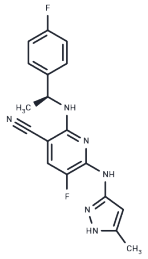
Data Sheet (Cat.No.T6309)

TargetMol

AZ960

Chemical Properties



CAS No. : 905586-69-8

Formula: C18H16F2N6

Molecular Weight: 354.36

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	AZ960 is an effective ATP competitive JAK2 inhibitor (IC50/Ki: <3 nM and0.45 nM).
Targets(IC50)	Apoptosis,Parasite,JAK,Virus Protease
In vivo	AZ960 (0.1 μM) also inhibited 31 of 83 kinases, such as JAK3 (IC50: 9 nM), Aurora, TrkA, and ARK5. AZ 960 significantly inhibited the proliferation of TEL-JAK2, -JAK1, -JAK3, and -Tyk2 cells (GI50: 25/230/279/214 nM). 960 also reduced the level of STAT3/5 phosphorylation, thereby effectively inhibiting SET-2 cell proliferation (GI50: ~33 nM).AZ 960 inhibited STAT5 phosphorylation in TEL-JAK2 cells (IC50: 15 nM), and was much more effective on TEL-JAK2-driven STAT5 phosphorylation than on other JAK kinase family members (TEL-JAK1, -JAK3 and -Tyk2). -JAK3, and -TYK2)-driven cell lines.AZ 960 also caused growth arrest and apoptosis of human T-cell lymphotropic lymphotropic virus type 1-infected T-cells and inhibited Bcl-xL by potentiating the antiproliferative effect of AZ 960 on MT-1 cells via small interfering RNAs.AZ 960 significantly inhibited the clonogenic growth of newly isolated AML cells from patients AZ 960 significantly inhibited the clonal growth of newly isolated AML cells from patients and induced apoptosis.
Kinase Assay	Enzyme Biochemical Assay and Kinase Profiling: Inhibition studies of AZ 960 are performed using a recombinant JAK2 kinase (amino acids 808–1132) at a peptide (Tyk2 peptide) concentration of 100 nM and an ATP concentration of 15 μM. Concentrations of AZ 960 ranging from 0.003 μM to 30 μM are used. The mode of inhibition and inhibition constant (Ki) of AZ960 against JAK2 kinase are further evaluated by inhibition kinetics. Specifically, a series of JAK2-catalyzed reactions are set up in HEPES buffer (75 mM, pH 7.3) with a fixed concentration of peptide (FL-Ahx-IPTSPITTTYFFFKKK-COOH), and varied concentrations of ATP and AZ 960. The progress of each reaction is subsequently monitored by the Caliper LC3000 system, and the initial velocity of each reaction is extracted from the corresponding reaction time course. To define the mode of inhibition, initial velocities are plotted against corresponding ATP concentrations using Lineweaver-Burk plots and the characteristic convergence of the lines on the y axis demonstrates the competitiveness of AZ 960 to ATP. Initial inspection of Ki using the Michealis-Menten equation revealed that AZ960 is a tight-binding inhibitor of JAK2. AZ960 is profiled against 83 kinases at three inhibitor concentrations (0.01 μM, 0.10 μM, and 1.0 μM).

Cell Research	Cellular proliferation is evaluated using the fluorometric/colorimetric BIOSOURCE AlamarBlue Assay and read in the Spectra Max Gemini EM microplate reader. SET-2 cells are plated at 20,000 cells/well, TEL-JAK2 Ba/F3 cells at 2000 cells/well, and all other TEL-JAKs at 5000 cells/well in 96-well plates. Cells are treated with AZ 960 24 hours after plating and grown for 72 hours for SET-2 and 48 hours for TEL-JAK Ba/F3 cells. Following the indicated growth period Alamar Blue (10 μL/well) is added, cells are incubated at 37 °C in 5% CO2 for 2 hours, and fluorescence is measured at 545 (excitation) and 600 nm (emission). Data are normalized to percent of the control, and GI50 values (the concentration that causes 50% growth inhibition) are calculated using Xlfit4 version 4.2.2 for Microsoft Excel. (Only for Reference)

Solubility Information

Solubility	Ethanol: 3 mg/mL (8.47 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 250 mg/mL (705.5 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: 2 mg/mL (5.64 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	2.822 mL	14.1099 mL	28.2199 mL
5 mM	0.5644 mL	2.822 mL	5.644 mL
10 mM	0.2822 mL	1.411 mL	2.822 mL
50 mM	0.0564 mL	0.2822 mL	0.5644 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

Gozgit JM, et al. J Biol Chem. 2008, 283(47), 32334-32343.
Chen C, Lu M, Lin S, et al. The nuclear gene rpl18 regulates erythroid maturation via JAK2-STAT3 signaling in zebrafish model of Diamond-Blackfan anemia. Cell Death & Disease. 2020, 11(2): 1-11
Yang J, et al. Mol Cancer Ther. 2010, 9(12), 3386-3395.
Ikezoe T, et al. Int J Cancer. 2011, 129(10), 2512-2521.
Chen C, Lu M, Lin S, et al. The nuclear gene rpl18 regulates erythroid maturation via JAK2-STAT3 signaling in zebrafish model of Diamond-Blackfan anemia[J]. Cell Death & Disease. 2020, 11(2): 1-11.

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