

THREE-COMPONENT SYNTHESIS OF 2-AMINO-4-(2-O-R-PHENYL)-3-CYANO-6-ETHYL-4,6-DIHYDROPYRANO[3,2-C][2,1]BENZOTHAZINE 5,5-DIOXIDES

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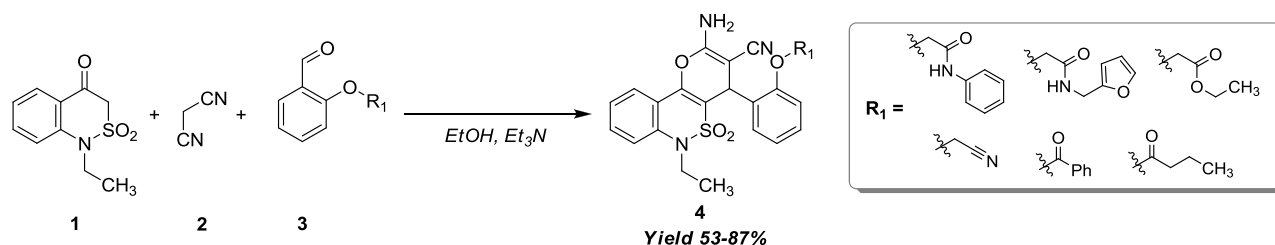
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Introduction. 2-Amino-4*H*-pyran core represents a «privileged scaffold». A lot of promising biologically active compounds comprise it within its structure. A common approach toward 2-amino-4*H*-pyrans is three-component interaction of enol-nucleophiles with aldehydes and active methylene nitriles. Various types of aldehydes were introduced in the reaction. Despite this, series of *O*-substituted salicylic aldehydes, which were used in such interaction, is very poor and it is limited to the simplest derivatives. Moreover, salicylic aldehydes being embedded in the 2-amino-4*H*-pyran molecule give 4-(2-*O*-*R*)phenyl residue. The latter allows to vary the biological properties by introducing different *O*-*R*-functions into the molecule of salicylic aldehyde.

Aim. Our research was focused on the three-component 2-amino-3-cyano-4*H*-pyrans synthesis based on the various *O*-alkylated and *O*-acylated salicylic aldehydes, 1-ethyl-1*H*-2,1-benzothiazin-4(3*H*)-on 2,2-dioxide and malononitrile. We also aspired to determine the structure of synthesized compounds.

Materials and methods. We used different methods of organic synthesis. Also we used ¹H NMR spectroscopy to confirm the structure of synthesized compounds.

Results and discussion. We showed, that the three-component interaction of 1-ethyl-1*H*-2,1-benzothiazin-4(3*H*)-on 2,2-dioxide **1** with malononitrile **2** and *O*-substituted salicylic aldehydes **3** led to the target 2-amino-4*H*-pyrans **4** irrespective of R₁ residue. In general, the reactions were carried out in ethanol in the presence of triethylamine as a catalyst. The products **4** are high-melting solids which can be recrystallized from EtOH/DMF mixture.



Conclusion. In this research we synthesized 2-amino-4-(2-*O*-*R*-phenyl)-3-cyano-6-ethyl-4,6-dihydropyrano[3,2-*c*][2,1]benzothiazine 5,5-dioxides via three-component interaction of 1-ethyl-1*H*-2,1-benzothiazin-4(3*H*)-on 2,2-dioxide with *O*-substituted salicylic aldehydes and malononitrile.