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Synthesis of Some Biologically Active 4(3H)-Quinazolinones Derived from 2, 3- Pyridine Dicarboxylic

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Abstract

A novel 3-amino-4(3H)-quinazolinone was synthesized via two routes. The first route involved interaction of 2,3-pyridine dicarboxylic anhydride with anthranilic acid in acetic acid under reflux to give the amide derivative which was subjected to cyclodehydration and treatment with hydrazine hydrate. The second route involved preparation of amide by treatment of 2,3-pyridine dicarboxylic anhydride with methyl anthranilate in glacial acetic acid under reflux, then treated with hydrazine hydrate. Treatment of 3-amino-4(3H)-quinazolinone with isocyanate, isothiocyanate, ethyl chloroacetate and diethyl malonate gave urea, thiourea, thiazole and pyrimidine derivatives, respectively. In addition, some bisquinazolines were synthesized. Antimicrobial activities of some selected compounds were screened.

Introduction:

The chemistry of 4(3H)-quinazolinone system has received AN increasing interest due to its biological significance. Many derivatives of this method showed antifungal, medicine, antineoplastic] anti-inflammatory drug anticonvulsant drug analgesic and antitubercular activities. Moreover, base nucleus is acknowledge to be found in a very broad style of medicine such as nicotinamide, a widely known drug used as metabolism analeptic moreover as fungicides pesticides or for treatment of benign prostate dysplasia [15]. Considering of these factors it had been powerful to arrange a replacement series of 4(3H)-quinazolinone derivatives incorporating biologically active base moiety.

The most common approaches to synthesize 4(3H)-quinazolinone derivatives involve amidation of 2-aminobenzoic acid derivatives, then treatment of the amidated anthranilic acid derivatives with acetic anhydride to afford benzoxazinones, followed by their condensation with nitrogen nucleophiles. Here the amidated anthranilic acid was synthesized by novel route. Thus interaction of 2,3-pyridine dicarboxylic anhydride with anthranilic acid in glacial acetic acid under reflux afforded amidated anthranilic acid. Structure of the nicotinamide 2 supported based on correct analytical data and by studying the IR and mass spectral data. Analytical and spectral data supported the suggested structure. Structures of the amide 3 was predicted by careful studying of their spectral data. IR spectrum showed bands at: 3302, 2956 and 1680 cm -1 attributed for NH, aliphatic proton, C=O. Its 1 HNMR spectrum showed peaks at 3.8 and 11.2 ppm characterized for OCH3 and NH groups, respectively. Cyclodehydration of the amide 2 upon heating under reflux in acetic anhydride afforded 3,1-benzoxazin-4-one 4, in good yield. The structure of the benzoxazine was inferred from their microanalysis and spectral data. Their IR spectrum was characterized by disappearance of the bands of OH, NH groups and appearance of strong band in the 1762 cm-1 characteristic of the lactone group. 1 HNMR spectrum revealed signals at: δ = 7.7 (m, 3H, Ar-H), 7.9 (t, 1H, CH-pyridine), 8.2 (d, 1H, Ar-H), 8.5 (d, 1H, CH-pyridine), 8.8 (d, 1H, CH-pyridine), 9.3 (s, 1H, CH-pyridine), the mass spectrum of compound (C13H8N2O2) displayed molecular ion peak at m/z 224 (M+ ; 96.4%) and other significant peaks were observed at m/z 180 (M-CO2; 19.7%), 196 (M-CO; 43.6%), 146 (M-pyridinyl; 41.3%), 78 (2- pyridinyl; 100%) and 77 (pyridyne; 11.7%).

Conclusion:

4(3H)-quinazolinone derivatives having pyridine, urea, thiourea, thiazole and pyrimidine moieties were synthesized and characterized. Screening of some selected compounds was carried out for their potential antimicrobial activity.

Keywords: 4(3H)-Quinazolinone; 2, 3-Pyridine dicarboxylic anhydride; Pyridine; Antimicrobial activities