Zabadinostat

Cat. No.: HY-100748

CAS No.: 934828-12-3

Molecular Formula: $C_{24}H_{29}N_5O$

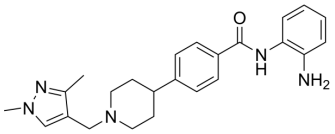
Molecular Weight: 403.52

Target: HDAC

Pathway: Cell Cycle/DNA Damage; Epigenetics

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (123.91 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4782 mL	12.3910 mL	24.7819 mL
5 mM	0.4956 mL	2.4782 mL	4.9564 mL
10 mM	0.2478 mL	1.2391 mL	2.4782 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 (6.20 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.20 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.20 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Zabadinostat (CXD101) is a potent, selective and orally active class I HDAC inhibitor with IC_{50}s of 63 nM, 570 nM and 550 nM for HDAC1, HDAC2 and HDAC3, respectively. Zabadinostat has no activity against HDAC class II. Zabadinostat has antitumor activity[1][2].

IC_{50} & Target

HDAC1	HDAC3	HDAC2
63 nM (IC_{50})	550 nM (IC_{50})	570 nM (IC_{50})

In Vitro	Zabadinostat has been tested in vitro in colon, lung, non-Hodgkin lymphoma, and myeloma cell lines with IC_{50}s ranged from 0.2 to 15 μM[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Zabadinostat substantially reduces tumor size in murine xenograft lung (A549a) and colon (HT29) models at a dose of 50 mg/kg. Tumor reductions are found to be associated with increased histone acetylation and decreased HDAC enzyme activity[2]. For Zabadinostat, after oral dosing in murine and canine models, peak plasma concentrations (C_{max}) are reached 1 to 2 hours after the dose and terminal half‐lives are 6 hours and 8 hours, respectively. After murine oral [^{14}C]-Zabadinostat at a dose of 1.6 mg/kg (4 μmol/kg), tissue radioactivity peaked 3 to 6 hours after the dose and declined slowly thereafter with Zabadinostat‐related material still present in tissue 21 days after the dose[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- bioRxiv. 2023 Jun 5.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Eyre TA, et al. Predictive biomarkers for disease sensitivity in lymphoma - the holy grail for HDAC inhibitors? Oncotarget. 2018 Dec 18;9(99):37280-37281.

[2]. Eyre TA, et al. A phase 1 study to assess the safety, tolerability, and pharmacokinetics of CXD101 in patients with advanced cancer. Cancer. 2019 Jan 1;125(1):99-108.

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