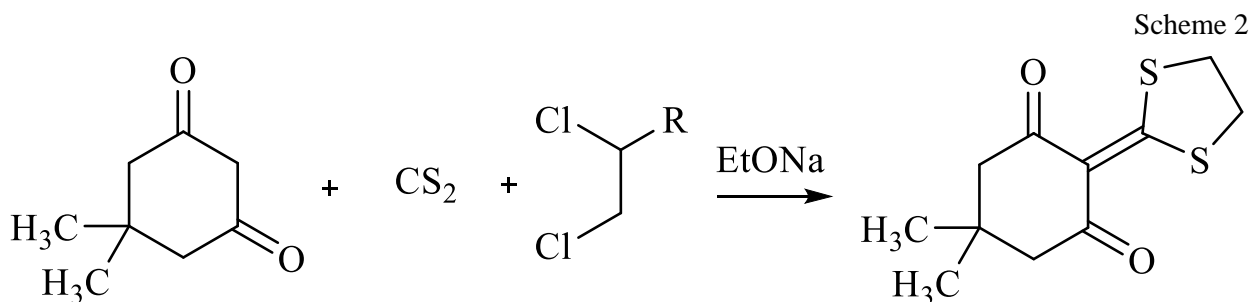


The development of multicomponent one-pot syntheses is one of the research directions of our team and continues the cycle of work on this topic.



Conclusions. Thus, an original one-pot method for the synthesis of 5,5-dimethyl-2-(1,3-dithiolan-2-ylidene)cyclohexane-1,3-dione has been developed, greatly simplifying the procedure for its preparation.

The study was conducted within the framework of the grant of the President of the Russian Federation for state support of young Russian scientists MK-5518.2018.3.

SYNTHESIS AND CHEMICAL PROPERTIES OF 7,14-DIHYDRO-5,9-DIOXA-6,8-DITHIA-14-AZA-DIBENZO[A,J]ANTHRACENE 6,6,8,8-TETRAOXIDES AS NEW CONDENSED DERIVATIVES OF 1,2-BENZOXATHIIN 2,2-DIOXIDE

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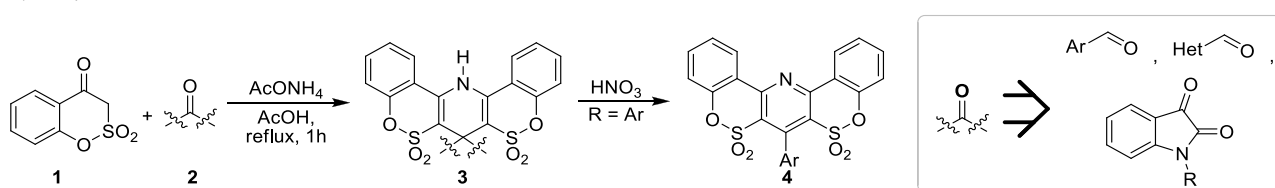
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Introduction. 1,2-Benzoxathiin 2,2-dioxide core is one of «dark places» in synthetic organic and pharmaceutical chemistry. To date chemical as well as biological properties of its derivatives are explored insufficiently and this fact allowed us to disclose some points in chemistry of abovementioned one. In this respect 1,2-benzoxathiin-4(3*H*)-one 2,2-dioxide is a convenient starting compound to solve such task since it comprises a synthetically attractive COCH₂SO₂ fragment which makes it close to 1,3-dicarbonyl compounds. One of the reactions that is characteristic for the latter is Hantzsch reaction leading to 1,4-dihydropyridine derivatives.

Aim. The purpose of the research was to synthesize 1,4-dihydropyridine derivatives based on Hantzsch type interaction of 1,2-benzoxathiin-4(3*H*)-one 2,2-dioxide with carbonyl compounds and to investigate a behaviour of the products towards oxidizing reagents.

Materials and methods. 1,2-Benzoxathiin-4(3*H*)-one 2,2-dioxide, series of substituted aromatic, heteroaromatic aldehydes and isatines were applied as starting materials. During research standard methods of organic synthesis were used.

Results and discussion. The reaction of 1,2-benzoxathiin-4(3*H*)-one 2,2-dioxide (1) with carbonyls 2 in acetic acid in the presence of 10 equiv of ammonium acetate under reflux for 1 hour gave the target condensed 1,4-dihydropyridines 3 in 40-70% yield. Latter underwent oxidation by concentrated nitric acid into corresponding pyridines 4. The structures of the compounds synthesized were confirmed by ¹H NMR.



