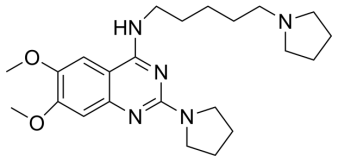
UNC0379

Cat. No.:	HY-12335
CAS No.:	1620401-82-2
Molecular Formula:	$C_{23}H_{35}N_5O_2$
Molecular Weight:	413.56
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 1 year -20°C 6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (120.90 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4180 mL	12.0901 mL	24.1803 mL
	5 mM	0.4836 mL	2.4180 mL	4.8361 mL
	10 mM	0.2418 mL	1.2090 mL	2.4180 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 (6.05 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.05 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.05 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

UNC0379 is a selective, substrate-competitive inhibitor of lysine methyltransferase SETD8 (KMT5A) with an IC_{50} of 7.3 μM, K_D value of 18.3 μM. UNC0379 can be used in the research of inflammation and cancers, such as pulmonary fibrosis, ovarian cancer, neuroblastoma[1][2][3].

IC_{50} & Target

SETD8/KMT5A

In Vitro

UNC0379 (1-10 μM, 9 days) inhibits HGSOC cells proliferation[2].

?UNC0379 (10 μM, 96 h) increases in the proportion of sub-G1 phase cells in HGSOC cells[2].
?UNC0379 (10 μM, 48 h) induces myofibroblast de-differentiation and inhibits additional fibroblast to myofibroblast differentiation[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	JHOS2, JHOS3, JHOS4, OVCAR3, OVCAHO, OVKATE, KURAMOCHI, TYKnu
Concentration:	1-10 μM
Incubation Time:	9 days
Result:	Inhibited HGSOC cells proliferation with IC_{50}s ranging from 0.39 to 3.20 μM.

Cell Cycle Analysis[1]

Cell Line:	JHOS3, OVCAR3
Concentration:	10 μM
Incubation Time:	96 h
Result:	Arrested cells in sub-G1 phase.

In Vivo

UNC0379 (intratracheal administration, 1 mg/kg/day, on day7, 8, and 9) ameliorates the lung fibrosis in Bleomycin (BLM)-induced lung fibrosis mouse[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Bleomycin (BLM)-induced lung fibrosis mouse model[3]
Dosage:	1 mg/kg/day
Administration:	Intratracheal administration, on day7, 8, and 9.
Result:	Ameliorated BLM-induced lung fibrosis (supported by the evaluation of the Ashcroft score and changes in the collagen content in the lung samples) without affecting pulmonary inflammation.

CUSTOMER VALIDATION

- Cell Metab. 2021 Jan 5;33(1):160-173.e6.
- Proc Natl Acad Sci U S A. 2019 Feb 19;116(8):2961-2966.
- Cell Death Dis. 2018 Jan 26;9(2):129.
- Sci Rep. 2020 Mar 11;10(1):4490.
- J Gastroenterol Hepatol. 2021 May 14.

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REFERENCES

[1]. Miku Wada, et al. Epigenetic Modifier SETD8 as a Therapeutic Target for High-Grade Serous Ovarian Cancer. Biomolecules. 2020 Dec 16;10(12):1686.

[2]. Keita Ugai, et al. Inhibition of the SET8 Pathway Ameliorates Lung Fibrosis Even Through Fibroblast Dedifferentiation. Front Mol Biosci. 2020 Aug 5;7:192.

[3]. Ma A, et al. Discovery of a Selective, Substrate-Competitive Inhibitor of the Lysine Methyltransferase SETD8. J Med Chem. 2014 Aug 14;57(15):6822-33.

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