APPROACHES TO SYNTHESIS OF 3-(5-R-AMINO-[1,3,4]THIADIAZOL-2-YL)-1,2,2-TRIMETHYLCYCLOPENTANECARBOXYLIC ACIDS

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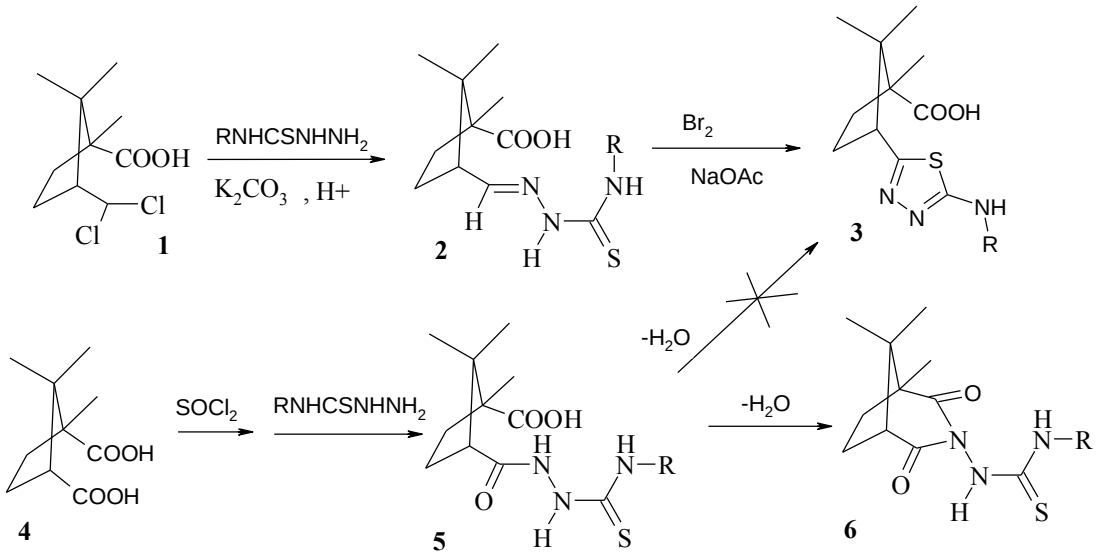
Introduction. This work is continuation of search for biologically active substances among the derivatives with 1,2,2-trimethylcyclopentanecarboxylic acid moiety.

Aim. The aim of the work is development a method for synthesis of 3-(5-R-amino-[1,3,4]thiadiazole-2-yl)-1,2,2-trimethylcyclopentanecarboxylic acids (3, scheme).

Results and discussion. At the first stage thiosemicarbazones (2) have been obtained by interaction beetween 3-dichloromethyl-1,2,2-trimethylcyclopentanecarboxylic acid (1) and 4-R-thiosemicarbazides. Followed cyclization of thiosemicarbazones (2) under the action of bromine leads to target acid 3.

An attempt to synthesize acids (3) using camphoric acid (4) as a starting compound was also made. But it was found that the dehydration of acylthiosemicarbazides (5) ended up with the formation of imide ring and compounds (6) were obtained.



Conclusion. 3-Dichloromethyl-1,2,2-trimethylcyclopentanecarboxylic acid has been proposed as the starting material for two step synthetic procedure of the desired product.