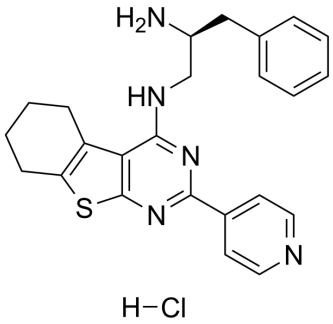
CRT0066854 hydrochloride

Cat. No.:	HY-18713A
CAS No.:	2250019-91-9
Molecular Formula:	$C_{24}H_{26}ClN_5S$
Molecular Weight:	452.01
Target:	PKC; ROCK
Pathway:	Epigenetics; TGF-beta/Smad; Cell Cycle/DNA Damage; Cytoskeleton; Stem Cell/Wnt
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (221.23 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2123 mL	11.0617 mL	22.1234 mL
5 mM	0.4425 mL	2.2123 mL	4.4247 mL
10 mM	0.2212 mL	1.1062 mL	2.2123 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: ≥ 5 mg/mL (11.06 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (11.06 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CRT0066854 hydrochloride is a potent and selective atypical PKCs 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	ROCKII
639 nM (IC_{50})	620 nM (IC_{50})

In Vitro

CRT0066854 hydrochloride 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 hydrochloride (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.

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