



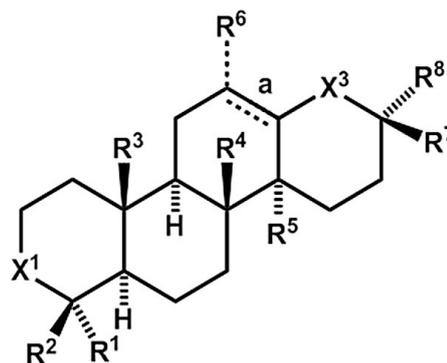
Biologically active triterpenoid derivatives III

Introduction:

Triterpenoids are naturally occurring substances showing a large range of biological activities, including strong cytotoxic activity. This would make them suitable for use as pharmaceuticals.

Technology description:

The present invention relates to the use of a compound of formula (I), or a pharmaceutically acceptable salt thereof, in therapy. Preferably, the compound may be used for treating a patient suffering from leukemia, cancer or other proliferative disorder. A further embodiment relates to the use of a compound of formula (I) in an assay for detecting the phosphorylation and acetylation state of cellular substrates. The present invention also relates to novel compounds of formula (Ia).



Advantages:

The invention provides a novel class of compounds possessing a cytotoxic activity to a wide range of tumor cell lines. Our recent data demonstrate that selected compounds covered by these patents are hedge-hog inhibitors, pro-apoptotic compounds inducing selective release of cytochrome c from tumor cells, tubulin polymerization inhibitors, hemoxygenase I inducers, HIV maturation inhibitors, etc. These compounds will be useful as medicaments for the treatment of cancer and other diseases connected with abnormal proliferation and/or HIV infection.

Development status:

Laboratory scale, data on cell lines, limited ADME/Tox data, *in vivo* pharmacology and pharmacodynamics.

Publications:

Kvasnica, M., M. Urban, N. J. Dickinson, J. Sarek. Pentacyclic triterpenoids with nitrogen- and sulfur-containing heterocycles: synthesis and medicinal significance. *Natural Product Reports*. 2015, 20(32), 1303-1330. ISSN 0265-0568. IF: 10.986. PMID: 26030604
Borkova, L., L. Jasilkova, J. Rehulka, K. Frisonsova, M. Urban, I. Frydrych, I. Popa, M. Hajduch, N. J. Dickinson, M. Vlk, P. Dzubak, J. Sarek. Synthesis of cytotoxic 2,2-difluoroderivatives of dihydrobetulinic acid and allobetulin and study of their impact on cancer cells. *European Journal of Medicinal Chemistry*. 2015, 96, 482-490. ISSN 0223-5234. IF: 3.902. PMID: 25942059
Sarek, J., P. Dzubak, E. Klinotova, V. Noskova, V. Krecek, G. Korinkova, J. O. Thomson, A. Janostakova, S. Wang, S. Parsons, P.M. Fischer, N. Zhelev, M. Hajduch. New lupane derived compounds with Pro-Apoptotic activity in cancer cells: Synthesis and structure-activity relationships. *Journal of Medicinal Chemistry*. 2003, 46(25), 5402-5415. ISSN 0022-2623. IF: 4.566. PMID: 14640549

Commercial offer:

Exclusive/non-exclusive license to the know-how and data

Ownership:

Institute of Molecular and Translational Medicine, Faculty of Medicine and Dentistry, Palacky University, Olomouc
Charles University Prague
Cyclacel Ltd.

Contact:

More information is available upon signing a CDA/NDA. Please contact IMTM's director (director@imtm.upol.cz) or the technology transfer office (tto@imtm.upol.cz)

