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SYNTHESIS AND ANTIMICROBIAL ACTIVITY OF 3-ALKYLSUBSTITUTED 4-ARYLAMINO-2-METHYLQUINOLINES

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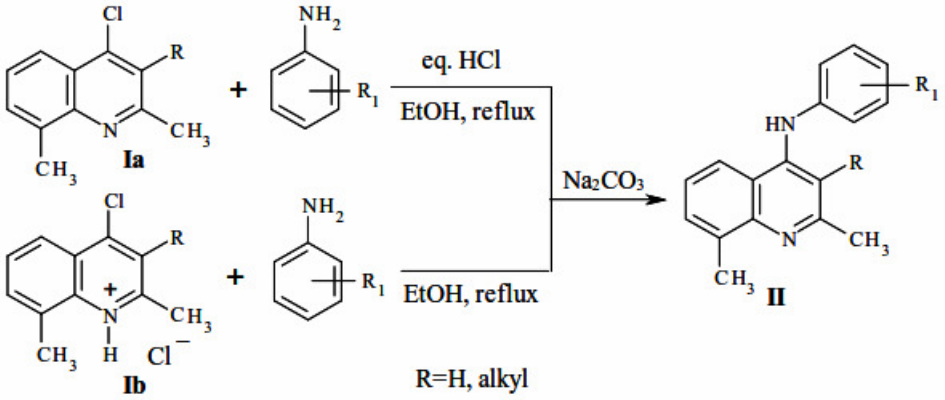
Introduction. The research of novel classes of antibacterial drugs actual more that ever due to the problem of antimicrobial resistance occurrence. This problem has rapidly escalated and became threatening.

Aim. Synthesis and study of the antimicrobial properties of novel 3-alkylsubstituted 4-arylamino-2-methylquinolines were the aim this research work.

Materials and methods. The target 3-alkyl-4-arylamino-2-methylquinolines **II** were synthesized by reaction of 3-alkyl-4-chloro-2-methylquinolin-4-ones **Ia** with substituted anilines in ethanol under the reflux in the presence of equimolar amounts of hydrochloric acid or starting with corresponding hydrochlorides **Ib** under the same conditions but without additional amounts of acid (Scheme).



The structure of the compounds synthesized was confirmed by ^{1}H-NMR spectroscopic method. The study of antimicrobial activity of the compounds **II** was carried out using the agar diffusion screening method known as "well method" against standard test-strains.

Results and discussion. The results of antimicrobial activity screening have shown that the most microbiologically active was less substituted 2,8-dimethyl-4-phenylaminoquinoline. This fact may be explained by higher water-solubility of this compound in comparison with 3-alkylsubstituted derivatives.

Conclusions. According to the SAR-analysis of the results obtained, further search for antimicrobial agents in the series of highly substituted 4-arylamino-3-alkyl-2-methylquinolines is unreasonable.