CYCLIZATION OF 5-(1*H*-INDOL-3-YL)-3-OXOPENTANOIC ACID ESTERS AND AMIDES PROMOTED WITH TRANSITION METAL TRIFLATES

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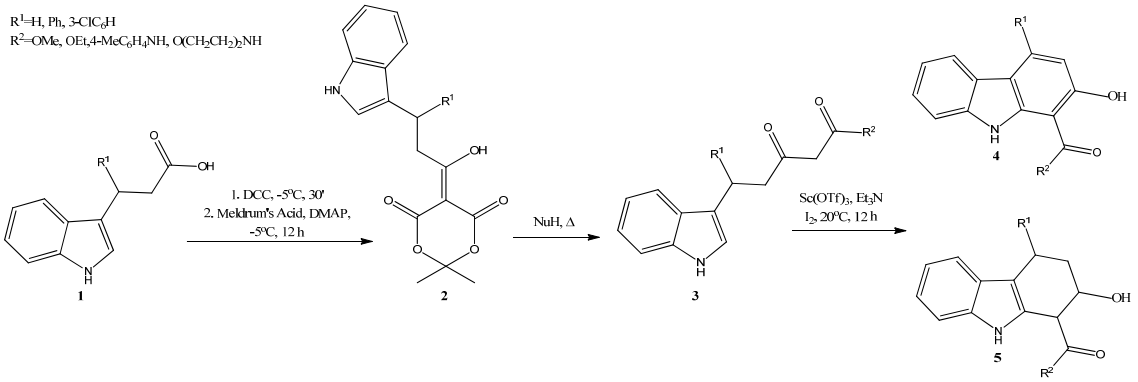
The 5-(1*H*-indol-3-yl)-3-oxopentanoic acid esters and amides are universal intermediates for the synthesis of the carbazole which are present in many biologically active compounds. The carbazole system can be found in various alkaloids e.g. murrayanine [1], mukonal [2], wiskostatin [3] and carbazomycin B [4]. In order to obtain carbazole derivatives, We developed the pathway consists from the following steps: the Knoevenagel condensation of Meldrum acid with indole-3-carboxylic acid **1** in the presence of DCC and DMAP [5], synthesis of 5-(1*H*-indol-3-yl)-3-oxopentanoic acid esters and amides **3** [6,7] and intramolecular cyclization of compounds **3** promoted with transition metal triflates (Scheme 1) [8].



Scheme 1. Cyclization of 3-oxoesters and 3-oxoamides **3**

[1] Knolker H.-J., Reddy K. R., *Chem. Rev.*, **2002**, *102*, 4303-4427.

[2] Boonyarat C., Yenjai C., Vajragupta O., Waiwut P., *Asian Pac J Cancer Prev*., **2014**, *15*, 10483-7.

[3] Bashir M., Bano A., Ijaz A.S., Chaudhary B. A., *Molecules*, **2015**, *20*, 13496-13517.

[4] Crich D., Rumthao S., *Tetrahedron*, **2004**, *60*, 1513-1516.

[5] Raillard S. P., Chen W., Sullivan E., Bajjalieh W., Bhandari A., Baer T. A., *J. Comb. Chem*., **2002**, *4*, 470-474.

[6] Janikowska K, Makowiec S., *Syn. Comm*., **2012**, *9*, 975-988.

[7] Snider B.B., Neubert B.J., *J.Org.Chem*., **2004**, *69*, 8952-8955.

[8] Ban X., Pan Y., Lin Y., Wang S., Du Y., Zhao K., *Org. Biomol. Chem*., **2012**, *10*, 3606-3609.