1,2-Benzoxathiin-4(3*H*)-one 2,2-dioxide hydrazones: synthesis and antimicrobial activity

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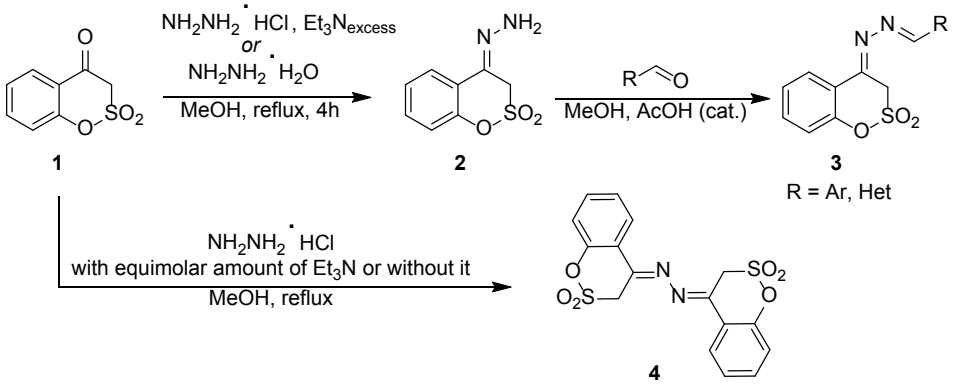
Introduction. 1,2-Benzoxathiin-4(3*H*)-one 2,2-dioxide is an underinvestigated building block with a high synthetic and pharmacological potential. It can be considered as isostere to 4-hydroxycoumarin and 1*H*-2,1-benzothiazin-4(3*H*)-one 2,2-dioxide cores, derivatives of which have been proved to be effective anticoagulants, antibiotics and NSAIDs. Moreover, 1*H*-2,1-benzothiazin-4(3*H*)-one 2,2-dioxide hydrazones have been revealed to display moderate antimicrobial activity.

Materials and methods. Standard methods of organic synthesis were used in the research. Structure elucidation involved ^{1}H NMR spectroscopy, IR spectroscopy as well as HPLC-MS method. 1,2-Benzoxathiin-4(3*H*)-one 2,2-dioxide, hydrazine and aldehydes were used as starting materials.

Results and discussion. Firstly, synthetic approach to 1,2-benzoxathiin-4(3*H*)-one 2,2-dioxide hydrazones was worked out. Thus, we found that benzoxathiinone **1** easily reacts with hydrazine hydrate or its hydrochloride form in refluxing methanol giving hydrazone **2**. Experiments carried out also confirmed that even slightly acidic medium may cause formation of bis-hydrazone **4** which is apparently formed from compound **2** by addition-elimination mechanism. Further, hydrazone **2** was utilized in the reaction with arene- and hetarenecarbaldehydes that allowed us to isolate derivatives **3** in moderate yields. Antimicrobial activity of hydrazones **3** was examined by agar well diffusion method and the results revealed growth inhibiting effect of the compounds against Gram-positive strains and fungi.



1 **2** **3** **4**

Conclusions. The study proposes the easy way to 1,2-benzoxathiin-4(3*H*)-one 2,2-dioxide hydrazones as well as evidences certain prospects in searching new antimicrobial agents among them.

References

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