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Program and Abstracts

A CONVENIENT SYNTHESIS OF N-ARYL-3-ARYLPROPANAMIDES

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N-Aryl-3-arylpropanamides 1 rise interest due their biological activity: hydrocinnamamides demonstrate anti-irritant, anti-itching, anti-inflammatory antimicrobial properties, can be used for treatment of cancer and movement disorders; a range of N-alkyl-3-arylpropanamides exhibit antioxidant properties. 1 Most often amides 1 are obtained by acylation of anilines with 3-arylpropionic acids or their activated derivatives; the shortage of this method is the need for protecting groups when one or both of reactants contain free hydroxyl group(s) in the aromatic ring.

Here we propose a new, convenient method for synthesis of compounds 1: cleavage of 5-arylmethyl-2,2-dimethyl-1,3-dioxane-4,6-diones (2) with anilines; compounds 2 can be easily prepared from Meldrum's acid 3 and Ar¹CHO into two steps). We obtained a range of *N*-aryl-3-arylpropanamides (containing OH, OMe or NMe₂ in the aromatic moiety of propionic acid and COOH or OMe in the aniline ring) with good yields (at least 70%). Besides that we managed to isolate intermediates of this reaction - 2-arylmethyl-*N*-aryl-malonamic acids 4. All the synthesized compounds 1 were tested for their antiradical activity - a few of them were remarkably better antioxidants than traditional antioxidant BHT.

1. (a) Roleira, F. M. F.; Siquet, C.; Orrù, E.; Garrido, E. M.; Garrido, J.; Milhazes, N.; Podda, G.; Paiva-Martins, F.; Reis, S.; Carvalho, R. A.; Tavares da Silva, E. J.; Borges, F. *Bioorg. Med. Chem.* 2010, 18, 5816-5825. (b) Aladedunye, F.; Catel, Y.; Przybylski, R. *Food Chem.* 2012, 130, 945-952. (c) Ley, J. U. S. Pat. 6,117,365, Sep 12, 2000. (d) Ley, J. P. *Int. J. Cosmetic Sci.* 2001, 23, 35-48.

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