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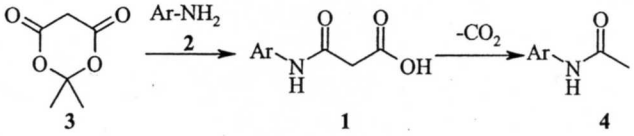
SYNTHESIS AND DECARBOXYLATION OF MALONANILIC ACIDS

Mieriņa, I.; Stikute, A.; and Jure M.
Azenes Str. 14/24, Riga, LV 1024
Riga Technical University
Latvia
inesem@ktf.rtu.lv

Malonanilic acids **1** are useful intermediates for synthesis of antifibrotic cinnamoyl anthranylates[1] and oat antioxidants – avenanthramides.[2] Most often the target compounds **1** are synthesized from aniline and alkyl 3-chloro-3-oxopropanoate and only in some cases reaction of anilines **2** with Meldrum`s acid **3** has been used. This method seemed for us to be a pleasant strategy for synthesis of *N*-arylmalonamic acids **1**. Unfortunately, when we tried to realize this reaction in toluene under reflux (suggested conditions[2]), decarboxylation of the target compounds **1** happened: sometimes acylated anilines **4** were even the main products. In order to obtain exclusively malonic mono-anilides **1**, we have studied the impact of solvent polarity, temperature as well as aniline substituents on the decarboxylation process. Whilst decarboxylation of malonanilic acids during Knoevenagel condensation with aromatic aldehydes is often applied in synthesis of cinnamanilides,[1] decarboxylation of these compounds leading to corresponding acetanilides is mentioned only in some cases: the kinetics of this reaction has been studied in polar solvents[3] and the decarboxylation of salt of phenylmalonanilate in water at room temperature lead to 2-phenylacetanilide.[4] We have found out that decarboxylation of compounds **1** in various solvents was suppressed when they were obtained at 70-80°C, but in water the decarboxylation did not proceed even at 100°C.



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