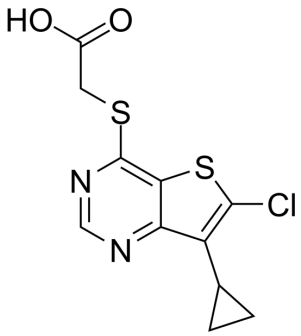
LP-922056

Cat. No.:	HY-131034
CAS No.:	1365060-22-5
Molecular Formula:	$C_{11}H_9ClN_2O_2S_2$
Molecular Weight:	300.78
Target:	Wnt
Pathway:	Stem Cell/Wnt
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 : 125 mg/mL (415.59 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.3247 mL	16.6234 mL	33.2469 mL
5 mM	0.6649 mL	3.3247 mL	6.6494 mL
10 mM	0.3325 mL	1.6623 mL	3.3247 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: ≥ 2.08 mg/mL (6.92 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.92 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LP-922056 is an orally active, highly potent Notum Pectinacetylesterase inhibitor with EC_{50}s of 21 nM, 55 nM in human and mouse cellular assay, respectively. LP-922056 significantly increases midshaft femur cortical bone thickness in mice and rats [1][2].

IC_{50} & Target

EC50: 21 nM (human Notum Pectinacetylesterase) and 55 nM (mouse Notum Pectinacetylesterase)[1]

In Vitro

NOTUM can inactivate WNTs by functioning as a WNT lipase[2].

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

In Vivo

LP-922056 (compound 44; 3-30 mg/kg; oral gavage; daily; for 25 days) causes an increase in cortical bone thickness at all

doses[1].

LP-922056 (10 mg/kg; orally) achieves high C_{max} (129 μM) and AUC (1533 μM•h)[1].

LP-922056 (10 mg/kg; daily diet; for 4 weeks) increases cortical bone thickness and strength in midshaft femur, bone mass in the femoral neck and vertebral body cortical shell in Twelve-week-old male mice[2].

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

Animal Model:	F1 male hybrid (129xC57) mice at 8.7 weeks of age[1]
Dosage:	3, 10, 30 mg/kg
Administration:	Oral gavage; daily; for 25 days
Result:	Caused an increase in cortical bone thickness at all doses.

Animal Model:	Mouse[1]
Dosage:	10 mg/kg (Pharmacokinetic Analysis)
Administration:	Orally
Result:	Achieved high C_{max} (129 μM) and AUC (1533 μM•h) while has low clearance (0.49 mL/min•kg) and volume of distribution (0.13 L/kg).

REFERENCES

[1]. James E Tarver Jr, et al. Stimulation of cortical bone formation with thienopyrimidine based inhibitors of Notum Pectinacetylesterase. Bioorg Med Chem Lett. 2016 Mar 15;26(6):1525-1528.

[2]. Robert Brommage, et al. NOTUM inhibition increases endocortical bone formation and bone strength. Bone Res. 2019 Jan 8;7:2.

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