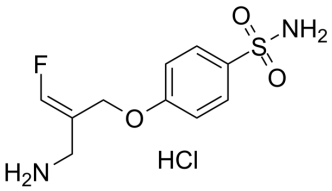
PXS-4681A

Cat. No.:	HY-117833
CAS No.:	1478364-87-2
Molecular Formula:	$C_{10}H_{14}ClFN_2O_3S$
Molecular Weight:	296.75
Target:	Monoamine Oxidase
Pathway:	Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PXS-4681A is a potent, selective, irreversible and orally active semicarbazide-sensitive amine oxidase (SSAO; VAP-1) inhibitor with a K_i of 37 nM. PXS-4681A shows highly selectivity over related amine oxidases, ion channels, and seven-transmembrane domain receptors. PXS-4681A has anti-inflammatory effects[1].
IC_{50} & Target	Ki: 37 nM (SSAO)[1]
In Vitro	PXS-4681A is an inhibitor of SSAO/VAP-1 in human, rat, mouse, rabbit, and dog species with IC_{50} values of 3 nM, 3 nM, 2 nM, 9 nM and 3nM, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PXS-4681A (2 mg/kg; PO; single dose) attenuates neutrophil migration, tumor necrosis factor-α, and interleukin-6 levels in mouse models of lung inflammation and localized inflammation[1]. In rats, PXS-4681A is well absorbed with good bioavailability and oral half-life at the 10 mg/kg i.v. dose and the 20 mg/kg PO dose. Similarly, in BALB/C mice, PXS-4681A is well absorbed with good bioavailability and oral half-life at 2 mg/kg in both intravenous and oral studies[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Carrageenan-induced skin inflammation mice[1]
Dosage:	2 mg/kg
Administration:	oral administration; single dose
Result:	Reduced local inflammation, causing a significant reduction in exudate volume by 25%.

REFERENCES

[1]. Jonathan S Foot, et al. PXS-4681A, a potent and selective mechanism-based inhibitor of SSAO/VAP-1 with anti-inflammatory effects in vivo. J Pharmacol Exp Ther. 2013 Nov;347(2):365-74.

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

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