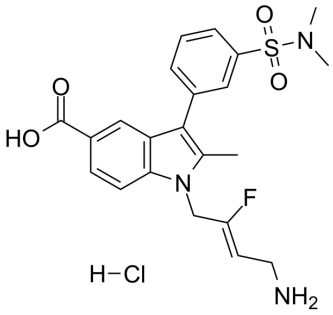
PXS-5120A

Cat. No.:	HY-130242
CAS No.:	2125955-70-4
Molecular Formula:	$C_{22}H_{25}ClFN_3O_4S$
Molecular Weight:	481.97
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 : 125 mg/mL (259.35 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0748 mL	10.3741 mL	20.7482 mL
	5 mM	0.4150 mL	2.0748 mL	4.1496 mL
	10 mM	0.2075 mL	1.0374 mL	2.0748 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

PXS-5120A is a potent, irreversible fluoroallylamine inhibitor of Lysyl Oxidase-like 2/3 (LOXL2/3) with anti-fibrotic activity. PXS-5120A is >300-fold selective for LOXL2 (K_i of 83 nM; pIC_{50} of 8.4) over LOXL (pIC_{50} of 5.8)[1].

IC_{50} & Target

pKi: 8.4 (Lysyl Oxidase-like 2) and 5.8 (Lysyl Oxidase-like); Ki: 83 nM (Lysyl Oxidase-like 2)[1]

In Vitro

PXS-5120A (Compound 12k) is a potent inhibitor of the LOXL2/3 enzyme and a moderate blocker of LOXL4. PXS-5120A inhibits recombinant human LOXL2, human fibroblast LOXL2, recombinant mouse LOXL2, recombinant rat LOXL2, collagen oxidation assay, recombinant human LOXL3 and recombinant human LOXL4 with IC_{50}s of 5 nM, 9 nM, 6 nM, 6 nM, 13 nM, 16 nM and 280 nM, respectively.

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

In Vivo

PXS-5129A is readily absorbed following oral gavage, and once in the circulation, rapidly hydrolyzed to release PXS-5120 (free base) in vivo, affording plasma concentrations well above the LOXL2 IC_{50} (6 nM) for a prolonged period (>6 h) in mice, while remaining well below the IC50 for LOX throughout[1].

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

REFERENCES

[1]. Identification and Optimization of Findlay AD, et al. Mechanism-Based Fluoroallylamine Inhibitors of Lysyl Oxidase-like 2/3. J Med Chem. 2019 Nov 14;62(21):9874-9889.

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