Organic Process Research & Development **2005**, *9*, 1015–1025

Book Reviews *

Still Going Wrong! Case Histories of Process Plant Distasters and How They Could Have Been Avoided. By Trevor Kletz. Gulf Professional Publishing, Butterworth-Heinemann, Elsevier: Amsterdam, Boston. 2003. £29.95. 230 pp. ISBN 0-7506-7709-0.

The author of this book, Trevor Kletz, is a world renowned writer and lecturer who has dedicated most of his career to raising awareness in the area of industrial process safety. This important book is the sequel to his classic text *What Went Wrong? Case Histories of Process Plant Disasters*, first published some 20 years ago. The first book is already in its 4th edition, which is twice as long as the first edition, and contains many reports on more recent incidents. So why this new book?

In the introduction, the author explains that the new book complements the earlier one and does not replace it. The first book emphasised the immediate technical causes of incidents and how these might be addressed, whereas this new book places a greater emphasis on underlying weaknesses in management systems. Also, some new causes are illustrated as well as familiar ones.

There are 16 chapters, covering a range of incident causes, such as maintenance, entry into confined spaces, and materials of construction. A number of chapters relate to change, specifically changes to processes and plants, changes in organisation, and changes in procedures instead of designs. Others focus on poor communication and lack of knowledge. A particularly interesting chapter for readers of the *Organic Process Research & Development* journal is entitled "Reactions − Planned and Unplanned", in which the following topics are described: "delayed mixing", "waiting until after the fourth incident", "lower temperature may not mean less risk", "forgetting to add a reactant", "inadequate tests", "a heating medium was too hot", and "an unstable substance left standing for too long". There is also a very interesting final chapter "Accident Investigations − Missed Opportunities", which comments on the superficiality of some investigations, and the inappropriate focus on finding someone to blame. Worryingly, it still seems that there is a tendency to forget the lessons learned, and therefore similar accidents happen again (hence, the title of the book). The book is framed with an introductory section comparing U.S. and U.K. management and technical nomenclature and a closing section giving tips for accident investigators.

This is a truly excellent book, which is absolutely essential reading for all chemists, chemical engineers, line managers, and supervisors working in industrial process chemistry, as well as regulators and safety professionals. The writing style throughout is clear and readable, and everyone can learn something from it. At £29.95 (44.95 Euro, U.S. $49.95) it could be the most important small investment you will ever make. As the author says: "A high price was paid for the information in this book: people were killed or injured and billions of dollars worth of equipment was damaged. Someone has paid the "tuition fees". There is no need for you to pay them again."

Peter L. Spargo

Scientific Update LLP, Maycroft Place, Stone Cross, Mayfield, East Sussex TN20 6EW, United Kingdom

OP050135V

10.1021/op050135v

Why Chemical Reactions Happen. By James Keeler and Peter Wothers. Oxford University Press: Oxford. 2003. 243 pp. $24.95 £17.99 (paperback). ISBN 0-19-924973-3

This book provides a refreshing new approach to physical chemistry—a topic not always liked by organic chemists. (Although chemical engineers presumably have a penchant for it!) The back cover notes say that the book is written "for students who are in their first year of a university chemistry course, or are about to embark on such a course", but I would argue that there is many an organic chemist in academia and in industry who would benefit from reading it, because it could enhance understanding and, at the very least, will help to reinforce or cement prior knowledge and concepts.

The book is laid out in a nonconventional but entirely logical manner (if only more university chemistry courses could be so!). The authors first state (Chapter 1) that the most fundamental principle which determines whether a reaction takes place is the Second Law of Thermodynamics (i.e. the entropy of the universe increases). After a discussion of this (Chapter 2), the reader is led on to the basic interactions required for the formation of chemical bonds, that is electrostatic (ionic) and covalent interactions. Ionic interactions are simpler to understand and are therefore covered in a single chapter (Chapter 3), whereas three chapters are required to explain covalent interactions, starting with electrons in atoms (Chapter 4) and moving on to electrons in simple molecules (Chapter 5) and then electrons in larger molecules (Chapter 6). Using the first six chapters as a basis, the book then examines some simple (mostly organic) reactions (e.g. nucleophilic substitution, nucleophilic addition to carbonyl and C═C groups) (Chapter 7) and considers equilibrium (Chapter 8) and reaction rates (Chapter 9). Bonding in extended systems (conjugation) is discussed (Chapter 10), and substitution and elimination reactions are considered in more depth (Chapter 11). The last three chapters look at solvent effects (Chapter 12), the impact of

*Unsigned book reviews are by the Editor.

10.1021/op050135v CCC: $30.25
Published on Web 09/08/2005

leaving groups (Chapter 13), and competing reactions (Chapter 14). A particularly attractive aspect of the book is the blending of bonding and orbital theory with kinetics and thermodynamics.

The informal style of the book makes for a pleasurable yet challenging read, and the general layout is attractive, the text being liberally interspersed with schemes and diagrams. For those with a phobia of equations which are anything other than chemical ones, the mathematical content is kept to a reasonable minimum (indeed, some of it is relegated to an associated website).

In conclusion, this is a very welcome text from two academics who are carrying on the excellent and innovative teaching tradition of Cambridge University Chemistry. It will be of interest and value to all chemists who wish to enhance their understanding of chemical reactions and is warmly recommended.

Peter L. Spargo

Scientific Update LLP, Maycroft Place, Stone Cross, Mayfield, East Sussex TN20 6EW, United Kingdom

OP0501388

10.1021/op0501388

Amines: Synthesis, Properties and Applications. By S. A. Lawrence. Cambridge University Press: Cambridge. 2004. 371 + x pp. £100/$180. ISBN 0-521-78284-8.

The author provides an industrial scientist's approach to the very broad subject of amines. In such a vast topic, the question was probably "what to leave out". In 371 pages he covers aliphatic, fatty, and cyclic amines; arylamines; heterocyclic amines; inorganic amines (including hydrazine, hydroxylamine and amine ligands); small scale syntheses and analytical methods for amines; amine protection; amine oxides and amino acids; and finally commercial applications of amines.

