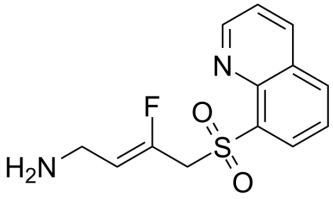
LOX-IN-3

Cat. No.:	HY-138625
CAS No.:	2409963-83-1
Molecular Formula:	$C_{13}H_{13}FN_2O_2S$
Molecular Weight:	280.32
Target:	Monoamine Oxidase
Pathway:	Neuronal Signaling
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (445.92 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.5674 mL	17.8368 mL	35.6735 mL
	5 mM	0.7135 mL	3.5674 mL	7.1347 mL
	10 mM	0.3567 mL	1.7837 mL	3.5674 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 (7.42 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (7.42 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (7.42 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LOX-IN-3 is an orally active lysyl oxidase (LOX) inhibitor. LOX-IN-3 can be used for fibrosis, cancer and angiogenesis research [1].

IC_{50} & Target

IC_{50}: <1 μM (human LOXL2), <10 μM (bovine LOX)[1]

In Vitro

LOX-IN-3 dihydrochloride monohydrate (Compound 33) inhibits the bovine LOX and human LOXL2 activities with IC_{50} values of <10 μM and <1 μM, respectively[1].
LOX-IN-3 dihydrochloride monohydrate exhibits sustained inhibition of LOXL1 and LOXL2[1].
LOX-IN-3 dihydrochloride monohydrate is less active against SSAO/VAP-1 and MAO-B activities[1].

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

In Vivo

LOX-IN-3 dihydrochloride monohydrate (Compound 33) (30 mg/kg; orally; once) inhibits lysyl oxidase activity in rats[1].
LOX-IN-3 dihydrochloride monohydrate (10 mg/kg; orally; daily for 14 days) reduces kidney fibrosis in unilateral ureteric obstruction (UUO) mice model[1].
LOX-IN-3 dihydrochloride monohydrate (15 mg/kg; orally; daily for 21 days) reduces lung fibrosis in mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar rats[1]
Dosage:	30 mg/kg
Administration:	Oral administration, single dose
Result:	Completely abolished lysyl oxidase activity. Plasma concentrations of tested compound are far below the IC_{50} after 8 hours, the half-life of recovery is between 2-3 days (ear) and 24 hours (aorta).

Animal Model:	Unilateral ureteric obstruction (UUO) model of acute kidney fibrosis in mice[1]
Dosage:	10 mg/kg
Administration:	Oral gavage, daily for 14 days
Result:	Increased kidney weight and thickness and reduced the area of fibrosis.

Animal Model:	C57Bl/6 mice, Bleomycin-induced lung fibrosis model
Dosage:	15 mg/kg
Administration:	Oral gavage, daily for 21 days
Result:	Significantly reduced the Ashcroft score and the lung weight.

REFERENCES

[1]. Alison Dorothy Findlay, et al. Haloallylamine sulfone derivative inhibitors of lysyl oxidases and uses thereof. WO2020024017A1.

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