# **Product** Data Sheet

## AC710

Cat. No.: HY-13493 CAS No.: 1351522-04-7 Molecular Formula:  $C_{31}H_{42}N_6O_4$ Molecular Weight: 562.7

PDGFR; c-Kit; FLT3 Target:

Pathway: Protein Tyrosine Kinase/RTK Storage: Powder -20°C

3 years 4°C 2 years

> -80°C In solvent 2 years

> > -20°C 1 year

## **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 14 mg/mL (24.88 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	1.7771 mL	8.8857 mL	17.7715 mL
	5 mM	0.3554 mL	1.7771 mL	3.5543 mL
	10 mM	0.1777 mL	0.8886 mL	1.7771 mL

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

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 0.5 mg/mL (0.89 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 0.5 mg/mL (0.89 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 0.5 mg/mL (0.89 mM); Clear solution

## **BIOLOGICAL ACTIVITY**

Description AC710 is a potent PDGFR inhibitor with  $K_ds$  of 0.6, 1.57, 1, 1.3, 1.0 nM for FLT3, CSF1R, KIT, PDGFR $\alpha$  and PDGFR $\beta$ , respectively.

PDGFRα PDGFRB FLT3 IC<sub>50</sub> & Target c-Kit 1.3 nM (Kd) 1 nM (Kd) 1 nM (Kd) 0.6 nM (Kd)

> CSF1R 1.57 nM (Kd)

#### In Vivo

At 0.3 mg/kg of AC710, tumor growth is temporally inhibited, and growth resumes quickly thereafter. At 3 and 30 mg/kg of AC710, tumors regress completely, and the tumor volume stays suppressed for an extended period after dosing is halted. No body weight loss is observed in animals treated with AC710 at all doses, indicating that it is well tolerated in mice at efficacious doses. AC710 exhibits a significant impact on disease in a dose-dependent fashion in a mouse collagen-induced arthritis (CIA) model, at a dose as low as 3 mg/kg for 15 days (day 0-14). At 10 and 30 mg/kg, AC710 demonstrates equivalent or slightly better efficacy in reducing the joint swelling and inflammation than dexomethasone administered at a safe dose. AC710 is well tolerated at the tested doses<sup>[1]</sup>.

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

### **PROTOCOL**

Animal
Administration [1]

Mice: The antitumor efficacy of AC710 is assessed in a subcutaneous flank-tumor xenograft model in athymic nude mice using the MV4-11cell line. AC710 is dosed at 0.3, 3, and 30 mg/kg for 2 weeks. Tumor growth and body weight is monitored  $^{[1]}$ 

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#### **REFERENCES**

[1]. Liu G, et al. Discovery of AC710, a Globally Selective Inhibitor of Platelet-Derived Growth Factor Receptor-Family Kinases. ACS Med Chem Lett. 2012 Sep 24;3(12):997-1002.

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

Tel: 609-228-6898

Fax: 609-228-5909

 $\hbox{E-mail: } tech@MedChemExpress.com$ 

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