Additions and Corrections

1982, Volume 25

Peter Ulrich* and Anthony Cerami: Potential Antitrypanosomal Agents. 1,N^2-Disubstituted 2-Amino-5-hydroxy-4-methylnaphtho[1,2-*d*]thiazolium Salts and Related Compounds.

Page 655. In Table I, the melting point listed for compound **20** (229–230 °C) is incorrect. The correct melting point is 280–285 °C dec.

1983, Volume 26

Carroll Temple, Jr.,* Glynn P. Wheeler, Robert D. Elliott, Jerry D. Rose, Robert N. Comber, and John A. Montgomery: 1,2-Dihydropyrido[3,4-*b*]pyrazines: Structure–Activity Relationships.

Page 92. Compounds **8** and **9** contain the 7,8-dihydropteridine ring system rather than the 1,2-dihydropyrido[3,4-*b*]pyrazine ring system.

Page 94. In the first column of Table II, **14** should be listed as **10**.

J. P. Yevich,* D. L. Temple, Jr., J. S. New, Duncan P. Taylor, and L. A. Riblet: Buspirone Analogues. 1. Structure–Activity Relationships in a Series of *N*-Aryl- and Heteroarylpiperazine Derivatives.

Page 200. In Table V, the inhibition of [^{3}H]spiperone binding (the first data column) for compound **27** is incorrectly reported as an IC_{50} value of 300 nM. The correct value is 1300 nM.

Book Reviews

The Fischer Indole Synthesis. By Brian Robinson. Wiley, New York. 1982. xiii + 923 pp. 16.5 × 23.5 cm. ISBN 0-471-100099. $200.00.

This is a monumental, probably definitive, account—of historical proportions—of the Fischer indole synthesis and related reactions, by a known practitioner in the field who has contributed appreciably to it. A subtitle could well be: "Everything You Wanted to Know About the Fischer Indole Synthesis but Didn't Know Where to Look".

Following an all-too-brief biography of Emil Fischer, the work is divided into seven chapters, dealing with the following topics: discovery of the Fischer indole synthesis, its early development and related reactions; the mechanism of the Fischer indolization and related studies; Fischer indolizations of potential directional ambiguity and closely related indolizations; selected examples and uses of the Fischer indole synthesis; successful Fischer indolizations with subsequent product modification under the reaction conditions; extensions of the Fischer indole synthesis; and limitations, exceptions, and alternative reactions to Fischer indolization. There are 76 pages of references (>2000 references) and a very complete subject index (42 pages thereof). Among the related reactions discussed are the Borsche carbazole synthesis, the Brunner oxindole synthesis, and the Piloty synthesis of pyrroles. The synthesis of phenylhydrazines is also discussed in detail. The literature is covered through the 1981 Volume 94 issue of *Chemical Abstracts*.

The author states that he has attempted to refer to all known examples of the reaction that have been published, and he clearly is as good as his word! If he has missed anything, I certainly couldn't tell. Indeed, he appears to have read in great detail each of the references he quotes and injects here and there personal notes and comments about the authors, which give insight into the foibles of well-known chemical personalities. He even notes, in some cases, discrepancies between the melting points of reportedly the same compounds prepared by two different groups. He indicates clearly where he thinks a specific point has not been settled and where further investigation is warranted. These, however, are minor points remaining as, for example, the mechanism of the dehalogenation occasionally observed with 2,6-dichlorophenylhydrazones, and the loss (methyl of methoxyl) or migration (1,4-Me migration) of other groups. More likely, a young investigator wanting to carry out novel work in this field might be discouraged from doing so by the very mass of detailed work presented in this book, perhaps feeling that with so much already done his contribution might only lead to a small additional footnote. Thus, the main value of the book to the practicing investigator will be in having, in one volume, most, if not all, of the work carried out on every arylhydrazone (and related compounds) ever made.

Unfortunately, the book (except for the biographical sketch) is virtually unreadable, and only one with "a need to know" a specific item will stick it out. Clearly, when the decision was made to refer to every single attempted Fischer cyclization (successful and unsuccessful) no selection process occurred and one is overwhelmed by the sheer mass of data. More serious, however, was the choice made of how to reference the text, and what the author settled upon—clearly because it is very convenient from his point of view—was to write the names of the authors and the year in the body of the test, instead of assigning a number to that reference. Thus, the list of references can be prepared in alphabetical order of the first author's name (plus initials if necessary) and in chronological order. This, of course, can lead to a slightly (or very) irritating repetition of the same names, many times on the same page (e.g., page 22). Where it becomes completely unacceptable is, say on page 231, where all but three lines of that page consists of authors' names, and then from the middle of page 242 to the top of page 252, the same! (There are actually about 10–15 lines of chemistry interspersed within these last 10 pages—it is quite a challenge to find them!). Not only does this marr the book, but it also makes it *considerably* longer than necessary. The system cannot be used for obvious reasons in the tables. The author is then forced to give reference numbers and then repeat all the (now numbered) references in a very long footnote (Table 4 is a prime example of this—177 references).

