WHI-P97

Cat. No.: HY-11067

CAS No.: 211555-05-4

Molecular Formula: $C_{16}H_{13}Br_2N_3O_3$

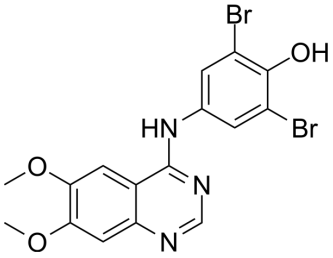
Molecular Weight: 455.1

Target: JAK

Pathway: Epigenetics; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 3.33 mg/mL (7.32 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1973 mL	10.9866 mL	21.9732 mL
	5 mM	0.4395 mL	2.1973 mL	4.3946 mL
	10 mM	---	---	---

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.59 mg/mL (1.30 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.59 mg/mL (1.30 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

WHI-P97 is a potent and selective JAK-3 inhibitor. WHI-P97 is effective in preventing the development allergic asthma in vivo [1].

IC_{50} & Target

JAK3
11 μM (IC_{50})

In Vitro

WHI-P97 inhibits 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/FcεRI crosslinking by >90% at low micromolar concentrations[1].
WHI-P97 (30 μM) stantially reduces the IgE/antigen-induced LTC4 release from mast cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

WHI-P97 is very well tolerated in mice, with no signs of toxicity at dose levels ranging from 5 μg/kg to 50 mg/kg, and LD_{10} is not reached at a 50 mg/kg dose level when administered as a single i.p. or i.v. bolus dose[1].
WHI-P97 (i.v. injection; 40 mg/kg; single dose) has an elimination half-life ($t_{1/2}$) of 58.9 min and systemic clearance (CL) of 891 ml/h/kg in CD-1 mice and a $t_{1/2}$ of 84.2 min and CL of 1513 ml/h/kg in BALB/c mice. The values for AUC and C_{max} are 107.3 μM and 296.7 μM, respectively, in CD-1 mice. And the IC_{50} values are 58.4 μM and 212.7 μM, respectively, in BALB/c mice. The large volume of distribution are 322 ml/kg in CD-1 mice and 415 ml/kg in BALB/c mice[1].
WHI-P97 (intraperitoneal injection; 40 mg/kg; 24 days) prevents ovalbumin-sensitized mice the development of airway hyper-responsiveness to methacholine in a dose-dependent fashion in mice. WHI-P97 inhibits the eosinophil recruitment to the airway lumen after the ovalbumin challenge in a dose-dependent fashion[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	BALB/c mouse model of allergic asthma[1]
Dosage:	40 mg/kg
Administration:	intraperitoneal injection; 24 days
Result:	Showed promising biological activity in a mouse model of allergic asthma at nontoxic dose levels.

CUSTOMER VALIDATION

- Nat Biotechnol. 2020 Sep;38(9):1087-1096.
- Harvard Medical School LINCS LIBRARY

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. 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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA