

FM-381

Chemical Properties

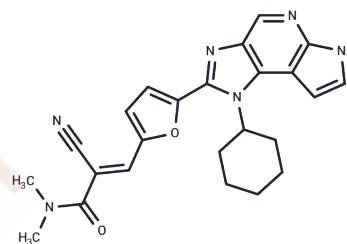
CAS No. : 2226521-65-7

Formula: C₂₄H₂₄N₆O₂

Molecular Weight: 428.49

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	FM381 is a potent covalent reversible inhibitor of JAK3. FM-381 has an IC ₅₀ of 127 μM for JAK3, with 410, 2700 and 3600-fold selectivity over JAK1, JAK2 and TYK2, respectively.
Targets(IC ₅₀)	JAK
In vitro	FM-381 is a potent covalent reversible inhibitor of JAK3 targeting the unique Cys909 at the gatekeeper (GK) position +7 in JAK3 exhibited apparent JAK3 IC ₅₀ values of 0.127 nM, with 400-, 2700- and 3600-fold selectivity over JAK1, JAK2 and TYK2, respectively. FM-381 was found to be inactive in a selectivity panel of frequently hit BRDs (BRD4, BRPF, CECR, FALZ, TAF1, BRD9). FM-381 shows an apparent EC ₅₀ of 100 nM in a dose dependent BRET assay and blocks IL2-stimulated (JAK3/JAK1 dependent) STAT5 phosphorylation at 100 nM, but not JAK3 independent IL6-stimulated (JAK1/2/TYK dependent) STAT3 signalling in Human CD4+ T cells up to 1 μM [1].
Cell Research	CD4+ T Cell cytokine stimulation assay is performed. T cells are purified from peripheral blood mononuclear cells from human donors. Equal numbers of cells are incubated for 1 hr with JAK inhibitors (FM381) (0, 10, 50, 100, 300 nM) or DMSO control and stimulated with cytokines for 30 min. The cells are lysed, and the proteins are separated via PAGE and transferred to a polyvinylidene fluoride membrane. The proteins of interest are blotted with specific antibodies and visualized with an infrared imaging system[1].

Solubility Information

Solubility	H ₂ O: Insoluble, DMSO: 12.5 mg/mL (29.17 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3338 mL	11.6689 mL	23.3378 mL
5 mM	0.4668 mL	2.3338 mL	4.6676 mL
10 mM	0.2334 mL	1.1669 mL	2.3338 mL
50 mM	0.0467 mL	0.2334 mL	0.4668 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

Forster M, et al. Selective JAK3 Inhibitors with a Covalent Reversible Binding Mode Targeting a New Induced Fit Binding Pocket. Cell Chem Biol. 2016 Nov 17;23(11):1335-1340.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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