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Arylmethyl Meldrum's acid antioxidants modified with 1-alkyl-1*H*-1,2,3-triazol-4-yl moiety

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Recently we have elaborated a new type of antioxidants - arylmethyl Meldrum's acids 1. The main benefit of them is the remarkable antioxidant and antiradical activity both in lipophylic and hydrophylic media. Even more the substituent R in the benzene ring is not the main reason for activity. The only slight disadvantage of these compounds is insufficient solubility of some of the most active representatives in non-polar solvents.

In order to increase the lipophylicity of these structures long alkyl chains were introduced. Herein, we present our results on compounds 2, where the aliphatic fragment is added through 1,2,3-triazole moiety. The retrosynthetic analysis and optimization of the reactions lead to the reaction sequence depicted in Scheme 1. Firstly, aldehyde 3 was synthesized from azide 4 and alkyne 5 via the Huisgen cycloaddition. Secondly, the Knoevenagel condensation between aldehyde 3 and Meldrum's acid was realized. Lastly, the obtained arylidene compounds were transformed to the target compounds 2. In order to evaluate the impact of Alk group on the antiradical and antioxidant activity various saturated and unsaturated alkyl chains were used. Additionally, different vegetable oils (with various fatty acid composition) were used as sources for synthesis of alkyl azides - corresponding alcohols for the synthesis of azides 4 were obtained by reduction of fatty acid methyl esters. The results have turned the synthesized compounds 2 as promising antioxidants.

Scheme 1: Synthesis of target compounds 2

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