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Synthesis and antiradical activity of 2-arylidenemalonic acid dianilides

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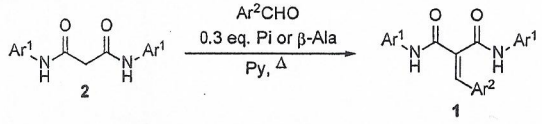
Avenanthramides are polyphenols found in oats exclusively. These compounds demonstrate wide range of biological activity.[1] Structure-activity relationships of various cinnamic amides are rather less studied. Spasova *et al.*[2] has studied (hydroxy)cinnamic acid–aminoacid conjugates. Some artificial derivatives of acitretin containing cinnamoyl aniline moiety inhibit lipid peroxidation.[3] Previously, we have studied the role of the substituents both in aniline and cinnamic acid residues for antiradical properties.[4,5] Besides, antiradical properties of few compounds containing additional substituent at α-position of the double bond of cinnamoyl anilines were studied.[5] Herein, we present results of cinnamoyl anilines **1** with additional arylaminocarbonyl moiety at α-carbon of the acrylate skeleton. The target compounds **1** were obtained through the Knoevenagel condensation from malonic acid dianilides **2** and various aromatic aldehydes with moderate to high yield (Scheme 1).



Scheme 1. Synthesis of target compounds.

The antiradical activity of the title compounds **1** was analyzed by DPPH and GO tests. The additional substituent at α-carbon of double bond slightly reduces the antiradical activity of cinnamoyl aniline **3**. Besides that, stereochemistry of double bond might be important (Fig. 1).

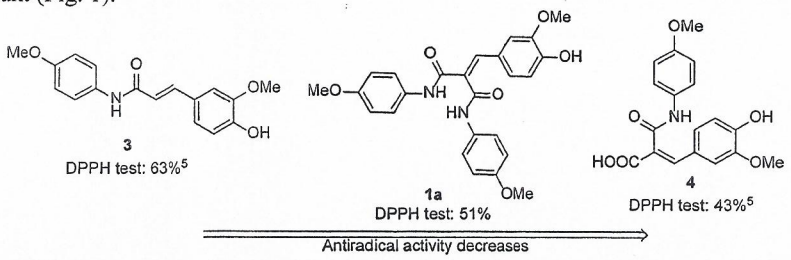


Figure. 1. Representation of the impact of substituents at double bond on antiradical activity

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