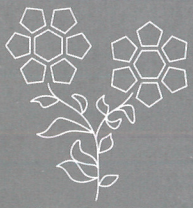
Bioheterocycles



Riga, 2013

XVth International Conference "Heterocycles in Bio-organic Chemistry"

PROGRAM AND ABSTRACT BOOK

Riga, Latvia
May 27th – 30th, 2013

www.bioheterocycles.eu

EIROPAS SAVIENĪBA

XVth Conference on Heterocycles in Bio-organic Chemistry - 2013

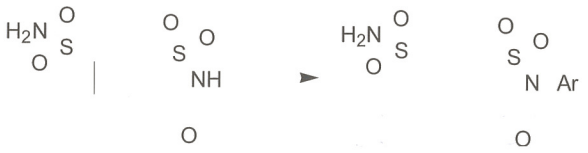
SYNTHESIS OF 2-ARYL-6-SULFAMOYL-SACCHARIN DERIVATIVES

Jekaterīna Ivanova, Pēteris Trapencieris, Raivis Žalubovskis
Latvian Institute of Organic Synthesis, Aizkraukles 21, Riga, LV-1006, Latvia
katja@osi.lv

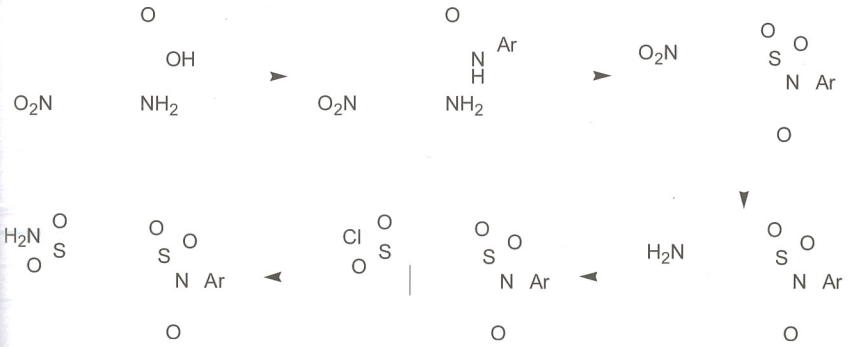
The aim of this project was to develop a method of synthesis of 2-aryl-6-sulfamoylsaccharin derivatives.

In a search for new zinc binding groups as potential inhibitors of zinc containing enzymes carbonic anhydrases (CAs), we focused our attention on saccharin derivatives because of saccharin's promising ability to inhibit tumor associated isoform of carbonic anhydrase CA IX.[1]

During our investigation we concluded that it's impossible to arylate 6-sulfamoylsaccharin using Cu, Fe and Ni catalysts.



Here we report successful 5 step synthesis of 2-aryl-6-sulfamoylsaccharins starting with 2-amino-4-nitrobenzoic acid.



References:

1. Rami, M.; Winum, J.-Y.; Innocenti, A.; Montero, J.-L.; Scozzafava, A.; Supuran, C. T. *Bioorg. Med. Chem. Lett.* **2008**, *18*, 836-841.