It is inevitable that in a text of this kind and size many errors appear—too many to list here, although an endocyclic trans double bond in a six-membered ring in structures **803** and **807** and a single-headed arrow between canonical structures **498** ↔ **499** must be mentioned. An all too brief errata sheet is provided. Still wishing to provide "diversion for the reviewer" (Preface), the author made two errors in that sheet.

In conclusion, this tour de force will be of value mainly to those who wish to synthesize an indole or indolenine using the Fischer

procedure, to know what had been done, and to find out what works and what doesn't. It will also be of interest to students of the history of chemistry.

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Chemical Nomenclature Usage. Edited by Ronald Lees and Arthur F. Smith. Ellis Horwood, Ltd., Chichester, England (outside England, distributed by Wiley, New York). 1983. 172 pp. 15 × 23 cm. ISBN 0-470-27447-6. $49.95.

In March 1981 the Laboratory of the Government Chemist, in association with several technical societies, sponsored a symposium in London at which 23 authors presented 18 papers designed to overcome confusions and misunderstandings that arise between experts on chemical nomenclature and the day-to-day users of chemicals in industry, the law, and academe.

The edited papers in the book are accompanied by short biographies of their authors. Summaries of the discussions that followed each paper are available from the editors. The book contains an extensive bibliography of articles and books on the subject. A good index, which includes many acronyms in common use, does not include SEX (offered by one author as an example of where sodium ethyl xanthate might be found in some indexes). Several of the authors are employed by pharmaceutical houses, so that nomenclature of particular interest to medicinal chemists is treated adequately. R. B. Trigg (British Pharmacopoiea Commission) presents a good description of how drug names are coined and approved.

Every type of current chemical nomenclature (and related computer systems) is covered by one or more of the authors. The paper by S. E. Ward (Glaxo) presents a concise summary of these and discusses the possible harmonization of current nomenclature systems. Ward believes that all systems can function side by side while fulfilling their individual unique services to chemists. As we proceed into the computer age, names for chemical substances are being replaced by molecular and structural formulas and by registry numbers. The debate over the *Chemical Abstracts* and IUPAC nomenclature rules continues, but their usefulness, even for indexing, grows less by the day. Before long, someone will produce a single computerized index that will contain all synonyms, formulas, and even phonetic spellings for every recognized chemical substance. It is clear that a single name will never be devised to satisfy chemists, nonchemists, and the information profession. Until then we shall still have to cope with supply catalogs that list a needed chemical under three different names, each with a different price. We may continue to miss finding a needed starting material because it is hiding under a synonym we never suspected existed.

The book concludes with the assertion by N. Lozac'h that we cannot predict the nomenclature of the future because we cannot predict the chemistry of the future. We must remain adaptable and try to preserve the delicate balance between old and new. As St. Paul said, "Consider all things; cling fast to them that are good." Nomenclature has always occupied an important role in the development of science and its development deserves more effort by all scientists.

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Polyether Antibiotics. Naturally Occurring Acid Ionophores. Volume 2. Chemistry. Edited by John W. Westley. Marcel Dekker, New York. 1983. xi + 415 pp. 15.5 × 23.5 cm. ISBN 0-8247-1888-7. $65.00.

The polyether antibiotics have proved useful in the study of the biochemistry of membranes, ion-transport systems, mitochondrial activities, and mechanisms of antibiotic action. Due to their unfavorable therapeutic index, these antibiotics have little or no medical application. A few years following the unraveling of the structures of some of the acid ionophores by X-ray analysis, chemical efforts by several research groups culminated in the syntheses of several of these natural products. The number of asymmetric centers present in these molecules made it imperative to devise methodology and principles that would control the stereo-, regio-, and chemoselectivity in known reactions, such as the crossed aldol and Grignard reactions, intramolecular ketalizations, etc., which were applied in the syntheses. Chapter 1 by Y. Kishi systematically reviews these synthetic methods and the elegant pathways used by various research groups in achieving the total syntheses of Calcimycin, Lasalocid A, and Monensin. Chemical transformations of 11 polyether antibiotics, including Lasalocid, are presented in the next chapter by J. W. Westley. As the author notes, a limited number of chemical transformations have been reported for this class of compounds because their structures were elucidated by physicochemical techniques; wherever known, the biological activity of the transformation products is reported. The longest chapter of the book is on X-ray crystallography, since this has been the single most powerful technique used in the structure elucidation; a detailed discussion of the structures of 20 ionophores as revealed by X-ray analysis is presented therein by E. N. Duesler and I. C. Paul. The remaining chapters are complete accounts on mass spectrometry (J. L. Occolowitz and R. L. Hamill), proton NMR (M. J. O. Anteunis), and ^{13}C NMR (H. Seto and N. Otake) of the acid ionophores.

Volume 2 is certainly a most informative source book on all aspects of the chemistry of polyether antibiotics.

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Annual Review of Neuroscience. Volume 6. Edited by W. Maxwell Cowan, Eric M. Shooter, Charles F. Stevens, and Richard F. Thompson. Annual Reviews Inc., Palo Alto, CA. 1983. 563 pp. 16 × 23 cm. ISBN 0-8243-2406-4. $27.00.

This volume opens with a very welcome review by Jasper and Sourkes of the "Nobel Laureates in Neuroscience since 1904–1981". Each laureate is provided with a short biography and, on average, a page review of his or her research. The basal ganglia receive attention with Penney and Young speculating on the functional anatomy of basal ganglion disorders, while I discuss the classification of dopamine receptors, including those in the striatum. Friedhoff and Miller discuss the clinical implications of receptor sensitivity modification—generally focusing on dopaminergic systems too. More ventrally, Swanson and Sawchenko detail the organization of the paraventricular and supraoptic nuclei, while Silverman and Zimmerman discuss the magnocellular neurosecretory system. A number of the reviews relate to sensory systems: Imig and Morel discuss the organization of the thalamocortical auditory system in the cat, while Sterling discusses the microcircuitry of the cat retina. Gilbert discusses the microcircuitry of the visual cortex, while the reorganization of the somatosensory cortex following peripheral nerve damage is detailed by Kaas, Merzenich and Killackey. Still keeping with sensory systems, Hudspeth discusses mechanoelectrical transduction by hair cells in the acousticolateralis sensory system. Dubner and Bennett discuss the spinal and trigeminal mechanisms of nociception, while Thompson, Burger, and Madden provide a stimulating review of the cellular processes of learning and memory in the mammalian CNS. Taking a more biochemical approach, Golden, Moczydlowski, and Papazian discuss the isolation and reconstitution of neuronal ion transport proteins, while Kennedy reviews the experimental approaches used to understand the role of protein phosphorylation in the regulation of neuronal function. Finally, two new and very recent techniques are discussed: McKay discusses hybridoma technology in relationship to molecular approaches to the nervous system, while Raichle provides a succinct review of positron emission tomography. As always, any neuroscientist will find plenty of interesting reading material in this year's *Annual Review.*

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Advances in Biochemical Psychopharmacology. Volume 36. Molecular Pharmacology of Neurotransmitter Receptors. Edited by T. Segawa, H. I. Yamamura, and K. Kuriyama. Raven Press, New York. 1983. xvi + 304 pp. 16 × 24.5 cm. $44.00.

This book is a collection of papers about receptors for neurotransmitters. In their preface, the editors state that the book is intended to clarify some of the recently discovered complexities of these receptors. The length and content of the chapters suggest that this volume is a collection of symposium papers; however, this point is not explicitly stated anywhere in the volume. The book consists of an interesting historical review about receptors in general and then a series of short (5 to 10 pages) "state of the art" chapters about the following neurotransmitters and their receptor(s): acetylcholine (four chapters), epinephrine and norepinephrine (three chapters), serotonin (three chapters), dopamine (seven chapters), benzodiazepines (two chapters), and amino acids (two chapters). The final four chapters deal with the location and functional aspects of receptor binding.

Most of the material is dated; the cited references are, by in large, no later than 1980. In the portion of the book devoted to dopamine receptors, some of the statements of "fact" about these receptors seem less probable in view of more recent reports. This latter point is not a criticism, per se, of the publication; it merely reflects the evolution of knowledge in the past 2 to 3 years. In their preface, the editors indicate that this volume "will be of interest to neuroscientists, pharmacologists, and other researchers working in the broad-based field of receptor research". I have no reason to question this statement. However, given the slightly dated nature of the material presented in the volume and given the diverse nature of the topics, I believe that this volume may be more appropriate for the library rather than an individual researcher's collection of books.

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