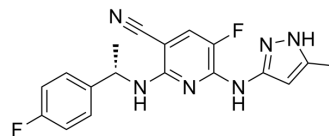


AZ960

Cat. No.:	HY-10411												
CAS No.:	905586-69-8												
Molecular Formula:	C ₁₈ H ₁₆ F ₂ N ₆												
Molecular Weight:	354.36												
Target:	JAK; Apoptosis; Parasite; Virus Protease												
Pathway:	Epigenetics; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Stem Cell/Wnt; Apoptosis; Anti-infection												
Storage:	<table border="0"> <tr> <td>Powder</td> <td>-20°C</td> <td>3 years</td> </tr> <tr> <td></td> <td>4°C</td> <td>2 years</td> </tr> <tr> <td>In solvent</td> <td>-80°C</td> <td>2 years</td> </tr> <tr> <td></td> <td>-20°C</td> <td>1 year</td> </tr> </table>	Powder	-20°C	3 years		4°C	2 years	In solvent	-80°C	2 years		-20°C	1 year
Powder	-20°C	3 years											
	4°C	2 years											
In solvent	-80°C	2 years											
	-20°C	1 year											



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 30 mg/mL (84.66 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.8220 mL	14.1099 mL	28.2199 mL
	5 mM	0.5644 mL	2.8220 mL	5.6440 mL
	10 mM	0.2822 mL	1.4110 mL	2.8220 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (7.05 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (7.05 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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

IC₅₀ & Target

JAK2 0.45 nM (K _i)	JAK2 <3 nM (IC ₅₀)	JAK3 9 nM (IC ₅₀)
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In Vitro

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 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 K_i of 1.25 μM for T. brucei extracellular signal-regulated kinase 8 (TbERK8). It inhibits TbERK8 with an IC_{50} of 120 nM^[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay^[2]

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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]. 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.
- [3]. 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.
- [4]. 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.
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Caution: Product has not been fully validated for medical applications. For research use only.

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