

Medicinal Chemistry – An Introduction; edited by Gareth Thomas; John Wiley & Sons: Chichester, 2000; Paperback, 538 pp, 44 USD, ISBN 0-471-48935-2

With the recent completion of the human genome project, the nucleic acid code for all possible protein-based drug targets is now known. The manner in which this dizzying array of information is used will dictate the success of the next generation of therapeutics. Ironically, a major consequence of the human genome project is that much power has been placed back into the hands of chemists who will ultimately conceive and synthesize the drugs that interact with these proteins. Unlike genomics and proteomics, medicinal chemistry is generally not amenable to automation and in many instances represents the bottleneck in the drug discovery process. In short, the human genome project has defined a plethora of biological targets, and it is up to medicinal chemistry to provide hit compounds and ultimately drugs. Into this backdrop is inserted the book “Medicinal Chemistry – An Introduction” by Gareth Thomas. Although many organic chemists have a vague feel for the role of specific chemical functionalities in biological processes (hydrogen bond donors/acceptors, polar groups, etc.), few possess the comprehensive knowledge that is required to create not just a biologically active compound, but a drug. This book is geared toward the reader that has some understanding of chemistry and is interested in exploring the nuts-and-bolts of the drug discovery process.

A major strength of this book is its accessibility to readers who have minimal knowledge about biological systems. In each chapter, the author provides a comprehensive background about the biology under discussion before describing how drugs affect that particular biological function. The first two chapters introduce the major concepts and terminology of medicinal chemistry,

generously highlighted by important examples (for instance, L-dopa during the discussion of prodrugs, thalidomide in a section on stereochemistry). Compound structures and biological schematics are used liberally and effectively throughout the book.

The remaining chapters can loosely be grouped into either “Properties of Drugs” (including Drug Solubility, Pharmacokinetics, Complexes and Chelating Agents, and Drug Metabolism), or “Macromolecules that are Targeted by Drugs” (including Biological Membranes, Enzymes, Receptors & Messengers, and Nucleic Acids). These chapters provide the meat of the book and do not skimp on details. Coverage ranges from practical, useful information such as the metabolism of common organic functional groups, to more theoretical discourses on transport through cell membranes. While there is a chapter on organic synthesis and a discussion of combinatorial approaches to drug discovery, readers that are interested in such topics will likely consult more specialized texts. This book would be an excellent text for a one-semester introductory course on medicinal chemistry, pharmacology, and the like; indeed, even the seasoned medicinal chemist will profit from this book, given its depth and breadth of coverage. Summaries are provided at the end of each chapter, along with questions that reinforce key concepts. Although the production style of the book is not flashy, the simple black-and-white diagrams and illustrations effectively convey the author’s points and likely helped to keep the price tag of this 538-page tome to a nominal \$44. It is highly recommended for all who are interested in medicinal chemistry or issues surrounding drug discovery and development.

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