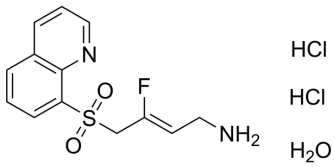
LOX-IN-3 dihydrochloride monohydrate

Cat. No.:	HY-138625B
CAS No.:	2414974-55-1
Molecular Formula:	$C_{13}H_{17}Cl_2FN_2O_3S$
Molecular Weight:	371.26
Target:	Monoamine Oxidase
Pathway:	Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	LOX-IN-3 dihydrochloride monohydrate (Compound 33) is an orally active lysyl oxidase (LOX) inhibitor. LOX-IN-3 dihydrochloride monohydrate 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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