Bexarotene

Cat. No.: HY-14171

CAS No.: 153559-49-0

Molecular Formula: $C_{24}H_{28}O_2$

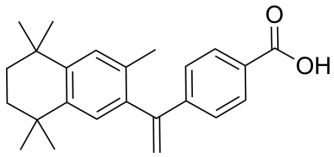
Molecular Weight: 348.48

Target: RAR/RXR; Autophagy

Pathway: Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor; Autophagy

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 : 60 mg/mL (172.18 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8696 mL	14.3480 mL	28.6961 mL
5 mM	0.5739 mL	2.8696 mL	5.7392 mL
10 mM	0.2870 mL	1.4348 mL	2.8696 mL

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

In Vivo

1. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: 2.62 mg/mL (7.52 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: 2.62 mg/mL (7.52 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.97 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.97 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bexarotene (LGD1069) is a high-affinity and selective retinoid X receptors (RXR) agonist with EC_{50}s of 33, 24, 25 nM for RXRα, RXRβ, and RXRγ, respectively. Bexarotene shows limited affinity for RAR receptors (EC_{50} >10000 nM)[1][2][3]. Bexarotene can be used for the research of cutaneous T-cell lymphoma.

In Vitro

Bexarotene?selectively binds and activates RXR subtypes with K_d=14±2 nM, 21±4 nM, and 29±7 nM for RXRα, RXRβ, and RXRγ subtypes[1].?

Bexarotene?is effective in limiting the proliferation of leukemic (HL-60) cells.?Bexarotene inhibits the proliferation of HL-60 cells by 37% at 1 μM[1].??

Bexarotene monotherapy of cells shows an antiproliferative effect at a high dose, and the IC_{50}s aere 40.62±0.45?μM (PC3) and 50.20±4.10?μM (DU145)[2].??

Bexarotene (20 and 40 μM) and Docetaxel (5 and 10 μM) exhibit a synergistic effect on the inhibition of PC3 and DU145 cell proliferation[2].

Bexarotene (20 and 40?μM) represses cyclin D1 and cyclin D3 expression in PC3 and DU145 cells[2].

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

Cell Proliferation Assay[2]

Cell Line:	The human PCa androgen-independent cell lines PC3 and DU145
Concentration:	5, 10, 20, 30, 40 μM for PC3 cells; 1, 5, 10, 20, 40 μM for DU145 cells.
Incubation Time:	24 and 48 hours
Result:	Showed an antiproliferative effect with the IC_{50}s were 40.62±0.45 μM (PC3) and 50.20±4.10 μM (DU145).

Cell Viability Assay[2]

Cell Line:	PC3 and DU145 cells
Concentration:	20 and 40 μM
Incubation Time:	24 or 48 hours
Result:	Decreased cyclin D1, and cyclin E2 after 24 hours treatment. Not only decreased the expression of cyclin D1 and cyclin E2 but repressed cyclin B1 and CDK1 expression after 48 hours treatment.

In Vivo

Bexarotene??(1 mg/kg/day) is effective in blocking the development of behavioral deficits and dopamine neuron degeneration in a rat model of Parkinson's disease (PD) producing significantly reduced changes in both triglycerides and T4 serum[1].?

Bexarotene is an effective preventive agent against lung tumor growth and progression. Bexarotene (100?mg/kg by gavage) inhibits both tumor multiplicity and tumor volume in mice of all three genotypes ($p53^{wt/wt}$K-$ras^{wt/wt}$, $p53^{val135/wt}$K-$ras^{wt/wt}$, or $p53^{wt/wt}$K-$ras^{ko/wt}$). Bexarotene reduces the progression of adenoma to adenocarcinoma by?≥50% in both $p53^{wt/wt}$K-$ras^{ko/wt}$?and $p53^{wt/wt}$K-$ras^{wt/wt}$?mice[3].

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

Animal Model:	UL53-3 mice ($p53^{wt/wt}$K-$ras^{wt/wt}$, $p53^{val135/wt}$K-$ras^{wt/wt}$, or $p53^{wt/wt}$K-$ras^{ko/wt}$)[3]
Dosage:	100 mg/kg
Administration:	Gavage with 18 gage of gavage-needle, 0.1 mL per mouse per day, 5 times a week, continued for 12 weeks
Result:	Inhibited both tumor multiplicity and tumor volume in mice of all three genotypes.

CUSTOMER VALIDATION

- Cell. 2018 Aug 9;174(4):843-855.e19.
- Int J Biol Macromol. 2022 Feb 1;204:144-153.
- J Med Chem. 2022 Jan 21.
- Neural Regen Res. 2023 Jun 15.
- Neurobiol Dis. 2018 Sep;117:114-124.

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REFERENCES

[1]. Nathalia Rodrigues de Almeida, et al. A review of the molecular design and biological activities of RXR agonists. Med Res Rev. 2019 Jul;39(4):1372-1397.

[2]. Danyang Shen, et al. Synergistic effect of a retinoid X receptor-selective ligand bexarotene and docetaxel in prostate cancer. Onco Targets Ther. 2019 Sep 24;12:7877-7886.

[3]. Y Wang, et al. Prevention of lung cancer progression by bexarotene in mouse models. Oncogene. 2006 Mar 2;25(9):1320-9.

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