OPTIMIZATION OF N-*R*-1*H*-2,1-BENZOTHIAZIN-4(3*H*)-ON 2,2-DIOXIDES SYNTHESIS

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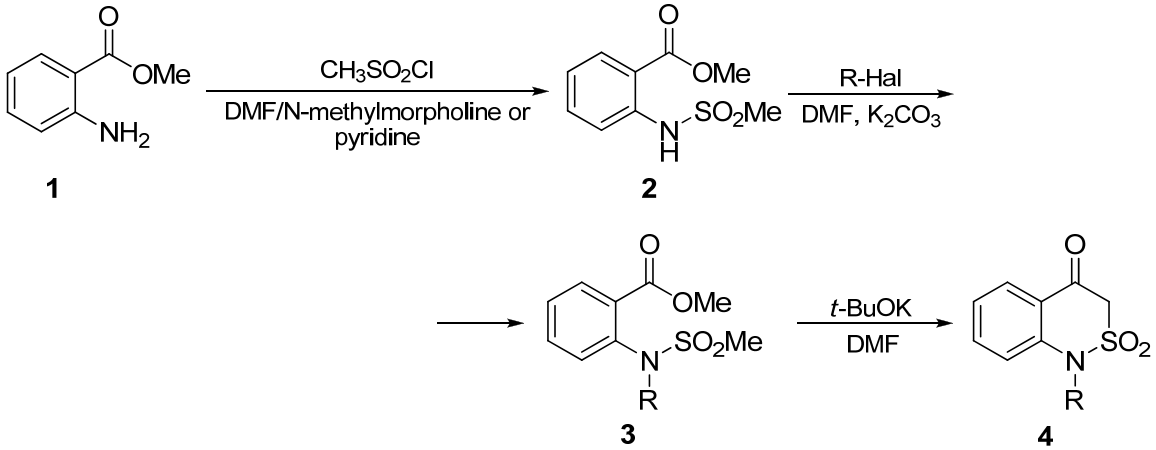
Compounds containing benzo[c][2,1]thiazine-4-on 2,2-dioxide core have attracted a great attention of scientists for a long time. This is due to the fact that among derivatives of this heterocyclic system biologically active compounds (BAC) for the treatment of various diseases (primarily, inflammatory processes, pain syndrome and bacterial infections etc.) were found. Moreover, benzo[c][2,1]thiazine-4-on 2,2-dioxide core is bioisosteric to the benzo[e][1,2]thiazine-4-on 1,1-dioxide one, which is a base of well-known analgesic and anti-inflammatory agents, such as Piroxicam®, Droxicam® and Meloxicam® and its heteroanologues, namely Tenoxicam® and Lornoxicam®.

The techniques, which are used in synthesis of organic compounds, allow to obtain different BAC based on simpler precursors. However, despite of the significance evolution of organic chemistry methods, development of new efficient approaches for synthesis BAC is the actual task of medical chemistry as well as the methodology of organic synthesis.

The synthesis of the initial N-R-*1H*-2,1-benzothiazin-4(*3H*)-on 2,2-dioxides **4** were described in the literature, and included esters of anthranilic acids **1** as initial compounds. We have improved methods which are present in literature. It allowed us to obtain the pure target compounds **4** with better yields in a shorter time.



The structure and purity of target compounds **4** were confirmed using the ^{1}H NMR spectra.