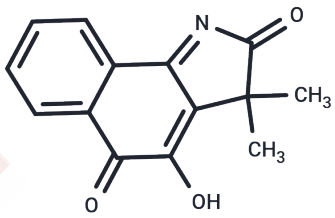
Data Sheet (Cat.No.T14841)

BVT948

Chemical Properties



CAS No. : 39674-97-0

Formula: C14H11NO3

Molecular Weight: 241.24

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	BVT948 is a protein tyrosine phosphatase (PTP) inhibitor that also inhibits lysine methyltransferase SETD8 (KMT5A) and various cytochrome P450 (P450) isoforms.
Targets(IC50)	Histone Methyltransferase,Cytochromes P450,Phosphatase
In vitro	BVT948 exhibits dose-dependent inhibition of TPA-induced MMP-9 up-regulation, without affecting MAPK phosphorylation caused by TPA. It reduces TPA-induced cell invasion by 50%. Interestingly, BVT948 does not significantly alter cell viability in MCF-7 cells at concentrations of 0.5, 1, or 5 μM over 24 hours. Furthermore, BVT948 is a potent inhibitor of protein tyrosine phosphatases (PTP) and P450 activities, demonstrating its ability to selectively suppress H4 lysine 20 (H4K20me1) methylation at doses below 5 μM within 24 hours. Additionally, it enhances the insulin signal's strength without affecting its duration and induces cell-cycle-arrest phenotypes reminiscent of those observed with SETD8 knockdown via RNAi. BVT948 also effectively inhibits TPA-induced NF-κB binding activity but not AP-1 binding activity, showcasing its selective inhibitory properties on specific molecular targets.
In vivo	Compare with vehicle-treated controls, BVT948 (BVT.948, 3 μmol/kg) significantly enhances glucose clearance from the blood stream in response to insulin[1].

Solubility Information

Solubility	DMSO: 100 mg/mL (414.52 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (16.58 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.1452 mL	20.7262 mL	41.4525 mL
5 mM	0.829 mL	4.1452 mL	8.2905 mL
10 mM	0.4145 mL	2.0726 mL	4.1452 mL
50 mM	0.0829 mL	0.4145 mL	0.829 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

Liljebris C, et al. Oxidation of protein tyrosine phosphatases as a pharmaceutical mechanism of action: a study using 4-hydroxy-3,3-dimethyl-2H-benzo[g]indole-2,5(3H)-dione. J Pharmacol Exp Ther. 2004 May;309(2):711-9.
Blum G, et al. Small-molecule inhibitors of SETD8 with cellular activity. ACS Chem Biol. 2014 Nov 21;9(11):2471-8.
Hwang BM, et al. Protein tyrosine phosphatase controls breast cancer invasion through the expression of matrix metalloproteinase-9. BMB Rep. 2013 Nov;46(11):533-8.