RN-1 dihydrochloride

Cat. No.: HY-110130

CAS No.: 1781835-13-9

Molecular Formula: $C_{23}H_{31}Cl_2N_3O_2$

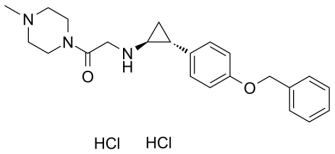
Molecular Weight: 452.42

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

H_2O : 20 mg/mL (44.21 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2103 mL	11.0517 mL	22.1034 mL
	5 mM	0.4421 mL	2.2103 mL	4.4207 mL
	10 mM	0.2210 mL	1.1052 mL	2.2103 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 20 mg/mL (44.21 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

BIOLOGICAL ACTIVITY

Description

RN-1 dihydrochloride is a potent, brain-penetrant, irreversible and selective lysine-specific demethylase 1 (LSD1) inhibitor with an IC_{50} of 70 nM. RN-1 dihydrochloride exhibits selectivity for LSD1 over MAO-A and MAO-B with IC_{50} values of 0.51 μM and 2.785 μM respectively[1][2].

IC_{50} & Target

IC50: 70 nM (LSD1), 0.51 μM (MAO-A) and 2.785 μM (MAO-B)[1]

In Vitro

RN-1 dihydrochloride shows cytotoxic for ovarian cancer cells (SKOV3, OVCAR3, A2780 and cisplatin-resistant A2780cis), with IC50 values of ≈100-200 μM[3].

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

In Vivo

RN-1 (3-10 mg/kg; i.p.; daily; for 2 or 4 consecutive weeks) dihydrochloride effectively induces fetal hemoglobin (HbF) levels in red blood cells and reduces disease pathology in SCD mice[2].

In C57BL/6 male mice, after intraperitoneal administration of RN-1 dihydrochloride (10 mg/kg), concentrations are detectable up to 24 h post dose in both plasma and brain tissues. The brain/plasma exposure ratio is 88.9. RN-1

dihydrochloride significantly impairs long-term memory, but not short-term memory[1].

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

Animal Model:	Sickle cell disease (SCD) mice[2]
Dosage:	3 mg/kg or 10 mg/kg
Administration:	i.p.; daily; for 2 or 4 consecutive weeks
Result:	Effectively induced HbF levels in red blood cells and reduced disease pathology in SCD mice.

REFERENCES

[1]. Ramesh Neelamegam, et al. Brain-penetrant LSD1 inhibitors can block memory consolidation. ACS Chem Neurosci. 2012 Feb 15;3(2):120-128.

[2]. Shuaiying Cui, et al. The LSD1 inhibitor RN-1 induces fetal hemoglobin synthesis and reduces disease pathology in sickle cell mice. Blood. 2015 Jul 16;126(3):386-96.

[3]. Sergiy Konovalov, et al. Analysis of the levels of lysine-specific demethylase 1 (LSD1) mRNA in human ovarian tumors and the effects of chemical LSD1 inhibitors in ovarian cancer cell lines. J Ovarian Res. 2013 Oct 29;6(1):75.

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