
FUSED PYRIMIDINES

Part Three PTERIDINES

D. J. Brown

The Research School of Chemistry
The Australian National University
Canberra



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Part Three

This is a part of the twenty-fourth volume in the series

THE CHEMISTRY OF HETEROCYCLIC COMPOUNDS

THE CHEMISTRY OF HETEROCYCLIC COMPOUNDS

A SERIES OF MONOGRAPHS

EDWARD C. TAYLOR, *Editor*

ARNOLD WEISSBERGER, *Founding Editor*

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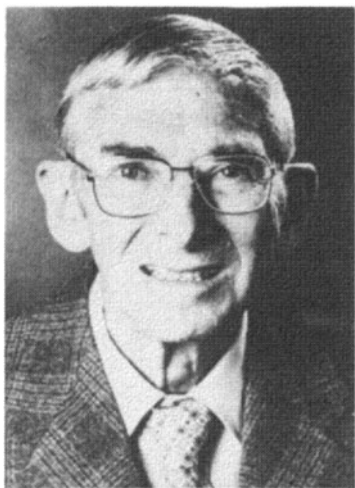
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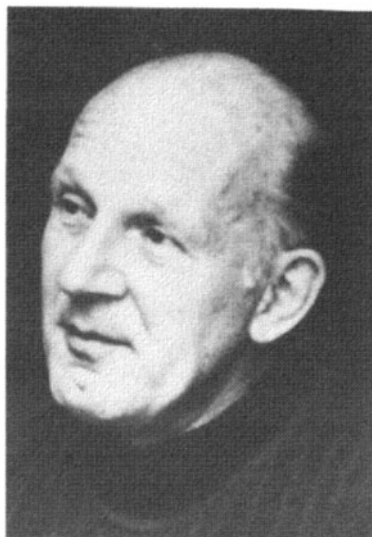
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*Dedicated to
Four Great Living Pteridine Chemists*



Adrien Albert



Wolfgang Pfeleiderer



Edward C. Taylor



Max Viscontini

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Foreword to Fused Pyrimidines

Originally, it was intended to present all the fused pyrimidine systems in one book within this series. However, resurgence of interest in quinazolines and purines, the rapid development of pteridine chemistry, and ever widening exploration of a great many new fused systems embracing the pyrimidine ring, made such a task impossible.

Accordingly, the fused pyrimidine systems will now be covered as several distinct parts of the twenty-fourth volume of the series, Dr. Armarego's *Quinazolines* appeared as Part 1 in 1967; Dr. Lister's *Purines* followed as Part 2 in 1971, with a supplementary work (to cover much subsequent research) in preparation; the current work on *Pteridines* appears as Part 3 after a substantial delay resulting in a change of authorship as late as 1984; and Part 4, covering the more important of the remaining fused pyrimidine systems, is in active preparation.

It has been a privilege to assist the late Dr. Weissberger, Dr. Taylor, and individual authors in organizing this project on *fused pyrimidines* and in maintaining a measure of uniformity and balance within its parts.

DES BROWN

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The Chemistry of Heterocyclic Compounds

Introduction to the Series

The chemistry of heterocyclic compounds is one of the most complex and intriguing branches of organic chemistry. It is of equal interest for its theoretical implications, for the diversity of its synthetic procedures, and for the physiological and industrial significance of heterocyclic compounds.

The Chemistry of Heterocyclic Compounds, published since 1950 under the initial editorship of Arnold Weissberger, and later, until Dr. Weissberger's death in 1984, under our joint editorship, has attempted to make the extraordinarily complex and diverse field of heterocyclic chemistry as organized and readily accessible as possible. Each volume has dealt with syntheses, reactions, properties, structure, physical chemistry and utility of compounds belonging to a specific ring system or class (e.g., pyridines, thiophenes, pyrimidines, three-membered ring systems). This series has become the basic reference collection for information on heterocyclic compounds.

Many broader aspects of heterocyclic chemistry are recognized as disciplines of general significance which impinge on almost all aspects of modern organic and medicinal chemistry, and for this reason we initiated several years ago a parallel series entitled *General Heterocyclic Chemistry* which treated such topics as nuclear magnetic resonance, mass spectra, and photochemistry of heterocyclic compounds, the utility of heterocyclic compounds in organic synthesis, and the synthesis of heterocyclic compounds by means of 1,3-dipolar cycloaddition reactions. These volumes were intended to be of interest to all organic and medicinal chemists, as well as to those whose particular concern is heterocyclic chemistry.

It has become increasingly clear that this arbitrary distinction creates as many problems as it solves, and we have therefore elected to discontinue the more recently initiated series *General Heterocyclic Chemistry*, and to publish all forthcoming volumes in the general area of heterocyclic chemistry in *The Chemistry of Heterocyclic Compounds* series.

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EDWARD C. TAYLOR

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Preface

This is the first attempt to present the detailed chemistry of pteridines as a book, although biochemical, biological, and even a few chemical aspects of pteridines have been well served in *The Biochemistry of Folic Acid and Related Pteridines* (R.L. Blakley: North-Holland, Amsterdam, 1969) and more recently in the several volumes of *Folates and Pterins*, (R.L. Blakley and S.J. Benkovic, Eds. Wiley, New York, 1984-).

The present work is intended as a critical review of pteridine chemistry with emphasis on practical rather than theoretical aspects. The literature from the earliest days until mid-1987 has been used to illustrate syntheses, properties, and reactions but no attempt has been made to include all relevant data, either in the text or in the interspersed tables, which simply serve to diversify the examples succinctly. However, it should be noted that Table XI is intended as a complete catalog of known pK_a values for pteridines; likewise the Appendix Table represents an effort to list alphabetically all simple pteridines (as defined at the head of the table and described up to mid-1987), along with some indication of physical data available and a selection of references to such data and to preparation(s). The enormous gaps in our knowledge of pteridine chemistry will be all too evident to readers of this book: It is hoped that some may be stimulated to remedy the situation.

The widespread appeal of pteridine chemistry is indicated by the following analysis of the origins of more than 17 hundred original publications quoted in this book:

Country	Percentage (%)
United States of America	26.9
Germany (East and West)	20.7
British Commonwealth	19.1
Japan	11.5
Switzerland	8.3
Russia and Eastern Europe	3.5
France	3.1
Ten other countries	6.9

I am greatly indebted to my academic colleagues, Adrien Albert, Wilf Armarego, and Gordon Barlin who have proved ready at all times to discuss matters of fact, interpretation, or presentation; to Margot Anderson and Jenny Rothschild who guided me through the minefield of biological nomenclature; to the library staff, Christine Bloem, Sheila Humphrey, Marie Humphries, and Jennefer Nicholson, for their kindly cooperation and assistance; to Barbara

Cronin, Rosemary Enge, Abira Hassan, Betty Moore, and Akiko Ohnishi, each of whom assisted in some practical way(s) during the preparation of the manuscript; to Lew Mander and succeeding Deans of the Research School of Chemistry, who have provided me with office accommodation and facilities since my retirement from the John Curtin School of Medical Research in 1985; and finally to my wife, Jan, for her understanding, patience, and practical assistance during several years of concentrated writing.

*The Australian National University
Canberra, Australia
April 1988*

DES BROWN

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