SYNTHESIS OF N^1-SUBSTITUTED 2*H*,7*H*-[1,2,4]TRIAZOLO [4,3-*A*]PYRAZINE-3,8-DIONES

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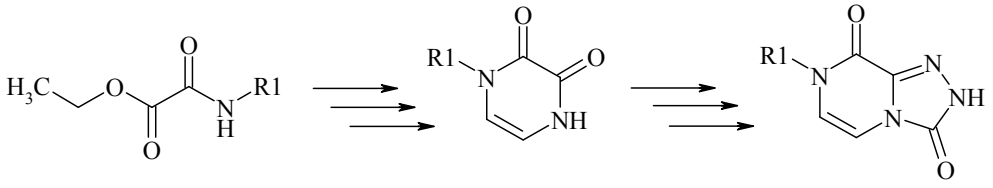
Current research in the field of cancer therapy suggests an important role of derivatives of glutamic acid in the pathogenesis of cancer. Substances that competitively inhibit interaction with glutamate AMPA and NMDA-receptors are likely to be useful in the treatment of oncological diseases. Therefore, further study of [1,2,4]triazolo[4,3-a]pyrazines that exhibit the above mentioned pharmacological effects, and creation of drugs based on them, is a perspective area of medical chemistry.

Scheme of synthesis [1,2,4]triazolo[4,3-a]pyrazines, which is frequently used in organic synthesis, starts with 2,3-dihlorpirazynes and is based on the cyclization of obtained 2-chloro-3-hidrazynopirazynes under halides of carboxylic acids followed by N-alkylation of the resulting intermediate. The disadvantage of this method is that only a limited set of substituents can be introduced to the position 7 of cyclization product.

Previously, we reported that we developed circuit of synthesis [1,2,4]triazolo [4,3-a]pyrazine-8-ones, which comes from monoamides oksalamic acid monoesters and is based on a sequential formation of 1-substituted pyrazine-2,3-diones, 3-hloropirazyn-2-ones and 3-hidrazynopirazyn-2-ones, which further in anhydrous dimethylformamide medium in the presence of 1-(1*H*-imidazol-1-ilcarbonyl)-1*H*-imidazole (CDI) is cyclized to N^1-substituted 2H,7H-[1,2,4]triazolo[4,3-a] pyrazine-3,8-diones.



The structure and purity of the obtained compounds were confirmed by elemental analysis and ^1H-NMR spectroscopy.