

SYNTHESIS AND ANTIMICROBIAL ACTIVITY OF 3-AMINO-5-METHYL-2-(ALKYTHIO)-4-OXO-3,4-DIHYDROTHIENO[2,3-d]PYRIMIDINE-6-CARBOXYLIC ACID DERIVATIVES

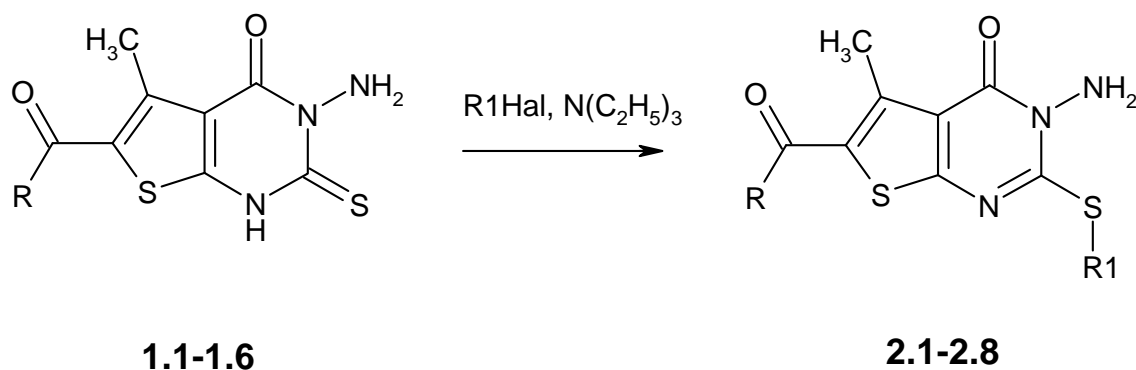
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Synthesis and study of antimicrobial activity of 3-amino-5-methyl-2-(alkythio)-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-6-carboxylic acid derivatives is an important task, because many of the compounds with the similar structure were reported as antimicrobials.

Synthesis of the target compounds **2** has been performed by alkylation of the compounds **1** with benzyl chlorides and chloroacetic acid. The reaction was carried out in dimethylformamide media using triethylamine as a basic catalyst.



- 2.1** R = OEt, R1 = CH₂COOH; **2.2** R = NH(p-CH₃Ph), R1 = CH₂COOH;
2.3 R = NH(p-CH₃Ph), R1 = CH₂Ph; **2.4** R = NH(o-CH₃Ph), R1 = CH₂Ph;
2.5 R = NH(p-CH₃Ph), R1 = CH₂(p-CH₃Ph); **2.6** R = NH(2-Pyridyl), R1 = CH₂(p-CH₃Ph);
2.7 R = NH(p-FPh), R1 = CH₂(p-CH₃Ph); **2.8** R = NH(p-OCH₃Ph)

The structures of all of the compounds were confirmed by ¹H NMR spectroscopic data and in some cases chromatographic analyses.

The antimicrobial activity of the compounds **2** has been studied by agar well-diffusion method. In general the larger zone of growth inhibition was considered as indicator of higher antimicrobial activity for the exact tested compound. The highest activity has been determined for **2.3** and **2.5**, which are modified with *p*-methylphenyl substituent in amide fragment of the molecule. Though the activity of all of the compounds **2** tested may be classified as moderate.