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The antibacterial and antifungal activities of 3-(3,4-diaryl-1,2,4-triazole-5-yl) propenoic acid

In the course of reaction of N^3-substituted amidrazones with maleic anhydride, isomers of Z 3-(3,4-diaryl-1,2,4-triazole-5-yl) propenoic acid were synthesized. Due to reaction of these isomers with glacial acetic acid, the E 3-(3,4-diaryl-1,2,4-triazole-5-yl) propenoic acid was obtained. On the basis of the elemental analysis and the spectral analysis (IR, H NMR) as well as the X-ray crystallography the structure of the newly synthesized compounds was confirmed. The compounds were characterized by good solubility in alcohols (methanol, ethanol, propanol, n-butanol), N,N-dimethylacetatamide, dimethylsulfoxide.

The newly synthesized 1,2,4-triazoles exhibited immunomodulatory activities. Compounds inhibited mitogen-stimulated TNF production, whereas none induced IFNγ production in human blood leukocytes. It seems likely, that compounds may be considered as a potent anti-inflammatory agent. For this reason, anti-inflammatory activities of these compounds should be further investigated (2, 3). These newly synthesized compounds have also anticonvulsive and antinociceptive properties, in company with no influence to body temperature and motor impairment (2).

Because of the shown biological activity of the compounds and their potential medical use it is necessary to conduct a research into their antibacterial and antifungal activities.

The following compounds were tested: Z 3-((3,4-diphenyl)-1,2,4-triazole-5-yl) propenoic acid (1); Z 3-((2-pyridyl)-4-phenyl-1,2,4-triazole-5-yl) propenoic acid (2); Z 3-((2-pyridyl)-4-methylphenyl-1,2,4-triazole-5-yl) propenoic acid (3); Z 3-((2-pyridyl)-4-nitrophenyl-1,2,4-triazole-5-yl) propenoic acid (4); Z 3-(3,4-di-(2-pyridyl)-1,2,4-triazole-5-yl)propenoic acid (5); Z 3-((4-pyridyl)-4-phenyl-1,2,4-triazole-5-yl) propenoic acid (6); Z 3-((4-pyridyl)-4-methylphenyl-1,2,4-triazole-5-yl) propenoic acid (7); E 3-((3,4-diphenyl)-1,2,4-triazole-5-yl) propenoic acid (8); E 3-((2-pyridyl)-4-phenyl-1,2,4-triazole-5-yl) propenoic acid (9).

MATERIAL AND METHODS

Antibacterial and antifungal activity of 1–9 compounds were tested by the dilution method under standard conditions using Mueller-Hinton agar medium as described by NCCLS (4), Block et al. (1) and Parnowska (5). All chemicals and solvents (DMSO) were purchased from Sigma. Bacteria were used as follows: *Acinetobacter baumannii* multidrugresistant (2 strains), *A. junii* multidrugsensitive,

Staphylococcus aureus multidrugsensitive (2 strains), *S. aureus* 209 P, *S. aureus* multidrugresistant (2 strains), *S. epidermidis* PCM 2118, *S. hominis* PCM 2122, *S. haemolyticus* PCM 2113, *Klebsiella pneumoniae* multidrugresistant (2 strains), *K. pneumoniae* multidrugsensitive (2 strains), *K. pneumoniae* ATCC 700603, *Escherichia coli* multidrugresistant (3 strains), *E. coli* ATCC 35218, *Enterococcus faecalis* (3 strains), *E. faecalis* ATCC 51299, *Pseudomonas aeruginosa* ATCC 27853, *P. aeruginosa* multidrugsensitive (2 strains), *P. aeruginosa* multidrugresistant (2 strains). Fungi were used as follows: *Candida albicans* (2 strains) *C. glabrata* (2 strains), *C. krusei* (2 strains). The bacterial and fungal strains were incubated for 24 h at 35°C.

RESULTS AND DISCUSSION

Antibacterial and antifungal activity of the used compounds expressed as MIC (Minimal Inhibitory Concentrations) values in μg/ml. On the basis of microbiological tests, it can be concluded that the newly synthesized compounds (1–9) do not act against the examined strains of various bacterial (Gram-positive and Gram-negative) and fungal species at concentrations up to 512 μg/ml.

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SUMMARY

The newly synthesized 1,2,4-triazole derivatives possessing immunomodulatory properties and anticonvulsive and antinociceptive activities, were tested for antibacterial and antifungal activities. In this paper, we demonstrated that these derivatives revealed no activity against bacterial and fungal strains at concentrations up to 512 μg/ml.

Właściwości przeciwbakteryjne i przeciwgrzybicze pochodnych układu 1,2,4-triazolu

Nowo zsyntetyzowane pochodne 1.2.4-triazolu posiadają działanie immunomodulujące oraz wykazują silne działanie na centralny układ nerwowy. W pracy stwierdzono, że związki te nie wykazują aktywności przeciwgrzybiczej i przeciwbakteryjnej.