

Book Review

Targets in Heterocyclic Systems. Chemistry and Properties. Edited by O. Attanasi, D. Spinelli. Italian Chemical Society 1997, 400 pp, softback. 80,000 L. ISBN 88-86208-24-3.

"Targets in Heterocyclic Systems. Chemistry and Properties" is a new series of reviews and accounts in heterocyclic chemistry, edited by Profs. Orazio A. Attanasi (University of Urbino) and Domenico Spinelli (University of Bologna). According to the editors, the main objective of this series is to bring interesting developments, even in highly specialized topics, to the attention of the heterocyclic community, in order to stimulate innovative research in these areas. The first volume in this series contains 11 articles devoted to a great variety of themes in heterocyclic chemistry. The first review deals with "Polyazolybenzenes and Related Compounds", coined "propellenes" (written by Rosa M. Claramunt and José Elguero and their co-workers, UNED and CSIC, Madrid). The main part reports crystallographic and NMR studies of these compounds in relation to their conformation. It is shown that semiempirical calculations (AM1) proved to be invaluable tools for rationalizing experimental findings. Without any doubt this review is a highlight of the first volume of this series. It is a must for all research groups working in this field.

The second contribution deals with "Hetero Diels–Alder Reactions in Aqueous Media" (Francesco Fringuelli, Oriana Piermatti and Ferdinando Pizzo, Università di Perugia). Since the pioneering work of R. Breslow there has been enormous interest in water as a reaction medium for organic reactions. In this article, inter alia reactions between dienophiles having nitroso, carbonyl and iminium functionalities with various carbon dienes and heterodienes are reported. The synthetic application of 3-nitrocoumarin as an oxa-aza diene (from the laboratories of the senior author) is especially interesting in this respect. A definite explanation of the unusual acceleration of organic reactions in aqueous media cannot be given up to now, but in the last chapter of this review the author summarizes some pertinent investigations in this field.

Luciano Forlani (Università di Bologna) treats the highly specialized topic "Reactivity and Tautomerism of 2-Thiazoleamine Derivatives". Although the overwhelming part of these results has already been published, a summary is of value for those interested in this field. The method for the quantitative evaluation of tautomeric equilibria without the direct use of spectroscopic properties of fixed parents (proposed by the author in 1992) seems to be especially of value for further elaboration.

Reaction planning with computers is a field of very active research. Eugene V. Babaev (Moscow State University)

deals with a certain aspect of this subject ("Combinatorial Models and Polarity Control Rules in Heterocyclic Design"). This review is devoted to applications of combinatorial models for classification and design of heterocyclizations, recyclizations and ring-opening reactions of heterocycles. The application of simple computer programs (available on request from the author) and examples of experimental confirmation of some predictions are discussed. Although the statement of the author "Due to the lack of exact mathematical models the chemistry of heterocycles is rather an art than a strict science" must be met with reservations, the study of this review is highly recommended not only for chemists working in the field of heterocyclic chemistry, inasmuch as computational methods described by the author may become of considerable importance in the near future.

Nitrile oxides have been used almost exclusively, especially in natural product synthesis, as components for 1,3-dipolar cycloaddition reactions (see below). Nucleophilic addition reactions represent another important class of reactions typical of nitrile oxides. Giovanni Grassi and Francesco Risitano (Università di Messina) report on "Five- and Six-membered Heterocycles from Nucleophilic Addition to Nitrile Oxides". The authors demonstrate in depth the scope and limitations of this synthetic methodology for the construction of a great variety of new heterocyclic compounds.

