Quisinostat dihydrochloride

Cat. No.: HY-15433A

CAS No.: 875320-31-3

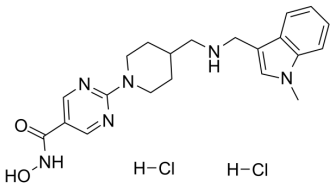
Molecular Formula: $C_{21}H_{28}Cl_2N_6O_2$

Molecular Weight: 467.39

Target: HDAC; Apoptosis; Autophagy

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

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 31.25 mg/mL (66.86 mM; ultrasonic and warming and heat to 70°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1395 mL	10.6977 mL	21.3954 mL
	5 mM	0.4279 mL	2.1395 mL	4.2791 mL
	10 mM	0.2140 mL	1.0698 mL	2.1395 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: ≥ 2.08 mg/mL (4.45 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.45 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Quisinostat dihydrochloride (JNJ-26481585 dihydrochloride) is an orally available, potent pan-HDAC inhibitor with IC_{50}s of 0.11 nM, 0.33 nM, 0.64 nM, 0.46 nM, and 0.37 nM for HDAC1, HDAC2, HDAC4, HDAC10 and HDAC11, respectively. Quisinostat dihydrochloride has a broad spectrum antitumoral activity[1].

IC_{50} & Target

HDAC1 0.11 nM (IC_{50})	HDAC2 0.33 nM (IC_{50})	HDAC11 0.37 nM (IC_{50})	HDAC10 0.46 nM (IC_{50})
HDAC5 3.69 nM (IC_{50})	HDAC8 4.26 nM (IC_{50})	HDAC3 4.86 nM (IC_{50})	HDAC9 32.1 nM (IC_{50})

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

In Vitro

JNJ-26481585 inhibits HDAC isozymes in vitro[1].
JNJ-26481585 (30-1000 nM; 24 hours) is a potent pan-HDAC inhibitor in tumor cells[1].
JNJ-26481585 has 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

JNJ-26481585 (40 mg/kg; p.o.; once daily, for 3 days) as a potent HDAC1 inhibitor p21waf1,cip1 ZsGreen tumors in vivo[1].
JNJ-26481585 induces continuous H3 acetylation in tumor tissue in vivo[1].
JNJ-26481585 (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.
- 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.
- Patent. US20180263995A1.

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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.

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

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