There are three appendices, (1) molecular structures and iso-surface electronic charges of selected amines; (2) physical properties of selected amines (CAS number, mp, bp, and pK_a); and (3) finally named reactions involving amines. The latter appendix has many references from the 1980s and 1990s but not so many from the 1980s and 1990s. Thus, it is very "historical" in its approach—the Buchwald−Hartwig method of making aromatic amines is not listed!

The author's strength is in his knowledge of the speciality chemicals industry and in the commercial applications of amines (and ammonium salts). For example, the author quotes the world markets in 2001 for CBZ-Cl as 800 tonnes, for DiBOC 300 tonnes, and for FMOC-ONSu, 40 tonnes, information which is not easily acquired elsewhere. He also gives information on the specifications of protecting group reagents and purification methods.

The weakness is in the references which are inadequate in number and poorly chosen. For example, in the section on amine protection the key works of Greene and Kocienski, which list all amine protection groups, are not referenced. For the named reactions in Appendix 3, the initial reference to the discovery of the reaction is given, rather than giving the reader a much more up-to-date reference to a recent review on the topic.

It is also frustrating to find an interesting piece of information in the text, about which one would like to know more, only to find that there is no reference provided.

A second disadvantage of the book is that the reactions and formulae are poorly drawn and sometimes incorrect or with insufficient detail. For example the structure of Seroxat (Paroxetine) is drawn without any information on relative stereochemistry in the piperidine ring, nor any indication that it is sold as a single enantiomer or as a salt form. In fact the whole area of stereochemical issues and the use of amines as resolving agents has been ignored.

In summary, this is a useful book for scientists to gain a knowledge of the industrial uses of amines and related substances, and has interesting historical perspectives in some chapters. For synthetic aspects, the reader will find much better sources, such as *Organic Synthesis* or *Comprehensive Organic Transformations*.

OP0501390

10.1021/op0501390

Organic Reactions. Edited by L. E. Overman Editor-in-Chief). 2004. Wiley: Hoboken, New Jersey. Volume 64. 639 + vii pp. £67.95. ISBN 0-471-68262-4.

This excellent series continues with the 9th volume since 2000. The opening chapter covers "Additions of Allyl, Allenyl and Propargylstannanes to Aldehydes and Imines" written by Benjamin Gung from University of Miami (Oxford, Ohio). This is a succinct account focusing on Lewis acid-catalyzed additions, with brief mention of the less useful thermal reactions. The emphasis as expected is on stereochemical control, and discussion of each reaction is followed by a clear mechanistic rationale. Applications in natural product synthesis are discussed in five detailed case studies. A useful section involving a critical comparison with other methods is followed by discussion of experimental conditions. In this section perhaps there could have been discussion of choice of workup conditions and ways to remove and dispose of tin residues. As usual with organic synthesis, a collection of valuable and detailed "recipes" is included, followed by a 50-page tabular survey and 201 references. Since the latest reference is to an early 2001 paper, it has taken a long time for this review to get into print and may be a little out of date.

The second chapter entitled "Glycosylation with Sulphoxides and Sulphinates as Donors or Promoters" (D. Crich and L. B. C. Lim) surveys four recent distinct glycosylation methods united by the common theme of employing sulphoxides and sulphinates as donor or promoter. In the first method a glycosyl sulphoxide is coupled to an acceptor alcohol using an activating agent. In the second, a donor thioglycoside is activated by a promoter such as TFAA before coupling to an alcohol. The third method is dehy-

drative coupling, and the last method is oxidative glycosidation of glycols. In all the reactions the stereochemical outcome is dependent on solvent and on the nature of the protecting groups in both donor and acceptor, and neighbouring group participation may occur. Scope and limitations, functional group compatibility, solvent effects, and polymer-supported glycosidic bond formation are all discussed before applications in synthesis are described. In the normal *Organic Reactions* way, comparison with other methods, experimental conditions and procedures (13 pp), and extensive tables (84 pp) complete the chapter. There are 220 references, with many in 2003 .

The final and longest chapter describes "Addition of Organochromium Reagents to Carbonyl Compounds (K. Takai, Okayama, Japan) and suffers from the same disadvantage (not usually the author's fault) in that the references are only to October 2001. After a description of ways of preparing organochromium reagents (10 pp) the properties such as stability to heat and hydrolysis conditions are covered. Scope and limitations, selectivity and mechanisms of addition to carbonyls (mostly aldehydes) are covered in detail.

An interesting section on conversion of aldehydes to vinyl halides by reaction of haloforms with chromium reagents is discussed, and a rationale for the predominately E stereochemistry in the products is produced. With compounds such as $RCHI_2$, olefins are produced with good control of E stereochemistry.

The chapter concludes with comparison with other methods, experimental conditions and procedures (16 pp), a tabular survey (265 pp), and a list of 671 references.

The latest *Organic Reactions* is up to the usual high standard of previous volumes and should be in every organic chemist's library.

OP050140Z

10.1021/op050140z

Fieser's Reagents for Organic Synthesis. By Tse-Lok Ho. 2004. Wiley-Interscience: Hoboken, New Jersey. Volume 22. 608 + xiv pp. £54.50. ISBN 0-471-285515-3.

The latest volume of *Reagents for Organic Synthesis* covers the literature from 2001 to 2002. As always, new reagents are introduced to the reader, and new uses of more familiar reagents are highlighted, the focus being on the transformation itself rather than any mechanistic rationale or discussion of selectivity. In keeping with current trends, organometallic reagents and catalysts feature heavily, and new organocatalysts are included, with references to work of the List and MacMillan groups. The author's list includes many references to Chu, Chiu, Fu, Hu, Su, Wu, Xu, and Yu, emphasising the increasing importance of Chinese and Taiwanese contributions to organic syntheses.

