Quisinostat

Cat. No.: HY-15433

CAS No.: 875320-29-9

Molecular Formula: $C_{21}H_{26}N_6O_2$

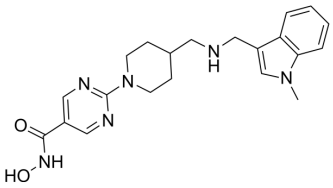
Molecular Weight: 394.47

Target: HDAC; Apoptosis; Autophagy

Pathway: Cell Cycle/DNA Damage; Epigenetics; Apoptosis; Autophagy

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (126.75 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5350 mL	12.6752 mL	25.3505 mL
	5 mM	0.5070 mL	2.5350 mL	5.0701 mL
	10 mM	0.2535 mL	1.2675 mL	2.5350 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 (6.34 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.34 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Quisinostat (JNJ-26481585) is a potent, second-generation and orally active pan-HDAC inhibitor (HDACi), with IC_{50} values ranging from 0.11 nM to 0.64 nM for HDAC1, HDAC2, HDAC4, HDAC10 and HDAC11. Quisinostat has a broad spectrum antitumoral activity[1]. Quisinostat can induce autophagy in neuroblastoma cells[2].

IC_{50} & Target

HDAC1 0.11 nM (IC_{50})	HDAC2 0.33 nM (IC_{50})	HDAC4 0.64 nM (IC_{50})	HDAC10 0.46 nM (IC_{50})
HDAC11 0.37 nM (IC_{50})	HDAC3 4.86 nM (IC_{50})	HDAC5 3.69 nM (IC_{50})	HDAC8 4.26 nM (IC_{50})

	HDAC9 32.1 nM (IC_{50})	HDAC6 76.8 nM (IC_{50})	HDAC7 119 nM (IC_{50})

In Vitro

Quisinostat inhibits HDAC isozymes in vitro[1].
?Quisinostat (30-1000 nM; 24 hours) is a potent pan-HDAC inhibitor in tumor cells[1].
?Quisinostat has a broad spectrum antiproliferative activity against solid and hematologic cancer cell lines and induces apoptosis[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Human A2780 ovarian carcinoma cells
Concentration:	30 nM, 100 nM, 300 nM, 1000 nM
Incubation Time:	24 hours
Result:	Induced H3 and H4 acetylation at concentrations as low as 30 to 100 nM.

In Vivo

Quisinostat (40 mg/kg; p.o.; once daily; for 3 days) acts as a potent HDAC1 inhibitor that inhibits p21waf1,cip1 ZsGreen tumors in vivo[1].
?Quisinostat induces continuous H3 acetylation in tumor tissue in vivo[1].
?Quisinostat (10 mg/kg; once daily; i.p.; for 14 days) strongly inhibits the growth of large pre-established HCT116 colon xenografts[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NMRI nude mice, with HCT116 colon carcinoma cells xenografts[1]
Dosage:	10 mg/kg
Administration:	Intraperitoneal injection, once daily, for 14 days
Result:	Strongly inhibited the growth of large pre-established HCT116 colon xenografts.

CUSTOMER VALIDATION

- Theranostics. 2019 Jan 30;9(4):1096-1114.
- NPJ Precis Oncol. 2023 Jul 21;7(1):70.
- Toxicol Appl Pharmacol. 2021 Jan 1;410:115363.
- The Faculty For Chemie And Pharmazie, Albert-ludwigs-university Of Freiburg. 2019 Dec.
- Exp Hematol Oncol. 2019 Nov 15;8:30.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Arts J, et al. JNJ-26481585, a novel "second-generation" oral histone deacetylase inhibitor, shows broad-spectrum preclinical antitumoral activity. Clin Cancer Res. 2009 Nov 15;15(22):6841-51.

[2]. Vamsi Krishna Kommalapati, et al. Inhibition of JNJ-26481585-mediated autophagy induces apoptosis via ROS activation and mitochondrial membrane potential disruption in neuroblastoma cells. Mol Cell Biochem. 2020 May;468(1-2):21-34.

Caution: Product has not been fully validated for medical applications. For research use only.

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