

NEW AGE

Fourth Edition



Medicinal Chemistry

Ashutosh Kar



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Medicinal Chemistry

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Medicinal Chemistry

Introduction - Classification - Synthesis
Explanation - Mechanism of Action
Structure Activity Relationships (SARS)-Usages - Doses

Revised and Expanded Fourth Edition

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DEDICATION

This Fourth Revised and Expanded Edition of Medicinal Chemistry is dedicated to :

(Late) Professor Chandrashekhar Singh Chauhan for always being there as a guiding eternal source of inspiration to the entire academic fraternity for whom we dedicate our professional knowledge.

LATEST TRENDS IN NEW DRUG DISCOVERY

*“Technologies such as **lab-on-a-chip** that are less than a half-inch square in size and might contain up to a **million test chambers**, or ‘**reactors**’ each capable of screening **an individual drug** will drive the **future drug discoveries** by drastically **minimizing Research and Development timelines.**”*

From Reviews of the Previous Editions

“It deals exhaustively with the major clinically useful drugs of various classes and is a timely compilation as a need for such a book was felt by both students and teachers intimately associated with the teaching of medicinal chemistry.”

J. Indian Chem. Soc., 1993: **70**: 276-77

“The book under review has been written by a bright and enthusiastic mind having an excellent understanding of the subject and the need of the day. It will be of enormous use for the students of Pharmacy at graduate and postgraduate levels.”

Indian J. Pharmacology : **25**: 179, **1993**

“For the ready reference of synthetic routes to medicinal compounds, the book is likely to be popular with both teachers and students.”

Indian J. Pharm. Educ. : **27**(2), **1993**

“This new volume is an attempt to equip both students and practitioners in medicinal and pharmaceutical sciences, to approach the treatment of a patient in a more informed and confident manner.”

Tapan K. Basu. Ph.D., FACN, FICN,
Prof. Univ. of Alberta, Edmonton, Alberta, Canada

The author has since completed SIX fast selling textbooks:

- (a) **Medicinal Chemistry**, 4th edition, 2006
- (b) **Pharmacognosy and Pharmacobiotechnology**, 2nd edition, 2006
- (c) **Advanced Practical Medicinal Chemistry**, 1st edition, 2004
- (d) **Pharmaceutical Drug Analysis**, 2nd edition, 2005
- (e) **Pharmaceutical Biotechnology**, 1st edition, 2005
- (f) **Pharmaceutical Microbiology**, 1st edition, 2006

All these textbooks are published under the prestigious banner of New Age International (P) Limited, Publishers, New Delhi.

PREFACE TO THE FOURTH EDITION

The success in succession of **Medicinal Chemistry** has been a phenomenal achievement since the **First Edition** in 1993. Its general appeal is not confined to the Indian subcontinent ; it has won overwhelming popularity in other parts of the world. This lucid, handy, and exceptionally knowledgeable textbook has rightly received a well-deserved reputation as the most comprehensive and treasured companion to the teaching fraternity as well as the senior students doing B. Pharm., Degree courses ; M.Sc., Pharmaceutical Chemistry ; M.Sc., Applied Chemistry ; M.Sc., Industrial Chemistry etc.

Utmost care has been taken to maintain and sustain the fundamental philosophy of the text right from the very **First Edition** to the present completely revised and skilfully expanded **Fourth Edition**. Nevertheless, the original pattern and style of presentation of the running-text content with a specific emphasis on the synthesis of potential medicinal compounds have been anchored strongly, for the wholesome benefits of **'the teachers and the taught'** alike.

The present edition essentially contains **three** new chapters entitled : (a) **Molecular Modeling and Drug Design (Chapter 3)** ; (b) **Adrenocortical Steroids (Chapter 24)** ; and (c) **Antimycobacterial Agents (Chapter 26)**—therby further strengthening and enriching the subject matter of the present textbook upto **thirty chapters**.

