SYNTHESIS OF SUBSTITUTED BENZIMIDAZOLONES AND THEIR BIOLOGICAL ACTIVITY

M.D. Tsymliaikov¹, A.I. Maksutova¹, E.V. Sokolova², V.G. Klochkov²

¹Department of Chemistry, Lomonosov Moscow State University, 119234, Russia, Moscow, Leninskie Gory St., 1

²Department of Pharmacology and bioinformatics, Volgograd State Medical University, 400131, Russia, Volgograd, Ploshchad pavshikh borcov, 1

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E-mail: tsymmd@gmail.com

In order to search for new biologically active heterocyclic compounds, a number of substituted benzimidazolones were obtained. Multi-stage synthesis was now possible due to the development of regioselective reduction methods for dinitroarenes in our scientific group, which led to non-symmetrical 1-substituted 5-nitro benzimidazolones (Figure 1).

Fig. 1. Reaction scheme for the synthesis of target library

In vitro screening results of highlighted in Figure 1 derivatives can be seen in Figure 2. Anti-inflammatory activity associated with toll-like receptors (TLRs) inhibition was investigated on rat macrophages. Inhibition of TLRs is important in treatment of Alzheimer's disease, atherosclerosis and even COVID-19. Most of the compounds have shown significant activity (more than 40% inhibition) with a maximum of 82% for 2, being completely non-toxic to investigated cells.

Fig. 2. Anti-inflammatory activity of synthesized compounds in 100 μ M concentration.

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