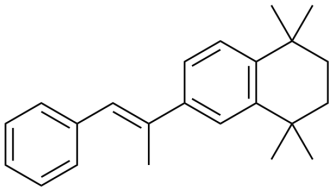
Temarotene

Cat. No.:	HY-U00011
CAS No.:	75078-91-0
Molecular Formula:	$C_{23}H_{28}$
Molecular Weight:	304.47
Target:	Others
Pathway:	Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Temarotene is an orally administered, particular arotinoid[1].
In Vitro	Modulation of ornithine decarboxylase (ODC) gene expression by retinoids is analyzed in human keratinocyte cultures maintained in serum-free medium containing 0.15 mM Ca^{2+}. 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 IC_{50} of approximately 10 nM for all-trans- and 13-cis-retinoic acid, while Ro15-0778 is somewhat less effective (IC_{50} 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	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 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[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.