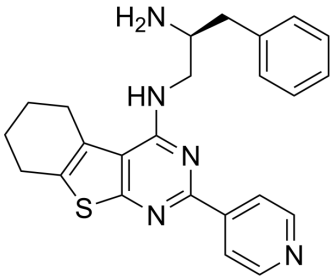
CRT0066854

Cat. No.:	HY-18713
CAS No.:	1438881-19-6
Molecular Formula:	$C_{24}H_{25}N_5S$
Molecular Weight:	415.55
Target:	PKC; ROCK
Pathway:	Epigenetics; TGF-beta/Smad; Cell Cycle/DNA Damage; Cytoskeleton; Stem Cell/Wnt
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 : 50 mg/mL (120.32 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4064 mL	12.0322 mL	24.0645 mL
	5 mM	0.4813 mL	2.4064 mL	4.8129 mL
	10 mM	0.2406 mL	1.2032 mL	2.4064 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: ≥ 2.5 mg/mL (6.02 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.02 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.02 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CRT0066854 is a potent and selective atypical PKC isoenzymes inhibitor. CRT0066854 is against full-length (FL) PKCι, PKCζ, and ROCK-II kinases with IC_{50} values of 132 nM, 639 nM, and 620 nM, respectively[1].

IC_{50} & Target		
	PKCζ	ROCK2
	639 nM (IC_{50})	620 nM (IC_{50})

In Vitro

CRT0066854 displaces a crucial Asn-Phe-Asp motif that is part of the adenosine-binding pocket and engages an acidic patch

used by arginine-rich PKC substrates[1].

CRT0066854 (0.2-1.2 μM; 6 days) is able to restore polarized morphogenesis in the dysplastic H-Ras spheroids, and the maximal proportion of spheroids with PSALs is at the lower dose of 1.2 μM[1].

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

REFERENCES

[1]. Kjær S, et al. Adenosine-binding motif mimicry and cellular effects of a thieno[2,3-d]pyrimidine-based chemical inhibitor of atypical protein kinase C isoenzymes. Biochem J. 2013 Apr 15;451(2):329-42.

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