CBB1007 trihydrochloride

Cat. No.: HY-15313C

CAS No.: 2070015-03-9

Molecular Formula: $C_{27}H_{37}Cl_3N_8O_4$

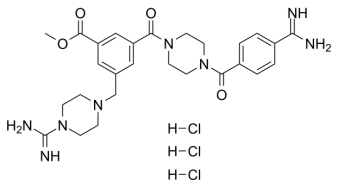
Molecular Weight: 643.99

Target: Histone Demethylase

Pathway: Epigenetics

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 : 25 mg/mL (38.82 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5528 mL	7.7641 mL	15.5282 mL
	5 mM	0.3106 mL	1.5528 mL	3.1056 mL
	10 mM	0.1553 mL	0.7764 mL	1.5528 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: ≥ 1.25 mg/mL (1.94 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (1.94 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (1.94 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CBB1007 trihydrochloride is a cell-permeable amidino-guanidinium compound that acts as a potent, reversible and substrate competitive LSD1 selective inhibitor (IC50 = 5.27 μM for hLSD1).IC50 Value: 5.27 uMTarget: hLSD1CBB1007 efficiently can block LSD1-mediated demethylation of H3K4Me2 and H3K4Me (IC50 ≤ 5 μM) with no effect on H3K4Me3 and H3K9Me2, and LSD2 and JARID1A activities. Increases H3K4Me2 and H3K4Me contents (IC50 ≤ 5 μM), and causes activation of epigenetically suppressed CHRM4/M4-ArchR and SCN3A genes in F9 cells (IC50 ≤ 3.74 μM). CBB1007 was Shown to preferentially arrest the growth of pluripotent tumors with minimal effect on non-pluripotent cancer or normal somatic cells (IC50 ≥ 100 μM).

IC_{50} & Target

KDM1/LSD1

CUSTOMER VALIDATION

- BMC Neurosci. 2022 Nov 10;23(1):63.

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REFERENCES

[1]. Wang J, et al. Novel histone demethylase LSD1 inhibitors selectively target cancer cells with pluripotent stem cell properties. Cancer Res. 2011 Dec 1;71(23):7238-49.

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