ABSTRACT

In the pharmaceutical field, there has always been and will continue to be a need for new and novel chemical entities with diverse biological activities. Our efforts are focused on the introduction of chemical diversity in the molecular framework in order to synthesizing pharmacologically interesting compounds of widely different composition. During the course of research work, several entities have been designed, generated and characterized using spectral studies. Besides, biological activities of the generated entities were carried out.

Heterocycles form by far the largest of classical divisions of organic chemistry and are of immense importance biologically and industrially. One striking structural features inherent to heterocycles, which continue to be exploited to great advantage by the drug industry, lies in their ability to manifest substituents around a core scaffold in defined three dimensional representations. They have contributed to the development of society from a biological and industrial point of view as well as to the understanding of life processes and to the efforts to improve the quality of life.

Among the all heterocyclic compounds discovered so far, nitrogen containing heterocycles are abundant in nature and are of great significance to life because their structural subunits exist in many natural products, as well as pharmaceuticals, herbicides, dyes, and many more compounds. Among six membered heterocycles containing two nitrogen atoms, such as pyrimidones possess a broad spectrum of biological activities and are therefore of interest as target compounds in pharmaceutical and medicinal chemistry. Pyrimidones are common structural motifs in natural products and bioactive compounds.

The work reported in the thesis with the title, “SYNTHESIS OF OXADIAZOLE AND PYRIMIDONE ANALOGUES FOR THEIR BIOLOGICAL APPLICATIONS” has been described under different chapters.
ABSTRACT

The thesis comprises of five chapters and chapter-2,3 and 4 are further divided into part I and Part II. Bibliography is cited at the end of each chapter. The following is the brief outline of the contents of each chapter.

CHAPTER – 1: This chapter is concerned with the general introduction to heterocyclic compounds in particular to oxadiazole and pyrimidone analogues. The relevant literature survey pertaining to the various synthesis and pharmacological applications of oxadiazole and pyrimidone analogues is illustrated.

CHAPTER – 2

PART – I: The work reported in this chapter presents synthesis and characterization of 1,3,4-oxadiazoles. This chapter is exclusively deals with the introduction, applications, result and discussion and experimental procedures for carrying out synthesis of 2,5-di(4-benzoyl)phenoxy methyl-1,3,4-oxadiazoles 9a-j. Besides, IR, $^1$H NMR, $^{13}$C NMR and mass spectral studies of newly synthesized compounds is discussed.

PART – II: This part illustrates about the anticancer activity of 2,5-di(4-benzoyl)phenoxy methyl-1,3,4-oxadiazoles 9a-j. Newly synthesized 1,3,4-oxadiazole analogues 9a-j were assessed for cytotoxicity against human leukaemia cell lines.

CHAPTER - 3

PART – I: The work reported in this chapter is synthesis and characterization of pyrimidone analogues. This chapter is solely compacts with the introduction, applications, result and discussion and experimental procedures for carrying out synthesis of pyrimidone analogues 5a-j. Further, IR, $^1$H NMR and mass spectral studies of newly synthesized compounds is deliberated.

PART – II: This part describes about the xanthine oxidase (XO) inhibition of synthesized pyrimidone analogues 5a-j and also the antioxidant activity.
ABSTRACT

The inhibition activity of synthesized compounds 5a-j was tested against xanthine oxidase. The rate of formation of uric acid from oxidation of xanthine in the presence of 5a-j inhibitors against rat liver XO was studied. The inhibitory activity of the compounds 5a-j against XO was compared with standard drug allopurinol.

Besides, a series of assays namely DPPH radical scavenging, lipid peroxidation, reducing power, hydroxyl radical scavenging and metal chelating ability were then performed in order to evaluate the antioxidant properties of the pyrimidone analogues 5a-j.

CHAPTER – 4

PART – I: This chapter content is also focused in two portions namely synthesis and characterization of pyrimidone appended oxadiazole analogues 6a-j.

This chapter is particularly deals with the introduction, applications, results and discussion and experimental procedures for carrying out synthesis of pyrimidone appended oxadiazole analogues 6a-j. Additional, IR, $^1$H NMR and mass spectral studies of newly synthesized compounds is revealed.

PART – II: This chapter designates about the antimicrobial activity of pyrimidone appended oxadiazole analogues 6a-j. In vitro screening of the pyrimidone appended oxadiazole analogues 6a-j was carried out against twelve bacterial and ten fungal strains by broth dilution method.

CHAPTER – 5: This is the concluding part concerned with the conclusion of the entire thesis.