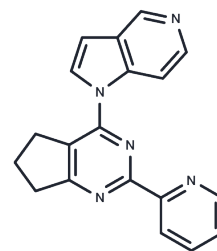


AZ12601011

Chemical Properties

CAS No. : 2748337-86-0
Formula: C₁₉H₁₅N₅
Molecular Weight: 313.36
Appearance: no data available
Storage: keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

| | |
|---------------|---|
| Description | AZ12601011 is an orally active, selective and potent TGFBR1 kinase inhibitor. AZ12601011 inhibits the release of inflammatory factors, interleukin (IL)-1 β , IL-6 and tumor necrosis factor- α , and inhibits the growth of breast tumors. AZ12601011 directly binds to TGF- β R1 and blocks downstream Smad3 activation, which can be used to prevent renal fibrosis. |
| Targets(IC50) | ALK,TGF-beta/Smad |
| In vitro | AZ12601011 (0.01-10 μ M; for 20 minutes) entirely inhibits phosphorylation of SMAD2 and the activity of ALK4, ALK7, and TGFBR1. It also inhibits 4T1 cell growth in vitro (IC50 = 0.4 μ M). [1] Western Blot Analysis shows complete inhibition of SMAD2 phosphorylation in NIH3T3, HaCaT, C2C12, and T47D cells at concentrations of 0.01-10 μ M after 20 minutes of incubation. |
| In vivo | AZ12601011 (50mg/kg; oral gavage; twice daily; for 25 days) significantly suppresses tumor growth and metastasis in vivo [1]. Administered to female BALB/c mice (weight >18g) bearing tumors, the compound effectively hinders both tumor development and migration. |

Solubility Information

| | |
|------------|---|
| Solubility | DMSO: 4 mg/mL (12.76 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble) |
|------------|---|

A DRUG SCREENING EXPERT

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|-----------|------------|------------|
| 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 |
| 50 mM | 0.0638 mL | 0.3191 mL | 0.6382 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel: 781-999-4286 E_mail: info@targetmol.com Address: 36 Washington Street, Wellesley Hills, MA 02481