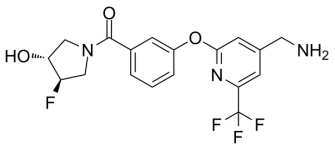
Lenumlostat

Cat. No.:	HY-107422
CAS No.:	2007885-39-2
Molecular Formula:	$C_{18}H_{17}F_4N_3O_3$
Molecular Weight:	399.34
Target:	Monoamine Oxidase
Pathway:	Neuronal Signaling
Storage:	4°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 130 mg/mL (325.54 mM)

H_2O : ≥ 100 mg/mL (250.41 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5041 mL	12.5207 mL	25.0413 mL
	5 mM	0.5008 mL	2.5041 mL	5.0083 mL
	10 mM	0.2504 mL	1.2521 mL	2.5041 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: ≥ 2.17 mg/mL (5.43 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.17 mg/mL (5.43 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.17 mg/mL (5.43 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PAT-1251 is a potent, selective and oral lysyl oxidase-like 2 (LOXL2) inhibitor, with IC_{50}s of 0.71 and 1.17 μM for hLOXL2 and hLOXL3, respectively, and also potently inhibits mouse, rat, and dog LOXL2 (IC_{50}s, 0.10, 0.12, and 0.16 μM, respectively); PAT-1251 is used in the research of fibrotic diseases[1].

IC_{50} & Target

IC50: 0.10 μM (Mouse LOXL2), 0.12 μM (Rat LOXL2), 0.16 μM (Dog LOXL2), 0.71 μM (hLOXL2), 1.17 μM (hLOXL3)[1]

In Vitro

PAT-1251 is a Lysyl Oxidase-Like 2 (LOXL2) inhibitor, with IC_{50}s of 0.71 and 1.17 μM for hLOXL2 and hLOXL3, respectively, and

also potently inhibits mouse, rat, and dog LOXL2 (IC_{50}s, 0.10, 0.12, and 0.16 μM, respectively). PAT-1251 shows highly selective for LOXL2 over other key members of the amine oxidase family, such as the copper-dependent amine oxidases semicarbazide-sensitive amine oxidase (SSAO) and diamine oxidase (DAO), in addition to the flavin-dependent monoamine oxidases A (MAO-A) and B (MAO-B), with <10% inhibition at 10 μM[1].

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

REFERENCES

[1]. Rowbottom MW, et al. Identification of 4-(Aminomethyl)-6-(trifluoromethyl)-2-(phenoxy)pyridine Derivatives as Potent, Selective, and Orally Efficacious Inhibitors of the Copper-Dependent Amine Oxidase, Lysyl Oxidase-Like 2 (LOXL2). J Med Chem. 2017 May 25;60(10):4403-4423.

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