SYNTHESIS AND ANTIMICROBIAL PROPERTIES OF 3-ALKYL-2-METHYLQUINOLIN-4-THIONES

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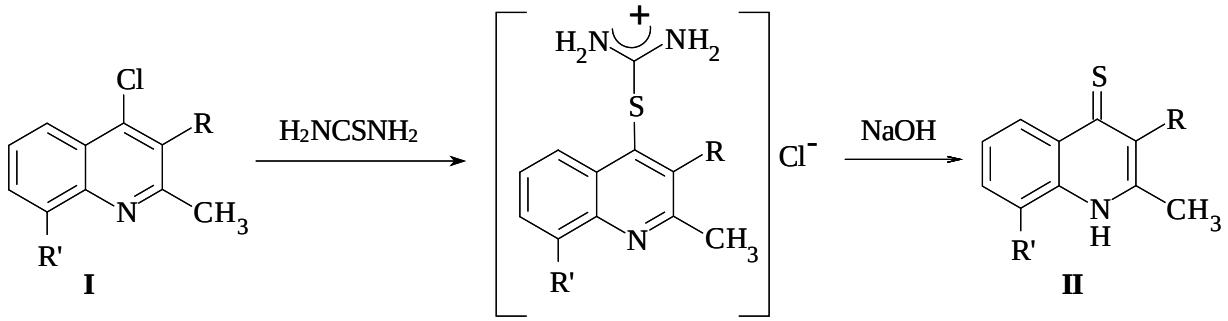
Introduction. The need for novel antimicrobial drugs is greater than ever because of the emergence of multidrug resistance in common pathogens and the rapid emergence of new infections.

Aim. Development of versatile method of synthesis of 3-alkyl-2-methylquinolin-4-thiones and study of their antimicrobial properties were the aim our research work.

Materials and methods. The 3-alkyl-2-methylquinolin-4-thiones **II** have been synthesized *via* reaction of corresponding 4-chloro-2-methylquinolines **I** with thiourea and further alkaline hydrolysis of isothiuronium salts obtained. The structure of the compounds **II** was confirmed using ^{1}H NMR spectroscopy.



yields 53-80%

The study of antimicrobial properties of novel 3-alkyl-2-methylquinolin-4-thiones **II** have been performed using the agar diffusion screening method ("well method") and the serial dilution method for determination of the minimum inhibitory concentration (MIC) for the most promising compounds. Test-strains of *Staphylococcus aureus*, *Escherichia coli*, *Pseudomonas aeruginosa*, *Proteus vulgaris*, *Bacillus subtilis* and *Candida albicans* recommended by WHO were used.

Results and discussion. The results of antimicrobial activity screening of 2-methylquinolin-4-thiones **II** have shown that most of 3-alkylsubstituted derivatives are more active against all test-strains than 3-unsubstituted analogues. Moreover, they have anticandidal activity. The exception was 3-benzyl-2,8-dimethylquinolin-4-thione that displayed the weakest antibacterial activity. It may be explained by high lipophilicity of this compound.

Conclusions. Based on the results, 3-ethyl-2-methylquinolin-4-thione was chosen as promising antimicrobial compound with MIC 1.0-2.5 mg/ml for *Staphylococcus aureus* and 1.0-2.5 mg/ml for *Escherichia coli*.