SYNTHESIS AND ANTIBACTERIAL ACTIVITY OF 3-ALKYLSUBSTITUTED 4-CHLORO-2-METHYLQUINOLINES AND THEIR SALTS

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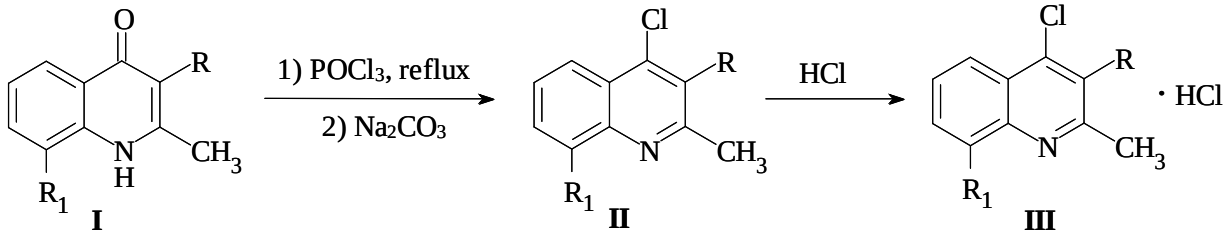
Introduction. In recent times humanity was faced with the problem of antimicrobial resistance occurrence. This problem has rapidly escalated and became threatening. Because of this, the research of novel classes of antibacterial drugs actual more that ever.

Aim. Synthesis and study of the antibacterial activity of novel 3-alkylsubstituted 4-chloro-2-methylquinolines and their hydrochlorides were the aim this research work.

Materials and methods. The target compounds **II** and **III** have been synthesized with yields 55-82% by reaction of corresponding 3-alkyl-2-methylquinolin-4-ones **I** with phosphorus oxychloride under the reflux.



The structure of the compounds obtained was confirmed by ^{1}H NMR spectroscopic method and the Beilstein test.

The study of antimicrobial activity of the compounds **II** and **III** have been carried out using the agar diffusion screening method known as “well method”. Test-strains of *Staphylococcus aureus*, *Escherichia coli*, *Pseudomonas aeruginosa*, *Proteus vulgaris*, *Bacillus subtilis* and *Candida albicans* recommended by WHO were used. The serial dilution method has been used for determination of the minimum inhibitory concentration (MIC) for the most promising compounds.

Results and discussion. The results of antimicrobial activity screening have shown that novel 4-chloro-2-methylquinolines **II** and their hydrochlorides **III** have a moderate broad-spectrum activity but hydrochlorides **III** tested were more active against all test-strains. It may be explained by higher water-solubility of these compounds.

Conclusions. According to the results, 4-chloro-3-hexyl-2-methylquinoline hydrochloride was chosen as promising antibacterial compound with MIC 0.5-1.0 mg/ml for *Staphylococcus aureus* and 1.0-2.5 mg/ml for *Escherichia coli*.