BIGINELLI-LIKE SYNTHESIS OF 2-AMINOTHIAZOLE DERIVATIVES

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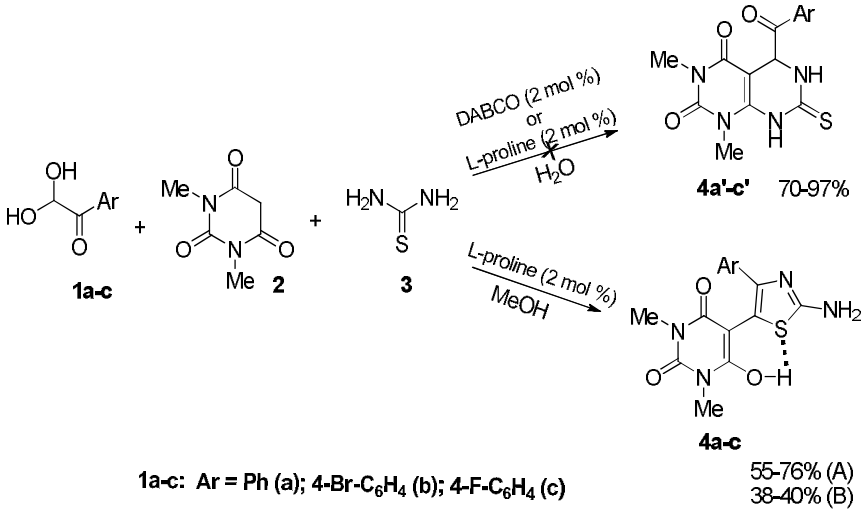
Arylglyoxals are often convenient and available precursors in the synthesis of many heterocyclic compounds. Many cyclocondensations involving arylglyoxals have recently been performed as one-pot multicomponent reactions.

We have previously investigated a number of Biginelli-like multicomponent reactions involving arylglyoxal hydrates, a number of CH-acids with urea and thiourea. In particular, the boiling of arylglyoxals **1a-c**, dimethylbarbituric acid **2** and thiourea **3** leads to the formation of 5-(2-amino-4-arylthiazol-5-yl)-6-hydroxy-1,3-dimethylpyrimidine-2,4-dions **4a-c** [2]. The interaction was carried out in two ways: step by step adding of the reagents (method A) or one-pot synthesis (method B). It turned out the first method to be more productive.



That is why we became interested in the results of Rimaz M. and the others' article who had reported that Biginelli-like reaction in water in the presence of DABCO or L-proline catalyst between these reagents leads to pyrido[4,5-d]pyrimidine derivatives **4a'-c'**[1]. Therefore, we condensed the initial components in both methanol and water in the presence of L-proline. The compounds obtained under different conditions according to ^{1}H and ^{13}C NMR spectra, TLC, etc., were in agreement with the characteristics of the previously synthesized substances **4a-c**. Thus, it can be argued that the products of this multicomponent condensation of arylglyoxal hydrates, thiourea and 1,3-dimethylbarbituric acid are the derivatives of 2-aminothiazole.

1. Rimaz, M., Khalafy, J., Mousavi, H. Res. Chem. Intermed. 2016. – 42.
2.. Kolos N. N., Zamigailo L. L., Musatov V. I. Chem. Heterocycl. Compd. 2009. – 45.