ANTIFUNGAL COMPOUNDS

VOLUME 1
Discovery, Development, and Uses

Edited by

MALCOLM R. SIEGEL HUGH D. SISLER

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PREFACE

The need for fungicides is created by fungal activities detrimental to the welfare of mankind. As the bases for such destructive activities are identified, compounds are sought to control the fungi involved. Fungi had been identified as the basis of many problems a half century or more ago, but at that time very few fungicides had been found to control them. A great number of compounds have since been discovered, new methods of application have been developed, and new concepts on modes of action have evolved. At no time in the past has interest in fungicides been greater than during the current period of transition from the protective to the systemic fungicide.

A number of years have elapsed since a comprehensive coverage of fungicides appeared in two volumes edited by D. C. Torgeson (Fungicides: An Advanced Treatise, 1967). Since then, there have been marked advances in the development of systemic compounds, and a rising awareness of the toxicological hazards and the environmental impact of fungicides has evolved. With the advent of more selective fungicides, fungal resistance has emerged as a major problem. In this rapidly changing field, it is the purpose of this book and its companion volume to summarize and evaluate recent developments, to integrate these with significant developments of the past, and to attempt some projections into the future. We believe the various contributors to the volumes have achieved a reasonable measure of success for these goals.

The overall organization of the two books follows a biological—biochemical—ecological approach rather than one based on chemical class. However, individual authors have utilized the latter approach where it was most appropriate for their particular contribution.

This book, the first of the two volumes, focuses on the discovery, development, and use of fungicides and the problems associated therewith in plant pathology, medicine, wood preservation, and industry. The second volume considers fungicides according to their effects and their fate as they interact with biological, biochemical, and ecological systems.

We are grateful to the many authors whose efforts have made these volumes possible. We wish to thank Carolyn Siegel, Patricia Sisler, Libbie Jones, Debby Owen, and Jeanne Kelleher for generous assistance in the preparation of the manuscripts.

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Chapter 1

HISTORY OF FUNGICIDES

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I. INTRODUCTION

A history of fungicides would not be complete and would lack perspective without some reference to ancient and medieval plant pathology. This has recently been ably reviewed by Orlob [1]. Early plant pathology was not so much concerned with any particular disorder as it was with the overall success of the crop. Very often plant diseases were attributed to supernatural and magical causes, and it is not surprising that protective measures were based on the same conceptions resulting in magical practices and rituals. The most valuable contribution to early plant pathology came from astronomy and meteorology where certain disease developments were correlated with atmospheric conditions.

A survey of the developments in methods of plant protection indicates definite eras. If one ignores the magical practices of ancient times, one might cite sulfur as the fungicide marking the first era from unrecorded times to 1882. This was followed by the copper era from 1882 to 1934 which then led into the initial organic fungicide era. The latter era coincided with similar major expansion in organic insecticides, herbicides, and antibiotics. It is of interest to note that in this development systemic insecticides appeared very early without a parallel one in fungicides. Although much effort has been devoted to the search for and development of systemic fungicides, it was noted in 1967 that there is "... no outstanding commercial control of plant diseases by systemic fungicides, interest continues and the practical solution is only a matter of time" (Ref. 2, p. 25). Almost simultaneously with that statement, a publication appeared on the systemic fungicidal activity of 1,4-oxathiin derivatives [3] of which two have since been commercially developed. This marked the beginning of a new "sub era" of organic fungicides, namely systemic fungicides, several of which are already in industrial production with more at the developmental stage.

The presystemic fungicides are largely protectants, the initial ones being inorganic like sulfur and various forms of copper, with Bordeaux mixture being the most common form. Then in 1934, the modern era of organic fungicides emerged with the discovery of the fungicidal properties of the dithiocarbamates [4]. They do not penetrate the plant cuticle and therefore are usually not effective in eradicating established infection. Application is by foliar spray, so that complete coverage is required for protection and, as new growth is vulnerable to infection, spraying has to be repeated.

For protectant fungicides, selective accumulation by the spores plays a dominant role in their toxicity [5]. Thus very high concentrations of fungicide are required. For a majority of the protectants ED_{50} values lie within the range of 100-100,000 $\mu g/g$ spore, which is greatly in excess of toxic ratios normally considered for insecticides [6]. They show a wide range of activity against fungi as they react without specificity at cell-receptor sites. Thus the continuous application in the field of these relatively low-toxicity fungicides has rarely resulted in the appearance of resistant strains of plant pathogens.