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Program and Abstracts

SYNTHESIS OF 2-ARYL-6-SULFAMOYLSACCHARIN DERIVATIVES

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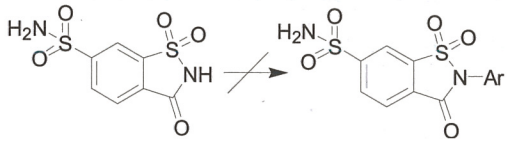
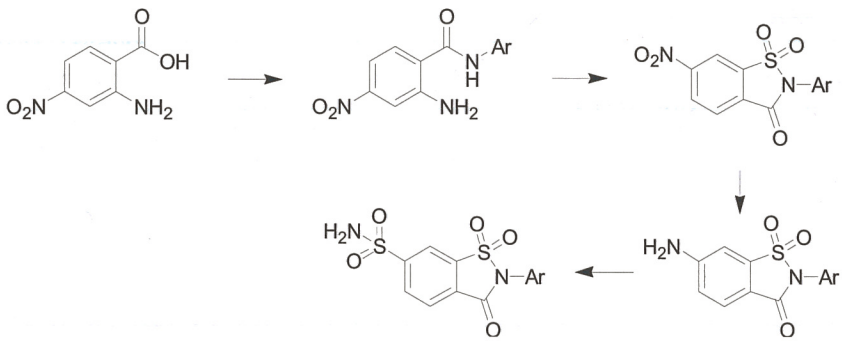
The aim of this project was to develop method of synthesis of 2-aryl-6-sulfamoylsaccharin derivatives.

In a search for new zinc binding groups as a 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 selectively arylate 6-sulfamoylsaccharin using various Cu, Fe and Ni catalysts.



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



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