Product Data Sheet

Product Name: Temarotene (Ro 15-0778)
Cat. No.: GC31845

Chemical Properties

Cas. No.	75078-91-0		
SMILES	C/C(C1=CC2=C(C(C)(C)CCC2(C)C)C=C1)=C\C3=CC=CC=C3		
Formula	$C_{23}H_{28}$	M.Wt	304.47
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Temarotene (Ro 15-0778)		

Background

Temarotene is an orally administered, particular arotinoid.

Modulation of ornithine decarboxylase (ODC) gene expression by retinoids is analyzed in human keratinocyte cultures maintained in serum-free medium containing 0.15 mM Ca2+. Cells are incubated with all-trans-retinoic acid, 13-cis-retinoic acid or arotinoid Ro15-0778 (0.1 nM to 10 µM), total RNA is isolated, and mRNA transcripts for ODC are analyzed by Northern and slot blot hybridizations with a human ODC cDNA. Treatment of cells for 24 h results in a dose-dependent decrease in ODC mRNA levels, with an estimated IC50 of approximately 10 nM for all-trans- and 13-cis-retinoic acid, while Ro15-0778 is somewhat less effective (IC50 approximately 0.1-0.5 µM). The suppression of ODC mRNA levels by retinoids is detectable at approximately 3 h of incubation, with essentially a maximal inhibition at 12 h. Reduced ODC mRNA levels noted after 24 h of incubation with 0.5 µM all-trans-retinoic acid are accompanied by a reduction in ODC enzyme activity[1].

The aim of this preliminary report is to measure plasma and skin concentrations of Ro 15-0778 and its phenolic metabolite Ro 14-6113 in hairless rats receiving orally 10

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

mg/kg of Temarotene once daily during 10 days. Blood (2-3 mL) and skin (200-300 mg) samples are taken at different time points between 0.5 and 240 h after the last dose. A highly sensitive HPLC method is used for simultaneous determination of the two compounds with a quantification limit of 2 ng/mL in plasma and 10 ng/g in total skin (epidermis and dermis). After 10 h, plasma concentrations of Ro 14-6113 are 5-13 times higher than for Ro 15-0778. Ro 14-6113 concentrations in the skin are 4-10 times higher than for Ro 15-0778 within the initial 48 h. The concentrations of both compounds in the skin are higher than concentrations in plasma[1].

[1]. Olsen DR, et al. Suppression of ornithine decarboxylase gene expression by retinoids in cultured human keratinocytes. J Invest Dermatol. 1990 Jan;94(1):33-6. [2]. Fenina N, et al. Concentration of Temarotene (Ro 15-0778) and its metabolite Ro 14-6113 in plasma and skin of hairless rat. Skin Pharmacol. 1993;6(1):61-4.

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