Amsilarotene

Cat. No.: HY-14653

CAS No.: 125973-56-0

Molecular Formula: $C_{20}H_{27}NO_3Si_2$

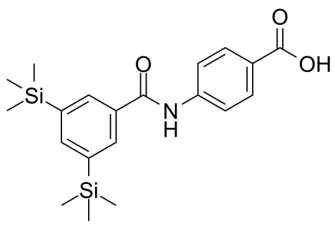
Molecular Weight: 385.6

Target: RAR/RXR; Apoptosis

Pathway: Metabolic Enzyme/Protease; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (259.34 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5934 mL	12.9668 mL	25.9336 mL
	5 mM	0.5187 mL	2.5934 mL	5.1867 mL
	10 mM	0.2593 mL	1.2967 mL	2.5934 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 (6.48 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.48 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.48 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Amsilarotene (TAC-101; Am 555S), an orally active synthetic retinoid, has selective affinity for retinoic acid receptor α (RAR-α) binding with K_i of 2.4, 400 nM for RAR-α and RAR-β. Amsilarotene induces the apoptotic of human gastric cancer, hepatocellular carcinoma and ovarian carcinoma cells. Amsilarotene can be used for the research of cancer[1][2][3].

IC_{50} & Target

RARα	RARβ
2.4 nM (Ki)	400 nM (Ki)

In Vitro

Amsilarotene (0, 10, 25 μM; 24 hours) induces apoptosis of human epithelial ovarian carcinoma-derived cell lines in a concentration-dependent manner[2].
Amsilarotene (10, 20 μM; 0, 3, 6, and 9 days) inhibits the proliferation of BxPC-3 and MIAPaCa-2 cells[3].
Amsilarotene (10 μM; 48 hours) increases the proportion of sensitive BxPC-3 cells in the G_1 phase[3].
Amsilarotene (10 μM; 0, 3, 6, 24, 48, 72 hours) inhibits the retinoblastoma-gene product (RB) phosphorylation in BxPC-3 cells between 24 and 72 hours[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[2]

Cell Line:	RMG-I, RMG-II, RTSG, RMUG-S, RMUG-L, and KF cells
Concentration:	0, 10, 25 μM
Incubation Time:	24 hours
Result:	Induced apoptosis in a concentration-dependent manner in all of the cell lines, except KF cells.

Cell Proliferation Assay[3]

Cell Line:	BxPC-3, MIAPaCa-2, AsPC-1 cells
Concentration:	10 and 20 μM
Incubation Time:	0, 3, 6, and 9 days.
Result:	Inhibited the proliferation of BxPC-3 and MIAPaCa-2 cells, but not the proliferation of AsPC-1 cells.

Cell Cycle Analysis[3]

Cell Line:	Sensitive BxPC-3 cells
Concentration:	10 μM
Incubation Time:	48 hours
Result:	The proportion of cells in the G_1 phase increased from 43% of untreated control cells to 86%

In Vivo

Amsilarotene (8 mg/kg/day orally for 30 days) inhibits the RMG-II tumor growth in nude mice[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6-week-old female BALB/c nu/nu mice with subcutaneous RMG-II tumors[2]
Dosage:	8 mg/kg/day
Administration:	Orally for 30 days
Result:	The maximal tumor growth-inhibiting effect was seen on day 31 of administration, when there was a 45% reduction of relative tumor volume (RTV).

REFERENCES

[1]. Sun SY, et al. Differential effects of synthetic nuclear retinoid receptor-selective retinoids on the growth of human non-small cell lung carcinoma cells. Cancer Res. 1997 Nov 1;57(21):4931-9.

[2]. Suzuki N, et al. A novel retinoid, 4-[3,5-bis (trimethylsilyl) benzamido] benzoic acid (TAC-101), induces apoptosis of human ovarian carcinoma cells and shows potential as a new antitumor agent for clear cell adenocarcinoma. Gynecol Oncol. 2004 Sep;94(3):643-9.

[3]. Fujimoto K, et al. Induction of cell-cycle arrest and apoptosis by a novel retinobenzoic-acid derivative, TAC-101, in human pancreatic-cancer cells. Int J Cancer. 1999 May 17;81(4):637-44.

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