ABSTRACT

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The task of this work was to design, synthesize and evaluate the biological activity of pyrazinamide derivatives whose structure contains a 1,2,3-triazole linker. Two series of compounds were prepared, which differed in the substitution on the triazole. In the first series, the substituent was phenyl, in the second series it was 1-naphtyl. It was also observed how para-substitution on the benzene or naphthalene nucleus in the side chain would affect the activity. The synthesis had multiple steps, and it was necessary to first synthesize the starting materials, which are generally alkyne and azide. The resulting molecules were prepared by the click chemistry method, namely azide-alkyne cycloaddition. In general, we can say that the synthesis and work with the second series of compounds was much more demanding compared to the first series, especially regarding reaction conditions, purification, solubility and also the assessment of biological activity itself. A total of 20 new compounds were synthesized as part of this work. The results of the biological activities of the compounds described in this work showed significant activity for two compounds. The first compound is 5-chloro-*N*-((1-phenyl-1*H*-1,2,3-triazol-4-yl)methyl)pyrazin- 2-carboxamide (**1c**), with minimum inhibitory concentration (MIC) against *Mycobacterium tuberculosis H37Ra* equal to 62.5 µg/ml. The second compound with significant activity is *N*-((1-(4-nitronaphthalen-1-yl)-1*H*-1,2,3-triazol-4-yl)methyl)pyrazine-2-carboxamide (**2d**), with MIC for *Staphylococcus aureus* subsp. *aureus* equal to 62.5 µM and for *Staphylococcus epidermidis* 31.25 µM.