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Synthesis and antimicrobial activities of some new 1,2,4-triazole derivatives

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Abstract: The synthesis of ethyl [3-(cyanomethyl)-5-alkyl-4H-1,2,4-triazol- 4-yl]carbamates (2a-d) was performed starting from ethyl 2-[ethoxy(4- (aryl)methylene]hydrazinecarboxylates (1a, 1b). The treatment of 2a with thiosemicarbazide afforded ethyl [3-[(5-amino-1,3,4-thiadiazol- 2-yl)methyl]-5-(4-nitrophenyl)-4H-1,2,4-triazol-4-yl]carbamates (3a), whereas compound 2b produced 5-{[4-amino-5-(4-methylphenyl)-4H-1,2,4-triazol-3-yl]methyl}-1,3,4-thiadiazol-2-amine (3b) in the same reaction conditions. The treatment of tert-butyl 2-[2-(4-chlorophenyl)-1-ethoxyethylidene]hydrazinecarboxylate (5) with malonohydrazide or cyanoacethydrazide gave the corresponding 1,2,4-triazol-ylcarbamate derivatives (6 or 9); then the hydrolysis of these compounds resulted in the formation of 3-{[4-amino-5-(4- chlorobenzyl)-4H-1,2,4-triazol-3-yl]methyl}-5-(4-chlorobenzyl)-4H- 1,2,4-triazol-4-amine (7) and [4-amino-5-(4-chlorobenzyl)-4H-1,2,4-triazole-3-yl]acetonitrile (10), respectively. The synthesis of the Schiff base derivatives 3-(4-chlorobenzyl)-5-{[5-(4-chloroben- zyl)-4-[(2-hydroxyphenyl-methylene)amino]-4H-1,2,4-triazol-3-yl]methyl}-N-(2-hydroxyphenylmethylene)-4H-1,2,4-triazol-4-amine (8), and (5-(4-chlorobenzyl)-4-{[(2,6-dichlorophenyl)methylene]amino}-4H-1,2,4- triazol-3-yl)acetonitrile (12) was performed from the reaction of compounds 7 and 10 with salicyl aldehyde (for 8) or 2,6-dichlorobenzaldehyde (for 12), respectively. The treatment of compounds 5 or 10 with thiosemicarbazide gave 5-{[4-amino-5-(4-chlorobenzyl)-4H-1,2,4-triazol- 3-yl]methyl}-1,3,4-thiadiazol-2-amine (11). All the newly synthesized compounds were screened for their antimicrobial activities and were found to possess good or moderate antimicrobial activity.

Key Words: 1,3,4-Thiadiazole, 1,2,4-triazole, carbamate, antimicrobial activity

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