76.

Latvijas Universitātes starptautiskā zinātniskā konference

ĶĪMIJAS SEKCIJA

2018. gada 9. februāris

Tēžu krājums

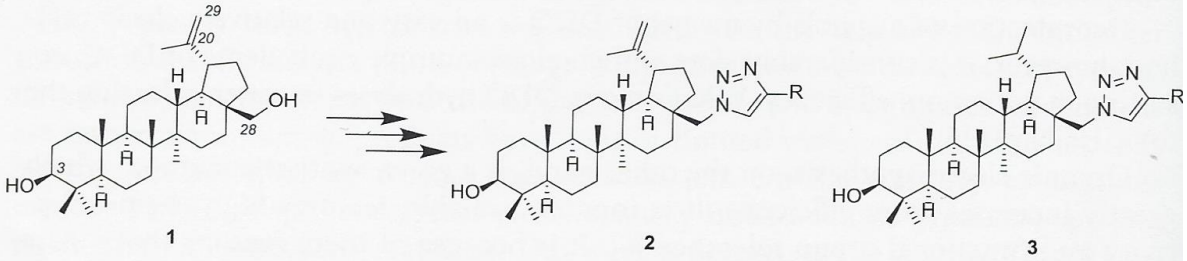
LATVIJAS UNIVERSITĀTE
ANNO 1919

SYNTHESIS OF NOVEL TRITERPENOID-1,2,3-TRIAZOLE CONJUGATES

Rūdolfs Beļaunieks, Andis Melderis, Uldis Peipiņš, Māris Turks

Institute of Technology of Organic Chemistry, Faculty of Materials Science and Applied Chemistry, Riga Technical University, P. Valdena Street 3, Riga, LV-1048, Latvia
E-mail: rudolfs.belaunieks@edu.rtu.lv

Betulin is an abundant naturally occurring triterpene, most commonly found in birch bark. Research shows, that betulin and its derrivatives possess wide spectrum of biological activities such as anti-HIV, antiinflammatory and anticancer [1, 2]. Use of betulin is limited by its low solubility in water. Therefore, to improve properties of betulin, structural modifications with new biological activity simultaneously improving solubility in water are being made [3].



Scheme 1. Synthesis of lupan-3β-ol and betulin-1,2,3-triazole conjugates

In this work, betulin (**2**) and lupan-3β-ol (**3**) conjugates were obtained in 5 step synthesis from betulin (**1**). Betulinaldehyde was obtained by chemoselective primary alcohol oxidation followed by reaction with hydroxylamine hydrochloride that provided betulinaldoxime. Following catalytic hydrogenation under certain conditions gave amines with or without reduced double bond between C(20) and C(29). From the obtained amines respective azides were synthesised in diazotransfer reactions using trifluoromethanesulfonic azide. The latter were employed in Cu(I) catalyzed 1,3-dipolar azide-alkyne cycloaddition reactions to obtain betulin and lupan-3β-ol-triazole conjugates.

References:

[1] Alakurtti, S., Makela, T., Koskimies, S., Yli-Kauhaluoma, J. *Eur. J. Pharm. Sci.* **2006**, *29*, 1–13.
[2] Murniece, R., Namniece, J., Nakurte, I., Jekabsons, K., Rieksina, U., Jansone, B. *Pharmacol. Res.* **2016**, *113*, 760–770.
[3] Khlebnicova, T. S., Piven, Y. A., Baranovsky, A. V., Lakhvich, F. A., Shishkina, S. V., Zicāne, D., Tetere, Z., Rāviņa, I., Kumpiņš, V., Rijkure, I., Mieriņa, I., Peipiņš, U., Turks, M. *Steroids.* **2017**, *117*, 77–89.