

Product Data Sheet

Product Name: AZ12601011

Cat. No.: GC60610

Chemical Properties

Cas. No.

SMILES C1(C2=NC=CC=C2)=NC(N3C=CC4=C3C=CN=C4)=C(CCC5)C5=N1

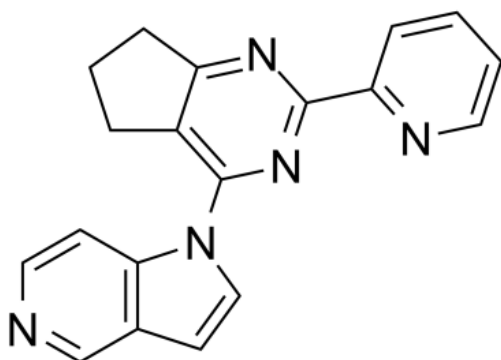
Formula $C_{19}H_{15}N_5$ M.Wt 313.36

Solubility DMSO: 5 mg/mL (15.96 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

AZ12601011 is an orally active, selective TGFBR1 kinase inhibitor with an IC₅₀ 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].

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 (IC₅₀=0.4μM) [1]. Western Blot Analysis[1] Cell Line: NIH3T3,

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

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HaCaT, C2C12, T47D cells

AZ12601011 (50mg/kg; oral gavage; twice daily; for 25 days) inhibits tumour growth and metastasis in vivo[1]. Animal Model: Female BALB/c mice at greater than 18g with tumour[1]

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

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