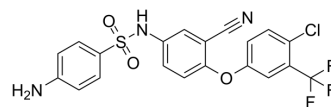


Lp-PLA2-IN-3

Cat. No.:	HY-133149		
CAS No.:	2196245-16-4		
Molecular Formula:	C ₂₀ H ₁₃ ClF ₃ N ₃ O ₃ S		
Molecular Weight:	467.85		
Target:	Phospholipase		
Pathway:	Metabolic Enzyme/Protease		
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 : ≥ 250 mg/mL (534.36 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.1374 mL	10.6872 mL	21.3744 mL
	5 mM	0.4275 mL	2.1374 mL	4.2749 mL
	10 mM	0.2137 mL	1.0687 mL	2.1374 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.08 mg/mL (4.45 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.08 mg/mL (4.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lp-PLA2-IN-3 is a potent and orally bioavailable lipoprotein-associated phospholipase A2 (Lp-PLA2) inhibitor, with an IC₅₀ of 14 nM for recombinant human Lp-PLA2 (rhLpPLA2)^[1].

In Vivo

Lp-PLA2-IN-3 (3 mg/kg; p.o.) treatment shows the C_{max}, AUC_{0-24h}, t_{1/2} and F were 0.27 µg/mL, 3.4 µg h/mL, 7.7 hours and 35.5%, respectively^[1].
 Lp-PLA2-IN-3 (1 mg/kg; i.v.) treatment shows the CL, V_{ss}, and t_{1/2} were 3.1mL/min/kg, 0.3 L/kg, 4 hours, respectively^[1].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley (SD) rats (180-220 g) ^[1]
Dosage:	3 mg/kg
Administration:	p.o. (Pharmacokinetic Analysis)
Result:	The C _{max} , AUC _{0-24h} , t _{1/2} and F were 0.27 µg/mL, 6.2 µg h/mL, 7.7 hours and 35.5%, respectively.

REFERENCES

[1]. Liu Q, et al. Structure-Guided Discovery of Novel, Potent, and Orally Bioavailable Inhibitors of Lipoprotein-Associated Phospholipase A2. J Med Chem. 2017 Dec 28;60(24):10231-10244.

Caution: Product has not been fully validated for medical applications. For research use only.

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