Product Data Sheet

Product Name: PAT-1251 Hydrochloride
Cat. No.: GC31949

Chemical Properties

Cas. No.	2098884-53-6		
SMILES	O=C(C1=CC=CC(OC2=NC(C(F)(F)F)=CC(CN)=C2)=C1)N3C[C@@H](F)[C@H](O)C3.Cl		
Formula	$C_{18}H_{18}ClF_4N_3O_3$	M.Wt	435.8
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	PAT-1251 Hydrochloride		

Protocol

Cell experiment [1]:

Cell lines	Mouse glomerular endothelial cells (mGECs) and primary human renal glomerular endothelial cells
Preparation Method	Endothelial cells were seeded at a density of $2x10^6$ cells/mL in 6-well plates and exposed to high glucose (HG; 30mM) for 24 hours. After the HG treatment, the cells were incubated with PAT-1251 (10μM) for an additional 24 hours. The conditioned medium (CM) from these treated endothelial cells was then collected, clarified, and applied to mouse glomerular mesangial cells to model intercellular crosstalk.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

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Reaction Conditions	10μM; 24h.
Applications	The conditioned medium from high glucose-exposed endothelial cells treated with PAT-1251 (HG+PAT-1251-CM) significantly suppressed high glucose-induced mesangial cell proliferation/viability. PAT-1251 also reduced oxidative stress markers (malondialdehyde and protein carbonyl content) and attenuated the mRNA upregulation of pro-fibrotic genes (FN1, Col4a1) and collagen deposition in mesangial cells.

Animal experiment [2]:

Animal models	Male Wistar rats
Preparation Method	In the rat models, animals were randomized to receive either the LOXL2 inhibitor PAT-1251 or no treatment. PAT-1251 was prepared in 0.5% methylcellulose and administered by oral gavage (30mg/kg) under light anesthesia, five times per week for 3 weeks, starting on the day of PH induction.
Dosage form	30mg/kg; oral gavage; five times per week for 3 weeks.
Applications	PAT-1251 treatment significantly ameliorated PH. PAT-1251 reduced systolic and mean pulmonary artery pressures, decreased pulmonary arterial elastance, and improved right ventricular function (assessed by pressure-volume loops). Treatment also improved survival.

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References:
[1] Kang T, Hou B, Shi M, et al. Verbascoside targets endothelial HIF-1α/ Lysyl oxidase signaling to attenuate glomerular injury in diabetic nephropathy. Redox Rep. 2025 Dec;30(1):2598110.
[2] Steppan J, Wang H, Nandakumar K, et al. LOXL2 inhibition ameliorates pulmonary artery remodeling in pulmonary hypertension. Am J Physiol Lung Cell Mol Physiol. 2024 Oct 1;327(4):L423-L438.

Background

PAT-1251 is a lysyl oxidase-like 2 (LOXL2; IC_{50}=0.71μM) inhibitor[1-2]. PAT-1251 can reduce collagen cross-linking and fibrosis by inhibiting LOXL2. PAT-1251 can be used for related research on fibrotic diseases and cancer metastasis[3].

In vitro, PAT-1251 (10μM) was co-treated with TGF-β1 on 3D ring-shaped tissues derived from human fibroblasts for 14 days, significantly reducing TGF-β1-induced tissue strength and stiffness without altering collagen levels[4]. PAT-1251 (10μM) was used to treat glomerular endothelial cells cultured under high glucose (30mM) conditions for 24 hours, and the conditioned medium was collected. When this conditioned medium was applied to glomerular mesangial cells, PAT-1251 significantly inhibited high glucose-induced cell proliferation/viability, reduced oxidative stress markers, and decreased the expression of pro-fibrotic genes and collagen deposition[5].

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In vivo, PAT-1251 (30mg/kg) was administered via oral gavage five times per week to treat rats with hypoxia-induced pulmonary hypertension for 3 weeks. PAT-1251 significantly improved pulmonary artery pressure, right ventricular remodeling, and survival rate in the rats[6].

References:

[1] Rowbottom MW, Bain G, Calderon I, et al. Identification of 4-(Aminomethyl)-6-(trifluoromethyl)-2-(phenoxy)pyridine Derivatives as Potent, Selective, and Orally Efficacious Inhibitors of the Copper-Dependent Amine Oxidase, Lysyl Oxidase-Like 2 (LOXL2). J Med Chem. 2017 May 25;60(10):4403-4423.
[2] Cetin M, Saatci O, Rezaeian AH, et al. A highly potent bi-thiazole inhibitor of LOX rewires collagen architecture and enhances chemoresponse in triple-negative breast cancer. Cell Chem Biol. 2024 Nov 21;31(11):1926-1941.e11.
[3] Hu Y, Wang Y, Tan W, et al. Design and Optimization of LOXL2 and sGC Dual-Target Regulators Targeting Extracellular Matrix Dysregulation and Vasodilation for the Treatment of Pulmonary Arterial Hypertension. J Med Chem. 2025 Dec 25;68(24):26547-26573.
[4] Wu Y, Millender J, Padgett B, et al. An in vitro model to measure the strength and stiffness of the extracellular matrix synthesized de novo by human fibroblasts. In Vitro Model. 2025 Mar 7;4(1):59-69.
[5] Kang T, Hou B, Shi M, et al. Verbascoside targets endothelial HIF-1α/ Lysyl oxidase signaling to attenuate glomerular injury in diabetic nephropathy. Redox Rep. 2025 Dec;30(1):2598110.
[6] Steppan J, Wang H, Nandakumar K, et al. LOXL2 inhibition ameliorates pulmonary artery remodeling in pulmonary hypertension. Am J Physiol Lung Cell Mol Physiol. 2024 Oct 1;327(4):L423-L438.

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