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1-ALKYL-1,2,3-TRIAZOLE MOIETY CONTAINING ARYLMETHYL MELDRUM'S ACIDS

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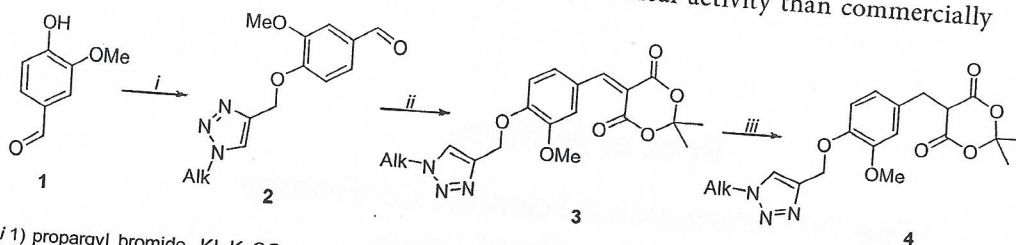
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Arylmethyl Meldrum's acids are found as excellent antioxidants both in lipophilic and hydrophilic media [1]. Although, the solubility for most of them is acceptable, some of the most active representatives are not soluble enough in vegetable oils and their derivatives. Thus, in order to improve both the solubility and activity of them additional research is developed. Herein, we present our results on compounds with long alkyl chains, which are attached to arylmethyl Meldrum's acid through 1,2,3-triazole linker.

The following route was recognized as the most appropriate for the synthesis of target compounds: hydroxyl group in vanillin (**1**) was alkylated and the aldehyde functionality was protected with acetal group. Further, 1,3-bipolar cycloaddition was used to convert alkyne to 1,2,3-triazole **2**. Knoevenagel condensation between aldehyde **2** and Meldrum's acid lead to arylidene derivative **3**. Treatment of the last ones with NaBH₄ gave target compounds **4**. Azide AlkN₃ was obtained from long chain saturated and unsaturated alcohols. Additionally, application of vegetable oils in the synthesis of antioxidants was evaluated.

The antiradical activity of the compounds **4** was determined by DPPH test. The antioxidants **4** showed nearly 3-fold higher antiradical activity than commercially used BHT.



i) 1) propargyl bromide, KI, K₂CO₃, acetone, rt, 5 h 2) HO(CH₂)₃OH, PTSA, toluene, rt, 12 h 3) AlkN₃, NaAsk, CuSO₄·5H₂O, t-BuOH:H₂O (1:1), 60°C, 8-24 h ii) Meldrum's acid, piperidine, AcOH, toluene, 60°C, 12-36 h iii) NaBH₄, AcOH, CHCl₃, -5°C...rt

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References:

- [1] Mierina, I., Jure, M., Zeberga, S., Makareviciene, V., Zicane, D., Tetere, Z., Ravina, I. *Eur. J. Lipid Sci. Technol.* 2017, 119 (11), 1700172.