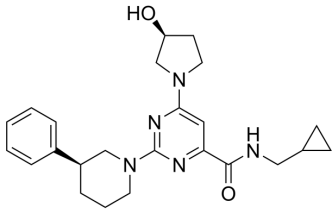
LEI-401

Cat. No.:	HY-131181
CAS No.:	2393840-15-6
Molecular Formula:	$C_{24}H_{31}N_5O_2$
Molecular Weight:	421.54
Target:	Phospholipase
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (237.23 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3723 mL	11.8613 mL	23.7225 mL
5 mM	0.4745 mL	2.3723 mL	4.7445 mL
10 mM	0.2372 mL	1.1861 mL	2.3723 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.93 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LEI-401 is a first-in-class, selective, and CNS-active NAPE-PLD (N-acylphosphatidylethanolamine phospholipase D) inhibitor, with an IC_{50} of 27 nM. LEI-401 modulates emotional behavior in mice[1].

In Vitro

LEI-401 reduced a broad range of NAEs including anandamide in neuronal cells in a NAPE-PLD-dependent manner. LEI-401 (0.04-20 μM; 30?minutes) dose-dependently reduces the labeling of NAPE-PLD with an IC_{50} of 0.86 μM in hNAPE-PLD-transfected HEK293T cells. LEI-401 reduces NAE levels in Neuro-2a cells, but not in NAPE-PLD KO cells[1].

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

In Vivo

LEI-401 (30?mg/kg; i.p.) diminishes fear extinction in mice[1].

?LEI-401 also activates HPA axis signaling[1].

?LEI-401 (10 mg/kg; p.o.) treatment shows the $t_{1/2}$, C_{max}, t_{max}, AUC_{last}, and F values of 2.5 hours, 1370 ng/mL, 2 hours, 6760 h*ng/mL, and 25%, respectively[1].

?LEI-401 (30 mg/kg; i.p.) treatment show the C_{max}, t_{max}, AUC_{last}, and F values of 10300 ng/mL, 1 hour, 38600 h*ng/mL, and 48%, respectively[1].

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

Animal Model:	Male 7–12-week-old C57BL/6J mice[1]
Dosage:	30 mg/kg
Administration:	I.p.
Result:	Produced a significant increase in freezing as compared to vehicle.

Animal Model:	C57BL/6J mice[1]
Dosage:	10 mg/kg
Administration:	P.o. (Pharmacokinetic Analysis)
Result:	The $t_{1/2}$, C_{max}, t_{max}, AUC_{last}, and F values were 2.5 hours, 1370 ng/mL, 2 hours, 6760 h*ng/mL, and 25%, respectively.

CUSTOMER VALIDATION

- Neuron. 2021 Aug 4;109(15):2398-2403.e4.

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REFERENCES

[1]. Mock ED, et al. Discovery of a NAPE-PLD inhibitor that modulates emotional behavior in mice. Nat Chem Biol. 2020;16(6):667-675.

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