# Journal of Medicinal Chemistry

### **Book Review**

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## Progress in Medicinal Chemistry. Volume 43 Edited by F. D. King and G. Lawton. Elsevier B.V., Amsterdam, The Netherlands. 2005. x + 289 pp. 14.5 × 22 cm. ISBN 0 444 51572 0. \$159.00.

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# Book Reviews

Microwaves in Organic and Medicinal Chemistry. By C. Oliver Kappe and Alexander Stadler. (Volume 25 of Methods and Principles in Medicinal Chemistry. Edited by R. Mannhold, H. Kubinyi, and G. Folkers.) Wiley VCH, Weinheim, Germany. 2005. xii + 409 pp.  $17.5 \times 24.5$  cm. ISBN -527-31210-2. \$170.00.

The use of microwave irradiation as a nonconventional energy source in organic synthesis, while first reported in 1986, has come into wide use in the past 5 years or so. This increase in acceptance coincided with the advent of safe, "use designed" microwave ovens. In this context, the recent publication of Microwaves in Organic and Medicinal Chemistry is timely. This eightchapter monograph provides a broad overview of the area with sufficient detail to guide the inexperienced user and enough breadth to satisfy the experienced organic and medicinal chemist. This well-written book can be viewed as consisting of three parts: background/ theory, reagents/equipment, and literature overview.

The first part of the text consists of two chapters that provide a brief discussion of the early uses of microwave energy in organic synthesis followed by an overview of microwave theory. The theory section is written with the synthetic chemist in mind. The discussion is qualitative in nature with sufficient referencing to allow one to delve into microwave theory in greater detail. Of particular interest is the discussion of conventional vs microwave heating, which leads to a discussion of the so-called "microwave effect" in organic reactions. While papers continue to appear in the literature that ascribe experimental results to the direct interaction between chemical reagents and microwave generated fields, the authors argue that most, if not all, examples of the microwave effect can be explained by differences in the mechanism by which a microwave heats a sample (vs conventional heating). The authors' discussion of the area is lucid and compelling. The authors also present an interesting comparison of reactions run under microwave conditions vs traditional photochemical conditions.

The second "part" of the book (chapters 3-5) presents the state of the art in use-designed synthetic microwave instruments, processing techniques (solvent vs solventfree reactions, open vs closed vessel reaction designs, batch vs flow systems, scale-up, and use of design of reaction programs) and, probably the most important part of the text for neophytes, "how to get started". Chapter 3 is an even-handed discussion of all of the commercial systems on the market as of the time of publication. There is a clear discussion of the use of monomode vs multimode instruments. While the chapter is well illustrated with many photographs of commercial equipment and reactors, I think simple line drawings that describe the overall design and configuration of the units (and reactors) would have been more instructive (and less likely to become dated in a year or so). Chapter 4 describes the "nuts and bolts" considerations for microwave synthesis: solvent choice (or not), use of open or closed vessels, workup, parallel processing, and scale-up. This chapter was written with a more experienced user in mind. Chapter 5 is directed to the experienced user who is getting started in the area of microwave chemistry. This chapter takes the reader step by step through the process of running a conventional reaction in a microwave system (design, optimization, troubleshooting, and safety concerns).

The third part of the book (actually  $\frac{2}{3}$  of the entire text) consists of two surveys of the recent literature (generally 2000 through 2004). Chapter 6 describes examples of functional group transformations, C-C and C-heteroatom bond forming and breaking reactions. Glycosylation, free radical reactions, electrocyclic reactions, and transition metal mediated reactions are well represented. The area of heterocyclic synthesis and transformation is equally well represented. This chapter has something for both synthetic organic and medicinal chemists. Chapter 7 focuses on chemistry using multiphase reaction conditions (solid phase or supported reagents and reactions, fluorous phase, and ionic liquid reactions) in both parallel or cascade reactions to synthesize libraries of compounds as mixtures or as discreet compounds. Again, there is something for everyone in the chapter. The text ends with an assessment of the future of microwaves in organic synthesis.

Overall, the text is very well written. The references are very current, and the "how to" sections are clear. This book definitely speaks to its audiences at just the right levels for each. The inexperienced user will find enough detail to enter the area with confidence. The experienced medicinal and organic chemist will find examples of many of the most useful synthetic reactions that benefit from the use of a microwave as well as many examples taken from the heterocyclic literature. There is a lot of very practical information in this book to recommend it for inclusion in both industrial and academic libraries. Unfortunately, I feel the price may limit the text's inclusion in students' libraries.

