Preface

Organic compounds have a multiplicity of structures. These structures can be either acyclic or cyclic. The cyclic systems containing only carbon atoms are called carbocyclic and the cyclic systems containing carbons and at least one other element are called heterocyclic. Heterocyclic compounds ascended on our planet long before the first living being. Together with other classes of organic compounds, these heterocyclic composites are indorsed creation of life on earth. Nature selected the heterocycles as most essential biological systems. Heterocyclic chemistry signifies a enormous and significant area of research, which is of concern to a extensive spectrum of Chemists. This is sufficiently accepted by statistic that different journals, societies and interest groups have bounced up completely dedicated to the research of heterocyclic chemistry. Since speedy automation and cumulative other human activities, forests are being worn-out and the medicinal plants are vanishing. As an ever-increasing demand of drugs and to fill up the shortage of medicines invented from natural assets, it is essential to develop, bioactive heterocyclic compounds in laboratory and research field. The investigations of chemistry of heterocyclic compounds have not been as essential element in the man's endeavour’s to unravel the mysteries of living world, but at the same time these studies have constantly stimulating new directions in which the subject may grow. Almost 85% of the drugs in clinical use are based on heterocyclic constitution.

Significance of Heterocyclic Chemistry:

Everything of this conception has its own significance in different fields, and nothing is worthless. Some distinctive areas where the heterocycles are of mammoth importance in service of mankind have been summarized on next page:
1. Heterocycles can offer an elegant and efficient route for building heterocyclic systems in different synthetic strategies.

2. Powerful computer techniques have been used in organic chemistry. QSAR has been used in the discovery and development of new drugs to achieve objectives like quantitative prediction of biological activity of heterocyclic compounds.

3. Heterocyclic compounds occupy the key position in the area of drugs and pharmaceuticals.

4. Heterocyclic compounds are very widely distributed in nature, essential to life and play a vital role in the metabolism of all living cells.

5. Many pyridine, Pyrimidine, Pyrazole, Imidazole and Benz-imidazole derivatives are used as insecticides, fungicides and herbicides.

6. For more than a century, heterocycles have constituted one of the largest areas of research in organic chemistry.

   A great deal of research has been carried out to synthesize novel heterocyclic compounds having therapeutic uses. The research work entitled “CHEMICAL AND BIOLOGICAL STUDIES ON SOME HETEROCYCLIC COMPOUNDS OF THERAPEUTIC INTEREST” is divided into two sections and these include eight chapters including chapters on introduction and literature review. The first section deals with the synthesis and characterization of heterocyclic compounds of pyrazole derivatives and indole derivatives. The second section deals with the biological activities like antimicrobial (antibacterial and antifungal), antimalarial and insecticidal activities of synthesized heterocyclic compounds.

**PART-A: INTRODUCTION, LITERATURE REVIEW & SYNTHESIS**

In the present research work fifty five heterocyclic derivatives of pyrazole and indole derivatives have been synthesized. The purity of the synthesized
derivatives have been checked by TLC and structures of these derivatives have been elucidated by the elemental (C, H, N) analysis and spectral (IR, LCMS and 1H NMR) data. Results, discussion and conclusion of the respective chapter also have been reported.

**Introduction**

It is an introductory chapter and deals in brief about the history of drugs from natural resources to synthetic drugs from heterocycles. The chapter mainly deals about the importance of heterocycles and their significance in day-to-day life.

**Literature Review**

This chapter deals in brief about the history of drugs and their classification on the basis of their mode of action and agent types. In this chapter we have study available literature of antimicrobial drugs and antimalarial drugs. We find what kinds of heterocycles are showing biological activities against bacteria, fungus and plasmodium species. On the basis of all this study we identify our target to be synthesized and assayed for antimicrobial activities.

**Research Plan:**

In this chapter, we have choose our moiety on the basis of our study and decide to make some derivatives of pyrazole and indole as these are showing activities against many microbes, simultaneously these derivatives have scope of development.

**Synthesis & Characterization of Pyrazole and Indole derivatives:**

This part constitutes of two chapters, which shows the synthetic pathways and characterization of synthesized derivatives.

Chapter-4 constitutes of synthesis of some novel pyrazole derivative with amide coupling, Grignard reaction, cyclization reactions and N-alkylation of
pyrazole to synthesize novel derivative. Some compounds are synthesized using NSC for chlorination reaction also.

Chapter-5 has the synthetic pathway of Indole derivatives with the help of Fischer-Indole synthesis, Bromination, Suzuki coupling, and carbamate synthesis with different chloroformates. In some derivatives amide coupling reaction was also followed to get novel molecules.

**PART-B: BIOLOGY & CONCLUSION**

This section is constitutes of three chapters. In Chapter-6, we have recorded the Antimicrobial activity results of all synthesized 55 derivatives against 4 antibacterial and 3 antifungal strains. All the results are compared with reference drugs, which are available in market. Out of all derivatives we selected some compounds with more or equal activity results with some reference drugs for graphical representation.

In Chapter-7, we have recorded the Antimalarial activity results of all synthesized 55 derivatives against *Plasmodium falciparum*. All the results are compared with reference Quinine and Chloroquine. Out of all derivatives we selected some compounds with good activity results for graphical representation.

In Chapter-8, we have recorded the Insecticidal activity results of all synthesized 55 derivatives. All the results are compared with reference Imidacloprid. Out of all derivatives we selected some compounds with good activity results for graphical representation.

On the basis of our derivatives activity result we make conclusion that there is some novel derivatives in the presented work that can be carried to next level of research to render the services to the humanity for a good cause.