Adarotene

Cat. No.: HY-14808

CAS No.: 496868-77-0

Molecular Formula: $C_{25}H_{26}O_3$

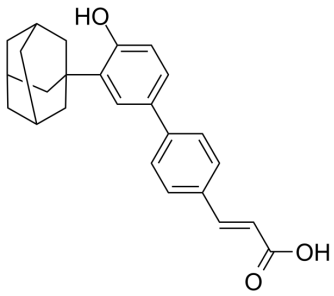
Molecular Weight: 374.47

Target: Apoptosis

Pathway: Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (66.76 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6704 mL	13.3522 mL	26.7044 mL
	5 mM	0.5341 mL	2.6704 mL	5.3409 mL
	10 mM	0.2670 mL	1.3352 mL	2.6704 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.68 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.68 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Adarotene is an effective apoptosis inducer, which surprisingly produces DNA damage and exhibites a potent antiproliferative activity on a large panel of human tumor cells.

In Vitro

Adarotene causes a dose-dependent growth inhibition in a large panel of human tumor cell lines with IC_{50} ranging from 0.1 to 0.3 μM. Adarotene causes cell accumulation in G1/S or S phase of cell cycle depending on tumor cells IGROV-1 and DU145 [1]. Adarotene is apoptotic and cytotoxic on a large spectrum of cancerous and leukemic cells, including freshly isolated AML blasts in primary culture. The molecular target of ST1926 apoptotic activity in myeloid leukemia cells is similar to the ligand-binding domain of RARγ. Adarotene treatment of cells results in rapid accumulation of intracellular calcium[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	Adarotene (15, 20 mg/kg, p.o.) causes a significant tumor growth inhibition in a human ovarian carcinoma, A2780/DX, and in a human melanoma, MeWo, growing in nude mice[1]. Adarotene (30, 40 mg/kg, p.o.) results in a significant and dose-dependent increase in the life span of NB4-bearing SCID mice without overt toxicity[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Briefly, cells (1×10^7/mL) are loaded with 1 μM FURA-2 at 37°C in the dark for 30 minutes, washed twice, resuspended in phosphate-buffered saline (PBS) containing 1.26 mM $CaCl_2$ at 10^6 cells/mL and then used for the experiments. Dual excitation, alternating at 340 nm and 380 nm, is provided by a spectrophotofluorometer equipped with 2 excitation monochromators, and emission is fixed at 480 nm. The temperature is set at 37°C±1°C. In some experiments, to eliminate extracellular calcium, cells preloaded with FURA-2 are resuspended in PBS without Ca^{2+}, and 0.5 mM EGTA (ethylene glycol tetraacetic acid) is added to each sample prior to addition of the appropriate stimulus. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	NB4 cells (3×10^6) are intraperitoneally inoculated in SCID mice (8 mice/group). ST1926 is dissolved in cremophor/ethanol 1:1 solution, and diluted 1:10 in PBS at the concentration of 50 mg/kg; the doses of 30 mg/kg and 40 mg/kg are then prepared by appropriate dilutions in the same vehicle. ATRA is dissolved in the dark in Cremophor EL and kept magnetically stirred; the solution is then diluted 1:10 in PBS at the final concentration of 40 mg/kg. Both compounds are administered intraperitoneally and orally twice per day for 3 weeks starting from the day after cell inoculation, in a volume of 10 mL/kg. During treatments body weight and lethality are registered. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Genes Dev. 2021 Oct 1;35(19-20):1356-1367.
- Cell Rep. 2020 Feb 18;30(7):2416-2429.e7.
- Biomed Pharmacother. 2020 Aug;128:110291.
- Patent. US20200190009A1
- Cell Physiol Biochem. 2017;41(2):519-529.

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REFERENCES

[1]. Cincinelli R, et al. A novel atypical retinoid endowed with proapoptotic and antitumor activity. J Med Chem. 2003 Mar 13;46(6):909-12.

[2]. Garattini E, et al. ST1926, a novel and orally active retinoid-related molecule inducing apoptosis in myeloid leukemia cells: modulation of intracellular calcium homeostasis. Blood. 2004 Jan 1;103(1):194-207.

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