

Article based on the report at the conference "Modern problems of chemical technology of biologically active substances." D.I. Mendeleev MUCTR. 26.05.2016.

Publication is available for discussion in the framework of the on-line Internet conference "Butlerov readings".

<http://butlerov.com/readings/>

Submitted on June 15, 2016.

Synthesis and biological evaluation of 1,2,3-triazol-1*H*-yl derivatives of chalcones as possible pharmacological analogues of benzo[*c*]phenanthridine alkaloids

© Sergey E. Laevsky,¹⁺ Grigory V. Avramenko,^{1*}
Maria A. Fomina², and Natalia V. Korotkova^{1*}

¹ Department of Chemical Technology of Pharmaceuticals and Cosmetics. Russian University of Chemical Technology Name after D.I. Mendeleev. Geroev Panfilovtsev St., 20. Moscow, 125480. Russia.

Phone: +7 (495) 495-24-06. E-mail: cosm-pharm@yandex.ru

² Department of Biological Chemistry. Ryazan State Medical University Named after I.P. Pavlov.

Vysokovolt'naya St., 9. Ryazan, 390026. Russia. Phone: +7 (4912) 46-08-37. E-mail: fdpo_ryazan@mail.ru

*Supervising author; [†]Corresponding author

Keywords: chalcones, 1,2,3-triazole, click-chemistry, cathepsins, lysosomal cysteine proteases.

Abstract

Chalcone derivatives bearing in the ring A an 1,2,3-triazole substituent were synthesized. Biological activity of synthesized compounds were evaluated vs sanguinarine chloride on the common biological target – lysosomal cysteine proteases. The results suggest that the synthesized compounds besides some common structural features with natural benzo[*c*]phenanthridine alkaloids also possess some common biological properties, specifically influence on the activity of cathepsins B, L and H. Nevertheless, introducing in the position 3 of the ring A of the chalcones the 1,2,3-triazole substituent resulted in different kind of biological activity, that display as a stabilization of lysosomal membranes.