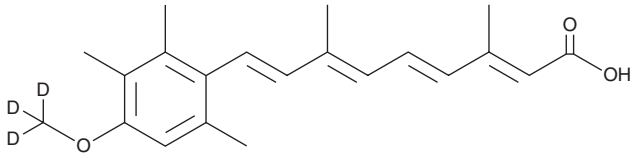
PRODUCT INFORMATION

Acitretin-d_3

Item No. 28696

Formal Name:	(2E,4E,6E,8E)-9-(4-(methoxy-d_3)-2,3,6-trimethylphenyl)-3,7-dimethylnona-2,4,6,8-tetraenoic acid
MF:	$C_{21}H_{23}D_3O_3$
FW:	329.5
Chemical Purity:	≥98% (Acitretin)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_3); ≤1% d_0
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Acitretin-d_3 is intended for use as an internal standard for the quantification of acitretin (Item No. 20853) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Acitretin-d_3 is supplied as a solid. A stock solution may be made by dissolving the acitretin-d_3 in the solvent of choice, which should be purged with an inert gas. Acitretin-d_3 is soluble in the organic solvent DMSO.

Description

Acitretin is a retinoid and an active metabolite of the retinoid etretinate (Item No. 19878) that has antiproliferative activities.[1,2] It binds to cellular retinoic acid binding protein I (CRABP-I) and CRABP-II (K_ds = 3 and 15 nM, respectively, for the mouse recombinant proteins) but has low affinity for human recombinant retinoic acid receptor-retinoid X receptor (RAR-RXR) heterocomplexes.[3,4] Acitretin inhibits proliferation (IC_{50} = 6.6 µM) and suppresses TNF-α- and IFN-γ-induced protein levels of STAT1, NF-κB, and RANTES in HaCaT keratinocytes when used at concentrations up to 50 µM.[5] It inhibits proliferation of HL-60, SCC-4, SCC-15, and A431, but not MC-F7, cancer cells, when used at a concentration of 30 µM.[1] Acitretin (20 µg per mouse) decreases the severity of psoriatic-like skin lesions in K14-VEGF transgenic mice.[2] Formulations containing acitretin have been used in the treatment of psoriasis.

References

1. Frey, J.R., Peck, R., and Bollag, W. Antiproliferative activity of retinoids, interferon α and their combination in five human transformed cell lines. *Cancer Lett.* **57(3)**, 223-227 (1991).
2. An, J., Zhang, D., Wu, J., *et al.* The acitretin and methotrexate combination therapy for psoriasis vulgaris achieves higher effectiveness and less liver fibrosis. *Pharmacol. Res.* **121**, 158-168 (2017).
3. Norris, A.W., Cheng, L., Giguère, V., *et al.* Measurement of subnanomolar retinoic acid binding affinities for cellular retinoic acid binding proteins by fluorometric titration. *Biochim. Biophys. Acta.* **1209(1)**, 10-18 (1994).
4. Tian, K., Norris, A.W., Lin, C.L., *et al.* The isolation and characterization of purified heterocomplexes of recombinant retinoic acid receptor and retinoid X receptor ligand binding domains. *Biochemistry* **36(19)**, 5669-5676 (1997).
5. Zhang, M., Zhu, L., Feng, Y., *et al.* Effects of acitretin on proliferative inhibition and RANTES production of HaCaT cells. *Arch. Dermatol. Res.* **300(10)**, 575-581 (2008).

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