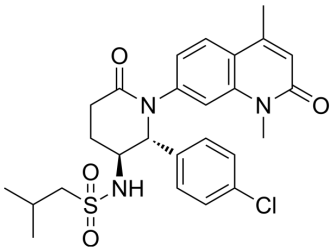
LP99

Cat. No.:	HY-19553
CAS No.:	1808951-93-0
Molecular Formula:	$C_{26}H_{30}ClN_3O_4S$
Molecular Weight:	516.05
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (96.89 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9378 mL	9.6890 mL	19.3780 mL
5 mM	0.3876 mL	1.9378 mL	3.8756 mL
10 mM	0.1938 mL	0.9689 mL	1.9378 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 (4.84 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.84 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LP99, an epigenetic probe, is a potent and selective inhibitor of the BRD7 and BRD9 bromodomains with a K_d of 99 nM against BRD9. LP99 disrupts the binding of BRD7 and BRD9 to chromatin in cells[1].

IC_{50} & Target

Kd: 99 nM (BRD9)[1]

In Vitro

LP99 disrupts BRD9 interactions with chromatin at a concentration of 0.8 μM. BRD7- and BRD9-NanoLuc luciferase fusion proteins and fluorescently labelled histone H3.3- and H4-HaloTag fusions were expressed in HEK293 cells. The addition of LP99 decreased BRET for both BRD7 and BRD9 in both the H3.3 and H4 systems in a dose-dependent manner, with cellular IC_{50} values in the low micromolar range for both histone. Cytotoxicity tests in U2OS cells for 24 and 72 hours shows the inhibitor to be non-toxic at concentrations of <33 μM. LP99 inhibits IL-6 secretion from THP-1 cells in a dose-dependent

manner[1].

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

REFERENCES

[1]. Clark PG, et al. LP99: Discovery and Synthesis of the First Selective BRD7/9 Bromodomain Inhibitor. Angew Chem Int Ed Engl. 2015 May 18;54(21):6217-21.

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