

PDGFR-IN-1

Chemical Properties

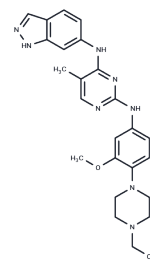
CAS No. : 2644673-07-2

Formula: C₂₅H₃₀N₈O

Molecular Weight: 458.56

Storage: Keep away from moisture, Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	PDGFR-IN-1 is an orally active, highly efficient platelet-derived growth factor receptor (PDGFR) inhibitor with potent antitumor activity. It inhibits PDGFR α and PDGFR β and can be used in solid tumor research.
Targets(IC50)	Apoptosis, PDGFR
In vitro	<p>PDGFR-IN-1 is a potent inhibitor of PDGFR (platelet-derived growth factor receptor) with IC₅₀ of 2.4 and 0.9 nM for PDGFRα and PDGFRβ, respectively.</p> <p>PDGFR-IN-1 showed the highest antiproliferative activity against human osteosarcoma cancer cell lines with abnormal PDGFRα and/or PDGFRβ activation (MG63, U2OS, MNNG/HOS, and SAOS-2). The IC₅₀ values were 0.44, 0.42, 1.03 and 0.37 μM, respectively.</p> <p>PDGFR-IN-1 (0.1, 0.2, and 0.4 μM) inhibited α-tubulin expression IN MNNG/HOS and MG63 cells in a dose-dependent manner, thereby inhibiting cancer cell formation.</p> <p>PDGFR-IN-1 inhibits PDGFRβ phosphorylation and downstream signal transduction (p-STAT3, p-AKT, and p-ERK) IN MNNG/HOS cells.</p> <p>PDGFR-IN-1 significantly inhibits the migration and invasion of osteosarcoma cancer cells by down-regulating the expression of FAK and its distribution on the cell front. [1]</p>
In vivo	<p>Orally administered PDGFR-IN-1 (40, 80 mg/kg/ day for 10 days), the mice showed good resistance.</p> <p>IN a MNNG/HOS xenograft mouse model, PDGFR-IN-1 (30 mg/kg for 14 days) treatment significantly inhibited tumor growth. [1]</p>

Solubility Information

Solubility	DMSO: 80 mg/mL (174.46 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	<p>10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (10.9 mM), Sonication is recommended.</p> <p><i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i></p>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1807 mL	10.9037 mL	21.8074 mL
5 mM	0.4361 mL	2.1807 mL	4.3615 mL
10 mM	0.2181 mL	1.0904 mL	2.1807 mL
50 mM	0.0436 mL	0.2181 mL	0.4361 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Chen X, et al. Discovery of Potent and Orally Bioavailable Platelet-Derived Growth Factor Receptor (PDGFR) Inhibitors for the Treatment of Osteosarcoma. J Med Chem. 2022 Apr 14;65(7):5374-5391.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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