Data Sheet (Cat.No.T4657L)

TargetMol

WHI-P97 HCl

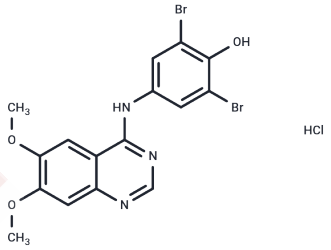
Chemical Properties

CAS No. :

Formula: C16H14Br2ClN3O3

Molecular Weight: 491.56

Storage: 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	WHI-P97 HCl is a potent and selective JAK-3 inhibitor. WHI-P97 had an estimated Ki value of 0.09 microM from modeling studies and a measured IC50 value of 2.5 microM in EGFR kinase inhibition assays. WHI-P97 effectively inhibited the in vitro invasiveness of EGFR-positive human cancer cells in a concentration-dependent manner.
Targets(IC50)	JAK
In vitro	WHI-P97 is a potent inhibitor of Janus kinase (JAK)-3.?Treatment of mast cells with WHI-P97 inhibited the translocation of 5-lipoxygenase (5-LO) from the nucleoplasm to the nuclear membrane and consequently 5-LO-dependent leukotriene (LT) synthesis after IgE receptor/FcepsilonRI crosslinking by >90% at low micromolar concentrations.?WHI-P97 did not directly inhibit the enzymatic activity of 5-LO, but prevented its translocation to the nuclear membrane without affecting the requisite calcium signal.Therapeutic WHI-P97 concentrations, which inhibit mast cell leukotriene synthesis in vitro, could easily be achieved in vivo after the i.v. or i.p. administration of a single nontoxic 40 mg/kg bolus dose of WHI-P97.
In vivo	WHI-P97 was very well tolerated in mice, with no signs of toxicity at dose levels ranging from 5 microg/kg to 50 mg/kg, and LD(10) was not reached at a 50 mg/kg dose level when administered as a single i. p. or i.v. bolus dose.??WHI-P97 showed promising biological activity in a mouse model of allergic asthma at nontoxic dose levels.? Treatment of ovalbumin-sensitized mice with WHI-P97 prevented the development of airway hyper-responsiveness to methacholine in a dose-dependent fashion.? Furthermore, WHI-P97 inhibited the eosinophil recruitment to the airway lumen after the ovalbumin challenge in a dose-dependent fashion.

Solubility Information

Solubility	DMSO: 4.92 mg/mL (10.01 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0343 mL	10.1717 mL	20.3434 mL
5 mM	0.4069 mL	2.0343 mL	4.0687 mL
10 mM	0.2034 mL	1.0172 mL	2.0343 mL
50 mM	0.0407 mL	0.2034 mL	0.4069 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

R Malaviya, et al. Treatment of allergic asthma by targeting janus kinase 3-dependent leukotriene synthesis in mast cells with 4-(3', 5'-dibromo-4'-hydroxyphenyl)amino-6,7-dimethoxyquinazoline (WHI-P97). J Pharmacol Exp Ther. 2000 Dec;295(3):912-26.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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