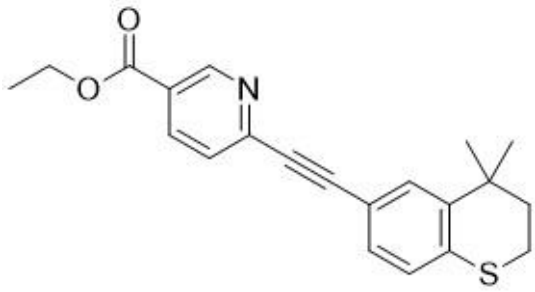
Product data sheet

MedKoo Cat#: 318793 Name: Tazarotene CAS#: 118292-40-3 Chemical Formula: $C_{21}H_{21}NO_2S$ Exact Mass: 351.1293 Molecular Weight: 351.464	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Tazarotene is a third-generation prescription topical retinoid. Tazarotene is a retinoid prodrug which is converted to its active form, the cognate carboxylic acid of tazarotene, by rapid deesterification in animals and humans. Tazarotenic acid binds to all three members of the retinoic acid receptor (RAR) family: RARα, RARβ, and RARγ but shows relative selectivity for RARβ, and RARγ and may modify gene expression.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	50.0	142.26

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.85	14.23	28.45
5 mM	0.57	2.85	5.69
10 mM	0.28	1.42	2.85
50 mM	0.06	0.28	0.57

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Wu CS, Chen GS, Lin PY, Pan IH, Wang ST, Lin SH, Yu HS, Lin CC. Tazarotene induces apoptosis in human basal cell carcinoma via activation of caspase-8/t-Bid and the reactive oxygen species-dependent mitochondrial pathway. DNA Cell Biol. 2014 Oct;33(10):652-66. doi: 10.1089/dna.2014.2366. Epub 2014 Jun 13. PMID: 24927175; PMCID: PMC4179923.
2. Al Haj Zen A, Nawrot DA, Howarth A, Caporali A, Ebner D, Vernet A, Schneider JE, Bhattacharya S. The Retinoid Agonist Tazarotene Promotes Angiogenesis and Wound Healing. Mol Ther. 2016 Oct;24(10):1745-1759. doi: 10.1038/mt.2016.153. Epub 2016 Aug 2. PMID: 27480772; PMCID: PMC5112045.

In vivo study

1. So PL, Lee K, Hebert J, Walker P, Lu Y, Hwang J, Kopelovich L, Athar M, Bickers D, Aszterbaum M, Epstein EH Jr. Topical tazarotene chemoprevention reduces Basal cell carcinoma number and size in Ptch1+/- mice exposed to ultraviolet or ionizing radiation. Cancer Res. 2004 Jul 1;64(13):4385-9. doi: 10.1158/0008-5472.CAN-03-1927. PMID: 15231643.
2. Hsia E, Johnston MJ, Houlden RJ, Chern WH, Hofland HE. Effects of topically applied acitretin in reconstructed human epidermis and the rhino mouse. J Invest Dermatol. 2008 Jan;128(1):125-30. doi: 10.1038/sj.jid.5700968. Epub 2007 Jul 19. PMID: 17637822.

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7. Bioactivity

Biological target:

Tazarotene (AGN 190168) is a selective retinoic acid receptor (RAR) agonist.

In vitro activity

To determine the effect of tazarotene on cell growth, human BCC cells were treated with various doses of tazarotene for 12, 24, or 48 h, and cell viability was measured using the MTT assay. As shown in Figure 1A, tazarotene significantly reduces BCC cell viability in a dose- and time-dependent manner. To determine whether tazarotene-induced growth inhibition occurs via cell cycle blockade, BCC cells were subjected to flow cytometric analysis. BCC cells were exposed to a series of different tazarotene concentrations for 12, 24, or 48 h. Figure 1B shows that 25 and 50 μM tazarotene treatment for 12 h and 25 μM tazarotene treatment for 24 h caused transient G0/G1 phase cell cycle arrest. In addition, the sub-G1 population, which is typically considered an apoptosis-related hypo-diploid DNA content peak, significantly increased in a dose-dependent manner at 12, 24, and 48 h after tazarotene treatment. To further confirm the observed tazarotene-induced apoptosis, we utilized flow cytometry to analyze TUNEL staining in cells treated with various concentrations of tazarotene for 24 h. As shown in Figure 1C, the percentage of TUNEL-positive BCC cells increased in a concentration-dependent manner. Taken together, these observations suggest that the anti-proliferative effect of tazarotene in BCC cells results, at least in part, from its ability to induce apoptosis.

DNA Cell Biol. 2014 Oct;33(10):652-66. https://pubmed.ncbi.nlm.nih.gov/24927175/

In vivo activity

Therefore, it has been tested whether tazarotene would affect BCCs (basal cell carcinoma) in Ptch1+/− mice in a controlled chemoprevention trial. Consistent with our previous experience (10) , all Ptch1+/− mice treated with vehicle control cream and exposed to UV or IR developed microscopic BCCs (Fig. 1) ⇓ . In the first UV study, Ptch1+/− mice treated topically with 0.1% tazarotene had fewer microscopic BCCs per centimeter of skin surface length than did those in the vehicle control group after 5 months of UV radiation at 7 months of age (1.05 versus 3.9; $P < 0.03$); after 7 months of UV radiation at 9 months of age (0.46 versus 3.48; $P < 0.0001$), and after 9 months of UV radiation at 11 months of age (0.51 versus 3.79; $P < 0.011$; Fig. 1A ⇓). The average cross-sectional BCC size in the mice treated with tazarotene was also smaller than that in control animals after 5 months of UV radiation at 7 months of age (2.5 versus 9.1 μm2; $P < 0.0001$); after 7 months of UV radiation at 9 months of age (2.4 versus 17 μm2; $P < 0.0001$), and after 9 months of UV radiation at 11 months of age (3.5 versus 54 μm2; $P < 0.0023$; Fig. 1B ⇓). Also, in many skin biopsies, topical tazarotene treatment resulted in a thicker epidermis, as compared with control vehicle-treated mice (Fig. 3) ⇓ . Topical tazarotene treatment did not affect the normal weight gain of the UV- or IR-treated mice (data not shown).Tazarotene is a promising agent for skin cancer prevention in populations at risk for BCCs, such as patients with the basal cell nevus syndrome.

Cancer Res. 2004 Jul 1;64(13):4385-9. https://cancerres.aacrjournals.org/content/64/13/4385.long

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.