

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

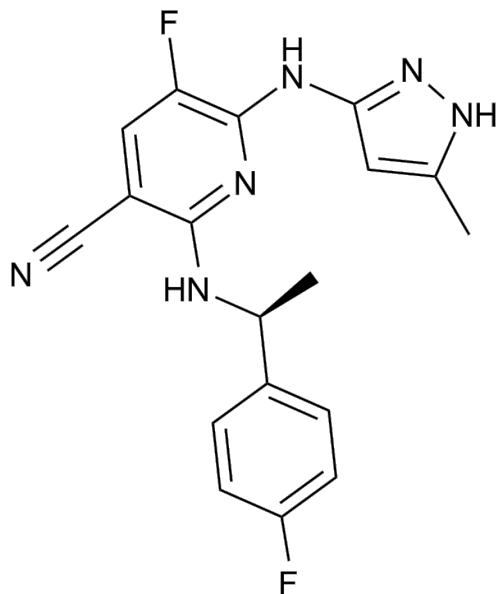
Formula $C_{18}H_{16}F_2N_6$ M.Wt 354.36

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

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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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 μ M) 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 μ M) 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 K_i of 0.45 nM.

AZ960 inhibits Jak2 kinase with a K_i 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 IC_{50} of around 0.1 μ M. 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 K_i of 1.25 μ M for T. brucei extracellular signal-regulated kinase 8 (TbERK8). It inhibits TbERK8 with an IC_{50} of 120 nM[3].

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