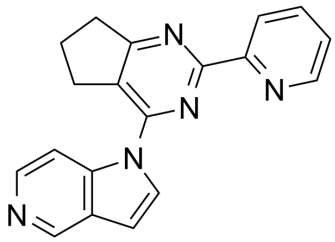
AZ12601011

Cat. No.:	HY-122856
CAS No.:	2748337-86-0
Molecular Formula:	$C_{19}H_{15}N_5$
Molecular Weight:	313.36
Target:	TGF-β Receptor
Pathway:	TGF-beta/Smad
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 : 5 mg/mL (15.96 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.1912 mL	15.9561 mL	31.9122 mL
	5 mM	0.6382 mL	3.1912 mL	6.3824 mL
	10 mM	0.3191 mL	1.5956 mL	3.1912 mL

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

BIOLOGICAL ACTIVITY

Description

AZ12601011 is an orally active, selective TGFBR1 kinase inhibitor with an IC_{50} of 18 nM and a K_d of 2.9 nM. AZ12601011 inhibits phosphorylation of SMAD2 via selectively inhibiting ALK4, TGFBR1, and ALK7. AZ12601011 inhibits mammary tumor growth [1].

IC_{50} & Target		
	ALK4	ALK7

In Vitro

AZ12601011 (0.01-10 μM; for 20 minutes) completely inhibits Phosphorylation of SMAD2 [1].
AZ12601011 (0.01 μM-10 μM) inhibits the activity of ALK4, ALK7 and TGFBR1 [1].
AZ12601011 inhibits 4T1 cells growth in vitro (IC_{50}=0.4μM) [1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	NIH3T3, HaCaT, C2C12, T47D cells
Concentration:	0.01, 0.03, 0.1, 0.3, 1, 3, 10 μM

Incubation Time:	20 minutes
Result:	Completely inhibited Phosphorylation of SMAD2.

In Vivo

AZ12601011 (50mg/kg; oral gavage; twice daily; for 25 days) inhibits tumour growth and metastasis in vivo[1].

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

Animal Model:	Female BALB/c mice at greater than 18g with tumour[1]
Dosage:	50mg/kg
Administration:	Oral gavage; twice daily; for 25 days
Result:	Inhibited tumour growth and metastasis in vivo.

CUSTOMER VALIDATION

- Rheumatology. 2021 Sep 24;keab725.

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REFERENCES

[1]. Spender LC, et al. Preclinical Evaluation of AZ12601011 and AZ12799734, Inhibitors of Transforming Growth Factor βSuperfamily Type 1 Receptors. Mol Pharmacol. 2019 Feb;95(2):222-234.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA