DPM-1001 trihydrochloride

Cat. No.: HY-121515A

Molecular Formula: $C_{35}H_{60}Cl_3N_3O_3$

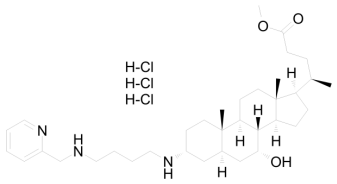
Molecular Weight: 677.23

Target: Phosphatase

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 80 mg/mL (118.13 mM; Need ultrasonic)

H_2O : 33.33 mg/mL (49.22 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.4766 mL	7.3830 mL	14.7660 mL
5 mM	0.2953 mL	1.4766 mL	2.9532 mL
10 mM	0.1477 mL	0.7383 mL	1.4766 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: ≥ 5 mg/mL (7.38 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (7.38 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (7.38 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

DPM-1001 trihydrochloride is a potent, specific, orally active and non-competitive inhibitor of protein-tyrosine phosphatase (PTP1B) with an IC_{50} of 100 nM. DPM-1001 trihydrochloride is an analog of the specific PTP1B inhibitor MSI-1436. DPM-1001 trihydrochloride has anti-diabetic property[1].

In Vitro

DPM-1001 trihydrochloride inhibits the short form of PTP1B reversibly, whereas PTP1B(1–405) remained inactive over an extended period of time. DPM-1001 is against PTP1B(1–405) with no pre-incubation, the IC_{50} value for PTP1B(1–405) is 600 nM. However, after a 30-min pre-incubation, the potency is improved to 100 nM. In contrast, there is no obvious time-dependent change in the IC_{50} value for PTP1B(1–321)[1].

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

In Vivo

DPM-1001 trihydrochloride (oral or intraperitoneal administration; 5 mg/kg; once daily; 50 days) inhibits diet-induced obesity in mice by improving insulin and leptin signaling. DPM-1001 trihydrochloride-treated, high-fat diet-fed mice starts losing weight within 5 days of treatment. The weight loss continues for approximately 3 weeks, after which no further decrease in body weight is observed[1].

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Animal Model:	18 weeks of age, high-fat diet (HFD)-fed obese male mice (C57bl6/J)
Dosage:	5 mg/kg
Administration:	Oral or intraperitoneal administration; 5 mg/kg; once daily; 50 day
Result:	Led to an 5% decrease in body weight. Improved glucose tolerance and insulin sensitivity in glucose tolerance and insulin tolerance in vivo.

REFERENCES

[1]. Krishnan N, et al. A potent, selective, and orally bioavailable inhibitor of the protein-tyrosine phosphatase PTP1B improves insulin and leptin signaling in animal models. J Biol Chem. 2018 Feb 2;293(5):1517-1525.

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