SYNTHESIS OF THE 6-(1*H*-BENZIMIDAZOL-2-YL)-3,5-DIMETHYL-2-OXO(THIOXO)-2,3-DIHYDROTHIENO[2,3-*d*]PYRIMIDIN-4(1*H*)-ONES WITH POTENT BIOLOGICAL ACTIVITY

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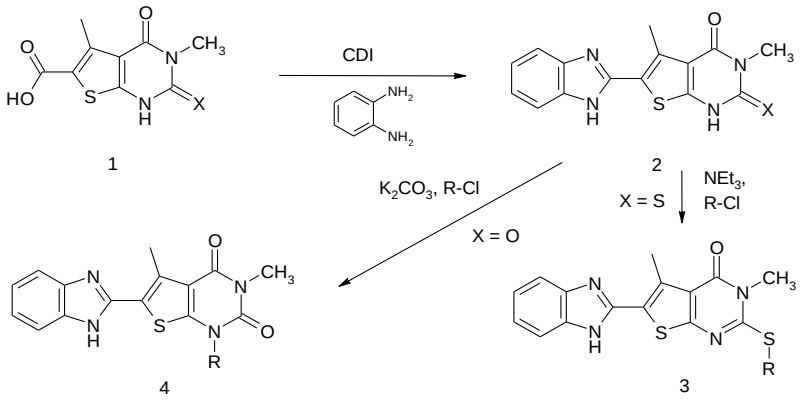
Introduction. The previously reported data about the pharmacological activity of 6-(1*H*-benzimidazol-2-yl)thieno[2,3-*d*]pyrimidin-4(1*H*)-ones confirmed their antimicrobial properties; the experimental data about their anti-inflammatory screening has been also reported.

Aim. Therefore preparation of the analogues containing benzimidazole system at position 6 of thieno[2,3-*d*]pyrimidin-4(1*H*)-one combined with the presence of oxo- or thio- groups at position 2 could be a promising way for preparation of the novel biologically active compounds.

Materials and methods. The methods of organic synthesis, instrumental methods of organic compounds analysis.

Results and discussion. We have developed the procedure for preparation of the novel 6-(1*H*-benzimidazol-2-yl)-3,5-dimethyl-2-oxo(thioxo)-2,3-dihydrothieno[2,3-*d*]pyrimidin-4(1*H*)-ones using the 1,1'-carbonyldiimidazole (CDI) promoted reaction of 3,5-dimethyl-4-oxo-2-(oxo)thioxo-1,2,3,4-tetrahydrothieno[2,3-*d*]pyrimidine-6-carboxylic acids with *o*-phenylenediamine.



To enlarge the number of candidates for the screening of biological activity we alkylated the compounds 2. The structure of the obtained compounds was confirmed by mass-spectral and NMR data. The regioselectivity of alkylation for compounds 2 (X=O) as well as the structure of 4 was assigned by the HMBC correlation method.

Conclusions. An effective procedure for synthesis of the potently biologically active 6-(1*H*-benzimidazol-2-yl)-3,5-dimethyl-2-oxo(thioxo)-2,3-dihydrothieno[2,3-*d*]pyrimidin-4(1*H*)-ones has been developed; the regioselectivity of their alkylation was confirmed by HMBC method.