

CHAPTER ONE

INTRODUCTION

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Oxazines are fascinating class of heterocyclic compounds which have found wide-ranging applications. Some of the synthetic products containing oxygen and nitrogen are commercially important.

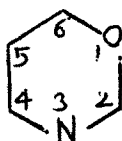
Many important dyes, medicinals, insecticides and biologically active substances are found in the series of heterocyclic compounds called oxazines. Mainly they are found in the polycyclic divisions in which other rings, such as the benzene ring, are fused to the oxazine ring. Hence they are called benzoxazines. Very rarely these heterocyclic rings have been identified in natural products, even though they are widely distributed in nature.

The term oxazine was first introduced in the chemical literature by Widman¹ in 1888, who defined an oxazine as a six-membered ring compound containing a nitrogen, an oxygen, and four carbon atoms all being joined together by eight bonds in one ring structure. They are classified in three types as

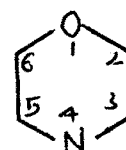
1,2-oxazine, 1,3-oxazine, and 1,4-oxazine having the following structures:



1,2-oxazine

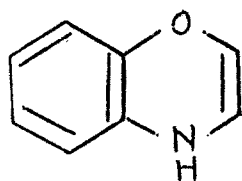


1,3-oxazine

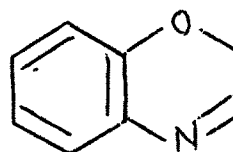


1,4-oxazine

Out of eight theoretically possible isomeric forms benzoxazines, there are only two isomeric forms of 1,4-benzoxazines, which are more important and are represented as:



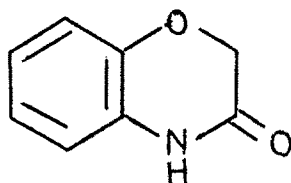
(I)



(II)

Because of tautomeric nature, these two forms are generally regarded as inseparable. Neither the parent compound nor any of its simple substituted derivatives have been prepared. The majority of the compounds reported in the literature are derivatives

of 2H-1,4-Benzoxazin-3-one having the structure as:



Benzoxazine derivatives are reported to be biologically active having antibiotic², anti-inflammatory^{3,4}, antibacterial⁵ and antifungal⁶ properties. Some oxazines are reported to be insecticides⁷ or herbicides⁸. The oxazines with an olefin substituent were considered as polymerizable monomers⁹ and some of them were claimed as plasticisers for cellulose acetate⁹, tanning agent¹⁰ and corrosion inhibitors.¹¹

In view of the biological, industrial and other commercial importance of benzoxazine derivatives, we are stimulated to undertake the work on synthesis and studies of some 1,4-Benzoxazine derivatives. Because of the time limitation, a few compounds have been synthesised in the present dissertation.

REFERENCES

- 1 Widman, J. Prakt. Chem. [2] 38, 197 (1888).
- 2 T. Haneishi, T. Okazaki, T. Hata, C. Tamuta,
M. Namura, A. Naito, I. Seki, and
M. Arai, J. Antibiot. 24, 797 (1971).
- 3 Krewel-Leuffen G.m.b.H. British Patent,
1,059,666 [Chem. Zentr. 14, 1404
(1969)].
- 4 Dyanamit Nobel A.G., British Patent
1,152, 560 [CA. 71, 49951 (1969)].
- 5 A.I. Meyers and Chia-Cheng Shaw, Tetrahedron
Lett., 717 (1974).
- 6 S. Ozaki, Japanese Patent 7,236,742,
[CA., 164,717 (1972)].
- 7 R.L. McConnell and H.W. Coover (Eastman
Kodak Co.), U.S. Patent 2,992,219
[Chem. Zentr. 15, 2025 (1964)].



- 8 G. Jaeger, J. Wenzelburgen, and R. Wegler,
Ger. Offen. 2,005,118 [CA., 75,
151812 (1971)].
- 9 S.H. Metzger (Mobay Chemical Co.), U.S.
Patent 3,479,351 [CA., 72, 21699
(1970)].
- 10 Z.Horii and T. Inoi, Japanese Patent 18,465
[CA., 69, 10449 (1968)].
- 11 Chemische Werke Huels A.G., French Patent
1,585,475 [CA., 74, 42365 (1971)].