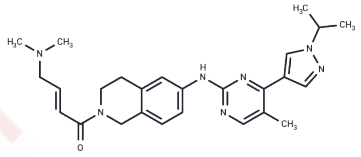
Data Sheet (Cat.No.T35900)

TargetMol

JAK2-IN-7

Chemical Properties



CAS No. : 2593402-36-7

Formula: C26H33N7O

Molecular Weight: 459.59

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	JAK2-IN-7, a selective inhibitor targeting Janus Kinase 2 (JAK2), exhibits inhibitory concentrations (IC50) of 3 nM for JAK2, 11.7 nM for SET-2 cells, and 41 nM for Ba/F3 V617F cells, indicative of its potency and selectivity. This compound demonstrates more than 14-fold selectivity against JAK1, JAK3, and FLT3, underlining its specificity. JAK2-IN-7 effectively induces cell cycle arrest at the G0/G1 phase and promotes apoptosis in tumor cells, manifesting significant antitumor activities [1].
Targets(IC50)	Apoptosis,FLT,JAK
In vitro	JAK2-IN-7 (compound 13ac), in concentrations ranging from 0-1000 nM for 2 hours, dose-dependently inhibits the phosphorylation of JAK2 and STAT5 in both SET-2 and Ba/F3-JAK2 V617F cells. Additionally, at 10-160 nM over 24 hours, it promotes cell cycle arrest at the G0/G1 phase, and at 0.05-1.6 μM for 2 hours, it induces apoptosis in SET-2 cells. Both the cell cycle arrest and apoptosis induction are concentration-dependent, confirming the compound's efficacy in modulating key cellular processes related to proliferation and survival.
In vivo	JAK2-IN-7, administered orally at doses ranging from 15 to 60 mg/kg daily for 16 days, demonstrated strong antitumor activity, inhibiting tumor growth by 82.3% in the SET-2 xenograft model [1]. At doses between 30 and 60 mg/kg, it significantly reduced disease symptoms in a Ba/F3-JAK2V617F allograft model by normalizing spleen weight by 77.1%, outperforming Ruxolitinib [1]. These findings, derived from administering JAK2-IN-7 to a NOD/SCID mouse model inoculated with SET-2 cells, highlight its potential without noticeable weight changes in the animals.

Solubility Information

Solubility	DMSO: 250 mg/mL (543.96 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: 5 mg/mL (10.88 mM),Sonication is recommended. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1759 mL	10.8793 mL	21.7585 mL
5 mM	0.4352 mL	2.1759 mL	4.3517 mL
10 mM	0.2176 mL	1.0879 mL	2.1759 mL
50 mM	0.0435 mL	0.2176 mL	0.4352 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

Yang T, et al. N-(Pyrimidin-2-yl)-1,2,3,4-tetrahydroisoquinolin-6-amine Derivatives as Selective Janus Kinase 2 Inhibitors for the Treatment of Myeloproliferative Neoplasms [published online ahead of print, 2020 Nov 30]. J Med Chem. 2020;10.1021/acs.jmedchem.0c01488.