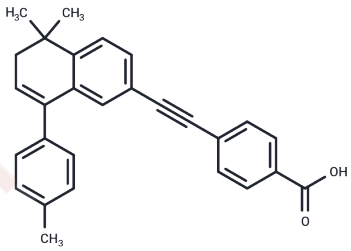
Data Sheet (Cat.No.TQ0097)

TargetMol

AGN 193109

Chemical Properties



CAS No. : 171746-21-7

Formula: C28H24O2

Molecular Weight: 392.49

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	AGN 193109, a retinoid analog, is a potent and specific antagonist of RARs (Kds: 2 nM, 2 nM, and 3 nM for RARα, RARβ, and RARγ).
Targets(IC50)	Retinoid Receptor,Autophagy
In vitro	AGN 193109 is completely RAR specific because it does not bind to or transactivate through any of the RXRs [1]. AGN 193109 (100 nM) inhibits the TTNPB (a retinoic acid receptor agonist)-dependent morphological change in ECE16-1 cells. AGN193109 half-reverses retinoid-dependent growth suppression at 10 nM, and completely shows this effect at 100 nM in ECE16-1 cells. AGN193109 (100 nM) also eliminates TTNPB-induced decrease in levels of K5, K6, K14, K16, and K17 and increases in levels of K7, K8, and K19 [2].
In vivo	Topical administration of AGN 193109 at doses of 0.30 or 1.20 μmol/kg significantly mitigates weight loss and cutaneous toxicity associated with concurrent oral TTNPB treatment. A dose of 1.15 μmol/kg AGN 193109 exhibits no apparent toxicity and does not influence spleen weight in mice, yet effectively inhibits the TTNPB-induced spleen weight increase. Furthermore, AGN 193109 notably attenuates ATRA-induced cutaneous toxicity.
Cell Research	Cells (10,000/cm2) are seeded in complete medium and allowed to attach overnight. The cells are then shifted to defined medium (DM), allowed to equilibrate for 24 h, and treatment is initiated by the addition of fresh DM or DM containing epidermal growth factor (EGF) or retinoid. After 3 days of daily treatment with retinoid, the cells are harvested with 0.025% trypsin, 1 mM EDTA, fixed in isotonic buffer containing 4% formaldehyde, and counted using a counter [2].
Animal Research	Mice (n=6) are treated topically on the dorsal skin with vehicle (92.5% acetone/7.5% DMSO), 0.072 μmol/kg of TTNPB, 1.15 μmol/kg of AGN 193109, or 0.072 μmol/kg of TTNPB plus 0.072, 0.288, or 1.15 μmol/kg of AGN 193109 for 5 days. Mice are euthanized on Day 8 [3].

Solubility Information

Solubility	DMSO: 3.93 mg/mL (10.01 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5478 mL	12.7392 mL	25.4784 mL
5 mM	0.5096 mL	2.5478 mL	5.0957 mL
10 mM	0.2548 mL	1.2739 mL	2.5478 mL
50 mM	0.051 mL	0.2548 mL	0.5096 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Johnson AT, et al. Synthesis and characterization of a highly potent and effective antagonist of retinoic acid receptors. J Med Chem. 1995 Nov 24;38(24):4764-7.
Agarwal C, et al. AGN193109 is a highly effective antagonist of retinoid action in human ectocervical epithelial cells. J Biol Chem. 1996 May 24;271(21):12209-12.
Standeven AM, et al. Specific antagonist of retinoid toxicity in mice. Toxicol Appl Pharmacol. 1996 May;138(1):169-75.