

## A Peculiarity of Multicomponent Reaction of 1*H*-2,1-Benzothiazin-4(3*H*)-one 2,2-dioxide with Ethyl Cyanoacetate and Benzaldehydes

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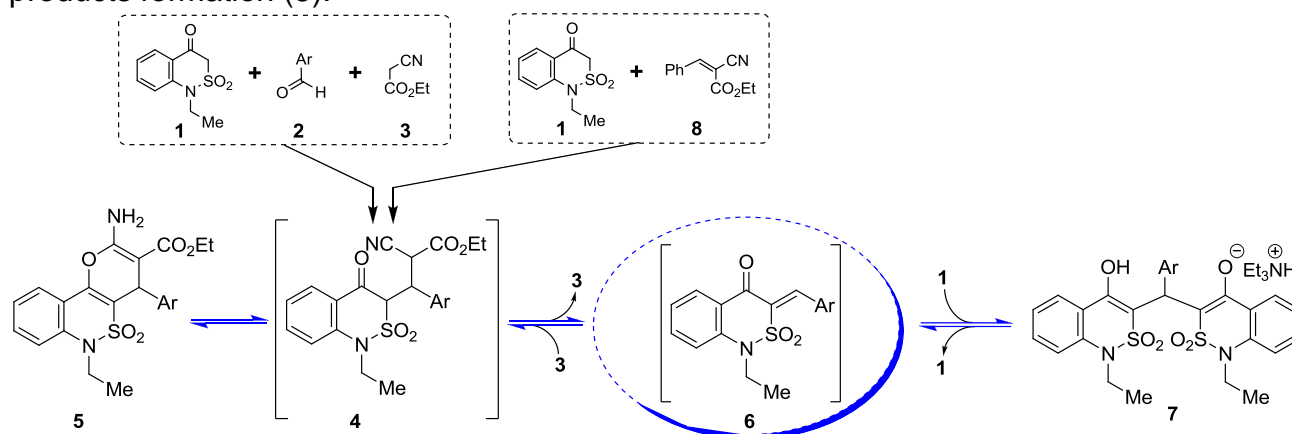
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Multicomponent reactions (MCR) of enol-nucleophilic compounds with carbonyl compounds and active methylene nitriles are long time known for a variety of different substrates. In the most cases, this MCR is a direct route to facile formation of 2-amino-4*H*-pyran core [1]. In our recent work we have described an MCR of 1*H*-2,1-benzothiazin-4(3*H*)-one 2,2-dioxide (**1**) with active methylene nitriles and isatines, which led to the fused 2-amino-4*H*-pyrans spirocondensed with 2-oxindol ring [2].

Application of building block **1** in this reaction with benzaldehydes (**2**) and malononitrile also provided high yields of corresponding condensed 2-amino-3-cyano-4*H*-pyrans. However, the use of ethyl cyanoacetate (**3**) in this MCR with **1** and **2** resulted in formation of two product types: the expected 4*H*-pyran derivatives (**5**) and/or bis-adducts (**7**). Model experiments were performed to establish the reaction mechanism showing, in particular, that arylidenes **6** are the key intermediates in the route to both **5** and **7**. The reaction conditions were further modified based on the suggested mechanism this allowed us to improve selectivity of the MCR and direct the process to the side of the target products formation (**5**).



[1] Y.M. Litvinov, A.M. Shestopalov. Synthesis, structure, chemical reactivity, and practical significance of 2-amino-4*H*-pyrans // in *Adv. Heterocycl. Chem.*, Academic Press – 2011. Vol.103. – P. 175-260.

[2] L.A. Shemchuk, D.A. Lega, R.G. Redkin, V.P. Chernykh, O.V. Shishkin, S.V. Shishkina. An efficient, three-component synthesis and molecular structure of derivatives of 2-amino-3-*R*-6-ethyl-4,6-dihydropyrano[3,2-*c*][2,1]benzothiazine-5,5-dioxide spirocombined with a 2-oxindole nucleus // *Tetrahedron* – 2014. Vol. 70. – P. 8348-8353.