Tucidinostat

Cat. No.: HY-109015

CAS No.: 1616493-44-7

Molecular Formula: $C_{22}H_{19}FN_4O_2$

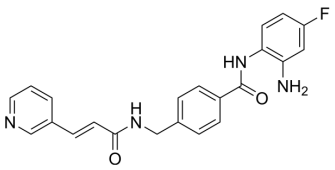
Molecular Weight: 390.41

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 (128.07 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5614 mL	12.8070 mL	25.6141 mL
	5 mM	0.5123 mL	2.5614 mL	5.1228 mL
	10 mM	0.2561 mL	1.2807 mL	2.5614 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 (6.40 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.40 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.40 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tucidinostat (Chidamide) is a potent and orally bioavailable HDAC enzymes class I (HDAC1/2/3) and class IIb (HDAC10) inhibitor, with IC_{50}s of 95, 160, 67 and 78 nM, less active on HDAC8 and HDAC11 (IC_{50}s, 733 nM, 432 nM, respectively), and shows no effect on HDAC4/5/6/7/9[1].

IC_{50} & Target

HDAC3 67 nM (IC_{50})	HDAC10 78 nM (IC_{50})	HDAC1 95 nM (IC_{50})	HDAC2 160 nM (IC_{50})
HDAC11	HDAC8		

	432 nM (IC_{50})	733 nM (IC_{50})
In Vitro	Tucidinostat (Chidamide/CS055/HBI-8000) is a potent and orally bioavailable HDAC enzymes class I (HDAC1, 2, 3) and class IIb (HDAC10) inhibitor, with IC_{50}s of 95, 160, 67 and 78 nM, less active on HDAC8 and HDAC11 (IC_{50}s, 733 nM, 432 nM, respectively), and shows no effect on HDAC4/5/6/7/9 (IC_{50}s, >30 μM). Tucidinostat shows potent antitumor activity, and inhibits several human derived tumor cell lines, such as HL-60, U2OS, LNCaP with GI_{50}s of 0.4 ± 0.1, 2.0 ± 0.6, and 4.0 ± 1.2 μM, respectively. In addition, Tucidinostat shows less toxic to normal cells from human fetal kidney (CCC-HEK) and liver (CCCHEL)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	Tucidinostat (12.5-50 mg/kg, p.o.) dose-dependently reduces tumor size and tumor weight in mice bearing HCT-8 colorectal carcinoma, A549 lung carcinoma, BEL-7402 liver carcinoma, and MCF-7 breast carcinoma, and with no obvious body loss[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

CUSTOMER VALIDATION

- Nat Commun. 2023 Sep 22;14(1):5916.
- EBioMedicine. 2022 Dec 31;87:104420.
- EBioMedicine. 2018 Aug;34:61-75.
- Biomed Pharmacother. 2019 Nov;119:109413.
- Cancer Immunol Immunother. 2023 Mar 17.

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REFERENCES

[1]. Ning ZQ, et al. Chidamide (CS055/HBI-8000): a new histone deacetylase inhibitor of the benzamide class with antitumor activity and the ability to enhance immune cell-mediated tumor cell cytotoxicity. Cancer Chemother Pharmacol. 2012 Apr;69(4):901-9.

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