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ABSTRACTS

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Antiradical Properties of Cyclic 2-Arylmethyl-1,3-Diones

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I. Introduction

All antioxidants can be roughly divided into two groups – phenolic type and 1,3-dicarbonyl type antioxidants. Well known example of the last group is acyclic 1,3-diketone – curcumin; one of the most popular cyclic dicarbonyl type antioxidants is vitamin C or ascorbic acid. Previously we have discovered that 5-arylmethyl-2,2-dimethyl-1,3-dioxane-4,6-diones **1** (fig. 1) exhibit great antiradical activity against free radicals DPPH and GO; these compounds demonstrated amazing antiradical activity due to the C(5)-H atom and the substituents in the aromatic ring appeared not crucial for this activity [1]. These compounds can be used for the improvement of the oxidative stability of vegetable oils [2]. In order to establish the role of 1,3-dioxane-4,6-dione moiety in antiradical activity, some structural analogues – arylmethyl derivatives of dimedone **2**, 4-hydroxyquinolin-2(1*H*)-one **3a** and 4-hydroxycoumarin **3b** were synthesized and their antiradical activity was investigated (fig. 1).

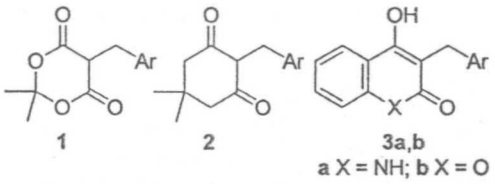

Figure 1. Cyclic 2-arylmethyl-1,3-diones.

II. Materials and Methods

A. Synthesis of the target compounds

Cyclic 2-arylmethyl-1,3-diones **2** and **3** have been obtained from corresponding cyclic 1,3-diones and aromatic aldehydes by treatment with triethylammonium formate.

B. Antiradical activity

Antiradical activity was determined by 1,1-diphenyl-2-picryl hydrazyl (DPPH) and galvinoxyl (GO) tests. The activity was expressed as inhibition (%) of free radical when the molar ratio of the radical and tested compound was 1:1 and as concentration that inhibits 50 % of the free radical (IC_{50}, μM), when starting concentration of its solution was 100 μM.

III. Results and Discussion

It was found out that the inhibition of free radical DPPH by all three groups of tested compounds **2**, **3a** and **3b** varied from 15 % to 46 %; up to 20 % inhibition of GO was observed too. The antiradical activity of the compounds **2** and **3a,b** strongly depended on the substituents in the aromatic ring of arylmethyl group. The compounds **2** and **3** demonstrated the highest antiradical activity (80–99 % inhibition in DPPH test and 66-84 % inhibition in GO test) when the arylmethyl substituent was the moiety of vanillin or syringaldehyde. The inhibition of DPPH was lower in comparison to the corresponding derivatives of Meldrum`s acid **1**, which were active even when contained moieties of other aromatic aldehydes. Most probably the decrease of the antiradical activity in case of compounds **2** and **3a,b** in comparison with **1** is due to higher pK_a values; Meldrum's acid derivatives **1** are more acidic. Nevertheless, antiradical activity of all compounds **2** and **3a,b** was comparable with or only slightly weaker than that of commercially used antioxidant BHT; when tested compounds contained vanillin or syringaldehyde moiety, it was even remarkably higher.

IV. Conclusions

It was found out that the skeleton of 1,3-dioxane-4,6-dione is essential for the antiradical activity of cyclic 2-arylmethyl-1,3-diones and the presence of vanillin or syringaldehyde moiety is preferable.

References

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[2] Mieriņa, I., Jure, M., Zēberga, S., Mišņovs, A., Zicāne, D., Tetere, Z., Rāviņa, I. Arylmethyl Derivatives of Meldrum's Acid – a New Class of Antioxidants. *In: 12th Euro Fed Lipid Congress "Oils, Fats and Lipids: From Lipidomics to Industrial Innovation"*, Montpellier, France, September 14-17, 2014 (in print).