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Title of the Thesis: Novel Heterocyclic Compounds as Potential Therapeutic Agents: Synthesis and Characterization.

Abstract

The present research work deals with the synthesis, characterization of novel heterocyclic compounds and their biological screening such as antimicrobial, anticancer and anti-amoebic. The present thesis comprises of six chapters.

Chapter-1 Deals with the general introduction and also defines the objective of research.

Chapter-2 Describes the synthesis, characterization and anticancer screening of substituted 5-(1,3-benzodioxol-5-yl)-1-tetrazole derivatives. All the synthesized tetrazole derivatives were evaluated to find out the effect on *in vitro* growth of ER positive (MCF-7) and ER negative (MDA-MB-231 and ZR-75) cells. The inhibitory effects were detectable in five compounds. These compounds showed a negative growth of 10-30 % compared to the untreated cells. Compounds **F10**, **F12** & **F14** were found more effective in retarding the growth of MCF-7 cells while compound **F2 & F8** showed more growth retarding effects in ER negative MDA-MB-231 and ZR-75. To evaluate the cell specificity of these compounds, Hep-G2 cell proliferation assay was also done.

Chapter-3 Describes the synthesis, characterization and antibacterial activity of chalcone **1d-10d** and 4-substituted-(1*H*-pyrazol-3-yl)-methyltetrazolo-*[1,5-α]*quinoline analogues **(1-20).** All the compounds were screened for their *in vitro* antimicrobial activity using the Gram-positive *(S. aureus* and *S. epidermidis)* and Gram-negative (*E. coli* and *P. mirabilis*) bacteria. Results showed that seven compounds of this series were found to possess significant antimicrobial activity.

Chapter-4 Describes the synthesis, characterization and antimicrobial evaluation of oxadiazoline derivatives **(1-14).** All the compounds were screened against Gram-negative and Gram-positive bacteria and results were compared with standard drug Ciprofloxacin. Results reveal that five compounds of this series were found to possess significant antimicrobial activity.

Chapter-5 Describes the synthesis and characterization of N-4,6-substituted pyrimidine sulfonamide derivatives (**1-18).** All the compounds were screened for *in vitro* antiamoebic activity against *HM1:IMSS* strain of *E. histolytica* and results were compared with standard drug ‘’Metronidazole.’’ Out of all the synthesized compounds seven compounds were found to possess better anti-amoebic activity than standard.

Chapter-6 Describes the synthesis and characterization of fourteen novel 1,2,4-triazine derivatives and screened them for antimicrobial activity against Gram-negative and Gram-positive bacteria using Ciprofloxacin as standard. Results showed that six compounds were found to possess significant antimicrobial activity while some compounds of the series showed 80 % resemblance with standard.

Conclusion

In search of potent anticancer, antimicrobial and antiamoebic agents, ninety nine heterocyclic compounds of variegated nature were synthesized. Results revealed that out of ninety nine compounds thirty compounds were found to possess significant anticancer, antimicrobial and antiamoebic activity. Therefore, these studies might stimulate further efforts towards the development of novel drugs with better anticancer, antimicrobial and amoebicidal activity.