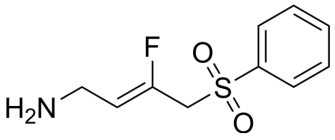
PXS-4787

Cat. No.:	HY-151498
CAS No.:	2409963-50-2
Molecular Formula:	$C_{10}H_{12}FNO_2S$
Molecular Weight:	229.27
Target:	Monoamine Oxidase
Pathway:	Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PXS-4787 is a specific and effective pan-LOX (lysyl oxidase) inhibitor for abolishing lysyl oxidase activity. PXS-4787 inhibits LOX with IC_{50}s of 2 μM (Bovine LOX), 3.2 μM (rh LOXL1), 0.6 μM (rh LOXL2), 1.4 μM (rh LOXL3), 0.2 μM (rh LOXL4), respectively [1].
IC_{50} & Target	2 μM (Bovine LOX), 3.2 μM (rh LOXL1), 0.6 μM (rh LOXL2), 1.4 μM (rh LOXL3), 0.2 μM (rh LOXL4)[1]

In Vitro

Lysyl oxidases stabilize the main component of scar tissue, collagen, and drive scar stiffness and appearance[1].
PXS-4787 (0-10 μM; 15 min-4 h) dose- and time-dependently inhibits lysyl oxidase and displays comparable inhibitory activity across species[1].
PXS-4787 (0-100 μM; 72 h) is well tolerated by primary human dermal fibroblasts, (10 μM; 11 d) reduces collagen formation, deposition and crosslinking in primary human dermal fibroblasts cultured in vitro[1].
PXS-4787 (10 μM; 48 h) induces differential gene expression in fibroblasts and keratinocytes, including COL1A1, LOX, GAPDH, PGK1[1].

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

Immunofluorescence[1]

Cell Line:	Primary human dermal fibroblasts cultured in vitro
Concentration:	0, 1, 10 μM
Incubation Time:	11 days
Result:	Significantly reduced in the 10 μM treatment group.

RT-PCR[1]

Cell Line:	Cultured fibroblasts and keratinocytes (isolated from five different patients)
Concentration:	10 μM
Incubation Time:	48 hours
Result:	Resulted four genes with significant differential expression in fibroblasts and two differentially expressed genes in keratinocytes.

In Vivo

PXS-4787 (3%, oil in water cream; external application; once daily, for 28 days) reduces collagen deposition and cross-linkin in murine models of injury and fibrosis under topical application[1].

PXS-4787 (3%, oil in water cream; external application; once daily, for 12 weeks) also significantly improves scar appearance without reducing tissue strength in porcine injury models under topical application[1].

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

Animal Model:	Porcine excision injury model (female Juvenile pigs, 18-20 kg)[1]
Dosage:	3%, oil in water cream; 400 mg cream applied to 16 cm^2
Administration:	External application; 1, 2 and 3 weeks post-injury; once dailly, for 12 weeks
Result:	Improved the appearance of scar in relevant in vivo models, indicative of a targetdriven, as opposed to compound-specific, effect.

REFERENCES

[1]. Chaudhari N, et al. Topical application of an irreversible small molecule inhibitor of lysyl oxidases ameliorates skin scarring and fibrosis. Nat Commun. 2022 Sep 22;13(1):5555.

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