SEARCH FOR DIPOLAROPHILES FOR REACTION OF 1,3-DIPOLAR CYCLEADDITION AND SYNTHESIS OF BIS-SPIRO-2-OXINDOL DERIVATIVES

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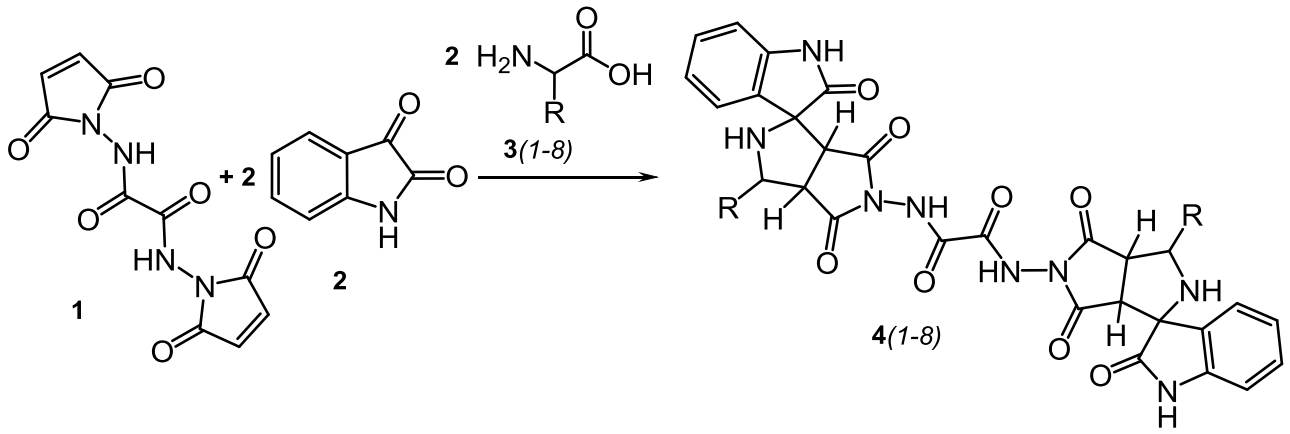
Introduction. One of the important problems of organic and medical chemistry is the search for new biologically active substances in order to create drugs based on them. And today in the conditions of the COVID-19 pandemic creation of new antiviral drugs becomes actual. Scientists from around the world are working on this problem. Thus, predicted pharmacophores for the treatment of this infection have recently been searched by computer simulation and the chemical structures of possible pharmacophores have been proposed. After analyzing these chemical structures, we decided to use them to search for dipolarophiles to carry out the reaction of 1,3-dipolar cycloaddition.

Aim. Obtain new bis-derivatives of spiroindole-3,3'-pyrrolo[3,4-c]pyrrole.

Materials and methods. The starting isatin and α-amino acids were obtained from commercial sources and used without further purification. Dipolarophile used, N,N'-bis-maleimidoxalylamine, was prepared according to the known method. The structure of received compounds was confirmed by IR- and ^{1}H NMR-spectroscopy, chromato-mass-spectrometry and elemental analysis.

Results and discussion. The synthesis of bis-spiroindole-3,3'-pyrrolo[3,4-c] pyrrole **4**(1-8) derivatives was carried out by the reaction of 1,3-dipolar cycloaddition of azomethenilides generated in situ from isatin **2** and α-amino acids **3**(1-8)and dipolarophile based on maleic acid N,N'-bis-maleinimidoxalylamine **1**.



Conclusions. The synthesized compounds are promising for further study of their pharmacological, and in particular, microbiological and antiviral properties.