LOX-IN-3 dihydrochloride

Cat. No.: HY-138625A

CAS No.: 2409964-23-2

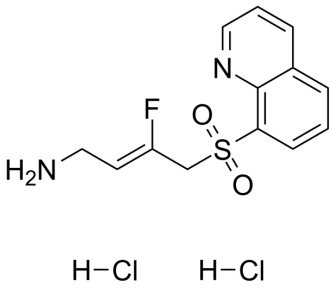
Molecular Formula: $C_{13}H_{15}Cl_2FN_2O_2S$

Molecular Weight: 353.24

Target: Monoamine Oxidase

Pathway: Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (94.36 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8309 mL	14.1547 mL	28.3094 mL
	5 mM	0.5662 mL	2.8309 mL	5.6619 mL
	10 mM	0.2831 mL	1.4155 mL	2.8309 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: ≥ 2.5 mg/mL (7.08 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LOX-IN-3 dihydrochloride is an orally active lysyl oxidase (LOX) inhibitor. LOX-IN-3 dihydrochloride 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.

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

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