Some classical antibiotics (e.g. penicillin) became more and more frequently ineffective in the treatment of infections. In order to provide new antibacterial agents, active research in the field of semisynthetic and totally synthetic drugs is of utmost necessity. Trinems are a class of such, totally synthetic, antibacterials having a very good activity against Gram-positive and Gram-negative bacteria. Chiara Ghiron and Tino Rossi (Glaxo Wellcome Medicines Research Centre, Verona) give an overview of "The Chemistry of Trinems". Both general synthetic methodologies as well as the preparation of 4-substituted trinems and of sanfetrinem are reviewed. As not only the contributions of the authors, but also of various other research groups have been pursued up to now, this overview is a valuable starting point for all those interested in this field.

Aminoquinolines, especially 8-aminoquinolines, played a very important role for the radical cure of *Plasmodium vivax* malaria. The purpose of the review given by Francisco Palacios and co-workers (Universidad del Pais Vasco, Vitoria), "4-Aminoquinolines. A General Approach", is to focus on the synthesis, reactivity and the possible uses of 4-aminoquinolines with special emphasis on the biological activities. The authors present a readable account of this topic. Interested readers will find a nearly comprehensive (211 references!) treatment of the subject.

As mentioned above, 1,3-dipolar cycloaddition reactions offer one of the most attractive and powerful methodologies for the preparation of a great number of heterocyclic systems. In their review "Stereoselective Synthesis of Functionalized Five-, Six- and Seven-membered Heterocyclic Systems via Intramolecular Cycloaddition of C-Alkenyl Nitrones and Nitrile Oxides" Ugo Chiacchio, Antonio Rescifina (Università di Catania) and Giovanni Romeo (Università di Messina) present the most recent advances in this field. The authors give an impressive demonstration that these strategies are very promising for the synthesis of complex molecules with a defined stereochemistry. Novices as well as experienced chemists in heterocyclic chemistry will benefit from this detailed review.

Photochemical arylation of halogen-substituted aromatic compounds is well known. In his review "Photochemical Aryl-Aryl Coupling in Furan, Thiophene, and Pyrrole Derivatives" Mauricio D'Auria (Università della Basilicata, Potenza) presents scope and limitations of all possible approaches in the field of these heterocycles. The publications of the author are covered comprehensively; contributions of other authors in this area are treated appropriately.

During recent decades, a large body of information has been accumulated concerning the fruitful approach based on comparison of spectral data found by UPS and UV spectroscopy (work of Andrews, Dunbar, Haselbach, Khan, Shida, Zharadnik, to mention only a few). Alexander I. Vokin and Valerii K. Turchaninov (Siberian Division of the Russian Academy of Sciences, Irkutsk) give a very detailed review of "UV and Photoelectron Spectroscopy Conformational Analysis of Radical Cations and Parent Molecules of Heterocyclic Ring Assemblies". UPS

and calculated (MINDO/3, AM1, OVGF AM1 etc.) data for a great variety of differently substituted heterocycles are given. For interested non-specialists Chapter 2 ("General considerations of ionic states") gives a readable introduction into this field.

Because of their interesting biological and pharmacological properties compounds containing the γ -lactam moiety have been investigated quite actively in the last few years. Mario Orena and co-workers (Università di Ancona) present a review concerning "Recent Approaches to γ -Lactams via C–C Bond Formation". Different synthetic approaches e.g. cyclization involving free radical intermediates, carbocation intermediates, carbanion intermediates, carbene intermediates) are discussed. The reader does not only get a detailed survey of γ -lactam chemistry, but also gets an overview over general synthetic strategies for the preparation of saturated five-membered heterocyclic compounds.

Generally speaking, this new series is a valuable complement to other contributions in the field of heterocyclic chemistry (e.g. "Advances in Heterocyclic Chemistry", "Trends in Heterocyclic Chemistry" and other more specialized reviews), because it becomes more and more difficult to keep abreast of the ever increasing amount of important results in this area. In line with expectations, the coverage of the different contributions in this volume is not uniform. Although I found only a few mistakes (mainly printing errors) for future volumes a careful revision of all articles is recommended. Whereas libraries in any case should purchase this series, readers interested in the fields mentioned above will certainly invest in a personal copy.

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