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**Progress in Medicinal Chemistry. Volume 43.** Edited by F. D. King and G. Lawton. Elsevier B.V., Amsterdam, The Netherlands. 2005. x + 289 pp. 14.5  $\times$  22 cm. ISBN 0 444 51572 0. \$159.00.

This book is the latest volume in this well-established review series. It does not disappoint because it contains timely reviews of considerable interest to the medicinal chemist. Chapter titles are as follows: Human Ethera-go-go Genes (HERG): A Chemist's Perspective by R. J. Vaz, Y. Li, and D. Rampe (18 pages, 38 references); Fluorescence-Based Assays by J. F. Eccleston, J. P. Hutchinson, and D. M. Jameson (30 pages, 30 refer-

ences); Selective and Combined Neurokinin Receptor Antagonists by M. Gerspacher (55 pages, 155 references); Muscarinic Receptor Subtype Pharmacology and Physiology by R. M. Eglen (32 pages, 139 references); The Transcription Factor NF- $\kappa$ B as Drug Target by B. Haefner (52 pages, 214 references); Recent Advances in the Search for Newer Antimalarial Agents by V. K. Kapoor and K. Kumar (49 pages, 207 references); The Discovery of the CCR5 Receptor Antagonist, UK-427-857, a Newer Agent for the Treatment of HIV Infection and AIDS by A. Wood and D. Armour (33 pages, 85 references). Chapters 1-3, 5, and 7 cite references up to and including 2004; chapter 2, to 2005; and chapter 6, to 2003. The volume contains a four-page subject index and cumulative subject and author indices for the series.

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Advances in Organic Chemistry. Volume 1. Edited by Atta-ur-Rahman and Gerhard Jenner. Bentham Science Publishers, Hilversum, The Netherlands. 2005. vi + 568 pp.  $17.5 \times 25$  cm. ISBN 90-77527-02-8. \$130.00.

This volume represents the first in a new series published by Bentham, dedicated to recent advances in synthetic organic chemistry. The book focuses on physical, electromagnetic, chemical, and biochemical approaches toward the activation of chemical reactions and is written as a series of review articles contained in 13 chapters, with each chapter representing more of an overview of recent findings as opposed to comprehensive reviews.

The first chapter reviews photochemical activation of reactions that can occur thermally and presents some new applications of this still developing area of research. Chapter 2 is a comprehensive review of the recent advances in pressure activation. This well-referenced chapter covers not only recent applications but also the technical aspects of reactions conducted under high pressure. The utilization of ultrasound in organic chemistry is uniquely presented in Chapter 3. Here, ultrasound use in several organic transformations is covered, as is its use in the degradation of organic pollutants in aqueous environments and issues related to the scaleup of ultrasound-activated reactions.

The use of microwaves in synthetic chemistry is covered in an extensively referenced Chapter 4, which includes a section on the use of microwaves in combinatorial chemistry. The next chapter covers less traditionally used Lewis acids, including Ga, In, Sb, and Bi, and is followed by a short chapter on the use of perchlorates. Chapter 7 reviews the increasingly interesting and important topic of immobilized catalysts. This chapter is focused more on catalytic efficiency than on specific application, and so it misses many of the recent uses of immobilized catalysts, for example, in combinatorial and parallel synthesis. The asymmetric hydrogenation of carbonyl compounds is covered next, with reasonable coverage of the recent literature. The use of cyclodextrins is covered in a short but interesting chapter, followed by an interesting and extensively referenced chapter on the solvophobic effect, including a short section of the timely topic of fluorous separation methods. Metal-catalyzed electrosynthesis is covered in another well-written and extensively referenced chapter that follows. The final two chapters focus on biocatalysis. One of these is an excellent review in the use of enzymes to catalyze chemical transformation but not the manipulation of biosynthetic pathways, as a point of clarification. This is followed by a short review on catalytic antibodies.

Throughout, it is surprising that more attention was not given to combinatorial chemistry, parallel synthesis, and solid-state chemistry. Further, this volume, and other volumes, would benefit from a table of contents and a more thorough index. Overall, the guest editor has done a good job of bringing together important, yet less commonly reviewed, areas of synthetic organic chemistry. Given the importance of increasing the efficiency of chemical transformation owing to environmental and economic concerns, the content of this volume is timely and should be included in any academic or industrial library that serves a group of synthetic organic chemists.

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