Proteins

Product Data Sheet

LFHP-1c

Cat. No.: HY-139598 CAS No.: 2102347-47-5 Molecular Formula: $C_{55}H_{64}N_{6}O_{4}$ Molecular Weight: 873.13 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: 100 mg/mL (114.53 mM; Need ultrasonic)

	Solvent Mass Concentration	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	1.1453 mL	5.7265 mL	11.4530 mL
	5 mM	0.2291 mL	1.1453 mL	2.2906 mL
	10 mM	0.1145 mL	0.5727 mL	1.1453 mL

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

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 20% HS-15 >> 70% saline Solubility: 2.5 mg/mL (2.86 mM); Suspended solution; Need ultrasonic
- 2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (2.86 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	LFHP-1c is an PGAM5 inhibitor with neuroprotective activity in brain ischemic stroke. LFHP-1c protects blood-brain barrier integrity from ischemia-induced injury. LFHP-1c binds to endothelial PGAM5 to inhibit the activity of PGAM5 phosphatase and the interaction of PGAM5 with NRF2. LFHP-1c exhibits in vitro and in vivo protection ^[1] .
In Vitro	LFHP-1c (1, 2, or 5 μ mol/L; 9 h) treatment, and followed by hypoxic treatment, increases NRF2 protein expression and facilitates nuclear translocation of NRF2 in primary rat brain microvascular endothelial cells (rBMECs) ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only. Immunofluorescence ^[1]

Cell Line:	Primary rat brain microvascular endothelial cells (rBMECs)	
Concentration:	2 μmol/L	
Incubation Time:	1h	
Result:	Bound to PGAM5, to facilitate nuclear translocation of NRF2.	

In Vivo

LFHP-1c (5 mg/kg; iv; 2 doses) prevents BBB disruption after transient middle cerebral artery occlusion (tMCAO) in rats^[1]. LFHP-1c (3 mg/kg, 1 mL/kg; iv; 8 doses) ameliorates brain ischemic injury in tMCAO model of M. fascicularis through PGAM5–NRF2 axis^[1].

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Animal Model:	Nonhuman primate Macaca fascicularis model with $tMCAO^{[1]}$	
Dosage:	3 mg/kg, 1 mL/kg	
Administration:	IV; at 4 h, 1, 2, 3, 4, 5, 6 and 7 days after tMCAO onset.	
Result:	Reduced infarct volume, brain edema and neurological deficits in Transient MCAO model in M. fascicularis.	
Animal Model:	SD rats (230-250 g) ^[1]	
Dosage:	1 mg/kg, 5 mg/kg in 0.5 mL volume	
Administration:	IV; injected at 4 or 12 h after ischemia onset, and then injected another time at 24 h post-ischemia	
Result:	Dose-dependently protected rat brains against ischemia/reperfusion injury at 72 ischemia onset with a moderate therapeutic window. Prevented BBB disruption and attenuated endothelial inflammation in rat cerebi microvessels at 72 h after ischemia onset.	

REFERENCES

[1]. Gao C, et al. A novel PGAM5 inhibitor LFHP-1c protects blood-brain barrier integrity in ischemic stroke. Acta Pharm Sin B. 2021 Jul;11(7):1867-1884.

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

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