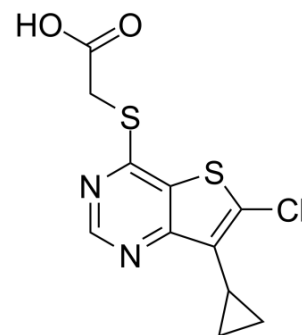


## LP-922056

Cat. No.:	HY-131034
CAS No.:	1365060-22-5
Molecular Formula:	C <sub>11</sub> H <sub>9</sub> ClN <sub>2</sub> O <sub>2</sub> S <sub>2</sub>
Molecular Weight:	300.78
Target:	Wnt
Pathway:	Stem Cell/Wnt
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



### SOLVENT & SOLUBILITY

In Vitro	DMSO : 125 mg/mL (415.59 mM; Need ultrasonic)				
		Solvent Concentration	Mass		
	Preparing Stock Solutions		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 Pectinacylesterase inhibitor with EC <sub>50</sub> 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 <sub>50</sub> & Target	EC <sub>50</sub> : 21 nM (human Notum Pectinacylesterase) and 55 nM (mouse Notum Pectinacylesterase)[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  $\mu$ M) and AUC (1533  $\mu$ M•h)<sup>[1]</sup>.

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<sup>[2]</sup>.

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 <sup>[1]</sup>
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 <sup>[1]</sup>
Dosage:	10 mg/kg (Pharmacokinetic Analysis)
Administration:	Orally
Result:	Achieved high $C_{max}$ (129 $\mu$ M) and AUC (1533 $\mu$ M•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 Pectinacetyltransferase. 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.

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

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