# Chemists prove chromones are effective against Alzheimer's disease

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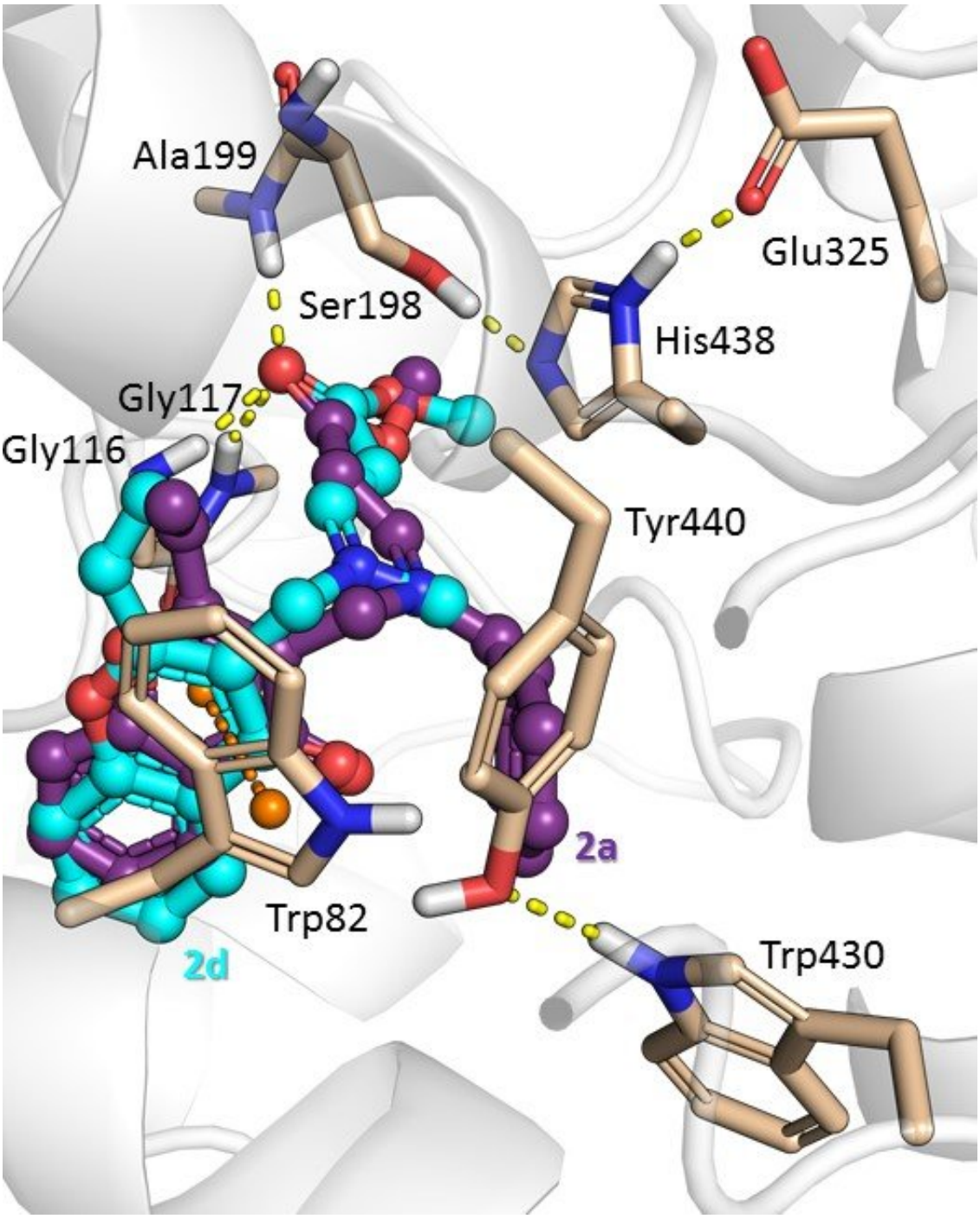


RUDN Chemists Proved Chromones Are Efficient Against Alzheimer's Disease
Credit: Allen Dressen/Makhaeva et al

RUDN chemists synthesized a range of biologically active molecules

called chromones and demonstrated their use in the treatment of Alzheimer's disease. The results of the work were published in the *Bioorganic & Medicinal Chemistry* journal.

Alzheimer's disease is a progredient form of dementia causing irreversible deterioration of cognitive functions (attention, memory, orientation, and thinking) and resulting in complete disintegration of personality. According to the World Health Association, about 6-7 million people are diagnosed with Alzheimer's disease annually. RUDN chemists with their colleagues from IPAC RAS and Lomonosov MSU synthesized new compounds that are able to stop the progression of this disease and studied their biological activity.

Alzheimer's disease is associated with the damage of the central or peripheral nervous system. A special role in the work of the nervous system is played by a neurotransmitter called acetylcholine that helps a neural impulse move between neurons and then from neurons to muscles. Reduced levels of acetylcholine are one of the symptoms of Alzheimer's disease. Today's treatment methods are reduced to prolonging the activity of the remaining acetylcholine with drugs that slow down its disintegration and partially compensate for its loss.

The disintegration of acetylcholine is affected by several substances. The main role in the process is played by acetylcholinesterase (AChE) and butyrylcholinesterase (BChE). In the course of development of the Alzheimer's it's the activity of BChE that increases. By reducing it, one may slow down the disintegration of acetylcholine. RUDN chemists managed to achieve this effect using chromones—biologically active molecules that have been previously successfully used in the treatment of other conditions. In their previous works the authors suggested a new way of synthesizing substituted chromones compounds, and in this research demonstrated their potential as an efficient anti-Alzheimer's therapy.

"We found chromones interesting because of their pharmacological activity. Their derivatives appeared to have anti-cancer, anti-viral (including anti-HIV), anti-microbial, anti-fungal, anti-inflammatory, anti-diabetic, and antioxidant properties. It was especially important for our studies that chromones and their derivatives played an important role as antioxidants and acceptors of radicals," said Larisa Kulikova, a candidate of chemistry, and a lecturer of the Faculty of Physics, Mathematics, and Natural Sciences at RUDN.

To evaluate the pharmacological activity of the obtained substances, the scientists used kinetic methods and modeling. The results of screenings showed that the new substances efficiently slowed down the activity of BChE. In the future the team hopes to improve the synthesis method and to obtain chemical compounds with antioxidant as well as BChE-suppressing properties. A substance like that would be able to slow down BChE and at the same time to reduce the so-called oxidative stress—the disbalance between the number of active oxygen or nitrogen compounds and the inability of the body to process them leading to massive cell death.

**More information:** Galina F. Makhaeva et al. Synthesis, molecular docking, and biological activity of 2-vinyl chromones: Toward selective butyrylcholinesterase inhibitors for potential Alzheimer's disease therapeutics, *Bioorganic & Medicinal Chemistry* (2018). DOI: 10.1016/j.bmc.2018.08.010

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