6. SUMMARY & CONCLUSION

This thesis deals with the synthesis characterization and biological activities of 1,8-naphthyridine linked with pyrazolinone, pyrazole, isoxazolinone and pyrimidine-2-one derivatives. The synthesized compounds were characterised by IR, $^1$H NMR and mass spectra and screened for analgesic, anti-inflammatory and antimicrobial activities.

First chapter of the thesis gives a brief introduction about primary methods of synthesis, reactions and therapeutic agents based on 1,8-naphthyridine, pyrazolinone, isoxazolinone, pyrazole, isoxazole and pyrimidine-2-one moieties and also introduction of biological activities like analgesic, anti-inflammatory and antimicrobial.

The second chapter consists of particular literature survey on investigation carried out by the earlier workers in the synthesis and evaluation of heterocyclic compounds based on 1,8-naphthyridine moieties.

The third chapter gives idea about the objective and scheme of the present work.

The fourth chapter explains in detail about the experimental procedures used to synthesize the titled compounds and procedure used for the evaluation of analgesic anti-inflammatory and antimicrobial activities that are adopted in the present work.

The fifth chapter contains the results obtained and discussion of those results supported by tables and figures.
In the acute toxicity study, the examined that did not show toxic effects at doses up to 2000mg/kg, therefore 1/10th of the tolerated dose was chosen for the pharmacological evaluation of the synthesized compounds.

The newly synthesized compounds were screened for their anti-inflammatory, analgesics and antimicrobial activities. The most active compounds IIIb, IVb and Vb like N-phenyl pyrazolinone & N-phenyl pyrazoles linked 1,8-naphthridine shows better anti-inflammatory & analgesic activities. The presence of phenyl group at the 1st position of the pyrazolinone nucleus which is attached to the 1,8-naphthyridine through azo linkage at the 2nd position may be contributing to the anti-inflammatory effect. The presence of methyl group at the 3rd position of the pyrazolinone ring in compound Vb may be enhancing the activity hence it is more effective over VIb.

The newly synthesized title compounds were screened for their in-vitro antibacterial activity against two gram-positive organisms like *Bacillus subtilis*, *Staphylococcus aureus* and two gram negative organisms such as *Escherichia coli*, *Pseudomonas aeruginosa*. Further antifungal activity was carried out against two fungal organisms such as *A. niger* and *C. albicans* by agar cup-plate method and serial dilution method.

An agar cup plate method result indicates that the compounds IIIb, IVb, Vb showed impressive antibacterial and antifungal activities. The activity was due to presence of chlorogroup at the para position of phenyl ring of pyrazolinone and pyrazole nucleus and also the presence of methyl & amino groups at the 3rd position, hydrazono and azo (N=N) group at 4th of the pyrazolinone(IIIb, IVb) and pyrazole(Vb)
ring may be contributing to the antimicrobial activity. The compounds having hydrazono & azo groups shows significant antimicrobial activity. Serial dilution method results showed that compounds Vd & VId showed excellent antibacterial activity against B. Subtilis the MIC value of 7.8 µg/ml where as the compounds IVd, Vd showed very good antifungal activity against A. niger and C. albicans with MIC value of 7.8 µg/ml & 15.6 µg/ml.

According to the results concluded that the synthesized compounds IIIb, IVb, Vb, Vlb showed potent antiinflammatory and analgesic activities due to the presence of phenyl ring at 1st position of pyrazolinone & Pyrazole nucleus. Substitution of electron donating groups such as NH₂ or CH₃ at 3rd position of pyrazolinone may be useful for increase activity.

The compounds IIId, IVd, Vd, showed potent antimicrobial activity that have a chloro substitution at a para position of phenyl ring. The presence of azo and hydrazono groups of pyrazolinone and pyrazole may be contributing to the antimicrobial activity.