THE UNIVERSITY of NORTH CAROLINA at CHAPEL HILL

Pharmaceutical Therapeutics

carolina blue™ opportunity

Novel Anti-HIV Tigliane-type Diterpenes

Stellera chamaejasme L. (Thymelaeaceae) is a toxic perennial plant growing in high altitude area of China and also Nepal. Its roots have been used in Traditional Chinese Medicines as emulgent, horehound and dermatological agents. During our ongoing chemical investigation on Nepalese medicinal plants, the MeOH extract of S. chamaejasme has shown potent anti-HIV activity. Consequently, chemical constituents of this extract were investigated and resulted in the isolation of eight daphnane-type diterpenes. Stelleralide A and gnidimacrin have showed extremely potent cellular anti-HIV activity (EC_{90} 0.40 nM) with the lowest cytotoxicity (IC_{50} 4.3 μM) in MT4 cells. The daphnane diterpenes described in this study share some structural similarity to other diterpenes, such as prostratin (12-deoxyphorbol-13-acetate), DPP (12-deoxyphorbol-13-phenylacetate), and ingenol derivatives. Prostratin has been well documented for its anti-HIV-1 activity. The mechanism of action of the daphnane diterpenes reported here is currently under investigation. However, due to their structural similarity to other phorboids, it is likely that activation protein kinase C and down regulation of HIV-1 cellular receptors might be responsible for their potent anti-HIV-1 activity.

For More Information

If you would like more information about this technology or UNC - Chapel Hill's technology transfer program, please contact:

Jackie Quay
Office of Technology Development
Phone:
Fax:
Email: jlquay@unc.edu

http://research.unc.edu/otd/

Office of Technology Development
UNC - Chapel Hill
308 Bynum Hall
CB 4105
Chapel Hill, NC 27599-4105

Ref: 13-0033

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The Technology

Opportunity

UNC's Office of Technology Development seeks to stimulate development and commercial use of UNC-developed technologies. UNC is flexible in its agreements, and opportunities exist for joint development, academic or commercial licensing (exclusive, non-exclusive, and field-of-use), publishing, or other mutually beneficial relationships. For this technology, the patent publication is pending (see also Asada et al Org Lett 2011 Jun 3;13(11):2904-7. doi: 10.1021/ol200889s. Epub 2011 May 11.)