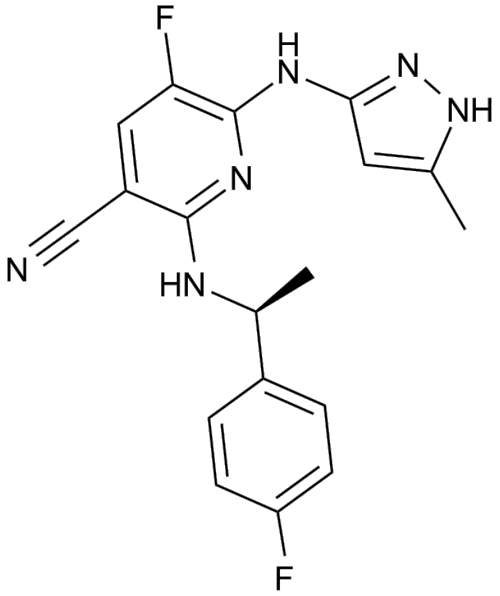
Product Data Sheet

Product Name: AZ 960
Cat. No.: GC13433

Chemical Properties

Cas. No.	905586-69-8		
Chemical Name	5-fluoro-2-[[(1S)-1-(4-fluorophenyl)ethyl]amino]-6-[(5-methyl-1H-pyrazol-3-yl)amino]pyridine-3-carbonitrile		
SMILES	CC1=CC(=NN1)NC2=C(C=C(C(=N2)NC(C)C3=CC=C(C=C3)F)C#N)F		
Formula	$C_{18}H_{16}F_2N_6$	M.Wt	354.36
Solubility	DMF: 10 mg/ml,DMSO: 10 mg/ml,DMSO:PBS(pH 7.2) (1:3): 0.25 mg/ml,Ethanol: 1 mg/ml	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

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Cell experiment: AZ960 is dissolved in 100% DMSO to a 0.01 M. HTLV-1–infected T cells and MOLT-4 cells are cultured with various concentrations of AZ960 (0.03-1 μM) for 2 days in 96-well plates. Peripheral blood lymphocytes are activated by phytohemagglutinin (PHA; 5 ng/mL) for 1 hour, then cultured with various concentrations of AZ960 (0.03–1 μM) for 2 days in 96-well plates. After culture, cell number and viability are evaluated by measuring the mitochondrial-dependent conversion of the MTT to a colored formazan product[2].

References:

[1]. Ikezoe T, et al. Expression of p-JAK2 predicts clinical outcome and is a potential molecular target of acute myelogenous leukemia. Int J Cancer. 2011 Nov 15;129(10):2512-21.
[2]. Gozgit JM, et al. Effects of the JAK2 inhibitor, AZ960, on Pim/BAD/BCL-xL survival signaling in the human JAK2 V617F cell line SET-2. J Biol Chem. 2008 Nov 21;283(47):32334-43.
[3]. Yang J, et al. AZ960, a novel Jak2 inhibitor, induces growth arrest and apoptosis in adult T-cell leukemia cells. Mol Cancer Ther. 2010 Dec;9(12):3386-95.
[4]. Valenciano AL, et al. Discovery and antiparasitic activity of AZ960 as a Trypanosoma brucei ERK8 inhibitor. Bioorg Med Chem. 2016 Oct 1;24(19):4647-51.

Background

AZ960 is a potent and specific inhibitor of the JAK2 kinase with a Ki of 0.45 nM.

AZ960 inhibits Jak2 kinase with a Ki of 0.45 nM. Z960 possesses much less potent activity against Jak1, 3, and TYK2. AZ960 is active against other kinases, including TrkA, Aurora-A, and FAK, with IC50 of around 0.1 μM. AZ960 effectively induces growth arrest and apoptosis of human T-cell lymphotropic virus type 1, HTLV-1–infected T cells (MT-1 and MT-2) in parallel with downregulation of the phosphorylated forms of Jak2 and Bcl-2 family proteins including Bcl-2 and Mcl-1[2]. AZ960 potently inhibits the clonogenic growth and induces apoptosis of freshly isolated acute myelogenous leukemia cells from patients in association with cleavage of caspase 3 and down regulation of anti-apoptotic Bcl-xL proteins[1]. AZ960 has a Ki of 1.25 μM for T. brucei extracellular signal-regulated kinase 8 (TbERK8). It inhibits TbERK8 with an IC50 of 120 nM[3].

References:

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[1]. Ikezoe T, et al. Expression of p-JAK2 predicts clinical outcome and is a potential molecular target of acute myelogenous leukemia. Int J Cancer. 2011 Nov 15;129(10):2512-21.
[2]. Gozgit JM, et al. Effects of the JAK2 inhibitor, AZ960, on Pim/BAD/BCL-xL survival signaling in the human JAK2 V617F cell line SET-2. J Biol Chem. 2008 Nov 21;283(47):32334-43.
[3]. Yang J, et al. AZ960, a novel Jak2 inhibitor, induces growth arrest and apoptosis in adult T-cell leukemia cells. Mol Cancer Ther. 2010 Dec;9(12):3386-95.
[4]. Valenciano AL, et al. Discovery and antiparasitic activity of AZ960 as a Trypanosoma brucei ERK8 inhibitor. Bioorg Med Chem. 2016 Oct 1;24(19):4647-51.

Caution: Product has not been fully validated for medical applications. For research use only.
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