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Additions & Corrections

A Practical Asymmetric Synthesis of the Antiviral Agent Lobucavir, BMS-180194.

Janak Singh,* Gregory S. Bisacchi, Saleem Ahmad, Jollie D. Godfrey, Jr., Thomas P. Kissick, Toomas Mitt, Octavian Kocy, Truc Vu, Chris G. Papaioannou, Michael K. Wong, James E. Heikes, Robert Zahler, and Richard H. Mueller
(*Org. Process Res. Dev.* **1998**, *2*, 393–399).

The structure of Feist's acid in Scheme 3 is incorrect. The correct structure is **i** in footnote 25 of this paper.

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Book Reviews *

Antifungal Azoles: A comprehensive survey of their structures and properties. By L. Zirngibl. Wiley-VCH: Weinheim. 1998. 242 pp. ISBN 3-527-29487-2. £115.00.

Azoles are widely used in the textile, agrochemical, and pharmaceutical industries and are an important class of compounds, although if the patent literature is anything to go by, the discovery of new azoles reached its peak in the 1980s.

The virtue of this book is that it aims to be comprehensive, and that it essentially covers the patent literature (up to 1997). It is encyclopaedic in its structure—a catalogue of new molecules and their uses—with little of interest for the synthetic (process) chemist, since processes for the manufacture of these interesting molecules are not covered. Nevertheless, I admire the author's achievement in summarising the patent literature so carefully—perhaps someone would care to do the same for process patents!

Overall, this is an excellent book for the industrial discovery chemist and for any industrial library. The price, however, is unusually high for the length of the book.

OP990014T

10.1021/op990014t

Azolides in Organic Synthesis and Biochemistry. By H. A. Staab, H. Bauer, and K. M. Schneider. Wiley-VCH: Weinheim, 1998. 502 pp. ISBN3-527-29314-0. £95.00.

The scope of the book is the use of azolides—heterocyclic amides in which the amide nitrogen is part of the azole ring—in synthesis. The azolides show high reactivity in reactions with nucleophiles, in contrast to normal amides, often being comparable to acid chlorides or anhydrides. The reactivity can be adjusted by the choice of the "azole", hence the attraction of these reagents in synthetic methodology.

Inevitably, such a book concentrates on the synthesis and properties of these molecules, particularly carbonyl diimidazole and in the synthesis of esters, anhydrides, acid chlorides, amides, and related compounds (particularly peptides and proteins and heterocycles (including nucleotides)). For the process chemist, these chapters are very comprehensively treated, with a vast number of references to the original literature, many of them, of course, being the authors' own publications. The later chapters are, to my mind, of less practical interest in synthesis, being devoted to reactions on the azole ring or destruction of the ring (substitutions, reduction, dehydration, etc.).

The book is well written, well indexed, and represents good value, though I doubt whether many industrial chemists will feel the need to purchase a personal copy.

OP9900131

10.1021/op9900131

Modern Catalytic Methods for Organic Synthesis with Diazo Compounds (From Cyclopropanes to Ylides). By M. P. Doyle, M. A. McKervey, and T. Ye. Wiley-Interscience: New York. 1998. 652 pp. ISBN 0-47113556-9. £100.

*Unsigned book reviews are by the Editor.

In the 1970s and 1980s, two major pharmaceutical compounds scaled-up a synthesis of diazomethane so that multikilogram quantities were available for the production of high added value drugs (steroids, if I remember correctly). Diazocarbonyl compounds have also been used on a large scale in the manufacture of cyclopropanes and larger rings. In the 1990s, two other companies became involved as specialist diazoalkane manufacturers. The release of this excellent book, by well-known academic experts in the field of diazo-chemistry, is therefore timely. The book—despite its title—focuses mainly on diazocarbonyl compounds and their use in synthesis.

This is a book for the practising chemist; the wide coverage of the literature is augmented by tabulated summaries, extensive references (with the titles of papers given as well as the author and journal), and practical experimental detail, in the form of illustrative procedures. Of course, for the chemist interested in scale-up, it is the hazards of diazo compounds which need to be put into context, and, whilst the book does not have a separate chapter on hazards, throughout the book there is clear guidance and good references to the literature.

This is amply illustrated in the first chapter on the synthesis of diazoketones. Whilst diazoketones can be made by several routes, the favoured process is by diazo transfer from a sulphonyl azide, usually tosyl azide. The hazards of using tosyl azide and similar azides have been well worked out in industry, and the recommended azide for use on scale is 4-dodecyl-benzenesulphonyl azide. Detailed procedures are given in the book, and a comparison of the hazards of different sulphonyl azides (heat of decomposition, approximate temperature of initiation of decomposition, relative rates of decomposition, and impact sensitivity) is tabulated. These sulphonyl azides have been used to generate α-diazo-β-ketoesters from β-lactam precursors, prior to cyclisation to rings fused to the β-lactam, for antibiotic synthesis on a large scale (e.g., thienamycin).

Later in the conclusion to Chapter 1, the safety and handling of diazocarbonyl compounds is again emphasised, and put into context. For example, the half-life for the thermal decomposition of ethyl diazoacetate in mesitylene at 100 °C is 109 h, and it can be purified by distillation at atmospheric pressure (bp 140.1 °C). The danger always is, however, impurities such as acids and metals, which can initiate fast and exothermic decompositions.

This comprehensive work has an attractive layout and a pleasant style, making it easy to read. The chapters—on synthesis of diazocarbonyl compounds and reactions (insertion, cycloadditions, ylide formation, rearrangement, etc.)—emphasise the utility of these versatile compounds in synthesis and particularly in the synthesis of ring systems. All synthetic chemists will be stimulated to produce novel ideas once they have dipped into the book.

As expected from the work of the authors, there is a strong emphasis on catalysis, and the importance of catalyst selection is given a separate chapter. Later in the book, examples of reactions of diazocarbonyls which lead to different products with different catalysts are described, with mechanistic analysis. Enantioselective catalysts, particularly for the synthesis of cyclopropanes, are also featured.

The importance of diazo compounds in industry is emphasised in the conclusion to Chapter 9, on the Wolff rearrangement and the Arndt−Eistert homologation. Integrated circuits are made using a photosensitive varnish, known as a photoresist, which allows the transfer of a fine line pattern onto a silicon wafer. The photoactive part of the resist is a diazonaphthoquinone derivative, which on irradiation yields a base-soluble indenecarboxylic acid by a Wolff rearrangement followed by hydrolysis of the ketene with water. The unreacted diazoketone remains behind in the polymer matrix, producing an image. The use of this chemistry has thus played a major part in the development of semiconductor devices for use in integrated circuits.

In conclusion, this is an excellent book which should be in all libraries used by synthetic organic chemists. The literature coverage in the book is up to 1996 with a few references in 1997, so some of the more recent work on asymmetric applications is not covered. In all other aspects, this is a model for future authors on how to write a practical, readable, stimulating text book.

OP990015L

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