

Product Data Sheet

Product Name: PAI-1-IN-1

Cat. No.: GC79758

Chemical Properties

Cas. No. 1247823-40-0

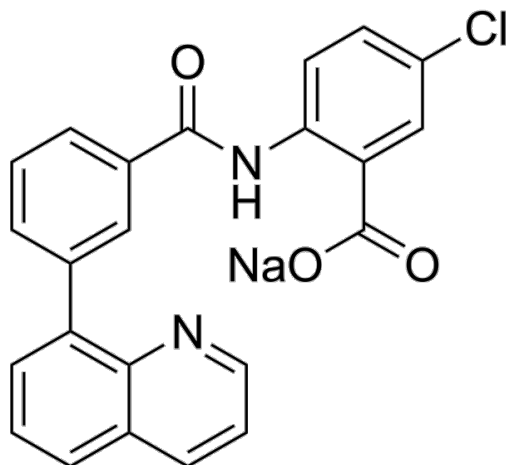
Formula $C_{23}H_{14}ClN_2NaO_3$ M.Wt 424.81

Solubility DMSO: 25 mg/mL (58.85 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Background

PAI-1-IN-1 is an orally active and specific PAI-1 inhibitor with an IC_{50} of $<6.95 \mu M$. PAI-1-IN-1 blocks the interaction between PAI-1 and serine proteases or LRP-1, and enhances plasmin generation. PAI-1-IN-1 restores macrophage efferocytosis and promotes macrophage polarization. PAI-1-IN-1

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

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alleviates PAI-1 -mediated inhibition of Furin, promotes MT1-MMP maturation, activates the NOTCH1 signaling pathway, inhibits proliferation and induces apoptosis. PAI-1-IN-1 promotes skeletal muscle regeneration and alleviates inflammation in a mouse model of skeletal muscle injury. PAI-1-IN-1 can be used in research on skeletal muscle injury-induced inflammation and chronic myeloid leukemia [1] [2].

In Vivo, PAI-1-IN-1 (10 mg/kg; p.o.; daily; for 3-7 consecutive days) promotes skeletal muscle regeneration and alleviates inflammation in a cardiotoxin (CTX)-induced mouse model of skeletal muscle injury by downregulating pro-inflammatory cytokine expression, upregulating anti-inflammatory cytokine expression, and accelerating tissue repair. It enhances the phagocytic activity of macrophages (as a surrogate marker of efferocytosis), increasing the dextran uptake rate of macrophages by 14.5 percentage points^[1].

In Vitro, PAI-1-IN-1 (2 h) enhances efferocytosis in mouse bone marrow-derived CCR2+Ly6C+ macrophages and J774.1-GFP cells via an LRP-1-dependent mechanism^[1]. PAI-1-IN-1 (62.7 μ M; 72 h) exerts direct anti-tumor effects on PAI-1/K562-1 and PAI-1/K562-2 chronic myeloid leukemia cells by inhibiting proliferation, inducing apoptosis, and regulating the Furin/NICD signaling pathway^[2]. PAI-1-IN-1 upregulates the protein expression level of Furin and enhances the expression level of MT1-MMP on the cell surface^[2].

References:

[1]. Ibrahim AA, et al. Inflammatory macrophage-derived plasminogen activator inhibitor-1 exacerbates inflammation through efferocytosis inhibition. Cell Death Discov. 2026;12(1):195. Published 2026 Mar 27.

[2]. Sasaki K, et al. TM5614, an Inhibitor of Plasminogen Activator Inhibitor-1, Exerts an Antitumor Effect on Chronic Myeloid Leukemia. Tohoku J Exp Med. 2022;257(3):211-224.

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