SUMMARY:

Heterocyclic compounds containing nitrogen and sulfur atoms are of great importance in the field of pharmaceutical chemistry due to their variety of biological activities and considerable diversity in the ring system.

Medicinal chemistry is the science that deals with the discovery and design of new therapeutic chemicals and their development into useful medicines. The discovery of a new drug not only requires a design process but also the synthesis of the drug, a method of administration, the development of tests and procedures to establish how it operates in the body and a safety assessment. Drug discovery may also require fundamental research into the biological and chemical nature of the diseased state. These and other aspects of drug design and discovery require input from specialists in many other fields and so medicinal chemists need to have outline knowledge of the relevant aspects of these fields.

Mesoionic compounds are five membered heterocyclic conjugated betains. They are distinct types of heterocycles which belongs to the class of non-benzenoid aromatics. Mesoionic compounds are defined as “five membered heterocycles which cannot be satisfactorily represented by any one covalent or dipolar structure, but only as hybrids of polar structures and they possess a sextet of electrons”.

At present the most frequently used is probably the ‘mesoionic’ structure of sydnone (I) proposed by Baker and Ollis.

![Mesoionic Compound](image)

The heterocyclic atom viz; Sydnone bear a fractional positive charge which is balance by a corresponding partial negative charge located on exocyclic atom or group of atoms covalently attached to the ring through a carbon atom. They are derivatives of 1,2,3-oxadiazole. The IUPAC name of sydnone is 1,2,3-oxadiazolium-5-olates.

Towards the end of the nineteenth century, Emil Fisher reported the formation of an orange crystalline compound, dehydrodithizone, from the oxidation of
dithizone. As more information on the chemical and physical properties became available it was evident that the bicyclic structure (II) which he proposed initially was incompatible. The structure that was deemed acceptable was a resonance stabilized monocyclic, mesomeric, ionic dipolar species (III). The supporting evidence for the existence of mesomeric ionic (mesoionic) structures was provided by Baker, Ollis and Poole in their articles published in the late 1940s and early 1950s.

Sydnone ring undergoes substitution with a wide variety of electrophiles, at 4th position. Some fundamental aromatic reactions such as bromination, nitration, acylation, sulfonation and functionalization of various functional groups, such as phosphino, silyl, alkyls, halides etc also occur at this position of the sydnone ring.

Sydnone derivatives showed variety of biological properties, such as anti-malarial, anti-inflammatory, analgesic, anti-bacterial, anti-fungal, anti-tumor, antioxidant activity, etc. Kier and Roche had reviewed in detail the biological importance of various mesoionic compounds. Sydnones show liquid crystalline properties and also used in battery applications. The N-methyl sydnones having a high dielectric constant was used as a solvent for lithium battery electrolyte.

Quinazolines are a big family of heterocyclic compounds, which possess a wide spectrum of biological and pharmacological activities as analgesic, anti-inflammatory, anti-histaminic, anti-malarials and several other useful and interesting properties. Coumarin is classified as a member of the Benzopyrone family of compounds, all of which consist of a benzene ring joined to a pyrone ring. Many derivatives of this system have been found to have biological and pharmacological activities.

Benzimidazoles are remarkably effective compounds both with respect to their bacteria inhibitory activity and their favorable selectivity ratio. Extensive biochemical and pharmacological studies have confirmed that these molecules are effective against various strains of microorganisms.
The work presented in the thesis entitled “SYNTHESIS, CHARACTERIZATION AND BIOLOGICAL EVALUATION OF NOVEL ANALOGUES OF SYDNONE DERIVATIVES” has been described and bifurcated into four chapters.

Chapter I: This chapter deals with history and introductory reviews of sydnone, quinazoline, coumarin, acridine, benzimidazole and phenoxy amines derivatives, their different biological activities, chemical and electrostatic properties, photo chromic behavior, potential applications etc.

Chapter II: This chapter presents the experimental techniques in the present investigation with detailed procedures for the synthesis of sydnones, quinazoline, coumarin, acridine, benzimidazole and phenoxy amines. The yield, melting point, elemental analysis are given in this section.

Chapter III: This chapter shows the characterization of synthesized compounds by IR and NMR spectral techniques.

The data obtained were interpreted. The interpretation shows the consistency in the anticipated structure.

Chapter IV: This chapter describes antimicrobial activity of synthesized compounds against some common biospecies.

The plant pathogens viz; Staphylococcus aureus and Streptococcus pyogenes as gram positive bacteria and Escherichia coli, Pseudomonas aeruginosa as gram negative bacteria, Candida albicans, Aspergillus niger and Aspergillus clavatus as fungi have been used.

The overall results about antimicrobial activity of compounds show that most of the compounds inhibit the growth of bacteria and fungi.