CHAPTER - 4

SUMMARY AND CONCLUSIONS
SUMMARY

The thesis entitled “SYNTHESIS AND BIOLOGICAL EVALUATION OF SOME NEW CHALCONES, PYRIMIDINES AND PYRAZOLINES” describes the general methods of synthesis and characterization of some new chalcones, 2-amino-4,6-diaryl pyrimidines and 1,3,5-trisubstituted-2-pyrazolines. Since these heterocyclic compounds and chalcones were reported to possess various biological activities, all of these compounds were screened for different activities based on the reported literature. The contents of each chapter are summarized below.

Heterocyclic compounds occupy an important position in the effective therapy of number of diseases and disorders. Compounds possessing different heterocyclic systems exhibit a diverse range of biological activities and are in great demand in the present day therapeutics. Medicinal chemists thus keep perpetuating an interest to prepare novel heterocyclic compounds with potential biological activities.

There were several reports in the literature mentioning the usefulness of chalcones, pyrimidines and pyrazolines as antimicrobial, antimalarial, antituberculcar, anticancer, anti-inflammatory, antidepressant and antihistaminic agents. This thesis is an endeavour in this direction, in the synthesis and characterization of such novel compounds based on Elemental analysis, IR, $^1$H NMR and Mass
spectral data. The pharmacological and antimicrobial screening of the synthesized compounds has also been included.

A number of heterocyclic systems can be successfully synthesized from 1,3-diaryl-propene-2-ones, popularly called as Chalcones, which in turn may be obtained by Claisen – Schmidt condensation reaction. The resulting chalcones, after purification and characterization by physical and spectral methods have been converted successfully into pyrimidines and 2-pyrazolines by reaction with Guanidine hydrochloride and Phenylhydrazine hydrochloride respectively, which then were identified by spectral and chemical methods and screened for selected biological activities based on the reported literature. This thesis comprises of 3 chapters and the content of each chapter is summarized below.

CHAPTER – 1

SYNTHESIS, CHARACTERIZATION AND BIOLOGICAL EVALUATION OF SOME NEW CHALCONES

Chalcones are bichromophoric molecules separated by a keto – vinyl chain and constitute an important class of naturally occurring flavanoids exhibiting a wide spectrum of biological activities. The presence of a reactive α β- unsaturated keto functional group in chalcones is found to be responsible for their broad spectrum activity, which may be altered depending on the type and position of substituents on the aromatic rings.
This chapter provides an introduction to chalcones, literature survey on general methods of synthesis, spectral characteristics and biological importance of a number of chalcones. The chapter describes synthesis, characterization and biological activities of some new chalcones prepared by Claisen – Schmidt condensation between 3’-methoxy-4’-hydroxyacetophenone and various aromatic / heterocyclic aldehydes. The chalcones thus obtained were checked for their purity by TLC, then purified by Column Chromatography and crystallization and then characterized by melting point, Elemental analysis, IR, \textsuperscript{1}H NMR and Mass spectral data. The chalcones so obtained possessing novel heterocyclic rings as well as substituents were subjected to anti-inflammatory and antimicrobial activities based on literature reports.

The results in each case were presented and a close examination of the results revealed some of them possessing significant activities. At the end of this chapter the relevant references including the latest ones were given.
CHAPTER – 2

SYNTHESIS, CHARACTERIZATION AND BIOLOGICAL EVALUATION OF SOME NEW 2-AMINO-4, 6-DIARYL PYRIMIDINES

This chapter starts with an introduction to pyrimidines, their general methods of synthesis, spectral characteristics and therapeutic potential. The title compounds were obtained by the reaction between chalcones and Guanidine hydrochloride. The resulting substituted pyrimidines were purified by Column Chromatography and crystallization and then their structures were established by Elemental analysis, IR, $^1$H NMR and Mass spectral data. Since Pyrimidines were reported to possess anti-inflammatory, antimicrobial and anticancer activities, they were screened for these activities. Some of these compounds possessed significant antibacterial and antifungal activities, which can be attributed to the presence of important pharmacophores present on them. Similarly these substituted pyrimidines also exhibited good anti-inflammatory activity.

However they did not show much anticancer activity against cell lines (DU-145) tested. Work is in progress on other cancer cell lines to establish their utility as anticancer agents. A list of references was provided at the end of this chapter.
CHAPTER – 3

SYNTHESIS, CHARACTERIZATION AND BIOLOGICAL EVALUATION OF SOME NEW 1, 3, 5 - TRISUBSTITUTED - 2 – PYRAZOLINES

Pyrazolines are important class of five membered heterocyclic compounds, possessing diverse pharmacological activities. This chapter deals with an introduction to pyrazolines, their general methods of synthesis, spectral characteristics and therapeutic potential.

The chalcones obtained earlier were converted into 2-pyrazolines by reaction with Phenylhydrazine hydrochloride. The reaction products were checked for completion of the reaction as well as for purity by TLC at different stages of the reaction.

The compounds were purified by Column Chromatography and then by crystallization methods. This has resulted in the preparation of 2- pyrazolines having substituents at positions 1, 3 and 5 of the Pyrazoline nucleus. They were then characterized by physical and spectral data. These pyrazolines were tested for anti-inflammatory, analgesic and antimicrobial activities and these results are promising in some cases and the data is presented in this chapter. At the end of this chapter a list covering the literature on pyrazolines is given.