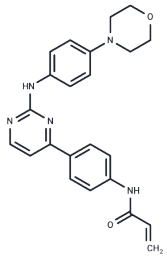
Data Sheet (Cat.No.T9811)

TargetMol
YOUR TARGET MOLECULES

JAK3-IN-11

Chemical Properties



CAS No. : 2412734-00-8

Formula: C23H23N5O2

Molecular Weight: 401.46

Appearance: no data available

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

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].
In vitro	JAK3-IN-11 (Compound 12) demonstrates no significant cytotoxic effects at a concentration of 10 μM over 72 hours. It exhibits potent suppression of T cell proliferation, with IC 50 values of 0.83 μM and 0.77 μM under anti-CD3/CD28 and IL-2 stimulation respectively, indicating strong immunosuppressive activity through selective inhibition of JAK3. Additionally, JAK3-IN-11 inhibits IL-2 or IL-15-induced phosphorylation of STAT5 in a dose-dependent manner within 1 hour, ranging from 0-10 μM. This compound notably binds covalently and irreversibly to JAK3, highlighting its targeted action on this kinase. The observed effects were supported by cell proliferation assays using mouse T cells and Western blot analysis for phosphorylation status, underscoring the compound's effectiveness in modulating 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, administered orally at doses of 0-30 mg/kg before and throughout a 6-day challenge phase. This compound was tested in an animal model specifically designed to induce DTH responses with OXZ. The study observed varying doses of 30, 10, and 3 mg/kg showing a dose-dependent reduction in DTH responses. Additionally, in male ICR mice, preliminary pharmacokinetic analysis of JAK3-IN-11 was conducted, demonstrating significant data following oral gavage and intravenous administration at doses of 30 mg/kg and 10 mg/kg, respectively. Key pharmacokinetic parameters were measured, including area under the concentration-time curve (AUC(0-t) and AUC(0-∞)), mean residence time (MRT), steady-state volume (Vz), plasma clearance (CLz), terminal half-life (t 1/2), peak plasma concentrations (C max), and bioavailability, revealing insights into the compound's absorption, distribution, metabolism, and excretion properties.

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.