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Synthesis and antimicrobial activities of some new biheterocyclic compounds containing 1,2,4-triazol-3-one and 1,3,4-thiadiazole moieties

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Abstract: 2-(4-Amino-3-(4-chlorophenyl)-5-oxo-4,5--dihydro-1H-1,2,4-triazol- 1-yl)-N'-[(2,6-dihalogenophenyl)-methylene]acetohydrazides (3a,b) was obtained via the formation of 2-(4-amino-3-(4-chlorophenyl)-5-oxo-4,5- dihydro-1H-1,2,4-triazol-1-yl)acetohydrazide (2), which was obtained starting from 4-amino-5-(4-chlo\-rophenyl)-2,4-dihydro-3H-1,2,4-triazol-3-one (1) in 2 steps. 2-{[4-amino-3-(4-chlorophenyl)-5-oxo-4,5--dihydro-1H- 1,2,4-triazol-1-yl]acetyl}-N-phenylhydra-zine carbothioamide (4), which was prepared starting from 2, was converted to the corresponding 1,3,4-thiadiazole derivative (5) in acidic media. Moreover, the basic treatment of 4 resulted in the formation of 4-amino-5-(4-chlorophenyl)-2-[(4-phenyl-5-thioxo-4,5-dihydro-1H-1,2,4-triazol-3-yl)methyl]-2,4- dihydro-3H-1,2,4-triazol-3-one (7). The reactions of compounds 5 and 7 with methyl iodide in the presence of sodium ethoxide afforded the corresponding N-methyl (6) and S-methyl (8) derivatives, respectively. The synthesis of Mannich bases (10a and 10b) was performed from the reaction of 7 with morpholine or piperazine in the presence of formaldehyde. All the newly synthesized compounds were screened for their antimicrobial activity. The antimicrobial activity study revealed that compounds 3a, 3b, and 5 showed good antimicrobial activities against the test microorganisms as compared with ampicillin.

Key Words: 1,2,4-triazol-3-one, 5-thioxo-1,2,4-triazole,

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