Scientists report a new cascade reaction

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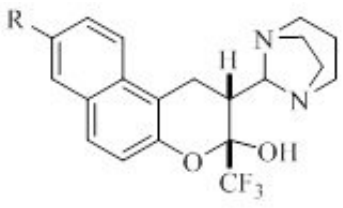

The 1,5-diabicyclo [3.2.1]octanes obtained in this work Credit: Vitaly Osyanin

Chemists from RUDN University have developed a new chemical reaction to synthesize a whole class of yet unexplored substances – diazabicyclo[3.2.1]octanes. These compounds are used in drug development. The new goal is to confirm the biological activity of the substances obtained. The paper was published in the *Journal of Fluorine Chemistry*.

Today, organic chemists can synthesize substances of almost any complexity—vitamins, proteins, terpenes, etc. To do this, they often use cascade reactions, sequences of several chemical transformations in the course of which new chemical bonds are formed. Their advantage is that all reactions run under the same conditions and do not require adding any other reagents or catalysts. Thus, cascade reactions are a powerful tool for rapidly increasing molecular complexity, that is, for obtaining complex compounds from simple parent substances. The difficulty, however, lies in the fact that the initial conditions must be calculated so as to obtain the expected substances. The study deals with a cascade

reaction involving trifluoroacetylchromenes and homopiperazine.

"We offered a yet unknown chain of transformations that allowed us to develop a new approach to bicyclic diamines, which are important in practical terms – 1,5-diazabicyclo[3.2.1]octanes. This class of compounds has remained very small so far and the synthesis of such substances has been associated with a number of difficulties," said Vitaly Osyanin, one of the authors of the article, Doctor of Chemical Sciences, Professor of the Department of Organic Chemistry at RUDN University.

The experiments took several weeks. Most of the experimental work was carried out by a student Irina Melnikova under the supervision of Vitaly Osyanin; the findings were included in her thesis.
1,5-Diazabicyclo[3.2.1]octanes are of interest due to their prospects. They are similar in structure to substances synthesized several years ago, which have an antimalarial effect.

"We are planning to expand the scope of application of this reaction and to study these compounds for antidiabetic activity," the scientist commented.

Studying the biological activity of a particular group of compounds usually requires tens or even hundreds of analogues with different substituents (the substituent is any atom or any group of atoms replacing hydrogen in an organic molecule). And only one of them eventually shows sufficient activity in combination with low toxicity, which means it is suitable for use. So in order to develop a drug, researchers have to expand the number of compounds studied. This is also now possible with the new reaction.The substance can be patented if it passes cell culture and animal tests.

More information: Dmitry V. Osipov et al, Synthesis of 8-substituted 1,5-diazabicyclo[3.2.1]octane derivatives via double aza-Michael

addition of homopiperazine to 3-trifluoroacetyl-4 H -chromenes, *Journal of Fluorine Chemistry* (2017). DOI: 10.1016/j.jfluchem.2017.09.006

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