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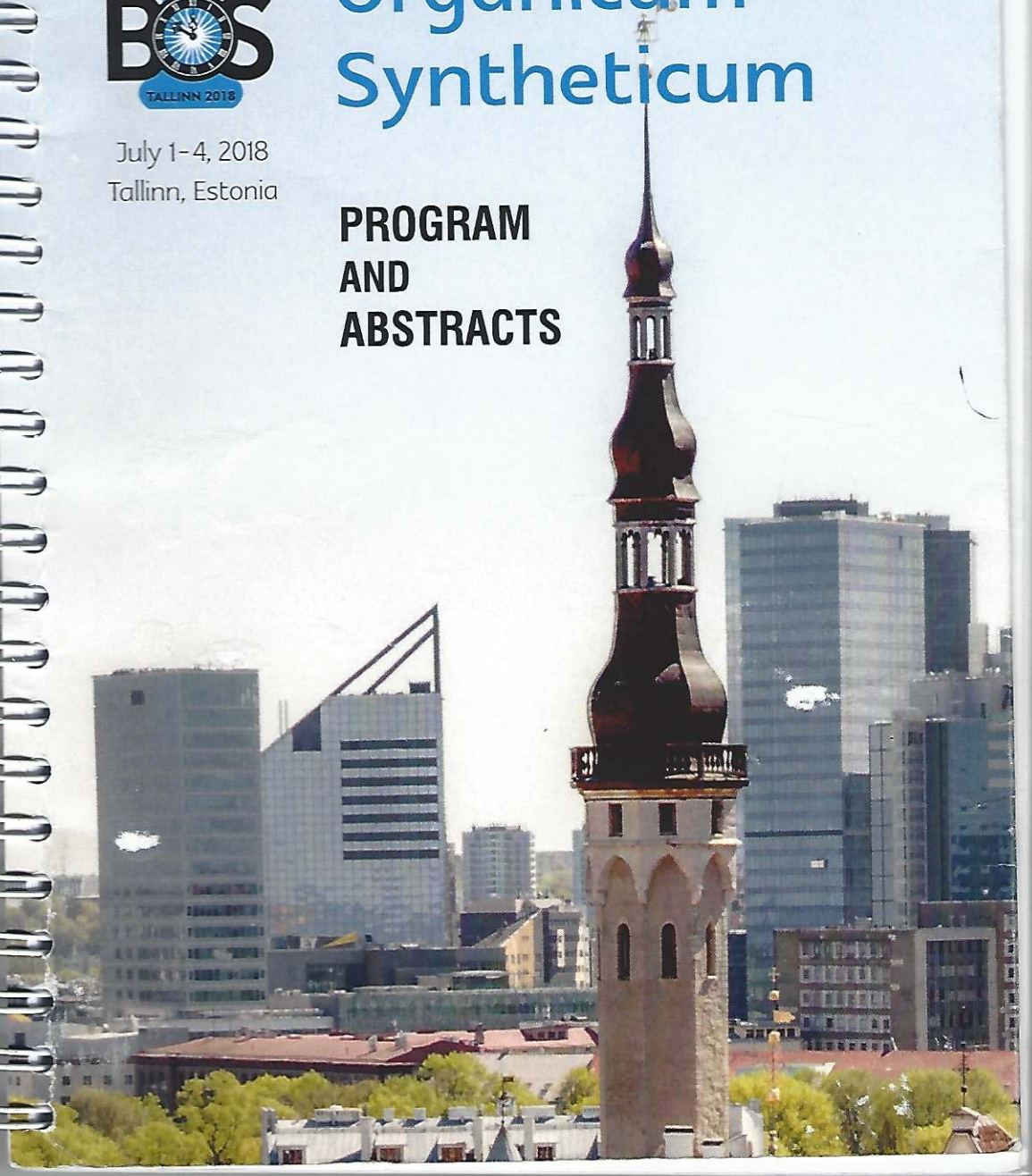
PROGRAM AND ABSTRACTS



Synthesis and Biological Activity of Lupane Triterpenoid - Azole Conjugates

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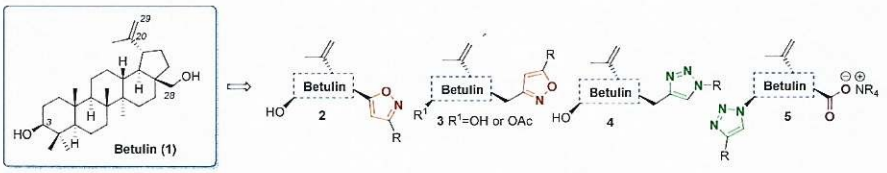
Betulin is a naturally occurring triterpene and its derivatives possess anticancer, anti-HIV properties [1]. Therefore, biological activity evaluation of novel semi-synthetic derivatives of betulin is an active research topic [2].

In this work, different triterpenoid conjugates were obtained starting from betulin (**1**). Betulin aldehyde was obtained by chemoselective primary alcohol oxidation at C(28) position. Aldehyde was treated with nitromethane to obtain aldehyde condensation product. Followed by reduction with $NaBH_4$, the latter was used in 1,3-dipolar cycloaddition reaction to synthesise corresponding isoxazoles **2**.

Likewise, treating betulinaldehyde with Ohira-Bestmann reagent corresponding alkyne was obtained and it was used in 1,3-dipolar cycloaddition reaction with nitrocompounds to obtain isoxazoles **3**. Obtained alkyne was used as well in CuAAC reactions to synthesize triazoles **4**.

Otherwise, 3-deoxy-3-azidobetulinic acid was prepared by betulin oxidation, reductive amination of C(3) position and diazotransfer reaction sequence. In CuAAC reaction from the azido derivative triazoles were synthesized and converted into corresponding salts **5** with ammonia, choline and some amino acids.

Novel triterpene conjugates were tested on a rare cancer cell lines and observed cytotoxicity will be reported.



References:

1. Peron, G.; Marzaro, G.; Dall'Acqua, S. *Curr. Med. Chem.* **2018**, 25, 1259.
2. 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.