Conclusions. Series of 7,14-dihydro-5,9-dioxa-6,8-dithia-14-aza-dibenzo[a,j]anthracene 6,6,8,8-tetraoxides were synthesized as novel 1,2-benzoxathiin 2,2-dioxide derivatives. Their oxidation by nitric acid led to aromatization with formation of corresponding condensed pyridines.

USING (±)CIS-1,2,2-TRIMETHYLCYCLOPENTANECARBOXYLIC ACID IN SYNTHESIS OF 3-ARYL-QUINAZOLIN-4(3H)-ONES AND 3-ARYL-2,3-DIHYDROQUINAZOLIN-4(1H)-ONES

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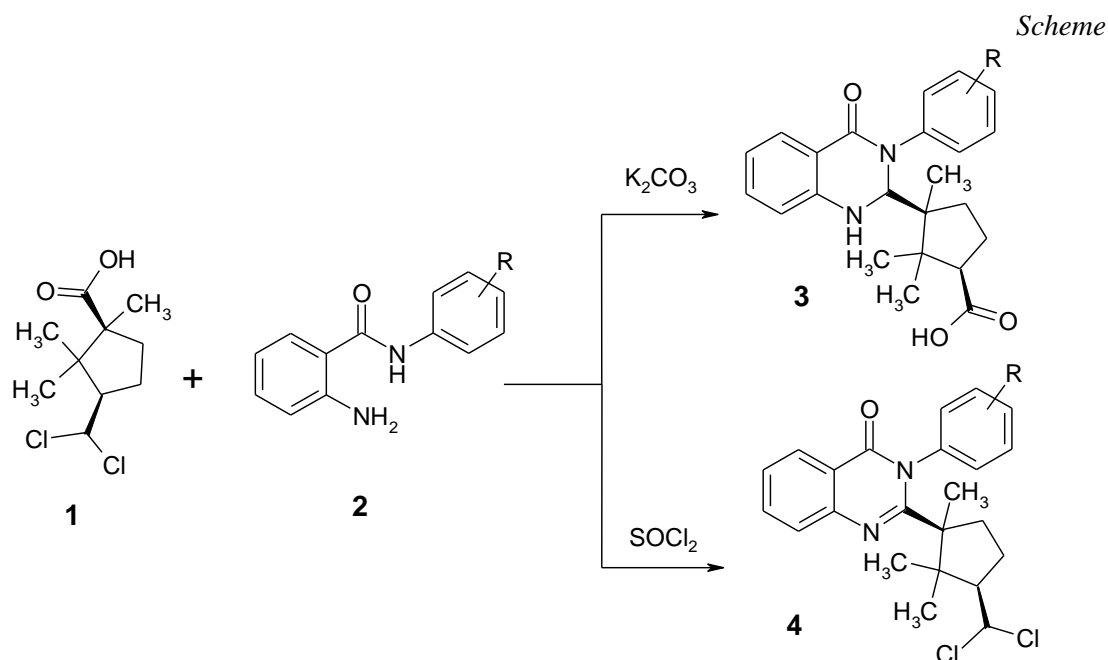
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Introduction. The (±)cis-3-dichloromethyl-1,2,2-trimethylcyclopentanecarboxylic acid **1** (scheme) has two reactive centers and can be used in synthesis of heterocycles with 1,2,2-trimethylcyclopentane moiety. This work is continuation of search for biologically active substances among derivatives of quinazolin-4-one with 1,2,2-trimethylcyclopentanecarboxylic acid moiety.

Aim. The aim of this work is research of cyclization of N-arylanthranilamides **2** by acid **1** under different conditions.

Results and discussion. The interaction between acid **1** and amides **2** in ethanol in the presence of potassium carbonate leads to 2,2,3-trimethyl-3-(4-oxo-3-aryl-1,2,3,4-tetrahydro-quinazolin-2-yl)-cyclopentanecarboxylic acids **3**. The acids **3** have potential to further modification by oxidation into derivatives of quinazolin-4(3H)-one.

2-(3-Dichloromethyl-1,2,2-trimethyl-cyclopentyl)-3-aryl-quinazolin-4(3H)-ones **4** have been obtained by boiling the same reagents in dry dioxane medium in presence of thionyl chloride. Quinazolin-4-ones **3**, **4** were obtained with high yields and their structures were confirmed by ¹H NMR spectroscopy.



Conclusion. 3-Dichloromethyl-1,2,2-trimethylcyclopentanecarboxylic acid has been proposed as the reagent for cyclization of N-arylanthranilamides in quinazolin-4-one derivatives.