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
Chemistry

Synthesis and Antimicrobial and Antitumor Activity of Some New [1,2,4] Triazole-5-one Derivatives

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Abstract: 4-[Arylidene-amino]-3-thiophen-2-ylmethyl-4,5-dihydro[1,2,4]triazole-5-one compounds (3a-g) with Schiff base character were obtained from the reaction of 4-amino-3-thiophen-2-ylmethyl-4,5-dihydro-1H-[1,2,4]triazole-5-one (2) with various aldehydes. 1-(2-Oxo-2-phenyl-ethyl)-4-[arylidene-amino]-3-thiophen-2-ylmethyl-4,5-dihydro-1H-[1,2,4]triazole-5-ones (4a-g) were synthesized from the reaction of corresponding compounds 3a-g with bromoacetophenone. 1-(2-Hydroxy-2-phenyl-ethyl)-3-thiophen-2-ylmethyl-4-[aryl-amino]4,5-dihydro-1H-[1,2,4]triazole-5-ones (5a-g) and 1-(2-hydroxy-2-phenyl-ethyl)-3-thiophen-2-ylmethyl-4-[arylidene-amino] 4,5-dihydro-1H-[1,2,4]triazole-5-ones (6b,d,e) were obtained from the selective reduction of 1-(2-oxo-2-phenyl-ethyl)-4-[arylidene-amino]-3-thiophen-2-ylmethyl-4,5-dihydro-1H-[1,2,4] triazole-5-ones (4) with NaBH₄. They were characterized by IR, H-NMR, ¹³C-NMR, and elemental analyses. Compounds 2, 3a, 3c, 3g, 4f, 5b, and 5g showed good antifungal activity against yeast-like fungi. Compounds selected by the National Cancer Institute (NCI, USA) were investigated for antitumor activity.

Key Words: Triazole-5-one, acetophenone, NaBH₄, antimicrobial and antitumor activity

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