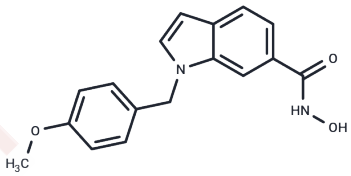
Data Sheet (Cat.No.T6325)

TargetMol

PCI-34051

Chemical Properties



CAS No. : 950762-95-5

Formula: C17H16N2O3

Molecular Weight: 296.32

Storage: Keep away from moisture,Store at low temperature,
Store under nitrogen
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	PCI-34051 is an effective and selective HDAC8 inhibitor (IC50: 10 nM).
Targets(IC50)	Apoptosis,HDAC
In vitro	PCI-34051 has a good potency for HDAC8 (Ki: 10 nM). PCI-34051 has high specificity (about 5-fold) for HDAC8 relative to the other class I HDACs including HDAC1. PCI-34051 has higher 200-fold selectivity than HDAC1/6 and higher 1000-fold selectivity than HDAC2/3//10. PCI-34051 inhibits ovarian tumor line OVCAR-3 (GI50: 6 μM) and 15% cell death. Neither significant tubulin nor histone acetylation is observed in the sensitive cell lines treated with PCI-34051 (<25 μM) at 24 hours nor at earlier time points. PCI-34051 induces caspase-dependent apoptosis. When caspase-3 activity is measured at various times after treatment with 5 μM PCI-34051, increasing levels of activity are observed from 12 to 24 to 48 hours. PCI-34051 does not stimulate Bid cleavage. While J.RT3-T.5 and P116 are sensitive to PCI-34051, the PLCγ1-deficient J.gamma1 line shows a marked decrease in the extent of PCI-34051-induced apoptosis. Furthermore, steady-state calcium levels strongly influence the apoptosis induced by PCI-34051. PCI-34051 induces cytochrome c release from mitochondria.
In vivo	Administration of PCI-34051 and Dexamethasone reduces eosinophilic inflammation and airway hyperresponsiveness in asthma, thereby mitigating airway remodeling [2].
Kinase Assay	Histone deacetylase activity:For PCI-34051 characterization, measurements are performed in a reaction volume of 100 μL using 96-well assay plates in a fluorescence plate reader. For each isozyme. The HDAC protein in reaction buffer (50 mM HEPES, 100 mM KCl, 0.001% Tween-20, 5% dimethyl sulfoxide, pH7.4, supplemented with bovine serum albumin at concentrations of 0-0.05%) is mixed with PCI-34051 at various concentrations and allowed to incubate for 15 min. Trypsin is added to a final concentration of 50 nM, and acetyl-Gly-Ala-(N-acetyl-Lys)-amino-4-methyl coumarin is added to a final concentration of 25-100 μM to initiate the reaction. After a 30 min lag time, the fluorescence is measured over a 30 min time frame using an excitation wavelength of 335 nm and a detection wavelength of 460 nm. The increase in fluorescence with time is used as the measure of the reaction rate.

Cell Research	Cell lines: A549 cell line,Ovcar-3 cell line. Concentrations: 5 μM. Incubation Time: 24 hours. Method: Tumor cell lines and human umbilical vein endothelial cells are cultured for at least two doubling times,and growth is monitored at the end of PCI-34051 exposure using an Alamar Blue fluorometric cell proliferation assay as recommended by the manufacturer.PCI-34051 is assayed in triplicate wells in 96-well plates.The concentration required to inhibit cell growth by 50% (GI50) and 95% confidence intervals are estimated from the nonlinear regression using a four-parameter logistic equation.

Solubility Information

Solubility	DMSO: 125 mg/mL (421.84 mM),Sonication is recommended. 1eq. NaOH: 5.9 mg/mL (19.91 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: 10 mg/mL (33.75 mM),Suspension. 10% DMSO+90% Saline: < 10 mg/mL (33.75 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *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	3.3747 mL	16.8737 mL	33.7473 mL
5 mM	0.6749 mL	3.3747 mL	6.7495 mL
10 mM	0.3375 mL	1.6874 mL	3.3747 mL
50 mM	0.0675 mL	0.3375 mL	0.6749 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

Balasubramanian S, et al. Leukemia. 2008, 22(5), 1026-1034.
Ren Y, et al. Therapeutic effects of histone deacetylase inhibitors in a murine asthma model. Inflamm Res. 2016 Dec;65(12):1995-12008.