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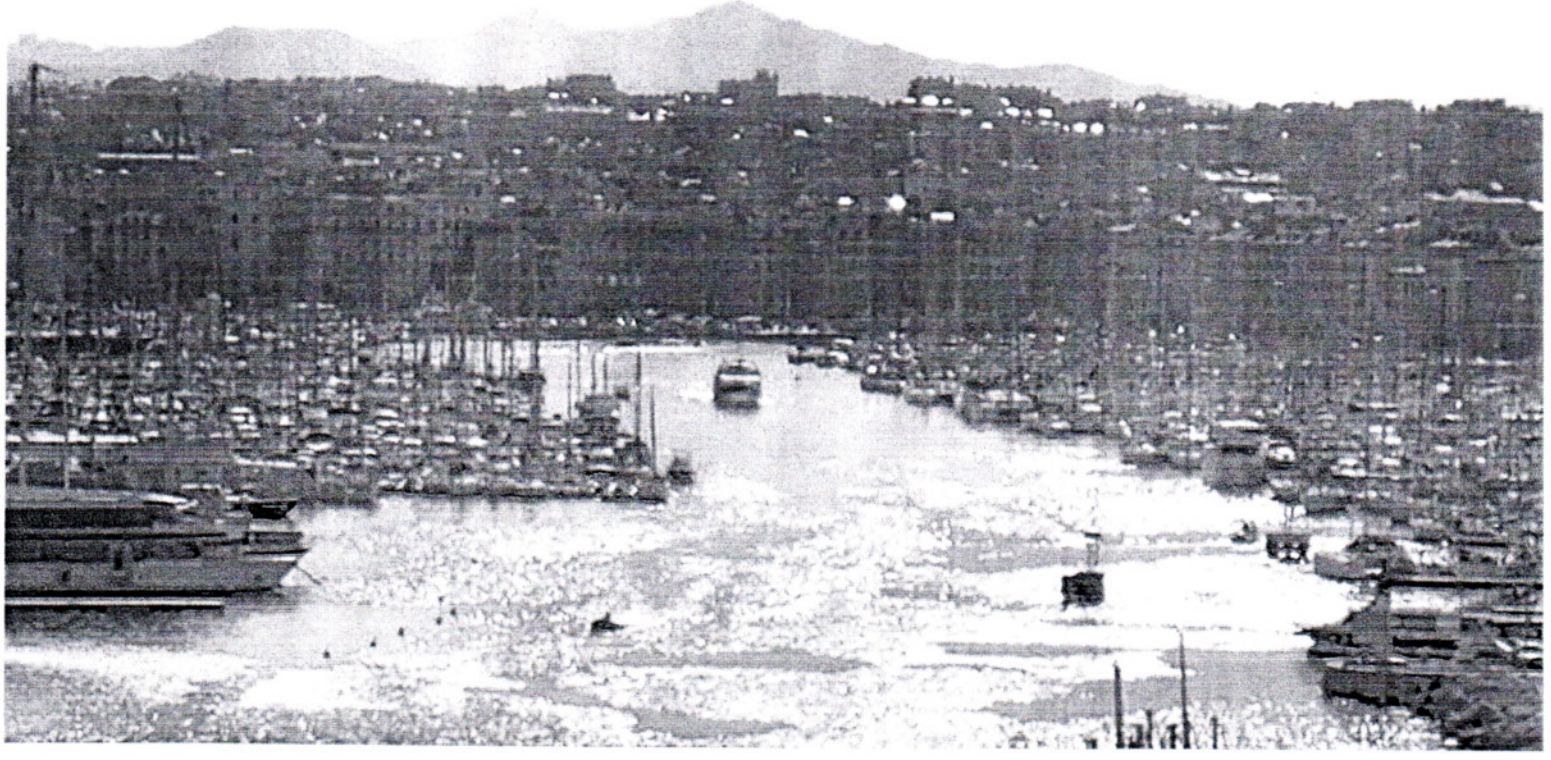
POSTERS – SESSION 2



Smooth decarboxylation of arylidene *N*-arylmalonamic acid

Agnese Stikute, Inese Mierina, Mara Jure

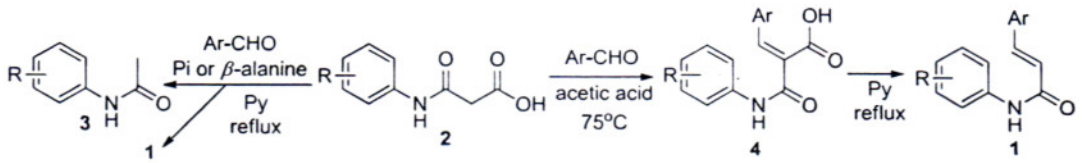
Riga Technical University, Faculty of Material Science and Applied Chemistry, Azenes Str. 14/24, Riga, Latvia, LV 1048

Email: inesem@ktf.rtu.lv - **web page**: http://www.rtu.lv/en/

Cinnamoyl anilines **1** are synthetic analogues of oat antioxidants – avenanthramides. The last exhibit wide range of biological activity – antioxidant, antiradical, antiproliferative, anti-itching, anti-cancer etc. Cinnamoyl anilines **1** can be synthesized from corresponding anilines and cinnamic acids or their activated derivatives; in some cases this approach requires usage of protecting groups. Another route to target compounds **1** is Knoevenagel-Doebner condensation of malonic acid monoanilides **2** and aromatic aldehydes; according to the literature it can be realized in pyridine under reflux[1]. On the contrary, using these conditions[1] in most of the cases we isolated as the main compound decarboxylation product of raw material - corresponding acetanilides **3**. Due to this we decided at first to prepare arylidene derivatives **4** (we successfully realized this by Knoevenagel condensation in glacial acetic acid at 75°C) and after that to carry out decarboxylation of compounds **4**.

Decarboxylation of arylidene derivatives of malonic acid and its monoesters is well known. In most of the cases decarboxylation was carried by heating of these compounds at high temperature (160-230°C). Few authors have demonstrated that decarboxylation of arylidene derivatives of malonic acid and its monoesters can be carried out at milder conditions – the reaction can be realized in presence of L-proline or piperidine in benzene or piperidine in Py.[2] In case of arylidene derivatives of malonic acid decarboxylation does not require high temperature - it can be carried out by reflux in ethanol in the presence of ammonium acetate or by heating in presence of piperidine in pyridine.[3]

To the best of our knowledge, till now decarboxylation of arylidene *N*-arylmalonamic acids **4** has been realized once - by heating of compound **4** at temperature exceeding its melting point.[4] Taking into account that it is well known that decarboxylation of arylidene derivatives of malonic acid is catalyzed by pyridine, we for the first time carried out decarboxylation of arylidene *N*-arylmalonamic acids **4** at mild conditions - by 1-3 h heating in pyridine at reflux; the yield of cinnamoyl anilines **1** reached 70-80%. According to ^{1}H NMR spectra, the crude product contained only target compound - *E*-isomer **1**.



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