SYNOPSIS

The dissertation entitled "SYNTHESIS AND BIOLOGICAL ACTIVITY OF SOME DIAZOLES" presented to the Faculty of Science, Shivaji University, Kolhapur, in partial fulfilment of the degree of Master of Philosophy in Chemistry.

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The Dissertation consists of three chapters and embodies accounts of Chapter one, an introduction to the subject, a brief survey of literature and scope of present work; Chapter two, an experimental part and spectral interpretation; Chapter three, evaluation of antibacterial activity, of synthesised compounds, results and conclusions.

CHAPTER ONE :

Chapter I is on an introduction which describes Oxadiazoles is very fascinating important class of the compounds having wide ranging applications. The compounds possessing oxadiazole moiety acts as medicinals, insecticides and biologically active substances. A variety of these compounds also exhibit herbicidal activity. Many of these compounds used as scintillators, fluorescent whitners, photosensitive components and heat resistant polymers. They are mainly classified as 1,2,3 - Oxadiazole, 1,2,4 - Oxadiazole, 1,2,5-Oxadiazole. 1,3,4-Oxadiazoles show different biological activities such as antiinflammatory, anticonvulsive, diuretic and antiemetic, antifungal etc. Sulpha drugs are widely

used because of number of their application as drugs. Many hydrazides have been tested for physiological effects. Dihydrazides are also recently introduced as anthelmintics. Considering the applications of sulphonamides, hydrazides, dihydrazides, biologically and industrially important organic molecules stimulated to undertake the present work on the synthesis of some substituted-1,3,4-oxadiazole derivatives having sulphonamide, hydrazine and dihydrazine moieties in them. These are expected to show promising biological activity.

The first chapter also comprises a brief survey of related literature on different approaches for the synthesis of 1,3,4-oxadiazole derivatives. Especially recent references on 1,3,4-oxadiazole derivatives having different biological activities have been mentioned.

CHAPTER TWO :

Chapter II describes the details of experimental work. It consists of three parts Part I, Part II and Part III.

Part I : It describes the details of experimental work on the synthesis of new sulphonamide derivatives of substituted 1,3,4-oxadiazoles.

Synthesis of 2-(Chloromethyl)-5-(p-chlorophenoxymethyl)-1,3,4,-oxadiazole using p-chlorophenoxy acetic acid hydrazide as a starting material. The hydrazide was first converted

into corresponding N-chloroacetyl derivative of hydrazide by a known method in 65% yield. This compound was converted into 2-chloromethyl-5-(p-chlorophenoxymethyl)-1,3,4-oxadiazole by cyclisation with phosphorus oxychloride in 60% yield. This when condensed with variously substituted sulphonamides formed their corresponding sulphonamide derivatives (Scheme I).

All the compounds encountered in Part I were characterised after purification by M.P./B.P., UV, IR, PMR and elemental analysis.

Part II : It describes the synthesis of some 2-Aroyl/acetyl hydrazinomethyl-5-(p-methoxyphenyl)-1,3,4-oxadiazole. Synthesis of 2,5-disubstituted-1,3,4-oxadiazole is presented by the same route as given in Part I, using p-methoxy benz hydrazide as a starting material. This hydrazide was first converted into N-chloroacetyl p-methoxy benz hydrazine by a known method in 75% yield. This compound was converted into 2-(chloromethyl)-5-(p-methoxyphenyl)-1,3,4,-oxadiazole by cyclisation with phosphorus oxychloride in 66%. This when condensed with variously substituted hydrazides formed their corresponding 2-(Aroyl/Acetyl)-hydrazinomethyl)-5-(p-methoxy phenyl)-1,3,4-oxadiazoles.

All the compounds encountered in Part II were characterised after purification by M.P./B.P., UV, IR, NMR and elemental analysis.

Part III : It describes the synthesis of some dihydrazide derivatives of oxadiazoles. The synthesis of 2-(chloromethyl)-5-(p-methoxyphenyl)-1,3,4-oxadiazole was reported similar to Part II. This when condensed with various dihydrazides in the proportion of [2:1] formed their corresponding dihydrazide derivatives of oxadiazoles.

All the compounds encountered in Part III were characterised after purification by M.P./B.P., UV, IR, NMR and elemental analysis.

CHAPTER THREE :

Chapter III deals with the evaluation of antibacterial activity of the compounds reported in chapter II. Compounds were tested for their bacteriostatic activity against Staphyloccoccus aureus (Gram +ve) and Salmonella typhi (Gram-ve) microorganisms by using Cup plate method. Antibacterial screening results of various compounds throws some light on their biological importance and structure activity relationship.