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Produktinformation

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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

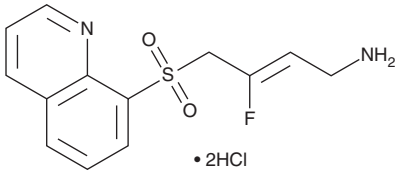
linkedin.com/company/szaboscandic

PRODUCT INFORMATION

PXS-5505 (hydrochloride)

Item No. 39857

CAS Registry No.:	2409964-23-2
Formal Name:	(2Z)-3-fluoro-4-(8-quinolinylsulfonyl)-2-buten-1-amine, dihydrochloride
MF:	$C_{13}H_{13}FN_2O_2S \bullet 2HCl$
FW:	353.2
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PXS-5505 (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the PXS-5505 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. PXS-5505 (hydrochloride) is soluble (≥10 mg/ml) in DMSO and slightly soluble (0.1-1 mg/ml) in ethanol.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of PXS-5505 (hydrochloride) can be prepared by directly dissolving the solid in aqueous buffers. PXS-5505 (hydrochloride) is soluble (≥10 mg/ml) in PBS (pH 7.2). We do not recommend storing the aqueous solution for more than one day.

Description

PXS-5505 is a pan inhibitor of lysyl oxidase (LOX) and LOX-like oxidases (LOXL; IC_{50}s = 0.493, 0.159, 0.57, 0.18, and 0.19 µM for fibroblast LOX and recombinant human LOXL1-4, respectively).[1] It is selective for LOX and LOXL1-4 over other recombinant human oxidases, including diamine oxidase (DAO), vascular adhesion protein-1 (VAP-1), monoamine oxidase A (MAO-A), and MAO-B (IC_{50}s = >30 µM for all). PXS-5505 (15 mg/kg) reduces bleomycin-induced increases in dermal thickness and the levels of α-smooth muscle actin in a mouse model of systemic sclerosis.[2] It reduces fibrosis in rodent models of bleomycin-induced pulmonary fibrosis, cardiac ischemia-reperfusion injury, renal unilateral ureteral obstruction, and carbon tetrachloride-induced liver fibrosis. It also reduces collagen crosslinking in KPC cancer-associated fibroblast (CAF) 3D organotypic matrices.[1] PXS-5505 (20 mg/kg) increases survival to a greater extent than gemcitabine alone when administered in combination with the anticancer nucleoside derivative gemcitabine (Item Nos. 11690 | 9003096), reduces gemcitabine-induced increases in collagen levels and stiffness, and reduces liver metastatic burden in autochthonous KPC pancreatic ductal adenocarcinoma mouse models.

References

1. Chitty, J.L., Yam, M., Perryman, L., *et al.* A first-in-class pan-lysyl oxidase inhibitor impairs stromal remodeling and enhances gemcitabine response and survival in pancreatic cancer. *Nat. Cancer* **4(9)**, 1326-1344 (2023).
2. Yao, Y., Findlay, A., Stolp, J., *et al.* Pan-lysyl oxidase inhibitor PXS-5505 ameliorates multiple-organ fibrosis by inhibiting collagen crosslinks in rodent models of systemic sclerosis. *Int. J. Mol. Sci.* **23(10)**, 5533 (2022).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM