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The research of biological activity of potential antagonists of NR_3C_4 receptor

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Abstract

The research of antagonists of NR_3C_4 receptor was conducted. By means of molecular modeling the preliminary sampling being capable of potential blocker of NR_3C_4 receptor was realized. There were synthesized 31 compounds, which are the most perspective in terms of presumptive assessments. By means of test-system PolarScreen Green (Invitrogen P3018) the affinity of the researched compounds were evaluated. The cytotoxicity and antagonistic activity of the research samples on the NR_3C_4 receptor in the AR-UAS-bla GripTite™ 293 cells was defined. The most perspective antagonists of the NR_3C_4 receptor are samples of 2-(1-naphthyl)-ethyl ester-1-[(3-fluorophenyl)acetyl]-L-proline, 2-(1-naphthyl)-ethyl ester-1-[(4-methylphenyl)-acetyl]-L-proline and 2-(1-naphthyl)-ethyl ester-1-[(4-chlorophenyl)acetyl]-L-proline. They have high affinity and low cytotoxicity.