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Heterocyclic labelled derivatives of Betulinines

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The lupane type compounds have played a singular role among pentacyclic cytotoxic triterpenoids from the beginning of 21st century, mainly for their various biological activities¹, which include antineoplastic, antiviral, anti-inflammatory, antimicrobial activities and also hepato- and cardioprotective effects. The derivatives of betulin and betulinic acid have been tested on various tumor cells lines for their activity². The heterogeneous family of triterpenoids, including highly oxidized lupane, des-E-lupane, oleanane and others derivatives, posses a significant cytotoxic and antiviral activity and was named Betulinines³⁻⁶. Betulinines have proved multispectral cytotoxic activity on the panel of 10 cell tumor lines of different histogenetical origin, including multidrug resistance.
Our research group has three main pathways of interest. The first is a chemical path, which is focused on synthesis of new derivatives based on SARS⁶. The second one is a radiochemical path, which is specialized in synthesis of selectively labelled compounds of the most cytotoxic active compounds⁷. Finally, it is a biological path, which tests biological activities of synthesized compounds, investigates of mechanism of action and formulates of SARS conclusions⁶.
During the past years have been synthesized several labelled derivatives, that have been used for investigation of mechanism, labelled by deuterium, tritium, carbon-13, carbon-14 and nitrogen-15. Recent interest of our research is synthesis of heterocyclic labelled derivatives of heterobetulinic, morolic and betulinic acid. Mentioned compound will be studied for their biological activities, especially for antitumor and anti-HIV in cooperation with LEM in Olomouc.

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Primary author: Mr VLK, Martin (Department of Nuclear Chemistry, Faculty of Nuclear Sciences and Physical Engineering, CTU Prague)

Co-authors: Dr SAREK, Jan (Department of Organic Chemistry, Faculty of Science, Palacky University, Trida 17. listopadu 12, 772 07 Olomouc, Czech Republic); Ms MARTINEZ M., Maria (Department of Nuclear Chemistry, Faculty of Nuclear Sciences and Physical Engineering, Czech Technical University, Brehova 7, 115 19 Prague 1, Czech Republic); Dr HAJDUCH, Marian (Laboratory of Experimental Medicine, Faculty of Medicine and Dentistry, Palacky University, Puskinova 6, 772 07 Olomouc, Czech Republic); Dr ELBERT, Tomas (Laboratory of

Radioisotopes, Institute of Organic Chemistry and Biochemistry, Academy of Sciences, Flemingovo n. 2, 166 10 Prague 6, Czech Republic)

Presenter: Mr VLK, Martin (Department of Nuclear Chemistry, Faculty of Nuclear Sciences and Physical Engineering, CTU Prague)

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