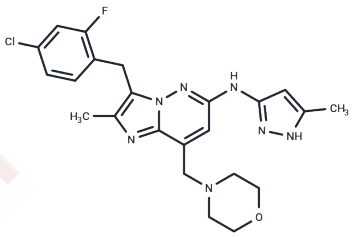
Data Sheet (Cat.No.T2638)

TargetMol

Gandotinib

Chemical Properties



CAS No. : 1229236-86-5

Formula: C23H25ClFN7O

Molecular Weight: 469.94

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	LY2784544(Gandotinib (LY2784544)) is a potent JAK2 inhibitor (IC50: 3 nM), effective in JAK2V617F(Ki: 0.245 nM). The selectivity is higher 8- and 20-fold than JAK1 and JAK3.
Targets(IC50)	FGFR,FLT,JAK,VEGFR
In vitro	In severe combined immunodeficient mice, LY2784544 (administered orally) does not affect CD71/Ter119 positive erythroid progenitor cells within the spleen. LY2784544 significantly inhibits STAT5 phosphorylation in Ba/F3-JAK2 V617F-GFP xenografts (effective dose 50% [ED50]: 12.7 mg/kg). Additionally, in a JAK2 V617F-induced myeloproliferative neoplasm (MPN) model, LY2784544 (administered orally) reduces the tumor burden of Ba/F3-JAK2 V617F-GFP (ED50: 13.7 mg/kg).
In vivo	In proliferation assays, LY2784544 exhibits an anti-proliferative effect on JAK2 V617F-driven cells, with an IC50 of 68 nM. It demonstrates a less potent effect on cells driven by wild-type JAK2 and JAK3, with IC50 values of 1356 nM and 940 nM, respectively. LY2784544 also inhibits IL-3-activated wild-type JAK2 with an IC50 of 2.26 μM.
Cell Research	LY2784544 is dissolved in DMSO and stored, and then diluted with appropriate medium before use[1]. Ba/F3 cells expressing JAK2V617F are placed in RPMI-1640-containing vehicle (DMSO) or LY2784544 (concentration range, 0.001-20 μM) (1×104 cells/96-well). Ba/F3 cells expressing wild-type JAK2 are treated similarly except IL-3 (2 ng/mL) is added. After a 72-hour incubation, cell proliferation is assessed by adding Cell Titer 96 Aqueous One Solution Reagent (20 μL/well). The IC50 for inhibition of cell proliferation is calculated using the GraphPad Prism 4 software[1].

Solubility Information

Solubility	DMSO: 83.3 mg/mL (177.26 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 9 mg/mL (19.15 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 (7.02 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*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1279 mL	10.6397 mL	21.2793 mL
5 mM	0.4256 mL	2.1279 mL	4.2559 mL
10 mM	0.2128 mL	1.064 mL	2.1279 mL
50 mM	0.0426 mL	0.2128 mL	0.4256 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

Ma L, et al. 53rd ASH Annual Meeting and Exposition, 2011, Abstract 4087.
Ma L, et al. Blood Cancer J. 2013, 3, e109.