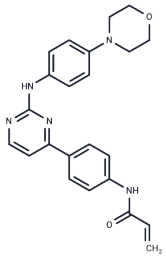
Data Sheet (Cat.No.T9811)

TargetMol

JAK3-IN-11

Chemical Properties



CAS No. : 2412734-00-8

Formula: C23H23N5O2

Molecular Weight: 401.46

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	JAK3-IN-11 (Compound 12) exhibits potent, noncytotoxic, irreversible, orally active JAK3 inhibitory activity (IC50 = 1.7 nM) with an excellent selectivity profile (>588-fold compared to other JAK isoforms), covalently binds to the ATP-binding pocket in JAK3. JAK3-IN-11 strongly inhibits JAK3-dependent signaling and T-cell proliferation which is a promising tool for studying autoimmune diseases [1].
Targets(IC50)	Others,JAK
In vitro	JAK3-IN-11 (Compound 12) exhibits strong immunosuppressive activity by selectively inhibiting JAK3, without significant cytotoxic effects at 10 μM over 72 hours. It suppresses T cell proliferation with IC50 values of 0.83 μM and 0.77 μM under anti-CD3/CD28 and IL-2 stimulation, respectively, and inhibits IL-2 or IL-15-induced STAT5 phosphorylation within 1 hour in a dose-dependent manner (0-10 μM). The compound covalently and irreversibly binds to JAK3, with effectiveness confirmed by cell proliferation assays using mouse T cells and Western blot analysis for phosphorylation status, indicating modulation of relevant immune pathways.
In vivo	JAK3-IN-11 (Compound 12) effectively inhibits oxazolone (OXZ)-induced delayed-type hypersensitivity (DTH) in Balb/c mice in a dose-dependent manner when administered orally at doses of 0-30 mg/kg over a 6-day challenge phase, with 3, 10, and 30 mg/kg doses reducing DTH responses. In male ICR mice, preliminary pharmacokinetic analysis following oral gavage and intravenous administration at 30 mg/kg and 10 mg/kg, respectively, measured parameters such as AUC(0-t), AUC(0-∞), MRT, Vz, CLz, t 1/2, C max, and bioavailability, providing insights into the compound's pharmacokinetics.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4909 mL	12.4545 mL	24.9091 mL
5 mM	0.4982 mL	2.4909 mL	4.9818 mL
10 mM	0.2491 mL	1.2455 mL	2.4909 mL
50 mM	0.0498 mL	0.2491 mL	0.4982 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.