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Selectively labelled taraxastane and lupane derivatives

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Triterpenes are a group of natural compounds. They are studied for their wide spectrum of biological activities: antitumor, antimutagenic, antiinflammatory, antiallergy, endocrine, immunomodulatory, antiviral, hepatoprotective, cardioprotective, antithrombotic or activities on central nervous system.1

Nowadays the investigation of antitumor drugs is really important because over one million people get cancer each year and this quantity is increasing every year.

Some of the most important triterpenes are betulin andbetulinic acid and their derivatives2, which have demonstrated selective cytotoxicity against a number of specific tumor lines, HIV disseas, a variety of infectious agents such as malaria and bacteria, and the inflammatory process in general. The derivatives and potencial drugs from betulinic acid can be used to kill and/or inhibit multiplication of tumor cells. This type of drugs need to have high cytotoxic activity, low toxicity and have to be easily aviable.
We are focusing on the synthesis of semisynthetic derivatives of betulin and betulinic, heterobetulinic and morolic acids (lupane and taraxastane type) selectively labelled by deuterium, 2H and tritium 3H, carbon-13 and carbon-14.
That labelled compounds are essential for supporting of pharmacokinetic and toxicological evaluations which help with better understanding of methabolic pathways which are proceeding in investigated organism. We trust that labelled derivatives help us with investigation of mechanism of action and indentification of primary target.
All the synthesized compounds are tested on the board of tumors lines for their cytotoxic activity in vitro and in vivo in LEM, hoped to obtain new anticancer drugs.

References:
1.P. Dzubak, M. Hajduch, D. Vydra, A. Hustova, M. Kvasnica, D. Biedermann, L. Markova, M. Urban, J. Sarek, Nat. Prod. Rep., 2006, 23, 394-411.
2.E. R. Trumbull, E. Bianchi, D. J. Eckert, R. M. Wiedhopf, J. R. Cole, J. Pharm. Sci., 1976, 65, 1407-1408.

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