PREFACE

The Chemistry of heterocyclic compounds is one of the most complex branches of organic chemistry. With the number of organic compounds approaching five million more than half of them are heterocyclics. It is equally interesting for its theoretical implications, for the diversity of its synthetic procedures and for the physiological and industrial significance.

Heterocyclic compounds are very widely distributed in nature and are essential to life. They play a vital role in the metabolism of all living cells. The pyrimidine and purine bases of genetic material DNA, the essential amino acid proline, histidine, tryptophan, the vitamin and co-enzyme precursors thiamin, riboflavin, pyridoxin, folic acid, the B₁₂ and E families of vitamin, the photo synthesising pigment chlorophyll, the oxygen transporting pigment haemoglobin and its breakdown products the bile pigments are heterocyclic compounds. Majority of synthetic heterocyclics have found widespread use as anticancer agents, analgesics, hypnotics, pesticides, weed killers and rodenticides. There are also a large number of synthetic heterocyclics with other practical applications as dyestuffs, copolymers, solvents, photographic sensitizers, developers, antioxidants and vulcanization accelerators in rubber industry.
Debus discovered the parent compound imidazole from glyoxal and ammonia and to indicate its source proposed the name 'glyoxaline'. The name imidazole is due to Hantzsch. The first chemical study of imidazole was carried out by Wyss who substantiated the work of Debus. The heterocyclic compounds studied and presented in this thesis belong to imidazolinones (I).

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\begin{array}{c}
\text{O} \\
\text{N} \text{\_\_\_\_}\text{NH} \\
\text{R}
\end{array}
\]

(I)

This compound can be prepared by the reaction between glycine ethyl ester and imidic acid ester. During this reaction imidazolinone (I) can further react with another molecule of imidic acid ester to give aminoimidazolinones. Condensation of aldehydes and ketones with (I) gives the corresponding 4-arylidene or alkylidene-2-imidazolin-5-ones. These compounds can also be prepared from the corresponding azlactones by reaction with ammonia or amines followed by cyclisation. The active methylene group of (I) can undergo double Michael addition with divinyl ketones giving the corresponding spiro compounds.
In the present work 1,2,4-trisubstituted imidazolinones with carbethoxymethyl group at position -1 were synthesised and is discussed in chapter I. Reaction of azlactones with glycine ethyl ester followed by vacuum heating of the amide formed yielded 2-aryl-4-arylidene-1-(carbethoxy methyl)-2-imidazolin-5-ones. These imidazolinones underwent hydrolysis with a weak base, sodium carbonate solution, yielding the corresponding acids. Based on this an article entitled "Synthesis and reactions of 1,2,4-trisubstituted-2-imidazolin-5-ones" has been submitted for publication in Indian Journal of Heterocyclic Chemistry.

In chapter II synthesis of some spiro imidazolinones are discussed. The reaction between (I) and divinylketones yielded hitherto unreported spiro imidazolinones by the double Michael addition. Spiro compounds containing imidazolinone ring are not many and by this approach novel spiro imidazolinones could be prepared.

Chapter III describes reactions of spiro imidazolinones. Spiro imidazolinones underwent thermal decomposition by heating just above 300°C yielding one molecule of 3-aryl substituted cyclobutanone and one molecule of 2-aryl-4-arylidene-2-imidazolin-5-one. As these spiro compounds contained a carbonyl group in the cyclohexane ring undergo condensation with 2,4-dinitrophenylhydrazine and also with (I) yielding new spiro compounds. Based on the works presented in chapter II and III an article
entitled "Synthesis and reactions of some novel spiro compounds" has been sent for publication in Indian Journal of Chemistry.

Reaction between glycine ethyl ester and excess imidic acid ester in toluene at the reflux temperature gave 4-(Amino, arylmethylene)-2-aryl-2-imidazolin-5-ones. The benzylation of this amino imidozolinone gave hitherto unreported compounds. Spectral studies of their acetyl derivatives also forms the topics of discussion of chapter IV. This work is part of an article entitled "Synthesis of 4-(Amino, aryl methylene)-2-aryl-2-imidazolin-5-one and their reactions" presented for publication in Indian Journal of Chemistry.

Imidazolinones possess various biological properties. The antibacterial and antifungal study of some 1,2,4-trisubstituted imidazolinones and spiro imidazolinones were conducted and are discussed in Chapter V.

The photochemical reactions of 2-imidazolin-5-ones are discussed in Chapter VI. The compounds photoirradiated were 2-aryl-4-cyclohexylidene-2-imidazolin-5-one and 2-aryl-4-arylidene-2-imidazolin-5-one.