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## PREFACE

The art to solve mysteries of natural phenomena, afflicts the progress of human civilization. Time and again several scientific searches have flip-flopped. During the past years Quinazoline-4(3H)-ones have once again come out as an important nucleus showing varied pharmaceutical and biological activities. A few of them are worth mentioning - antibacterial and anticancer activities. The tremendous therapeutic potential demonstrated by the nucleus prompted us to synthesize and evaluate biological activities of some Quinazoline-4(3H)-one. This idea has led to pursue the Ph.D. work entitled “Studies on Antiproliferative and Antibacterial properties of some Quinazoline-4(3H)-ones and their dimers”. Our aim was to explore the intrinsic impediments of this pharmacophore to achieve better antibacterial activity and also to explore their potential as anti-proliferative agents.

The study was carried out in three sections. First section dealt with the characterization of dimerization in 2-substituted-benzo[d][1,3]-oxazine-4(3H)-one. The CH-O-C H-bond was found to be responsible for self association. This association was also established in solution state. The effect of this dimerization on hindrance of their antibacterial activity was also studied.

In second section, the drug likeliness behaviour and drug score was predicted by *In silico* studies. Again, *In silico* studies were validated by performing hDHFR activity inhibition assay. After validation by measuring inhibition of dihydrofolate reductase enzyme, cell-line studies demonstrating the antiproliferative potential of these compounds were done.

In concluding section of this study, we have tried to increase the solubility of Quinazoline-4(3H)-one by forming inclusion complexes with  $\beta$ -cyclodextrins. The formation of inclusion complexes were characterized by different spectroscopic and other methods. In this way, we have addressed the two major intrinsic problems of Quinzoline-4(3H)-ones as a therapeutic agents, first the self association properties of this pharmacophore and the second one - the problem of solubility.