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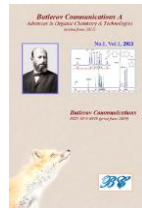

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Synthesis and biological activity of sulfamide derivatives of 4-(5-amino-1-methyl-1*H*-benzo[*d*]imidazol-2-yl)-*N*-propylbenzamide

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Abstract

Compounds containing a benzimidazole moiety are known for their broad spectrum of biological activity. It is also characterized by high therapeutic efficacy and pharmacological stability with relatively low toxicity. In a six-step process, sulfonamides were obtained based on 4-(5-amino-1-methyl-1*H*-benzo[*d*]imidazol-2-yl)-*N*-propylbenzamide. *N'*-methyl-1,2-diamino-4-nitrobenzene was taken as the starting compound, which was acylated and then condensed in a solution of hydrochloric acid. Amidation was carried out in the presence of carbdiimidazole (CDI) with heating. One of the nitro groups was reduced selectively with hydrogen at the last stage. The structure of the target products was established by means of NMR spectroscopy. The method of serial dilutions was used to study bacteriostatic activity on *Escherichia coli* and *Staphylococcus aureus* cultures and fungicidal activity on fungi of the genus *Candida*. To determine the effective concentration, the obtained substances were taken in the range from 50 mg/ml to 1.56 mg/ml. Studies have shown that some of the compounds inhibit the growth of microorganisms, and some completely inhibit it. Moreover, the concentrations are comparable to known drugs such as Ampicillin. The active concentration was taken as the substance content of 12.5 mg/ml. Studies on the establishment of acute and chronic toxicity were carried out on ciliates of the *Tetrahymena pyriformis* species, which have variability and a large set of chromosomes, which makes it possible to identify toxic effects in a short period of time. The resulting compounds were administered at an effective antibacterial dose. After 3 hours (acute toxicity) and 48 hours (chronic toxicity), no abnormalities in the vital functions of the organisms were found. This allows us to conclude that the studied sulfochlorides are non-toxic.

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