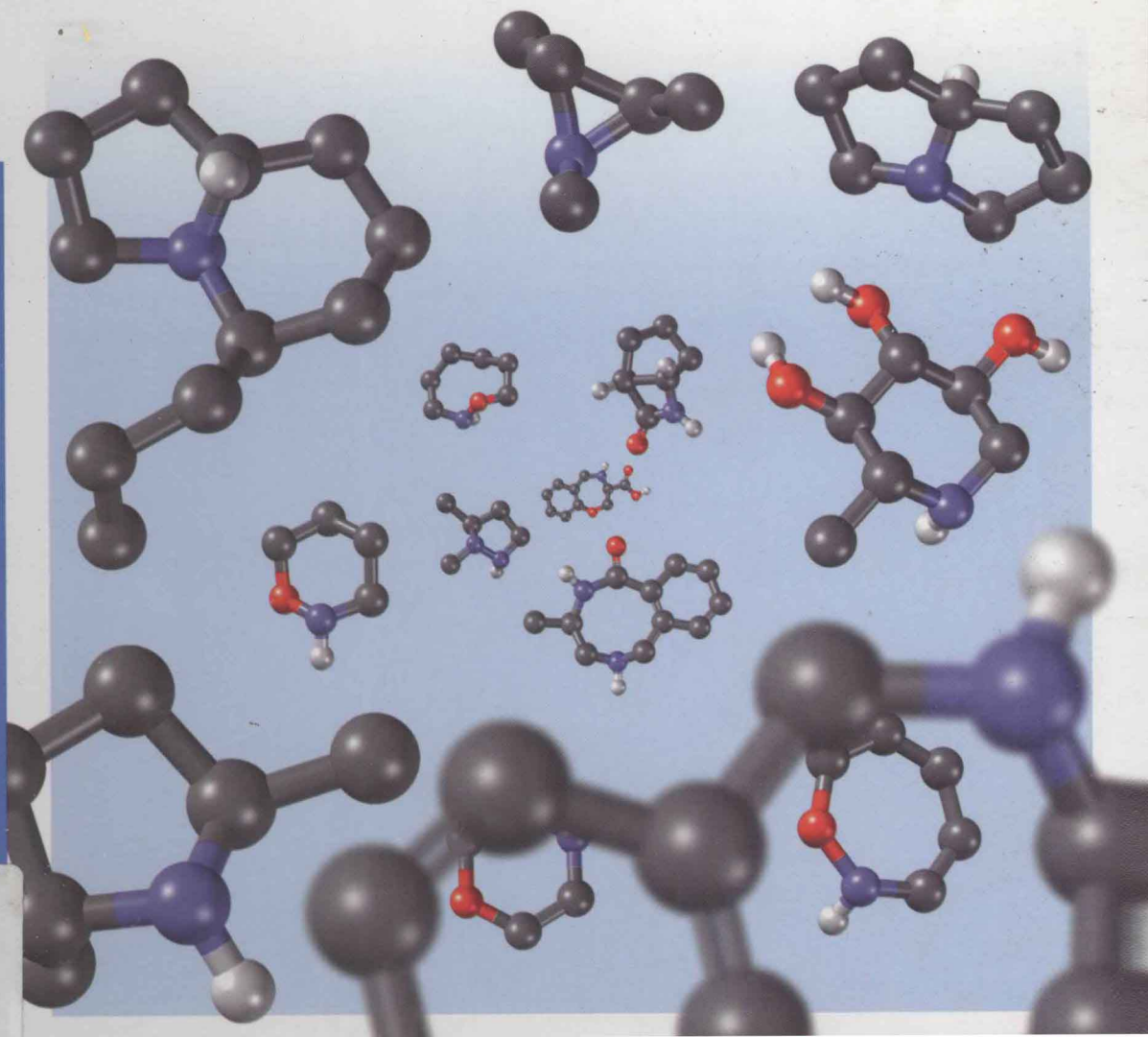


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Asymmetric Synthesis of Nitrogen Heterocycles

With a Foreword by Henri-Philippe Husson



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Jacques Royer



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Foreword

It is a great pleasure to see a new volume of *Asymmetric Synthesis of Nitrogen Heterocycles* going to press.

Since the nineteenth century, the study of nitrogen-containing products, especially alkaloids, has often provided the impetus for great advances in organic chemistry. On one hand, the unceasing proliferation of alkaloid literature accounts for the interest in this class of natural products. On the other hand, heterocyclic chemistry, in general, represents a special topic in medicinal chemistry. Moreover, optically active amines constitute an important class of compounds that find a wide range of interest as chiral building blocks and as part of many pharmaceutical products. Indeed, asymmetric synthesis is more than an academic specialty.

It is the goal of this book to bring all these aspects up to date and to extend the scope of asymmetric synthesis of nitrogen heterocycles to a large variety of structures, that is, with respect to ring size and number of heteroatoms. The objective of the Editor, who is also contributor of a chapter, has been reached in receiving the collaboration of leaders in this field from Europe, China and Japan.

This volume is divided into two parts. Part One describes in four chapters asymmetric synthesis of nitrogen heterocycles containing only one heteroatom in 3-, 4-, 5-, 6-, 7- (and more) membered rings. Part Two consists of four chapters covering the asymmetric synthesis of nitrogen heterocycles with more than one heteroatom.

I am sure that this treatise, which highlights an important field of enantioselective chemistry, should be of value to both academic and pharmaceutical chemists as well as PhD students.

Paris, October 2008

Professor H.-P. Husson

Preface

Nitrogen heterocycles are a huge family of compounds. Among them, numerous natural products as well as synthetic derivatives exhibiting some interesting biological activities can be found. Chirality is often encountered in such products since bioorganic substances such as receptors and enzymes are essentially asymmetric and thus their ligands are better to be asymmetric in order to fit with this asymmetric environment. On the other hand, natural nitrogen compounds are also mainly asymmetric.

Thus, the asymmetric synthesis of nitrogen heterocycles is a frequent preoccupation of organic chemists from both the academic and industrial areas. While the synthesis of nitrogen heterocycles uses several types of known classical reactions, it also has its own specificity shown through various strategies.

In this context, I had been keen on editing a book dealing with the “*asymmetric synthesis of nitrogen heterocycles*”. While several books dealing with nitrogen heterocycles already exist, no book or review article proposes an overview of the different methods used in their preparation in the asymmetric form according to their structure. It then appeared that there would be a need to have a review gathering such information.

I requested some colleagues to contribute the chapters in this book. They were all approached on the basis of their experience in and authoritative knowledge of specific nitrogen heterocycles.

In an attempt to cover most of the classical nitrogen heterocycles, the book has been divided into two parts. The first part deals with heterocycles bearing only one heteroatom in their ring; it is organized into chapters according to the size of the ring: aziridine, azetidine, pyrrolidine, piperidine, azepine and larger rings. The second part deals with heterocycles that contain at least two heteroatoms (one being nitrogen), here again, the chapters correspond to the size of the ring: from three to seven-membered rings. Each chapter is also carefully organized with the aim to provide easy access to information about the different heterocyclic structures. In summary, the main idea of this book is to furnish a comprehensive handbook giving firsthand information to researchers wanting to prepare chiral nitrogen heterocycles.

This book would be useful for organic chemists interested in the asymmetric synthesis of heterocyclic compounds including natural products and to those working in pharmaceutical companies or in academic institutions as well. It would also be helpful for graduate students.

I am deeply indebted to my colleagues who, knowing the time-consuming nature of this important piece of work, have contributed the articles for this book.

Paris, October, 2008

Jacques Royer

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Part One

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