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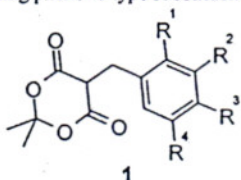
Derivatives of 5-benzyl Meldrum's acid – novel antioxidants

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Keywords – 5-benzyl Meldrum's acid, DPPH test, antioxidant

I. INTRODUCTION

Known antioxidants can be divided into two groups: phenolic type antioxidants and 1,3-dicarbonyl compounds. Recently 5-arylidene derivatives of Meldrum's acid have been disclosed as a new class of antioxidants [1]; earlier it was found that 5-bisaminomethylene-1,3-dioxane-4,6-diones possess excellent antioxidant properties [2]. For this reason we synthesized and tested for antiradical activity a range of 5-arylmethyl derivatives of Meldrum's acid **1**, which can be assumed as cyclic 1,3-diketones, containing phenolic type substituent.



II. RESULTS AND DISCUSSION

Derivatives of 5-benzyl Meldrum's acid were easily prepared by condensation of Meldrum's acid and corresponding aromatic aldehyde, followed by reduction of the obtained 5-arylidene Meldrum's acid with NaBH₄, according known method [3]. All synthesized compounds **1** were tested for their antiradical activity against 2,2-diphenyl-1-picrylhydrazyl (DPPH) and similarly to other cyclic 2-benzyl-1,3-diketone Warfarin demonstrated strong antiradical activity – at least 65% of DPPH were inhibited when the molar ratio of DPPH and compound **1** was 1:1 (fig. 1). We observed that the antiradical activity did not strongly depend on the substituents in the aromatic ring – it was similar both for compounds containing electron donor (OH, OMe or NMe₂) and electron acceptor (NO₂ or F) group in the *p*-position of the benzene ring. It seems that compounds **1** act as free radical scavengers due to the α hydrogen in the 1,3-

dicarbonyl moiety. This assumption can be supported by the fact that these compounds demonstrate antiradical activity even when the aromatic ring does not contain substituents or none of substituents can act as hydrogen atom donor. Meldrum's acid by itself, as well as its 5-methyl and 5-phenyl derivatives exhibit medium antiradical activity; on the contrary, 5,5-disubstituted Meldrum's acid did not show any significant antiradical activity. The highest antiradical activities we observed in case of compounds which contained substituents in aromatic ring similar to that of vanillin and syringaldehyde (concentrations that inhibited 50% of DPPH in case of **1L** and **1M** were 14.48 μ M and 20.73 μ M, respectively; for other compounds it was 30 μ M or more). The higher antiradical activity of **1L** and **1M** can be explained by synergy between two types of antioxidants: phenolics and 1,3-dicarbonyl compounds.

III. CONCLUSIONS

Our studies revealed a novel group of strong free radical scavengers: derivatives of 5-benzyl Meldrum's acid.

IV. REFERENCES

- [1] Sandhu, H. S., Sapra, S., Gupta, M., et al. Synthesis and Biological Evaluation of Arylidene Analogues of Meldrum's Acid as a New Class of Antimalarial and Antioxidant Agents. *Bioorganic & Medicinal Chemistry*, 2010, vol. 18, p. 5626-5633.
- [2] Fobare, W. F., Strike, D. P. US5380853. *N,N,N*-Trisubstituted-5-bisaminomethylene-1,3-dioxane-4,6-dione Inhibitors of Acyl-CoA: Cholesterol-Acyl Transferase. 01.10.1995.
- [3] Frost, C. G., Penrose, S. D., Gleave, R. A Practical Synthesis of α -substituted *tert*-Butyl Acrylates from Meldrum's Acid and Aldehydes. *Synthesis*, 2009, vol. 4, p. 627-635.

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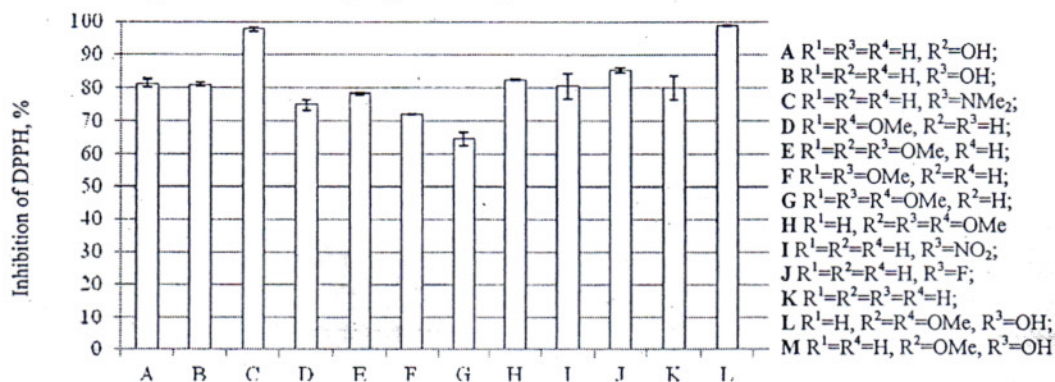


Fig.1. Antiradical activity of derivatives of 5-benzyl Meldrum's acid (ratio DPPH:comp. 1 = 1:1)