From acetic anhydride to zirconocene hydrochloride, the coverage is excellent and up to the usual high standard of the series. The author in his preface makes an interesting comment that he has had unpleasant experiences with results from certain laboratories (irreproducible more than once with different reactions) and has excluded these publications from the listing. This serves as a warning to all to try to improve reproducibility by describing experimental procedures with attention to absolute detail when publishing in the literature. I hope the authors of the next volume, volume 23, will bear in mind that experimental work in *Organic Process Research & Development* (OPRD) papers has usually been repeated many times, has been scaled up, and is likely to be highly reproducible. More reference to OPRD papers should therefore be included.

In conclusion, all libraries should have a copy of this latest volume in the series, and the author is to be congratulated on his work on this series over the last 10 years.

OP050141R

10.1021/op050141r

Transition Metals for Organic Synthesis: Building Blocks and Fine Chemicals. Second Revised and Enlarged Edition. (2-Volume Set.). Edited by Matthias Beller and Carsten Bolm. Wiley-VCH: Weinheim. 2004. 662 pp. (Vol. 1), 652 pp (Vol. 2). £270. ISBN 3-527-30613-7.

The first edition of this book was published in 1998 and was very well received. The rapid progress in transition-metal mediated and catalysed organic synthesis in the ensuing years has fully justified the publication of a second edition, and what a masterpiece it is.

The editors, Professors Beller and Bolm, themselves renowned researchers and teachers in the field, have assembled a stellar cast of more than 70 contributors, and they certainly do not let the reader down. The contributors list reads like part of a "Who's Who" of organic synthesis, including such leaders as Alexakis, Alper, Backvall, Blaser, Dotz, Fu, Furstner, Hoveyda, Kagan, Katsuki, Kobayashi, Petasis, Pfaltz, Sharpless, Sheldon, Shibasaki, Trost, and many other key names. This is a very thorough compilation, and despite its size (more than 1300 pages split over two volumes), it is surprisingly easy to navigate thanks to a logical layout, clear contents pages, and an extensive index. It consists of easily readable bite-sized chapters and subchapters, each of which beautifully and succinctly summarises (with the help of numerous illustrative examples) the history and all the key progress in each area, equipping the chemist with mechanistic insights and with essentially all of the understanding required in order to usefully apply the chemistry in his or her own synthetic chemistry programme. Each section is supported with full literature references, enabling rapid access to the primary literature, coverage of which extends to the end of 2003 and therefore represents the state of the art at that time. (The well-informed reader will immediately recognise problem areas identified in this book, which have subsequently been overcome, emphasising the fast-moving nature of the field.)

Volume 1 opens with a general scene setting chapter, which is followed by two major sections, "Transition Metal-

Catalyzed Reactions" and "Transition Metal-Mediated Reactions". The two major chapters in Volume 2 are "Reductions" and "Oxidations", and the work concludes with a section entitled "Special Topics". In order that the reader of this review can gain a meaningful appreciation of what is covered, the contents of each of the major sections are summarised (albeit not comprehensively) below.

Transition Metal-Catalyzed Reactions (Vol.1 Chapter 2) covers the full range of well-established reactions such as hydroformylation, hydrocarboxylation, amidocarbonylation, hydrocyanation, cyclopropanation, olefin isomerisation, Suzuki couplings, Buchwald−Hartwig (C−N, C−O) couplings, Heck couplings, allylic substitution, alkene and alkyne metathesis, and lanthanoid catalysis. It also has sections on somewhat less well-developed research areas, such as bismuth reagents and catalysts, and catalytic enantioselective alkylation of alkenes by chiral metallocenes (especially zirconium). In each case, essentially every element of selectivity, from chemoselectivity to stereoselectivity, is reviewed and discussed.

Transition Metal-Mediated Reactions (Vol.1 Chapter 3) embraces Fischer type carbene complexes, titanium-carbene mediated reactions, the McMurry and related reactions, chromium(II)-mediated C−C couplings, manganese(III)-based oxidative free-radical cyclisations, titanium- and zinc-mediated reactions, conjugate additions, carbometalation of zinc enolates, iron-acyl and iron-diene complexes, chromium-arene complexes, and the Pauson−Khand reaction. Some of these are perhaps less attractive to the process chemist than those of the earlier chapter, because they are often stoichiometric in the metal and carry toxicity baggage, but this is nevertheless as good a summary of their utility as I have ever seen.

Reductions (Vol. 2 Chapter 1) takes the reader on a comprehensive tour through hydrogenation (homogeneous, heterogeneous, and transfer hydrogenation), then on to hydrosilylation (of carbonyl compounds as well as alkenes) and transition metal catalysed alkene hydroboration.

Oxidations (Vol. 2 Chapter 2) opens with a section on "Basics of Oxidation" and moves through "Oxidations of C−H Compounds Catalyzed by Metal Complexes" to more focused topics such as allylic oxidation, Baeyer−Villiger reactions, asymmetric dihydroxylation and aminohydroxylation, epoxidations, Wacker-type oxidations, asymmetric aziridinations, and hydroamination of alkenes and alkynes. A slightly peculiar section on polyoxometalates for oxidation with oxygen and hydrogen peroxide (the only section I did not particularly enjoy) provides a bridge to a section on the oxidative cleavage of olefins. An excellent review of aerobic metal-catalyzed oxidation of alcohols (process chemists please note) is then followed by one on asymmetric sulfide oxidation, and the whole area is drawn to a close with a treatise on amine oxidation (not something the synthetic chemist often considers).

Special Topics (Vol. 2 Chapter 3) provides a home for a collection of free-standing essays covering two-phase catalysis, transition-metal-based fluorous catalysts, transition-metal-catalysed organic synthesis in supercritical carbon dioxide and ionic liquids, photocatalysis, ultrasound, microwaves, and, last, high-pressure reactions.

So, a veritable feast of chemistry! At £270, this is not perhaps the cheapest reference text, but it is without doubt one of the very best, and every serious synthetic organic chemist, whether student or experienced practitioner, should have access to a copy, which I am sure they will subsequently find to be indispensable. It is an essential addition to all academic and industrial reference libraries. Strongly recommended and without reservation.

