

JAK3-IN-1

Chemical Properties

CAS No. : 1805787-93-2

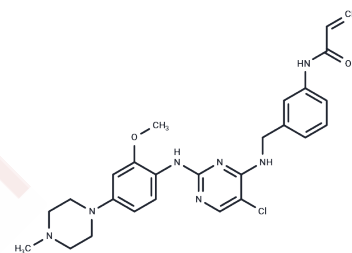
Formula: C₂₆H₃₀ClN₇O₂

Molecular Weight: 508.02

Store at low temperature

Storage: Pure form: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	JAK3-IN-1 is an orally active, selective and potent JAK3 inhibitor that can be used to study immune system diseases.
Targets(IC50)	JAK
In vitro	<p>JAK3-IN-1 (Compound 9) is a potent inhibitor of JAK3 with potential off-target effects on fms-related tyrosine kinase 3 (FLT3) and several tyrosine protein kinases (TEC family kinases). JAK3-IN-1 selectively inhibited the proliferation of JAK3-dependent Ba/F3 cells (IC₅₀ = 69 nM) and showed no antiproliferative activity against other JAK-dependent Ba/F3 cells at concentrations below 3.0 μM. JAK3-IN-1 selectively inhibited the proliferation of JAK3-dependent Ba/F3 cells (IC₅₀ = 69 nM), whereas for other JAK-dependent Ba/F3 cells, it did not show antiproliferative activity at concentrations lower than 3.0 μM. JAK3-IN-1 showed minimal inhibitory effects on other JAK kinases and wild-type EGFR (EGFRWT), which was consistent with its more than 180-fold higher IC₅₀ values against EGFRWT and TYK2 (409 nM and >10,000 nM, respectively). The IC₅₀ values of JAK3-IN-1 for BTK and ITK were 794 nM and 1070 nM, respectively, indicating that its inhibitory effect was more than 165-fold lower than that of JAK3.</p> <p>Treatment of BMDM cells with JAK3-IN-1 (500 nM, 3 h) in the concentration range of 0-5 μM completely blocked IL-4-induced p-STAT6 but only partially inhibited IFNβ-induced p-STAT1 at 5.0 μM. Enzymatic assays (using Z'-lyte or LanthaScreen formats) validated the inhibitory effect of JAK3-IN-1 on BTK and ITK. LanthaScreen format) verified the enzymatic inhibition of FLT3 (IC₅₀ = 13 nM), TTK protein kinase (TTK, IC₅₀ = 49 nM), BLK proto-oncogene (BLK, IC₅₀ = 157 nM), and TXK tyrosine protein kinase (TXK, IC₅₀ = 36 nM) by JAK3-IN-1. [1]</p>
In vivo	<p>In mice, 8 days of oral treatment with JAK3-IN-1 (75 mg/kg once daily) resulted in no significant changes in the number of B and T cells but a decrease in the number of NK cells in the tumor-loaded lungs and spleens, and JAK3-IN-1 exhibited good pharmacokinetic properties, with a T_{1/2} half-life of 1.4 hours and an area under the curve (AUC) of 1.4 hours at the 10 mg/kg oral dose. JAK3-IN-1 showed good pharmacokinetic properties, with a half-life (T_{1/2}) of 1.4 hours, an area under the curve (AUC) of 795 ng-h/mL after 10 mg/kg oral dose, and an oral bioavailability of 66%. [1]</p>

Solubility Information

Solubility	DMSO: 80 mg/mL (157.47 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (6.5 mM),Sonication is recommended. <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>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9684 mL	9.8421 mL	19.6843 mL
5 mM	0.3937 mL	1.9684 mL	3.9369 mL
10 mM	0.1968 mL	0.9842 mL	1.9684 mL
50 mM	0.0394 mL	0.1968 mL	0.3937 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

Tan L, et al. Development of Selective Covalent Janus Kinase 3 Inhibitors. J Med Chem. 2015 Aug 27;58(16):6589-6606.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only· Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481