80th International Scientific Conference of the University of Latvia 2022

CHEMISTRY SECTION

BOOK OF ABSTRACTS

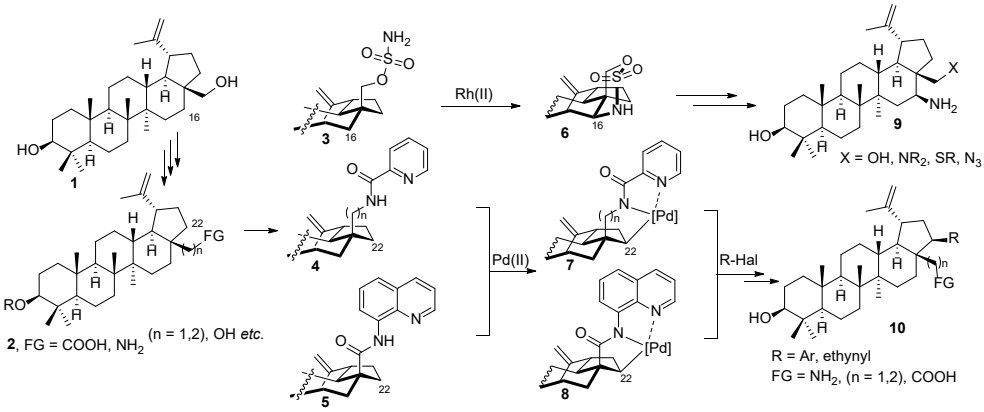
UNIVERSITY OF LATVIA

C-H ACTIVATION OF LUPANE TYPE TRITERPENOIDS

Vladislavs Kroškins, Jevgeņija Lugiņina, Kristiāns Jankovičs

Institute of Technology of Organic Chemistry, Faculty of Materials Science and Applied Chemistry, Riga Technical University, P. Valdena iela 3, Riga 1048, Latvia
E-mail: vladislavs.kroskins@rtu.lv

Betulin **1** is pentacyclic triterpenoid natural product that is obtained as secondary metabolite in more than 200 different types of plants. Betulin and its derivatives exhibit several important pharmacological properties such as antitumor, anti-inflammatory, antiparasitic, and anti-viral activities [1]. The aim of this work is to observe novel biologically active betulin derivatives by CH functionalization at C(16) and C(22). For this purpose, precursors bearing different directing groups were synthesized.



8-Sulfamate ester **3** was used for *Du Bois* γ-C-H bond amination *via* formation of oxathiazinane **6** [2]. Intermediate **6** can be further converted into differently functionalized compounds **9** through the ring opening reactions.

8-Aminoquinoline amide **5** and picoline amides **4** were combined successfully with aryl halogenides and haloalkynes in the *Daugulis* CH activation conditions [3].

Supervisors: Dr. chem. J. Lugiņina, Dr. chem. M. Turks.

References

[1] Xiao, S.; Tian, Z.; Wang, Y.; Si, L.; Zhou, D. *Med. Res. Rev*., **2018**, *38*, 951.

[2] Espino, C. G.; Wehn, P. M.; Chow, J.; Du Bois, J. *J. Am. Chem. Soc*., **2001**, *123*, 6935–6936.

[3] Zaitsev, V. G.; Shabashov, D.; Daugulis, O. *J. Am. Chem. Soc*., **2005**, *127*, 13154–13155.