Peter L. Spargo

Scientific Update LLP, Maycroft Place, Stone Cross, Mayfield, East Sussex TN20 6EW, United Kingdom

OP050145W

10.1021/op050145w

Aqueous-Phase Organometallic Catalysis: Concepts and Applications. 2nd, Completely Revised and Enlarged Edition. Edited by Boy Cornils and Wolfgang A. Herrmann. Wiley-VCH: Weinheim. 2004. 750 pp. £160. ISBN 3-527-30712-5

The first edition of this book was published in 1998 and focused on what it called "homogeneously catalysed reactions under two major boundary conditions: the catalysts employed are *organometallic* complexes that are used in the *aqueous phase*", in other words, the use of water-soluble organometallic catalysts for organic chemical reactions. Since then, the industrial application of such chemistry has grown rapidly (hydroformylation alone using aqueous soluble catalysts has reached a commercial production volume of some 800 000 tonnes per annum). Furthermore, the development of highly specialised water-soluble ligands for applications in asymmetric synthesis has increased. And finally, the growing interest in green, environmentally friendly chemistry has sharpened the focus on reactions which could be performed in water. These developments have been accommodated in this second "completely revised and enlarged edition", which describes the state of the art up to the end of 2003.

The book is very large, and this reviewer confesses to having found it quite difficult to navigate, despite (or perhaps partly because of) the very detailed contents listings and extensive index. After an introductory chapter, which seems to be a mixture of general background and quite detailed examples, there follows a chapter entitled "Basic Aqueous Chemistry" by among others, Andre Lubineau, one of the pioneers in the area. This chapter discusses nonorganometallic pericylic reactions, carbonyl additions, redox and radical reactions in water, as well as organometallic chemistry in water and the characterisation of such aqueous organometallics. Unfortunately there is little new information in the first part of this chapter compared with reviews and books of the late 1990s. Chapter 3 is confusingly entitled "Catalysts for Aqueous Catalysis" and looks at the variation of central atoms (i.e., the metal), including a section by Kobayashi on

lanthanides, and spends nearly 100 pages looking at the variation of ligands (predominantly phosphines). Chapter 4, "Catalysis in Water as a Special Unit Operation", is a collection of loosely related sections on biphasic concepts, membrane techniques, micellar systems, phase transfer catalysis, and heterogeneous techniques (e.g., supported aqueous phase catalysis, SAPC). Chapter 5 bears the title "Aqueous Catalysts for Environment and Safety", which, while interesting, in my view fails to really grapple with the most important environmental questions. By far the largest chapter, and perhaps the most useful chapter for process chemists, is Chapter 6, entitled "Typical Reactions". It runs to nearly 300 pages, reviewing an eclectic mixture of hydroformylation, hydrogenation, hydrogenolysis (of thiophenic materials), oxidations, carbonylations, C−C couplings, hydrocyanation, allylic substitution, hydrodimerisation, alkene metathesis, asymmetric synthesis, catalytic polymerisation, oleochemistry, halogen chemistry, biological conversions, and miscellaneous others. Even though it seems outside the scope implied by the book's title, Chapter 7 discusses other biphasic concepts including nonaqueous organic/organic, fluorous, ionic liquids, and supercritical fluids. The amphiphilic approach and catalysis with water-soluble polymer-bound ligands are described, and the book concludes with a forward-looking chapter (in much the same style as that of the introductory chapter) entitled "Aqueous-phase Catalysis: The Way Ahead".

As the previous paragraph might indicate, this book is not the easiest volume to read. There are in total 70 contributors (mostly academics, and all of whom are experts in the various specialised areas), and the heterogeneous nature of their contributions (no pun intended) makes for a somewhat confusing collection of monographs with a fair amount of overlap across chapters. Nevertheless, this is a treasure-trove of potentially useful information, and useful references to the primary literature abound. There are some minor typographical errors (which no doubt will be addressed in a later edition), but this is the least of the challenges to the reader.

Because of the huge amount of information contained within it, this book will be an important and undoubtedly useful addition to academic and industrial reference libraries, but I doubt that it will reach the shelves of many practising bench chemists, especially given the price tag.

Peter L. Spargo
Scientific Update LLP, Maycroft Place, Stone Cross, Mayfield, East Sussex TN20 6EW, United Kingdom

OP050146O

10.1021/op050146o

Handbook of Fluorous Chemistry. Edited by John A. Gladysz, Dennis P. Curran, and Istvan T. Horvath. Wiley-VCH: Weinheim. 2004. 595 pp. £125. ISBN 3-527-30617-x.

The word "fluorous" was first coined (by one of this book's editors) as recently as 1994, and rapid growth in the field of fluorous chemistry has taken place in the ensuing period. Although numerous reviews and book chapters on the fluorous theme have been published in the past five years or so (often under the banner of "green chemistry"), this book is the first and only one so far to pull together all of the multifaceted aspects of fluorous chemistry in a single volume. And one could not have selected a better qualified editorial team than Professors Gladysz, Curran, and Horvath, three of the very top experts in the field.

Fluorous chemistry encompasses much more than just organic synthesis, reaching into materials science and biomedical applications, as well as areas more familiar to the organic chemist, such as catalysis and coordination chemistry. In this particular book review (the primary readership being process chemists and engineers), aspects relating to organic synthesis have been focused upon.

The first eight chapters (written largely by the editors themselves) provide an introduction to the fundamentals of fluorous chemistry, covering historical aspects, fluorous solvents, fluorous catalysts, fluorous "ponytails", fluorous/organic liquid/liquid partition coefficients (comprehensive tabulated listings of literature data), and separations with fluorous silica gel. An interesting chapter entitled "Light Fluorous Chemistry − A User's Guide" follows, which the author (Dennis Curran) describes as "well within the comfort zone of practising bench chemists", since there is almost no learning curve (in contrast to "heavy" fluorous chemistry). Then, Chapter 9 provides a tabular guide to selected monofunctional fluorous compounds, a toolkit if you like.

Chapter 10 is entitled "Highlights of Applications in Synthesis and Catalysis" and clearly will be of greatest interest to synthetic organic chemists (both medicinal and process chemists). This very long chapter (∼190 pages) is in fact a compilation of 19 "subchapters", written by a range of authors from all over the world, both academics and industrialists. (Indeed, the book has 100 contributing authors in total.) Topics covered include fluorous phosphines, fluorous tin reagents, the fluorous Mitsunobu reaction, recyclable oxidising reagents, fluorous protecting groups, tags and scavengers, and various fluorous biphasic catalytic processes (including hydroformylation, hydrogenation, and C−C bond formation). Enantioselective catalysis using fluorous reagents (biphasic and nonbiphasic) is described, including lipase catalysed resolutions, and there is a subchapter on microwave-assisted fluorous chemistry. Some of these subchapters inevitably overlap, but this does not significantly detract from the utility of the book.

Chapter 11, another long chapter (111 pages), provides 50 detailed experimental procedures, each with a helpful discussion paragraph providing context and guidance.

Chapters 12 and 13 cover the applications of fluorous compounds in materials chemistry and biomedical uses, and the book closes with Chapter 14 entitled "Fun and Games with Fluorous Chemistry", describing visually impactful demonstration experiments which emphasise the multiphasic nature of fluorous chemistry.

The breadth and depth of this outstanding book's coverage dictate that it should be in every academic and industrial

chemistry library. Because of its thorough treatment, detailed tabulations of data, experimental information, and literature references, it should be seen as the starting point for anyone contemplating research in or around the field. Strongly recommended.

Peter L. Spargo

Scientific Update LLP, Maycroft Place, Stone Cross, Mayfield, East Sussex TN20 6EW, United Kingdom

OP050147G

10.1021/op050147g

Contemporary Drug Synthesis. By Jie Jack Li, Douglas S. Johnson, Drago R. Sliskovic, and Bruce D. Roth. Wiley-Interscience: New Jersey. 2004. 221 pp. £51.50. ISBN 0-471-21480-9.

This book is a very enjoyable read for organic chemists wishing to get a flavour of the synthetic chemistry used in the synthesis of many of the world's top active pharmaceutical ingredients. The authors, all from Pfizer Global Research and Development, have selected fourteen categories of drugs, covering a wide range of therapeutic areas, and for each of these they have provided a brief historical perspective, a background to the biology, pharmacology, pharmacokinetics, and drug metabolism, and an account of the syntheses of the key molecule(s).

As the title indicates, synthesis is the main theme of the book, and there is barely a page without at least one synthetic scheme. Each published synthesis is discussed, highlighting the key aspects and comparing the various routes. The chapters are well written and concise, making this a book that is easy to dip into (ideal for the busy chemist!). As would be expected, full literature references are provided, encompassing both the primary scientific journals and patents.

The drugs covered include the high profile products Lipitor (atorvastatin), Viagra (sildenafil), Cialis (tadalafil), Levitra (vardenafil), Vioxx (rofecoxib), Celebrex (celecoxib), Nexium (esomeprazole), Gleevec (imatinib), Iressa (gefitinib), Cipro (ciprofloxacin), Zyvox (linezolid), Orlistat (xenical), Prozac (fluoxetine), Lustral (sertraline), Paxil (paroxetine), and Propecia (finasteride). Also covered are antithrombotics (ticlopidine and clopidogrel), antihistamines (loratidine, desloratidine, fexofenadine, cetirizine), antipsychotics (risperidone, olanzapine, quetapine, ziprasidone, aripiprazole), antiasthmatics (fluticasone, salmeterol, montelukast), antimigraine drugs (sumatriptan, zolmitriptan, naratriptan, rizatriptan, almotriptan, frovatriptan, eletriptan), and some drugs referred to as cosmeceuticals (isotretinoin, minoxidil, tazarotene).

If there can be any negative criticisms of the book, it would be that little attention is paid to solid form issues such as salt selection and polymorphism (e.g., why was atorvastatin commercialised as a calcium salt as opposed to a sodium salt?) and that there is generally no indication of which of the synthetic routes presented has actually been commercialised. This is to some extent understandable, since much of this information does not lie in the public domain. Nevertheless, acknowledgment of these issues (where relevant) would have made for a more balanced view of the overall topic of contemporary drug synthesis. It should be noted that the authors have indeed incorporated process synthesis routes when the information was available, but for more detailed process chemistry insights, the reader must follow up the references provided.

Overall, I warmly recommend this book to synthetic organic chemists both in industry and in academia. Medicinal and organic chemistry students considering a career in the pharmaceuticals industry should also be encouraged to read this. (If it does not stimulate them, then they should consider a different career path!)

Peter L. Spargo

Scientific Update LLP, Maycroft Place, Stone Cross, Mayfield, East Sussex TN20 6EW, United Kingdom

OP0501489

10.1021/op0501489

Modern Rhodium-Catalyzed Organic Reactions. Edited by P. Andrew Evans. Wiley-VCH: Weinheim. 2005. 473 pp. £105. ISBN 3-527-30683-8.

Transition-metal catalysis is a dominant feature of modern organic synthesis. A large proportion of synthetic organic chemistry publications in recent years is focused upon catalysis by the members of the noble metal triad of palladium, ruthenium, and rhodium. Palladium clearly represents the most frequently used of the three, being widely applied in carbon−carbon and carbon−heteroatom coupling processes in both academia and industry. Ruthenium has also come to the fore in recent years, partly as a hydrogenation catalyst, but particularly through its utility in olefin metathesis. In contrast, rhodium's contribution to organic synthesis has suffered from a somewhat fragmented literature coverage. Rhodium catalysis of organic reactions is, of course, far from new (Wilkinson's catalyst was discovered some 40 years ago) but has not really been reviewed in a very coherent manner.

