Product Data Sheet

Product Name: BMS 195614
Cat. No.: GC11504

Chemical Properties

Cas. No.	182135-66-6		
Chemical Name	4-(5,5-dimethyl-8-(quinolin-3-yl)-5,6-dihydronaphthalene-2-carboxamido)benzoic acid		
SMILES	CC1(CC=C(C2=C1C=CC(C(NC3=CC=C(C(O)=O)C=C3)=O)=C2)C4=CC5=CC=CC=C5N=C4)C		
Formula	$C_{29}H_{24}N_2O_3$	M.Wt	448.51
Solubility	DMF: 30 mg/ml;DMSO: 30 mg/ml;DMSO:PBS (pH 7.2) (1:1): 0.5 mg/ml	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	BMS 195614		

Background

BMS 195614 is a selective RARα antagonist [1]. It can bind to the RARα subunit [5].

BMS195614,4[[[[5,6-Dihydro-5,5-dimethyl-8-(3-quinolinyl)]-2-naphthalenyl] carbonyl]amino]benzoic acid [2], was considered to be retinoid antagonists as it inhibited all-transretinoic acid-induced (ATRA-induced) retinoic acid response elements-chloramphenicol acetyltransferase (RARE-CAT) reporter expression via concomitantly transfected retinoic acid receptors (RARs) [3][4].

Retinoic acids (RAs) are the most notably biologically active derivatives (collectively referred to as retinoids) of vitamin A (retinol). Retinoic acids exert a wide variety of profound effects on cellular differentiation, vertebrate development and homeostasis [6].

BMS 195614 reversed the induction effect of selective RARα agonists, AM580, AM80 and BMS 194753 on differentiation of the acute promyelocytic leukemia cell lines, NB4 and HL60 [1]. Treatment with retinoic acid (RA) (10-6 M) for 72 hrs significantly reduced T47D breast cancer cells migration. But RA in combination with BMS 195614 did not affect the cell movement [7]. In cells of a bovine stromal-vascular fraction from intramuscular fat, BMS 195614 significantly diminished the anti-adipogenic effect of ATRA [8].

BMS 195614 displayed poor in vivo activity in mice when administered orally. Treatment with BMS 195614 at oral doses for 1 month showed no inhibition to spermatogenesis [3]. Oral administration of BMS 195614 did not suppress spermatogenesis in mice [9].

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References:
[1]. F. Christopher Zusi, Matthew V. Lorenzi and Valerie Vivat-Hannah. Selective retinoids and rexinoids in cancer therapy and chemoprevention. Drug Discovery Today, 2002, 7(23):1165-1174.
[2]. John E. Starrett, Jr., David R. Tortolani, Muzammil M. Mansuri, et al. Bristol-Myers Squibb Co. Retinoid-like Heterocycles. US patent 5,559,248. 1996 Sep. 24.
[3]. Sanny S. W. Chung, Rebecca A. D. Cuellar, Xiangyuan Wang, et al. Pharmacological Activity of Retinoic Acid Receptor Alpha-Selective Antagonists in Vitro and in Vivo. ACS Med. Chem. Lett., 2013, 4: 446-450.
[4]. Eun Young Park, Alice Dillard, Elizabeth A. Williams, et al. Retinol Inhibits the Growth of All-Trans-Retinoic Acid–Sensitive and All-Trans-Retinoic Acid–Resistant Colon Cancer Cells through a Retinoic Acid Receptor–Independent Mechanism. Cancer Res., 2005, 65(21):9923-9934.
[5]. Dongchun Liang, Aijun Zuo, Hui Shao, et al. Retinoic Acid Inhibits CD25þ Dendritic Cell Expansion and cd T-Cell Activation in Experimental Autoimmune Uveitis. Invest Ophthalmol Vis Sci., 2013, 54:3493-3503.
[6]. Pierre Chamban. A decade of molecular biology of retinoic acid receptors. FASEBJ., 1996, 10:940-954.
[7]. Flamini Marina Ines, Gauna Gisel Valeria, Sottile Mayra Lis, et al. Retinoic acid reduces migration of human breast cancer cells: role of retinoic acid receptor β. J. Cell. Mol. Med., 2014, 18(6): 1113-1123.
[8]. Nikolas Gunkel, Thorsten Meyer and John Michael Graettinger; N/A. Method of Modulating the Degree of Adipose Tissue Deposited Intramuscularly. US patent 20140094512A1. 2014 Apr. 3.
[9]. Fern E. Murdoch and Erwin Goldberg. Male contraception: Another holy grail. Bioorg. Med. Chem. Lett., 2014, 24:419-424.

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