids, antibiotics, etc. are also heterocyclic compounds that are essential for life. Heterocyclic compounds are widely used in clinical practice as drugs, but all applications of heterocyclic medicines can not be discussed in detail. In addition to such applications, heterocyclic compounds also find several applications in the plastics industry, in photography as sensitizers and developers, and in dye industry as dyes, etc.

Each volume will be thematic, dealing with a specific and related subject that will cover fundamental, basic aspects including synthesis, isolation, purification, physical and chemical properties, stability and reactivity, reactions involving mechanisms, intra- and intermolecular transformations, intra- and intermolecular rearrangements, applications as medicinal agents, biological and biomedical studies, pharmacological aspects, applications in material science, and industrial and structural applications.

The synthesis of heterocyclic compounds using transition metals and using heterocyclic compounds as intermediates in the synthesis of other organic compounds will be an additional feature of each volume. Pathways involving the destruction of heterocyclic rings will also be dealt with so that the synthesis of specifically functionalized non-heterocyclic molecules can be designed. Each

volume in this series will provide an overall picture of heterocyclic compounds critically and comprehensively evaluated based on five to ten years of literature. Graduates, research students and scientists in the fields of chemistry, pharmaceutical chemistry, medicinal chemistry, dyestuff chemistry, agrochemistry, etc. in universities, industry, and research organizations will find this series useful.

I express my sincere thanks to the Springer staff, especially to Dr. Marion Hertel, executive editor, chemistry, and Birgit Kollmar-Thoni, desk editor, chemistry, for their excellent collaboration during the establishment of this series and preparation of the volumes. I also thank my colleague Dr. Mahendra Kumar for providing valuable suggestions. I am also thankful to my wife Mrs. Vimla Gupta for her multifaceted cooperation.

Jaipur, 31 January 2006

R.R. Gupta

Preface

In the series of Topics in Heterocyclic Chemistry, the volume of Bioactive Heterocycles aims to present comprehensive reviews on selected topics of synthetic as well as naturally occurring bioactive heterocycles.

The present volume comprises six chapters of the following specialized reviews.

The first chapter, 'Directed Synthesis of Biologically Interesting Heterocycles with Squaric Acid Based Technology' by Masatomi Ohno and Shoji Eguchi covers squaric acid and its derivatives as versatile synthons for target-oriented and diversity-oriented synthesis. The introduction of designed functional groups, followed by ring conversion induced thermally or by reactive intermediates can construct a various bioactive heterocycles including bioactive natural products.

The second chapter 'Manganese(III)-Based Peroxidation of Alkenes to Heterocycles' by Hiroshi Nishino presents a very comprehensive review on novel Mn(III)-based peroxidation chemistry, and related bioactive heterocycles based on the works of his group. The content includes synthesis of functionalized 1,2-dioxane derivatives from various 1,3-dicarbonyl compounds including nitrogen heterocycles. The spectroscopic feature, the formation mechanism of 1,2-dioxan-3-ol ring system, chemical transformations and synthetic applications are also discussed.

The third chapter 'A Frontier in Indole Chemistry: 1-Hydroxyindoles, 1-Hydroxytryptamines, and 1-Hydroxytryptophans' by Masanori Somei presents a very comprehensive review on chemistry of 1-hydroxy-indoles, -tryptamines, and -tryptophans as a frontier in indole chemistry. In fact, these new members of indole derivatives were not much known about 30 years ago in the long history of indole alkaloids and related chemistry. Nowadays, these new families of indole compounds have been demonstrated to play their important role in life and nature by the pioneering works of Somei and his coworkers. The interesting biological and pharmaceutical activities have been found in these derivatives.

The fourth chapter 'Quinazoline Alkaloids and Related Chemistry' by Shoji Eguchi provides a perspective review focusing on developments of the synthetic methodologies and their synthetic applications. A brief historical background, aza-Wittig methodology, microwave-assisted synthesis, solid-phase

synthesis, and a variety of new synthesis of quinazoline compounds by organo-metallic reagents, metal-catalyzed reactions, heterocyclizations, pericyclic reactions etc are briefly reviewed. Selected topics of total synthesis of various types of quinazoline alkaloids including substituted type like febrifugine and heterocycle-fused type such as pyrroloquinazolines, indolopyridoquinazolines, pyrazinoquinazolines, pyrroloquinazolinoquinolines by these methodologies are discussed.

The fifth chapter 'Bioactive Heterocyclic Alkaloids from Marine Origin' by Masakin Kita and Daisuke Uemura presents a very comprehensive review on novel heterocyclic marine alkaloids with very intriguing structures and useful biological properties like anti-osteoprotic activity focusing on isolations, structural, synthetic, biological, and biogenetic studies mainly by Uemura group. The contents are believed to attract much attention by organic chemists, heterocyclic chemists, synthetic chemists, and workers in medicinal, pharmaceutical and bioscience fields.

The sixth chapter 'Synthetic Studies on Heterocyclic Antibiotics Containing Nitrogen Atoms' by Hiromasa Kiyota presents a very comprehensive review on a variety of heterocyclic antibiotics and phytotoxins. Early and recent examples of synthetic studies of glutarimide antibiotics, antimycins, and tabtoxins and related bioactive heterocycles based on the works of his group are retrospectively reviewed. The content is believed to attract much interest of synthetic chemists as well as heterocyclic chemists and researchers in life science fields.

I hope that our readers find this series to be a useful guide to modern heterocyclic chemistry. As always, I encourage both suggestions for improvements and ideas for review topics.

Nagoya, March 2006

Shoji Eguchi

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