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A CONVENIENT ROUTE TO 4-ARYL-3,4-DIHYDRO-1*H*-QUINOLIN-2-ONES

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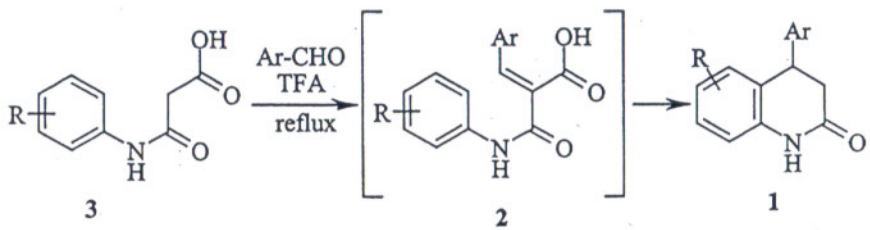
3,4-Dihydro-1*H*-quinolin-2-ones containing hydroxyl group in benzene ring are starting compounds for synthesis of phosphodiesterase inhibitor *Cilostazol* and atypical antipsychotic and antidepressant *Aripiprazole*. Our studies were devoted to synthesis of 4-aryl-3,4-dihydro-1*H*-quinolin-2-ones **1**, mainly substituted with methoxy groups in both aromatic rings. It is well known that compounds **1** can be obtained by internal cyclization of cinnamoyl anilines.[1] We found out that anilides **2** also can be successfully used for synthesis of target compounds **1**. Taking this into account, we developed a new convenient method: one pot direct preparation of quinolinones **1** by heating of malonanilic acids **3** and aromatic aldehydes in trifluoroacetic acid without isolation of cinnamoyl anilines **2**. The crude products of this procedure contained up to 90% of 1*H*-quinolin-2-ones **1**. The antiradical properties of obtained compounds **1** have been tested.



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References:

1. (a) Koltunov, K. Y.; Walspurger, S.; Sommer, *J. Chem. Comm.* **2004**, *15*, 1754. (b) Kraus, J. M.; Tatipaka, H. B.; McGuffin, S. A.; et al. *J. Med. Chem.* **2010**, *53*, 3887.