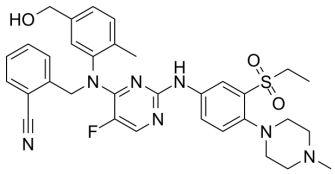
AZ13705339

Cat. No.:	HY-120940
CAS No.:	2016806-57-6
Molecular Formula:	$C_{33}H_{36}FN_7O_3S$
Molecular Weight:	629.75
Target:	PAK
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (396.98 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5879 mL	7.9397 mL	15.8793 mL
	5 mM	0.3176 mL	1.5879 mL	3.1759 mL
	10 mM	0.1588 mL	0.7940 mL	1.5879 mL

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

BIOLOGICAL ACTIVITY

Description

AZ13705339 is a highly potent and selective PAK1 inhibitor with IC_{50}s of 0.33 nM and 59 nM for PAK1 and pPAK1, respectively. AZ13705339 has binding affinities to PAK1 and PAK2, with K_ds of 0.28 nM and 0.32 nM, respectively. AZ13705339 can be used in the research of cancers[1].

IC_{50} & Target	PAK2	PAK1	PAK1	pPAK1
	0.32 nM (Kd)	0.28 nM (Kd)	0.33 nM (IC_{50})	59 nM (IC_{50})

In Vitro

AZ13705339 (1 μM) inhibits αIgM-controlled adhesion and not PMA-induced adhesion in Namalwa cells[2].
AZ13705339 (300 nM, 30 min) prevents Siglec-8 engagement-induced eosinophil death[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

AZ13705339 (100 mg/kg, P.O.) has moderate clearance and oral C_{max} of 7.7 μM in rats[1].
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REFERENCES

[1]. McCoull W, Hennessy EJ, Blades K, et al. Optimization of Highly Kinase Selective Bis-anilino Pyrimidine PAK1 Inhibitors. ACS Med Chem Lett. 2016;7(12):1118-1123. Published 2016 Sep 14.

[2]. Martin F M de Rooij, et al. A loss-of-adhesion CRISPR-Cas9 screening platform to identify cell adhesion-regulatory proteins and signaling pathways. Nat Commun. 2022 Apr 19;13(1):2136.

[3]. Daniela J Carroll, et al. Siglec-8 Signals Through a Non-Canonical Pathway to Cause Human Eosinophil Death In Vitro. Front Immunol. 2021 Oct 11;12:737988.

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