Bezuclastinib

Cat. No.: HY-145557

CAS No.: 1616385-51-3

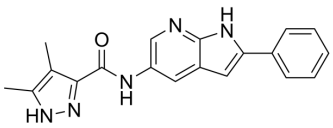
Molecular Formula: $C_{19}H_{17}N_5O$

Molecular Weight: 331.37

Target: c-Kit

Pathway: Protein Tyrosine Kinase/RTK

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 80 mg/mL (241.42 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0178 mL	15.0889 mL	30.1777 mL
	5 mM	0.6036 mL	3.0178 mL	6.0355 mL
	10 mM	0.3018 mL	1.5089 mL	3.0178 mL

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

BIOLOGICAL ACTIVITY

Description

Bezuclastinib (CGT9486) is an orally active, highly selective tyrosine kinase inhibitor with potent activity against KIT D816V. Bezuclastinib can be used for the research of nonadvanced systemic mastocytosis (NonAdvSM)[1].

IC_{50} & Target

c-Kit	c-kit D816V
<1 μM (IC_{50})	<1 μM (IC_{50})

In Vivo

Bezuclastinib (25 mg/kg, p.o., 3 days) shows a brain:plasma ratio of 0.07 in rats[2].

Pharmacokinetic Analysis in Rats[2]

Route	Dose (mg/kg)	$t_{1/2}$ (h)	C_{max} (ng/mL)	AUC_{last} (h*ng/mL)
p.o.	25	2.8	2592±364	21509±2558

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

REFERENCES

[1]. Guarnieri A, et al. Preclinical Data with KIT D816V Inhibitor Bezuclastinib (CGT9486) Demonstrates High Selectivity and Minimal Brain Penetrance. Blood. 2021, 138: 4595.

[2]. Guarnieri A, et al. Preclinical data identifies bezuclastinib as a differentiated KIT inhibitor with unique selectivity to KIT D816V and minimal evidence of brain penetration. MOLECULAR CANCER THERAPEUTICS. 2021, 20(12).

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