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Biography

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STUDIES ON 2-(4-METHOXY/METHYL)-PHENYL – 3- SUBSTITUTED QUINAZOLIN-4(3H)-ONE ANALOGS AS POTENTIAL ANTIBACTERIAL AGENTS

he development of antibiotic resistance in pathogenic microorganism is a global health concern due to the emergence of multidrug resistant organism (MDRO). It is essential to synthesize novel antimicrobial agents to deal with increased number of multidrug resistance organisms (MDRO) and limited antimicrobial agent. Literature survey showed that guinazolin-4(3H)-one possess varied biological activities and 2nd and 3rd positions are the target for substitution with other mojeties. On the other hand, isatin and sulphanilamide pharmacophore also exhibits wide range of pharmacological activities especially significant antibacterial activity though competitive inhibition of dihydropteroate synthetase enzyme. Hence, it has thought worthwhile to study the effects of these pharmacophoric moieties in one molecule with the base of quinazolin-4(3H)-one nucleus for better the antibacterial activity. A series of mannich bases, 2-(substituted phenyl)-3-[1-(substituted amino methyl)-2-oxoindolin-3-ylideneamino] quinazolin-4-(3H)-one derivatives and 2-(4'-substitutedphenyl)-3-[(N-2-oxoindolin-3-ylidene)-4"-sulphonamidophenyl]quinazolin-(3H)-one has synthesised. The title compound has synthesised from the intermediate schiff bases which is prepared by reacting 2-(substituted phenyl)-4H-benzo[d][1,3]-oxazin-4-one with hydrazine hydrate/sulphanilamide followed by isatin and the required benzoxazinone derivate has been prepared by reacting anthranilic acid with substituted benzoyl chloride. All the synthesised compounds structures were characterised by using H1 Nuclear Magnetic Resonance Spectroscopy. The intermediate schiff base and final mannich base compounds were evaluated for their antibacterial activity against Staphylococcus aureus, Bacillus cereus, Escherichia coli and Pseudomonas aeruginosa at a concentration of 50 μg/mL and 100 μg/mL by agar well diffusion method using Norfloxacin (50 µg/mL) as standard drug. From the study, it has been observed that the sulphanilamide substituted derivatives did not showed any inhibition against all the organism whereas amino substituted shciff and mannich base showed significant degree of inhibition. Finally, it has been concluded that mannich base derivatives of amino substitution at 3rd position in quinazolinone nucleus exhibited a higher degree of inhibition and also superior in its antibacterial activity against gram positive bacteria S. aureus and B. cereus.

Keywords: Quinazolin-4(3H)-one, Sulphanilamide, Isatin, Mannich, Schiff base, Antibacterial activity