SYNTHESIS AND SPECTRAL STUDIES OF SOME HETEROCYCLIC COMPOUNDS AS NOVEL ANTIPROTOZOAL ANALOGUES

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The thesis comprises of five chapters. Sixty-seven compounds of variegated nature were prepared by multi-step synthesis and screened against amoebiasis.

The **First Chapter** presents the synthesis, characterization of 10 dioxazole derivatives and *in vitro* evaluation of their antiamoebic and antigiardial activity. The dioxazoles were synthesized by treating the aldo-oximes with different aldehydes and ketones. The antiamoebic and antigiardial activities of new dioxazole derivatives having different aldehydes and ketones substitution were examined. Out of 10 compounds 3 found to be active. Two compounds were found to be non-toxic against Vero cell line ATCC CCL-81.

The **Second Chapter** describes the synthesis of 9 pyrazoline and evaluation of their antiamoebic activity. Antiamoebic activity of pyrazoline derivatives were screened in *vitro* against *HM1:IMSS* stain of *E.histolytica*, a protozoa responsible for amoebiasis.. The cyclization of the mannich base gave pyrazoline derivative showed enhanced antiamoebic activity as compared to mannich base. The compound having 3-chloro, 3-bromo and 4-Chloro substitution on the pyrazoline ring were distinctly more potent.

The **Third Chapter** deals with the synthesis, characterization and antiamoebic activity of 10 pyrimidine and 20 sulfonamide derivatives. The biological data suggested that out

of 10 pyrimidine 5 showed better activities than metronidazole. Out of 30 compounds of sulfonamides 12 showed the most promising activity against *E. histolytica* having IC_{50} value less than metronidazole.

The **Fourth Chapter** deals with the synthesis, characterization and antiamoebic activity of pyrazoline and their 9 transition metal complexes (Pd(II), Pt(II), Ru(II), Fe(II), Cu(II), Co(II), Ni(II)). The biological data suggested that the complexation of ligand with metal enhances the antiamoebic activity of the ligand.

The **Fifth Chapter** describes the syntheses and characterization of oxime, its metal complexes and evaluation of their antiamoebic activity. Complexation of oxime enhanced its antiamoebic activity. The importance of such work lies in the possibility that the new complexes might be more efficacious drugs against amoebiasis.

CONCLUSION

The objective of the study was to synthesize compounds from synthetic route. To achieve this goal, sixty-seven compounds of varigiated nature such as Dioxazole, Sulfonamide, Pyrazolines, Transition metal complexes of pyrazoline and oxime were synthesized and subjected for screening against *HM1:IMSS* strain of *E. histolytica*. Out of these compounds, thirty seven compounds were found active. The compounds demonstrated were approximately 1-4 times more active than metronidazole against *E. histolytica.* It is hoped that these studies will stimulate further efforts towards the development of new and urgently needed drugs for the treatment of amoebiasis.