SYNTHESIS OF SUBSTITUTED FURAN-3-CARBOXYLATES BASED ON ETHYL 3-BROMO-3-NITROACRYLATE

Gomonov K.A., Pelipko V.V., Makarenko S.V.

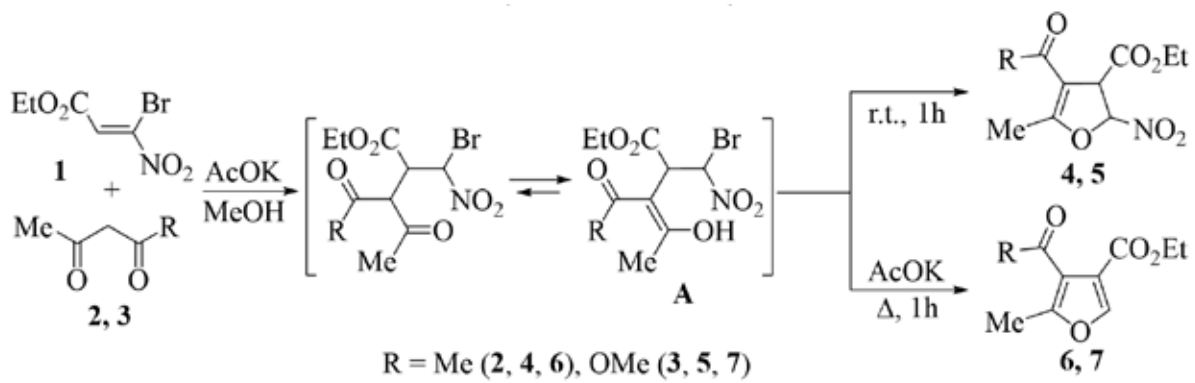
The Herzen State Pedagogical University of Russia
191186, Russia, Saint Petersburg, 48 Moyka River Embankment,
e-mail: kohrgpu@yandex.ru

Furancarboxylate derivatives are of undoubted interest due to the presence of important biologically active properties in their representatives. For example, methyl 4,5-diethyl-2-(3-methoxy-3-oxopropyl)furan-3-carboxylate inhibits insulin secretion[1]. At the same time, it is known that alkyl 3-bromo-3-nitroacrylates are convenient starting materials for the synthesis of benzo[*b*]furan-3-carboxylates[2].

We studied the reactions of ethyl 3-bromo-3-nitroac2rylate **1** with pentane-2,4-dione **2** and methyl 3-oxobutanoate **3**, which completed under mild conditions with the formation of 2-nitro-2,3-dihydrofuran-3-carboxylates **4, 5** with a yield of 70-75%.

The interaction proceeds along the path of the initial formation of the Michael adduct **A**, followed by intramolecular *O*-alkylation with the participation of the enol hydroxyl and the bromonitromethyl group.

Therefore, refluxing the reaction mixture with a twofold excess of potassium acetate leads to the formation of substituted furan-3-carboxylates **6, 7** with a yield of 61-68%.



Thus, it has been shown that the reactions of bromonitroacrylate with representatives of acyclic CH-acids, depending on the reaction conditions, lead to the formation of nitrodihydrofuran-3-carboxylates or their denitrated products.

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References
1. Nagy E., Liu Y., Prentice K.J. et al. *J. Med. Chem.* 2017, **60**, 1860.
2. Pelipko V.V., Baichurin R.I., Kondrashov E.V., Makarenko S.V. *Russ. J. Gen. Chem.* 2021, **91**, 167.