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Search of Compounds with Antimicrobial and Antifungal Activity in the Series of 1-(2-(1H-Tetrazol-5-yl)-R1-phenyl)-3-R2-phenyl(ethyl)ureas

Authors : O. Antypenko, I. Vasilieva, S. Kovalenko

Abstract : Investigations for new effective and less toxic antimicrobials agents are always up-to-date. The tetrazole derivatives are quite interesting objects as for synthesis as well as for pharmacological screening. Thus, some derivatives of tetrazole demonstrated antimicrobial activity, namely 5-phenyl-tetrazolo[1,5-c]quinazoline was effective one against Staphylococcus aureus and Esherichia faecalis (MIC = 250 mg/L). Besides, investigation of the 9-bromo(chloro)-5-morpholin(piperidine)-4-yl-tetrazolo[1,5-c]quinazoline's antimicrobial activity against Esherichia coli and Enterococcus faecalis, Pseudomonas aeruginosa and Staphylococcus aureus revealed that sensitivity of Gram-positive bacteria to the compounds was higher than that of Gram-negative bacteria. So, our previously synthesized, 31 derivatives of 1-(2-(1H-tetrazol-5-yl)-R1-phenyl)-3-R2-phenyl(ethyl)ureas were decided to test for their in vitro antibacterial activity against Gram-positive bacteria (Staphylococcus aureus ATCC 25923, Enterobacter aerogenes, Enterococcus faecalis ATCC 29212), Gram-negative bacteria (Pseudomonas aeruginosa ATCC 9027, Escherichia coli ATCC 25922, Klebsiella pneumoniae 68) and antifungal properties against Candida albicans ATCC 885653. Agar-diffusion method was used for determination of the preliminary activity compared to well-known reference antimicrobials. All the compounds were dissolved in DMSO at a concentration of 100 µg/disk, using inhibition zone diameter (IZD, mm) as a measure for the antimicrobial activity. The most active turned to be 3 structures, that inhibited several bacterial strains: 1-ethyl-3-(5-fluoro-2-(1H-tetrazol-5-yl)phenyl)urea (1), 1-(4-bromo-2-(1H-tetrazol-5-yl)-phenyl)-3-(4-(trifluoromethyl)phenyl)urea (2) and 1-(4-chloro-2-(1H-tetrazol-5-yl)phenyl)-3-(3-(trifluoromethyl)phenyl)urea (3). IZM (mm) was 40 (Escherichia coli), 25 (Klebsiella pneumonia) for compound 1; 12 (Pseudomonas aeruginosa), 15 (Staphylococcus aureus), 10 (Enterococcus faecalis) for compound 2; 25 (Staphylococcus aureus), 15 (Enterococcus faecalis) for compound 3. The most sensitive to the activity of the substances were Gram-negative bacteria Pseudomonas aeruginosa. While none of compound effected on Candida albicans. Speaking about, reference drugs: Amikacin (30 µg/disk) showed 27 and Ceftazide (30 µg/disk) 25 against Pseudomonas aeruginosa. That is, unfortunately, higher than studied 1-(2-(1H-tetrazol-5-yl)-R1-phenyl)-3-R2-phenyl(ethyl)ureas. Obtained results will be used for further purposeful optimization of the leading compounds in the more effective antimicrobials because of the ever-mounting problem of microorganism's resistance.

Keywords : antimicrobial, antifungal, compounds, 1-(2-(1H-tetrazol-5-yl)-R1-phenyl)-3-R2-phenyl(ethyl)ureas
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