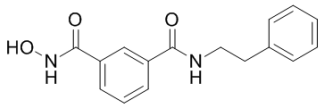
BRD73954

Cat. No.:	HY-18700
CAS No.:	1440209-96-0
Molecular Formula:	$C_{16}H_{16}N_2O_3$
Molecular Weight:	284.31
Target:	HDAC
Pathway:	Cell Cycle/DNA Damage; Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (87.93 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.5173 mL	17.5864 mL	35.1729 mL
	5 mM	0.7035 mL	3.5173 mL	7.0346 mL
	10 mM	0.3517 mL	1.7586 mL	3.5173 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.79 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.79 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BRD73954 ia a potent and selective HDAC inhibitor with IC50 of 36 nM and 120 nM for HDAC6 and HDAC8, respectively.IC50 value: 36 nM (HDAC6), 120 nM (HDAC8)Target: HDACBRD73954 is the first small molecule histone deacetylase (HDAC) inhibitor, capable of potently and selectively inhibiting both HDAC6 and HDAC8, despite the fact that these isoforms belong to distinct phylogenetic classes within the HDAC family of enzymes. Our data demonstrate that meta substituents of phenyl hydroxamic acids are readily accommodated upon binding to HDAC6 and, furthermore, are necessary for the potent inhibition of HDAC8. At 10 ?M, BRD73954 treatment results in a robust increase in acetylation of α-tubulin, a known HDAC6 substrate, but not histone H3, a substrate for HDAC1, 2, and 3, in HeLa cells.

IC_{50} & Target	HDAC6 0.036 μM (IC_{50})	HDAC8 0.12 μM (IC_{50})	HDAC2 9 μM (IC_{50})

CUSTOMER VALIDATION

- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.
- Patent. US20180263995A1.

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REFERENCES

[1]. Olson DE, et al. Discovery of the first histone deacetylase 6/8 dual inhibitors. J Med Chem. 2013 Jun 13;56(11):4816-4820.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA