

## Preface

This book is devoted to heterocyclizations of aliphatic and aromatic  $\alpha,\beta$ -unsaturated carbonyls with various binucleophiles leading to three-, five-, six and seven-membered partially hydrogenated nitrogen-containing heterocycles. During the last decade interest in these classes of organic compounds has been experiencing a scientific renaissance owing to their significant role in biological processes in living cells and diverse effects on physiological activities. In addition, such compounds are also more prevalent from the viewpoint of “classical” problems of organic chemistry, among them reactivity, chemo- and regioselectivity, tautomerism, conformational analysis and features of their electronic structure. The character of these problems in the case of partially hydrogenated heterocycles differs sufficiently from that for heteroaromatized and perhydrogenated heterocyclic compounds and investigations in this field very often lead to interesting and unusual results.

Extensively characterized cyclocondensations of  $\alpha,\beta$ -unsaturated carbonyls, their synthetic equivalents and their precursors are the most widespread, facile and generally valid pathway to dihydroazaheterocycles. The popularity and significance of this synthetic approach is based on the high reactivity and availability of unsaturated carbonyl compounds and the precise selectivity of the heterocyclization reactions in comparison with that involving  $\beta$ -dicarbonyls. The recent development of combinatorial high-throughput methods and the use of new energy sources such as microwaves and ultrasound to enhance reactions have also increased interest in  $\alpha,\beta$ -unsaturated carbonyls and their reactions.

The main aim of this monograph is a comprehensive review and organization of the known literature data devoted to the reactions of  $\alpha,\beta$ -unsaturated ketones, their synthetic equivalents and their precursors utilized in the synthesis of nitrogen-containing heterocycles. The book is separated into four chapters and an Addendum, and contains nearly 900 literature references. Each chapter describes the synthesis and chemical and other interesting properties and features of certain classes of heterocyclic compounds.

The first chapter is devoted to the formation and subsequent modification of three-membered heterocycles—aziridines. Synthesis and properties of aziridinyl ketones, bi- and tricyclic aziridine derivatives, cycloaddition and photochemical reactions are described. The second chapter deals with

five-membered heterocycles. Heterocyclizations of unsaturated ketones leading to six-membered heterocycles are the topic of the third and largest chapter of the book. Besides the reactions of unsaturated ketones with diverse 1,3-binucleophiles and the chemical properties of the partially hydrogenated azines that are formed, the problem of tautomerism is addressed as well. The last chapter includes data on the reactions of 1,2-diamines with unsaturated carbonyls which occur in a “classical” manner with the formation of diazepines and exhibit some unusual directions. The book also contains an Addendum describing general as well as special synthetic procedures relating to the chemistry of  $\alpha,\beta$ -unsaturated carbonyl compounds.

We are very thankful to many scientists who have taken an active role in the writing of this book. The chapters were prepared in very close collaboration with Valery Orlov, Nadezhda Kolos, Fedor Yaremenko and Alexander Zbruyev. Their efforts, remarks, corrections and additions were very useful in enhancing the quality of the book. A large part of the Addendum was written by Sergey Komykhov. A lot of help was obtained from our colleagues working in the Department of Heterocyclic Compounds Chemistry of the State Scientific Institution “Institute for Single Crystals” NAS of Ukraine as well as from our friends around the world—Nikolay Gorobets, Eugeny Gladkov, Vyacheslav Saraev, Yana Sakhno, Kirill Kobzar, Bogdan Khanetsky, Katerina Gura, Dmitry Sysoev and many others. We also would like to thank the Karl Franzens University of Graz (Austria) and the University of Constance (Germany) and personally Gert Kollenz, Oliver Kappe and Ulrich Groth for allowing us to access their extensive scientific databases and electronic and university libraries.

The book was written with partial support from the National Academy of Sciences of Ukraine, INTAS and the US Fulbright Scholar Program, and the German and Austrian Academic Exchange Services (DAAD and OeAD).

The book is oriented to chemists working in the field of synthesis and both in experimental and in theoretical investigations of nitrogen-containing heterocycles, university lecturers and both graduate and undergraduate students.

June 2008

Valentin A. Chebanov  
Sergey M. Desenko  
Thomas W. Gurley

Azaheterocycles Based on  $\alpha,\beta$ -Unsaturated Carbonyls

Chebanov, V.A.; Desenko, S.M.; Gurley, Th.W.

2008, VII, 210 p.,

ISBN: 978-3-540-68367-4