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Abstract

Evaluation of the Antimicrobial Activity of *N*-Acylated 4-Chloro-2-mercaptobenzenesulfonamide Derivatives †

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Abstract: Aryl/heteroarylsulfonamides are an important group of compounds with different directions of biological activity. The number of literature reports on the antibacterial activity of sulfonamides is steadily increasing, bringing a lot of interesting data on the diverse structures and mechanisms of their pharmacological action. The presented research joins the stream of the search for new hybrid molecules being created as a result of the combination of various pharmacophores with interesting biological profiles. Particular attention was paid to their antibacterial activity. The new compounds were designed and obtained based on the structure of the pharmacophore group of 4-chlorobenzenesulfonamide functionalized in the 2-position on sulfur atom, and the structure of chalcone. Taking into account the previous results of our own research and the available literature data, new *N*-(4-chloro-2-arylmethylthio-5-methylphenylsulfonyl)cinnamamide derivatives were designed and synthesized, which have pharmacophore groups in their structure, such as: 1-naphthylmethylthio and 6-chloropiperonylthio. Preliminary microbiological analysis was performed using *TLC-bioautography*. The expected antibacterial activity of the obtained compounds was confirmed inin vitrotests against Gram-positive bacteria: *S. aureus*, *S. epimermidis*, *E. hirae*, *E. faecalis*, and *B. subtilis*. In the next stage, the microbiological activity of selected compounds against clinical strains MRSA, CNS, and MRSE was also tested. The derivatives' activity against bacterial biofilm and hemolytic activity on the peripheral blood of domestic sheep were also tested.

Keywords: benzenesulfonamide; antimicrobial activity; biofilm; synthesis

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