

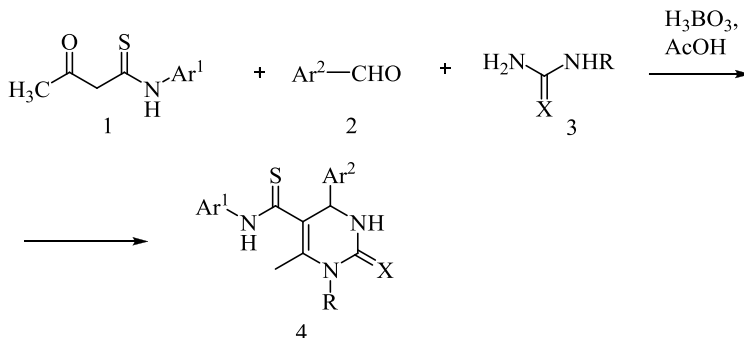
2-ACYLTHIOACETAMIDES IN THE BIGINELLI REACTION

Simurova N.V.^a, Maiboroda O.I.^a, Britsun V.N.^b^aNational University of Food Technologies, Kyiv, Ukraine^bState Institution "O.M. Marzeiev Institute for public health" NAMSU, Kyiv, Ukraine

e-mail: n.v.simurova@gmail.com

Biginelli reaction is a general method for the synthesis of 3,4-dihydropyrimidine-2-ones, their derivatives exhibit a wide spectrum of biological effects including antiviral, anticancer, and antihypertensive properties¹. The importance of multicomponent reactions has prompted the renewed interest to the Biginelli reaction. The change in the three building blocks ensured the synthesis of a large number of new multi-functional pyrimidine derivatives and was widely used in the combinatorial synthesis².

We have found that 2-acylthioacetamides **1** react with aromatic aldehydes **2** and urea / thiourea **3** in the presence of a catalyst: boric acid. The synthesis has been carried out in acetic acid within temperature range 100-110°C.



An attempt to oxidize tetrahydropyrimidines **4** does not lead to the cycle closure of benzothiazole fragment. The process proceeds resulting in amide group due to desulfurization.

Reference

¹ Kappe, C. O. *Eur. J. Med. Chem.* **2000**, 35, 1043.² Ryabukhin S. V. *Mol. Divers.* **2011**, 15, 189.