This book pleasingly plugs this gap in the secondary literature, pulling together organorhodium chemistry for the first time, and giving an indication of the unique selectivity which rhodium sometimes displays. The rhodium catalysed reaction types reviewed encompass asymmetric hydrogenation, hydroboration, conjugate additions (especially asymmetric), olefin isomerisation, hydroacylation, hydroformylation, hydrosilylation, and silylformylation, cycloisomerisation and cyclotrimerisation, the Alder ene reaction, allylic substitution, carbocyclisations, cyclopropanation, carbon−hydrogen insertion, oxidative amination, ylid rearrangements, and 1,3-dipolar cycloadditions.

There are 19 chapters, each of which has been written by one or more experts in the field (there are 31 contributors in total). Each chapter has an introductory section, which refers the reader to relevant earlier reviews. Mechanistic consid-

erations are given appropriate emphasis, thus facilitating an understanding of the chemistry, and many examples are given for each reaction type. As we have come to expect of chemistry books published by Wiley-VCH, the book is generously illustrated with clear schemes, tables, and diagrams, accompanied by comprehensive references to the primary literature at the end of each chapter. There is a detailed contents list and an excellent index.

As one might expect, diazo precursors feature strongly in this book, but as far as I could tell, there is little comment regarding the potential thermal hazards associated with these materials. Perhaps this is something for future editions of the book to address.

In conclusion, this book is a useful contribution to the literature of transition-metal catalysed organic chemistry and will be of value to both academics and industrialists.

Peter L. Spargo

Scientific Update LLP, Maycroft Place, Stone Cross, Mayfield, East Sussex TN20 6EW, United Kingdom

OP0501491

10.1021/op0501491

Good Design Practices for GMP Pharmaceutical Facilities. Edited by Andrew A. Signore and Terry Jacobs Taylor & Francis, CRC Press. Boca Raton, Florida. 2005. £115. 550 pp. ISBN 0-8247-5463-8.

Good Design Practices (GDP's) help organizations deliver facilities that perform economically, and at the same time conform to the growing body of regulatory requirements and business imperatives. This book has been put together to provide a convenient single-source reference for anyone involved in the planning, construction, validation, or maintenance of modern pharmaceutical facilities—be they for active ingredients, oral solid dosage forms, sterile products, or biotechnology drug products.

The main target readership is the "facility professional"—the architects and engineers who design, construct, and equip the buildings. But it also provides a handy source of useful background knowledge for the formulation scientists and chemists who work in these environments on a daily basis.

The book is divided into 20 chapters, beginning with an insightful overview of the present state of the pharmaceutical industry worldwide, and of the current GMPs to which it must adhere. Each chapter has been written by one or several experts in the particular area under discussion. Thirty contributors are listed altogether, with a further 20 advisors; curiously, though, no biographical or affiliation details have been provided for any of them, aside from the two principal editors. Each author has been encouraged to frame his/her materials in the context of why the information is relevant to GDPs, thus giving the entire work a uniform style.

The chapters which will particularly interest process chemists are those on Mechanical Utilities (e.g., HVAC), High-Purity Water Systems, Automation and Process Controls, Validation, Process Engineering, API Facilities, Containment and Isolation, Occupational Health and Safety, Technology Transfer, Environmental Considerations, and Support Laboratories. As well as addressing problems of GMP compliance from a worldwide perspective, there is much practical detail on building codes, health and safety legislation, and environmental legislation. These, of course, will also be of interest to the wider chemical industry community beyond pharmaceuticals—although the discussions are distinctly focused on U.S. requirements. Since this is an engineering book, some prominence is also given to cost estimates of the various options and alternatives suggested.

Unfortunately, the flow of the text is quite frequently broken up by "editor's notes", which in some chapters become quite intrusive, often adding very little to what the authors themselves have written. Nonetheless, I found it immensely informative, rich in detail, and well-indexed. Overall, this will make a useful addition to the company library.

Derek Robinson

38 Millbrook Court, Little Mill, Pontypool, Monmouthshire, NP4 0HT, United Kingdom

OP050153C

10.1021/op050153c

Pharmaceutical Preformulation and Formulation: A Practical Guide from Candidate Drug Selection to Commercial Dosage Form. Edited by M. Gibson. Interpharm/CRC: Boca Raton, FL. 2004. 596 + xi pp. $298.95. ISBN.

What an excellent book! The editor, Mark Gibson is responsible for parenteral and oral dosage form development at AstraZeneca R&D Charnwood. He has produced, along with colleagues from AstraZeneca and other institutions, a book which can satisfy readers on many levels. For readers of Organic Process Research and Development, this is an ideal book to give chemists and engineers, working in the pharmaceutical industry, an insight into formulation and preformulation. It is in three sections: (1) aiding candidate drug selection, (2) early drug development, and (3) from product design to commercial dosage form; the latter is the largest section comprising half the book.

After an introduction by the editor, chapters on "Preformulation Predictions from Small Amounts of Compound as an Aid to Candidate Drug Selection" and a "Biopharmaceutical Support in Candidate Drug Selection" complete section 1. The editor then contributes a chapter on "Early Drug Development: Product Design". This is followed by chapters on "Preformulation" and "Biopharmaceutical Support", related to early drug development.

Section 3 opens once again with a chapter by the editor on "Product Optimisation". Subsequent chapters on "Parenteral Dosage Forms", "Inhalation Dosage Forms", "Oral Dosage Forms", "Ophthalamic Dosage Forms", "Aqueous Nasal

Dosage Forms", and "Topical and Transdermal Delivery" complete section. 3.

The advice given in the chapters is extremely practical and well referenced. The chapter authors are all experienced practitioners who have highlighted their industrial expertise on many projects in the examples which litter the text. I particularly enjoyed the emphasis on scale-up and manufacture in the later chapters.

A comprehensive index of 16 pages allows one to easily locate topics of interest, which, for the chemist, could be polymorphism, experimental design, micronisation, mixing, process validation, residual solvents, scale-up, stability, static electricity, or vacuum-drying, to name just a few.

In conclusion, all industrial libraries should have a copy of this outstanding work of reference. In contrast to many reference books, this contains highly readable chapters, full of practical advice. Highly recommended!

