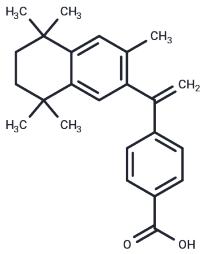
Data Sheet (Cat.No.T6410)

TargetMol

Bexarotene

Chemical Properties



CAS No. : 153559-49-0

Formula: C24H28O2

Molecular Weight: 348.48

Appearance: no data available

Storage: store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Bexarotene (LGD1069) is a retinoid analogue that is used to treat the skin manifestations of cutaneous T cell lymphoma (CTCL).
Targets(IC50)	Retinoid Receptor,Autophagy
In vitro	Bexarotene inhibited the expression of retinoid X receptor alpha and retinoic acid receptor alpha proteins in CTCL cell lines (MJ,HUT78 and 11 h).1 mM and 10 mM Bexarotene treatment of CTCL cell lines (MJ,HUT78 and 11 h) for 96 h increased cellular sub-G1 populations and membrane-bound protein V binding in a dose-dependent manner. Bexarotene treatment reduced the levels of surviving proteins, activated caspase-3 and cleaved poly (ADP-ribose) polymerase, but had no significant effect on the expression of Fas/Fas ligand and bcl-2 proteins in all three CTCL lines.Bexarotene induced a loss of viability and a more pronounced inhibition of clone formation in HH and Hut-78 cells proliferation, while the MJ line showed resistance.
In vivo	Bexarotene inhibited the expression of retinoid X receptor alpha and retinoic acid receptor alpha proteins in CTCL cell lines (MJ,HUT78 and 11 h).1 mM and 10 mM Bexarotene treatment of CTCL cell lines (MJ,HUT78 and 11 h) for 96 h increased cellular sub-G1 populations and membrane-bound protein V binding in a dose-dependent manner. Bexarotene treatment reduced the levels of surviving proteins, activated caspase-3 and cleaved poly (ADP-ribose) polymerase, but had no significant effect on the expression of Fas/Fas ligand and bcl-2 proteins in all three CTCL lines.Bexarotene induced a loss of viability and a more pronounced inhibition of clone formation in HH and Hut-78 cells proliferation, while the MJ line showed resistance.
Kinase Assay	Biacore studies: Competition assays are performed on a Biacore S51. A Series S Sensor chip CM5 is derivatized for immobilization of a PentaHis antibody for capture of the His-tagged p53. The level of capture is ~ 200 response units (1 response unit corresponds to 1 pg of protein per mm 2). The concentration of MDM2 protein is kept constant at 300 nM. Test compounds are dissolved in DMSO at 10 mM and further diluted to make a concentration series of inhibitor in each MDM2 test sample. The assays are run at 25 °C in running buffer (10 mM Hepes, 0.15 M NaCl, 2% DMSO). MDM2-p53 binding in the presence of inhibitor is calculated as a percentage of binding in the absence of inhibitor and IC50 is calculated using Microsoft Excel

Solubility Information

Solubility	DMSO: 20 mg/mL (57.39 mM),Sonication is recommended. Ethanol: 7 mg/mL (20 mM)),Heating is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8696 mL	14.348 mL	28.6961 mL
5 mM	0.5739 mL	2.8696 mL	5.7392 mL
10 mM	0.287 mL	1.4348 mL	2.8696 mL
50 mM	0.0574 mL	0.287 mL	0.5739 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

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Qiu Y, Sun Y, Xu D, et al. Screening of FDA-approved drugs identifies sutent as a modulator of UCP1 expression in brown adipose tissue. EBioMedicine. 2018, 37: 344-355.
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Zeng X, Zhu S, Lu W, et al. Target identification among known drugs by deep learning from heterogeneous networks[J]. Chemical Science. 2020, 11(7): 1775-1797.