# **Product** Data Sheet

### 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)

	Solvent Mass Concentration	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	3.1912 mL	15.9561 mL	31.9122 mL
Stock Sotutions	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 growth <sup>[1]</sup> .	on of SMAD2 via selectively inhibiting ALK4, TGFBR1, and ALK7. AZ12601011 inhibits mammary tumor	
IC <sub>50</sub> & Target	ALK4	ALK7	
In Vitro	AZ12601011 (0.01 μM-1 AZ12601011 inhibits 47 MCE has not independ	AZ12601011 (0.01-10 $\mu$ M; for 20 minutes) completely inhibits Phosphorylation of SMAD2 <sup>[1]</sup> . AZ12601011 (0.01 $\mu$ M-10 $\mu$ M) inhibits the activity of ALK4, ALK7 and TGFBR1 <sup>[1]</sup> . AZ12601011 inhibits 4T1 cells growth in vitro (IC <sub>50</sub> =0.4 $\mu$ M) <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only. Western Blot Analysis <sup>[1]</sup>	
	Cell Line:	NIH3T3, HaCaT, C2C12, T47D cells	
	Concentration:	0.01, 0.03, 0.1, 0.3, 1, 3, 10 μM	

Incubation T	Time: 20 minutes		
Result:	Completely inhibited Phosphorylation of SMAD2.		
	AZ12601011 (50mg/kg; oral gavage; twice daily; for 25 days) inhibits tumour growth and metastasis in vivo <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.		
Animal Mode	del: Female BALB/c mice at greater than 18g with tumour <sup>[1]</sup>		
Animal Mode Dosage:	del: Female BALB/c mice at greater than 18g with tumour <sup>[1]</sup> 50mg/kg		
	50mg/kg		

## **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 \( \beta\) Superfamily Type 1 Receptors. Mol Pharmacol. 2019 Feb;95(2):222-234.

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

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