OP050157H

10.1021/op050157h

Oxazoles: Synthesis, Reactions and Spectroscopy, Part A. Edited by D. C. Palmer. Wiley-Interscience: Hoboken, NJ. 2003. 640 + xviii pp. £195. ISBN 0-473-39494-7.

This volume, the first of two books devoted to oxazoles, is volume 60 in the outstanding series "Chemistry of Heterocyclic Compounds". It continues the high standard set by previous volumes in the series and should be in every library, whether academic or industrial. The series last covered the topic of oxazoles in volume 45, edited by I. J. Turchi in 1986, and the current work covers the period 1983−2001, in which tens of thousands of references and more than 250 reviews have appeared.

Part A covers synthesis, reactions, and spectroscopic properties of oxazoles, as well as separate chapters on Diels−Alder reactions and on mesoionic oxazoles. Part B will cover oxazolinones and oxazolines in detail.

The main chapter in part A covering 390 pages, with 585 references and 430 schemes, is written by the editor, who is at Johnson and Johnson, and S. Venkatraman from Schering Plough. They have done a fantastic job in pulling together the modern synthetic methods used to make oxazoles and their reactions, with lots of interesting examples from medicinal and process chemistry, as well as natural product synthesis. This is a comprehensive yet readable account of the vast amount of work which has appeared in the literature in the last 20 years. Despite their industrial background, the authors have chosen not to cover the patent literature in their review; nevertheless, there is much interest for the industrial synthetic chemist.

Chapter 2, by D. Lowe from Bayer covers spectroscopic properties whilst Diels−Alder reactions are described in 58 pages by J. I. Levin and L. M. Laaakso from Wyeth. The latter chapter is a highly readable account of many transformations, which often lead to new heterocycles. Those interested in the synthesis of highly substituted pyridines and furans should read this chapter for some new ideas.

The final chapter, by G. W. Gribble from Dartmouth College, covers Mesoionic Oxazoles. These are either 1,3-oxazolium-5-oxides, sometimes called munchnones, or 1,3-oxazolium-4-oxides (isomunchnones) and their corresponding imines. The fascinating chemistry of these mesoionic compounds often leads to synthesis of new heterocycles via cycloaddition processes and, therefore, nicely complements the previous chapter.

All in all, part A of this compendium is an excellent addition to the series and is highly recommended. The editor is to be commended for undertaking such a mammoth task and for producing such an enjoyable volume.

OP050158+

10.1021/op050158+

Handbook of Reagents for Organic Synthesis: Reagents for High-Throughput Solid-Phase and Solution-Phase Organic Synthesis. Edited by Peter Wipf. John Wiley & Sons Ltd: Chichester. 2005. 380 pp. £75. ISBN 0-470-86298-X (hardbound).

This book is derived from the *Encyclopedia of Reagents for Organic Synthesis* (EROS), the authoritative reference compendium published in 1995, which systematically describes all reagents used in organic chemistry. For reasons of cost and convenience, the original eight-volume work did not always find itself on the laboratory bookshelves of practising organic chemists, where it would be more immediately useful than in a library. Therefore, the editors decided to extract the information having the highest probability for repeated consultation and to incorporate this into a set of manageable and affordable handbooks purchasable individually. The first four such handbooks ("Oxidising and Reducing Agents", "Acidic and Basic Reagents", "Activating Agents and Protecting Groups", "Reagents, Auxiliaries, and Catalysts for C−C Bond Formation") were published in 1999, and the fifth ("Chiral Reagents for Asymmetric Synthesis") in 2003. The sixth volume "Reagents for High-Throughput Solid-Phase and Solution-Phase Organic Synthesis", is reviewed here.

The book opens with a comprehensive listing of relevant recent review articles and monographs (up to and including 2004) grouped into sections entitled General Considerations and Theory, Resins and Linkers, Solid Reagents and Clays, Polymer-Supported Reagents, Polymer-Supported Catalysts, Scavengers and Capture and Release Agents, Fluorous Reagents, Peptide Coupling Reagents, Diversity-Oriented Synthesis, Discovery and Focussed Library Development, and High Throughput Screening. Indeed, these section titles give a broad indication of the coverage of the book.

In the main body of the book, the reagents are listed in alphabetical order. Of course, some reagents are known by more than one name, but there is both a subject index (with cross-referencing) and a reagent formula index; as a result, it is easy to find a specific reagent. A range of information is provided for each of the reagents, covering all or most of the following: alternative names, physical data, solubility

information, the form supplied in, preparative methods, purification, handling, storage, and precautions. The utility and application of the reagent in organic synthesis is then critically reviewed by qualified contributors from both academia and industry, supported by references to the primary literature. The entries for each reagent are very readable and succeed in giving a consistently concise and user-friendly overview of the reagent under consideration, often being broken down with well-chosen subheadings.

The subject matter content of this handbook is based upon the original entries in the 1995 hardcopy Encyclopedia (EROS), updated with material from the electronic version of the same work (e-EROS), which is claimed to cover developments in the intervening decade. Unfortunately, the entries for some of the reagents have clearly not been updated since their original creation. For example, the entries for the peptide coupling reagents HBTU and HATU contain the literature references only up to 1994 and 1995, respectively, and embarrassingly, these particular references are listed as being "in press"! Other entries, such as those for palladium on carbon and rhodium on alumina, do not include any references any more recent than 1992! In fairness, these are in the minority, and some entries, such as that for solid-supported phosphine-free ruthenium alkylidene for olefin metathesis, include references as recent as 2004. These observations should not deter the reader from acquiring what is an extremely useful compilation.

In conclusion, this is a useful and affordable book. As the title indicates, the book is focussed on high-throughput applications, but its utility is certainly not confined to this, and it should therefore find its way onto the shelves of practising synthetic organic chemists in a range of fields, both in industry and academia, as well as into scientific and technical libraries. A worthwhile investment.

Peter L. Spargo

Scientific Update LLP, Maycroft Place, Stone Cross, Mayfield, East Sussex TN20 6EW, United Kingdom

OP050163D

10.1021/op050163d

Process Analytical Technology. Edited by Katherine A. Bakeev. Blackwell Publishing: Oxford. 2005. 451 pp. £109. ISBN 1-4051-2103-3.

Process Analytical Technology (PAT) has been around in a number of guises in the chemical and related industries for a long time. However, in the past few years it has been given increased impetus in the pharmaceutical industry, thanks largely to the FDA guidance note on the topic. This book gives a feel for how the technology has evolved and how it is being applied in the pharmaceuticals industry today.

The book is written from the perspective of the spectroscopist required to implant PAT tools in a process environment, and thus is not quite as accessible to the process chemist as might be desirable. Nevertheless it is a commendable effort.

There are 19 contributors, the majority of which are from pharmaceutical companies and from PAT instrument suppliers. The coverage is fairly broad, although there is quite a bit of overlap across the chapters, especially regarding infrared techniques.

The opening chapter provides a historical background and is followed by an interesting chapter on the implementation of PAT, which focuses less on the technologies, per se, and more on the industrial context and philosophy. Chapter 3 reviews the theory, technology, and implementation of near-infrared spectroscopy, while Chapter 4 looks at infrared spectroscopy. Chapters on Raman and UV−vis spectroscopy follow, before returning to infrared, this time in the context of chemical imaging. There follows a (long) chapter on chemometrics, which is as good an introduction to the area as I have seen (still heavy going for a synthetic chemist though!). The next three chapters major on infrared techniques again, for online and offline applications in the pharmaceuticals and chemicals industries. The final chapter is devoted to future trends in the area.

From the perspective of the process chemist, this book can sometimes be heavy going, focussing as it does on theory and instrumentation. There are, however, some readable and interesting sections on reaction monitoring, crystallisation monitoring, drying, and milling, as well as formulation applications. In my opinion this book will be of greater interest to the specialist than to the generalist. If you want to learn about PAT applications in process chemistry, you would do just as well to keep up to date with *Organic Process Research & Development*. However, if you want to understand a bit more of what lies behind the technology applications, this book may be what you are looking for.

Peter L. Spargo

Scientific Update LLP, Maycroft Place, Stone Cross, Mayfield, East Sussex TN20 6EW, United Kingdom

OP0501646

10.1021/op0501646

A Guide to Pharmaceutical Particle Science. By T. M. Crowder, A. J. Hickey, M. D. Louey, and N. Orr. Interpharm/CRC: Boca Raton, FL. 2003. 241 + x pp. $269.55. ISBN 1-57491-142-2.

Page 1 of this book contains a statement, "Custom and practice in the pharmaceutical industry is such that particulate systems at best are poorly described and often are inadequately described to an extent that impacts the quality of the final product". Since there have been many recent occurrences of manufacturing problems caused by failure to understand particle science, and a loss of profit by the firms involved, there is a definite need for this book, which stemmed from ideas from the late Norman Orr, ex Smith-Kline Beecham.

After an introduction, a short chapter on "Particulate Systems: Manufacture and Characterisation" tries to cover the immense subject of crystals, crystallisation, methods of

particle production and particle systems, as well as analysis. I found this too brief; for example, the chapter failed to discuss the importance of the method of drying the API (other than spray drying) on the particulate properties of the product.

An excellent chapter on "Sampling and Measurement Biases" is followed by a short section on "Particle Size Descriptors and Statistics". A comprehensive and well-written chapter on "Behaviour of Particles" includes topics such as particle adhesion and particle motion in bulk powders, gaseous dispersions, and liquid media. There follows a discussion of "Instrumental Analysis". The chapter on "Particle Size and Physical Behaviour of a Powder" covers powder flow and mixing, dispersion, granulation, and compression, but again, little on drying.

The final chapter discusses the clinical effect of pharmaceutical particulate systems for all types of drug delivery, including oral, parenteral, respiratory, nasal, topical rectal, etc.

Overall, this is a useful guide to the subject but would have benefited from some detailed case studies from industry. I could not find a single drug substance or product listed in the index. The subject of amorphicity and its effect on particle properties was also barely discussed. Nevertheless, the volume covers a gap in the existing literature and should be on the shelves of company libraries.

OP050168A

10.1021/op050168a

Catalysis of Organic Reactions. Edited by John R. Sowa, Jr. Taylor and Francis/CRC: Boca Raton, FL. 2005. 574 + xv pp. $199.95, ISBN 0-824-72729-0.

This latest volume in the well-known series is a collection of 63 papers presented at the 20th conference on "Catalysis of Organic Reactions" in Hilton Head, South Carolina, March 21−24, 2004. As always in this series, both heterogeneous and homogeneous catalysis case studies are presented, with a strong industrial focus. Both bulk chemicals and fine chemicals are represented as are pharmaceuticals and pharmaceutical intermediates.

Chapters which *Organic Process Research & Development* readers will appreciate include "Low-Pressure Slurry Hydrogenation Process for Dimethylaminopropylamine", "Hydrogenation of Dodecanenitrile over Various Catalysts", "New Ways for the Full Hydrogenation of Quinoline in Mild Conditions", "High-Throughput Experiments in the Design and Optimisation of Catalytic Packages", "Do You Need a Transition Metal for Biaryl Synthesis? Lessons Learned", "Batch Processing: Scale Up from Laboratory to Plant", "Effect of Bismuth Promotion on the Selective Oxidation of Alcohols Using Platinum Catalysts", and "The Effect of N-containing Modifiers on the Deprotection of Carboxbenzyloxy-Protected Amino Acids".

The lecture transcripts include some experimental data and, as always with this series, provide a wealth of information not readily available elsewhere. Most of the chapter authors are recognised experts in the field (many from industry), and the industrial focus is a key feature.

As with other books in the same series, this latest volume is recommended to all chemists interested in catalysing organic reactions. A copy should be in all industrial libraries.

OP050173E

10.1021/op050173e