AZD3229

Cat. No.: HY-112802

CAS No.: 2248003-60-1

Molecular Formula: $C_{24}H_{26}FN_7O_3$

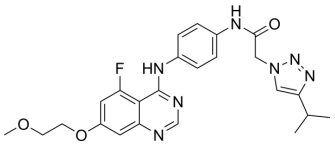
Molecular Weight: 479.51

Target: c-Kit

Pathway: Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 40 mg/mL (83.42 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0855 mL	10.4273 mL	20.8546 mL
5 mM	0.4171 mL	2.0855 mL	4.1709 mL
10 mM	0.2085 mL	1.0427 mL	2.0855 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 4 mg/mL (8.34 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 4 mg/mL (8.34 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 4 mg/mL (8.34 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

AZD3229 is a potent pan-KIT mutant inhibitor for the treatment of gastrointestinal stromal tumors. AZD3229 inhibits c-KIT with an IC_{50} value of 223.3 nM[1][2].

IC_{50} & Target

IC50: 223.3 nM (c-Kit)[2]

In Vitro

AZD3229 is a potent, pan-KIT mutant inhibitor with potent single digit nM growth inhibition against a diverse panel of mutant KIT driven Ba/F3 cell lines (GI_{50}=1-50 nM)[1].

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

REFERENCES

[1]. Ryu H, et.al. Antitumor Activity of a Novel Tyrosine Kinase Inhibitor AIU2001 Due to Abrogation of the DNA Damage Repair in Non-Small Cell Lung Cancer Cells. Int J Mol Sci. 2019 Sep 24;20(19):4728.

[2]. Kettle JG, et al. Discovery of N-(4-{[5-Fluoro-7-(2-methoxyethoxy) quinazolin-4-yl]amino} phenyl)-2-[4-(propan-2-yl)-1 H-1,2,3-triazol-1-yl]acetamide (AZD3229), a Potent Pan-KIT Mutant Inhibitor for the Treatment of Gastrointestinal Stromal Tumors. J Med Chem. 2018 Oct 11;61(19):8797-8810.

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