PREFACE

Synthetic studies on heterocyclic compounds continue to occupy an important position among the research areas in organic synthesis in view of their presence in molecular entities that are useful in the fields of medicine, industry and agriculture. Coumarins are an important class of naturally occurring heterocyles possessing the delta lactone skeleton fused to a benzene ring. Innumerable derivatives of coumarin are associated with a variety of biological activities, interesting photo physical properties and have been employed as molecular probes in the study of biochemical mechanisms. The present thesis is focused on the synthesis, reactions and biological evaluation of coumarin derivatives.

The thesis is presented in the form of six chapters. All the chapters are the descriptions of the actual work carried out by the candidate. The thesis does not contain any general introduction as a separate chapter but the literature survey which has structural and biological relevance to the work in each chapter has been presented at the beginning of the chapter. Every chapter has been presented under subheadings like introduction, present work which includes the discussion part also. This part deals with the description of the synthetic work and interpretation of the spectral data which is followed by representative spectra of one sample. The next part deals with the experimental procedures followed by the biological activity data wherever such screening has been carried out. Bibliography for each chapter has been cited at the end of each chapter.

First chapter deals with the diastereoselective synthesis of coumarin linked tricyclic furocoumarins and furoquinolones in a one pot reaction from easily available starting materials. The observed trans diastereoselectivity is supported by $^1$H-NMR coupling constant values and single crystal X-ray diffraction studies.
Second chapter deals with the synthesis of coumarin nitrogen mustards and their biological evaluation. Though the two armed side chain is no longer a group of choice for anti-cancer activity, we have discovered its potential as a fungicidal pharmacophore which warrants further investigation.

Third chapter deals with the solid state studies on 4-\{[bis (2-hydroxyethyl) amino]methyl\}-2H-chromen-2-ones. We showed that substituents on coumarin ring influence the orientation of ββ'- dihydroxy ethyl side chain, bond length of side chain and molecular interactions. Presence of water molecule in a crystal lattice was studied by using Thermal analysis.

Forth chapter deals with the regioselective synthesis of bis chromenyl triazole hybrids and their biological evaluation. We showed that bridging of triazole and coumarin moieties enhances the anti-tubercular activity of parent heterocles.

Fifth chapter deals with the diastereoselective synthesis of (Z)-3-(2-bromo-1-chlorovinyl)-2H-chromen-2-ones by chlorosulphonic acid using easily available starting materials. Stereochemistry of the product was found to be ‘Z’ and it was confirmed by X-ray crystal structure studies.

Sixth chapter deals with the study of interaction between nitrophenols and 7-hydroxy-4-azidomethylcoumarin by using fluorescence and UV–vis absorption spectroscopy. Quenching mechanisms have been evaluated by fluorescence measurements at different temperatures. Stern–Volmer quenching constant $K_{sv}$ and corresponding thermodynamic parameters were calculated. Binding studies concerning the number of binding sites ‘n’ and apparent binding constant ‘$K$’ were performed by fluorescence quenching method.