Quinoline derivatives represent the major class of heterocycles and a number of preparations have been known since the late 1800s. The quinoline ring system occurs in various natural products, especially in alkaloids. The quinoline skeleton is often used for the design of many synthetic compounds with diverse pharmacological properties like antibacterial, antifungal, antimalarial, anticancer etc. Keeping different aspects of quinoline ring system we have synthesized various analogs and screened for antimalarial activity. In chapter-1 we have synthesized four different types of small library of quinoline derivatives keeping changes mainly around amino group to overlook structure-activity relationship of quinoline derivatives. These compounds are characterised by various spectroscopic and crystallographic techniques. Further, these compounds were screened for antimalarial activity. These synthetic compounds exhibited very promising in vitro antimalarial activity. In vivo activity of compounds is under progress.

In chapter-2 we have discussed ten crystals of 4-aminoquinoline derivatives described in chapter-1. This complete chapter deals with the crystallographic analysis of quinoline derivatives and can be divided into two sections. In section-2A there is a complete description about the hydrogen bonding pattern in a series of quinoline analogs. The synthesis and characterization of compounds are discussed in chapter-1 in detail. In all these crystal, we observed the formation of N-H···Cl/N hydrogen bonds giving rise to different structural motifs, namely dimers, chains and ribbon, along with the presence of weak C-H···O, C-H···Cl and π-π van der Waals interactions which contribute towards the over-all crystal packing and stabilize the space between sheets or ribbons. Section-2B describes the halogen···halogen interaction in fluoro derivative of 4-aminoquinoline scaffold. So far, the specific mode of interaction of such type of 4-aminoquinoline derivatives with albumin proteins has seldom been studied at the molecular level. In chapter-3, first we characterized the compound (7-chloroquinolin-4-yl)-(2,5-dimethoxyphenyl)-amine hydrochloride dihydrate (CQDPA) in solid state. After that, we have studied the interaction of CQDPA with bovine and human serum albumin in detail using steady state fluorescence at three different temperatures.
Thermodynamic parameters were calculated which suggested the mode of interaction between albumin proteins and small molecule. In chapter-4, we have discussed the mode of binding of quinoline derivatives to DNA. This study would be very useful to predict the interaction of small molecules to DNA in general. We have selected three compounds *viz.*, ligand-1, 2 & 3 from three different series of synthesised derivatives of 4-aminoquinoline scaffolds. We carried out a series of spectroscopic studies including UV-visible, Tm and CD. These studies are vital for the elucidation of the mechanisms of drug action and designing of more efficient and specifically targeted drugs with lesser side effects.