

Product Data Sheet

Product Name: PDGFRA/CAIX/XII-IN-1

Cat. No.: GC81323

Chemical Properties

Cas. No.

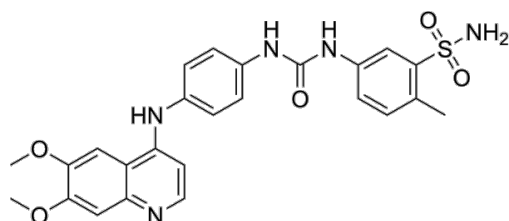
Formula $C_{25}H_{25}N_5O_5S$ M.Wt 507.56

Solubility DMSO: 8.33 mg/mL (16.41 mM); ultrasonic and warming and heat to 60°C) 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

PDGFRA/CAIX/XII-IN-1 is an inhibitor of PDGFRA, CA IX and CA XII, with an IC₅₀ of 20 nM against PDGFRA, a K_i of 93.3 nM against CA IX, and a K_i of 80.0 nM against CA XII. PDGFRA/CAIX/XII-IN-1 binds to the ATP-binding pocket of PDGFRA and blocks the downstream STAT3, AKT and ERK1/2 signaling pathways. PDGFRA/CAIX/XII-IN-1 induces G0/G1 cell cycle arrest and endogenous apoptosis (Apoptosis), including cleavage of PARP-1, caspase-9 and caspase-3, activation of caspase 3/7, and down-regulation of Mcl-1. PDGFRA/CAIX/XII-IN-1 exhibits antiproliferative activity

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

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in eosinophilic leukemia cells. PDGFRA/CAIX/XII-IN-1 can be used for the research of leukemia [1].

In Vitro, PDGFRA/CAIX/XII-IN-1 (Compound 9d) potently inhibits recombinant PDGFRA protein, with an IC₅₀ of 20 nM^[1]. PDGFRA/CAIX/XII-IN-1 (1 µM) exerts targeted inhibitory effects on specific RTK subfamilies, and exhibits potent activity against PDGFRα and closely related class III RTKs^[1]. PDGFRA/CAIX/XII-IN-1 inhibits recombinant human cancer-associated CA IX (K_i = 93.3 nM) and CA XII (K_i = 80.0 nM) with moderate potency, while exhibiting only weak activity against normal CA I/II isoforms^[1]. PDGFRA/CAIX/XII-IN-1 (72 h) potently inhibits the proliferation of FIP1L1-PDGFR-driven EOL-1 eosinophilic leukemia cells (GI₅₀ = 2 nM), also exhibits significant cytotoxicity against MV4-11 cells (GI₅₀ = 0.263 µM), and shows weak cytotoxicity against Kasumi-1 and RS4-11 cells with GI₅₀ values of 2.081 µM and 4.599 µM, respectively^[1]. PDGFRA/CAIX/XII-IN-1 (0-2500 nM; 1 h) dose-dependently inhibits the phosphorylation of FIP1L1-PDGFR and its downstream STAT3, AKT and ERK1/2 signaling pathways in EOL-1 cells; after treatment at a concentration of 100 nM for 1 h, the inhibitory effect on PDGFRA is nearly complete^[1]. PDGFRA/CAIX/XII-IN-1 (0-2500 nM; 24 h) induces apoptosis in EOL-1 eosinophilic leukemia cells by activating the intrinsic apoptotic pathway. The effect is detectable at a concentration of 0.8 nM, and the pathway is potently activated at subnanomolar concentrations, while no pro-apoptotic effect is observed on THP-1 cells^[1]. PDGFRA/CAIX/XII-IN-1 (0.16-100 nM; 24 h) induces dose-dependent G₀/G₁ cell cycle arrest in EOL-1 eosinophilic leukemia cells, with a significant arrest effect observed at 0.8 nM; additionally, after 24 h of treatment, concentrations of 4 nM and above induce apoptotic cell death (characterized by a sub-G₁ cell population)^[1].

References:

[1]. Roshdy E, et al. First-in-Class Dual PDGFR/Carbonic Anhydrase IX/XII Inhibitors: 6,7-Dimethoxyquinoline-Sulfonamides as Promising Antileukemic Agents. J Med Chem. 2026;69(3):3115-3137.

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