Numerous genuine and authentic feedbacks/comments received from a wide-spectrum of august teachers from reputed Universities, Academic Institutions across the country overwhelmingly opine their views as under :

- Highly recommended textbook to the Pharmacy Degree Institutions all over the country.
- Ideal textbook for undergraduate students who can have an easy grasp of Medicinal Chemistry.
- Absolutely superb and lucid in language and style.
- Informations provided in best presentable form.
- Most handy ready-reference textbook.
- Works like-a-guide for teachers.
- Good companion for GATE-Examination.

Medicinal Chemistry has virtually widened the scope to tackle all vital aspects related to such super specialities as : Bulk Drug Industry ; Small Scale Drug Manufacturing Units ; R & D Laboratories in Pharmaceutical Industries ; PG/Ph.D., Research Scholars ; and above all the highly spirited, enthusiastic, and research-oriented young teachers specialized in medicinal chemistry.

The **Fourth Revised and Expanded Edition of Medicinal Chemistry** has been designed artistically and presented in a beautiful **two-colour-scheme** so as to facilitate a more effective use of the book.

It is earnestly hoped that the present edition of **Medicinal Chemistry** will surely win a place for itself.

The author extends his deep sense of gratitude from the core of his heart to Shri Saumya Gupta MD, New Age International (Pvt.) Ltd., and his exceptionally dedicated editorial and production wings who have brought out this edition in a record time-frame.

Ashutosh Kar

Gurgaon

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PREFACE TO THE FIRST EDITION

This book, '**Medicinal Chemistry**' has two objectives in view. The *first objective* is to attract the interest of the undergraduate students in developing countries, so that they feel a spontaneous urge to explore and understand the basic theories of medicinal chemistry. These students often encounter enormous difficulties in grasping the fundamentals of synthesis of simple as well as complex compounds including those belonging to the therapeutic group, and they often get confused when they are supplied with inadequate information of vitally important medicinal compounds, their chemical formulae and chemical names. So this book aims at removing this inadequacy by furnishing copious information about medicinal compounds and pointing out their inter-relations wherever they exist. This method, it is believed, will add new incentive to the study of the subject, and will boost the spirit of research and provide a new dimension to the study of medicinal chemistry. Thus, in this book an attempt has been made to include and correlate detailed accounts of most of the important categories of drugs usually taught in the various Universities of developing countries offering diploma, degree and honours courses in Pharmacy. The *second objective* that has been kept in view is to make this a handy reference book for the professional class. With a view to fulfilling this second objective the author has adopted a specific style.

Each chapter has been sub-divided into three sections in the following manner. First, a brief introduction. Second, it follows classification based on either chemical or pharmacological basis. Each category of compound also includes the important representative members of the respective groups together with their **International Non-proprietary Names (INN)**, **British Approved Names (BAN)** and **United States Approved Names (USAN)** wherever applicable. Then comes the statement of its chemical name(s), official status in **B.P.**, **U.S.P.**, **Eur. P.**, **Int. P.**, **Ind. P.**, and their **proprietary name(s)**.

The third part, perhaps the most significant, contains the synthesis of various important members treated individually, brief description of the synthesis, therapeutic applications of each compound, together with its dosage in various diseases, and routes of administration. The dosage for adults and children have been separately mentioned. The usual and maintenance doses, wherever applicable, have also been specified. The mode of action of various classes of medicinal compounds in addition to the structure-activity relationship (SAR) have also been elaborated wherever relevant. Greater emphasis has been laid on the chemistry of various compounds treated in this book, so that an undergraduate student may acquire a comprehensive knowledge on the basic concepts of the medicinal chemistry.

For the reasons mentioned above, it is believed that this book will enjoy equal favour and confidence with pharmacy students, practising pharmacists and also with medical service representatives. Manufacturing pharmacists engaged in basic drug manufacture may also find it a useful reference book, and will appreciate its originality of approach and its significant departure from similar books available on the subject.

The author is particularly grateful to his colleague Professor P.I. Akubue, Professor of Pharmacology, University of Nigeria, Nsukka, (Nigeria) for making valuable suggestions to update the pharmacologic aspect dealt with in this book and other constructive criticisms.

A. KAR

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