

CRT0066101

Chemical Properties

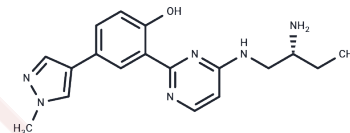
CAS No. : 956123-34-5

Formula: C₁₈H₂₂N₆O

Molecular Weight: 338.41

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	CRT0066101 is a potent and orally active protein kinase D inhibitor with low-nanomolar activity against PKD1, PKD2, and PKD3, while also inhibiting PIM2, CRT0066101 demonstrates significant anti-inflammatory efficacy in lipopolysaccharide-induced lung injury models as well as anticancer activity, supporting its use in inflammation and oncology research.
Targets(IC50)	Pim,Serine/threonin kinase
In vitro	In enzymatic assays, CRT0066101 inhibited the PKD family with IC50 values of 1 nM (PKD1), 2.5 nM (PKD2), and 2 nM (PKD3), as well as PIM2 (IC50 = 135.7 nM). In pancreatic cancer cell lines (Panc-1, Panc-28), CRT0066101 inhibited proliferation (IC50 ~ 1 μM), and induced a 6- to 10-fold increase in apoptosis [1].
In vivo	In a Panc-1 orthotopic xenograft model, oral administration of CRT0066101 (80 mg/kg/day) for 21 days blocked tumor growth. Additionally, in a mouse model of LPS-induced lung injury, intraperitoneal injection of CRT0066101 (10 mg/kg, every 2 days) reduced lung tissue damage and inhibited the cytokine storm [1][3].

Solubility Information

Solubility	DMSO: 80 mg/mL (236.4 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.955 mL	14.775 mL	29.550 mL
5 mM	0.591 mL	2.955 mL	5.910 mL
10 mM	0.2955 mL	1.4775 mL	2.955 mL
50 mM	0.0591 mL	0.2955 mL	0.591 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Harikumar KB, et al. A novel small-molecule inhibitor of protein kinase D blocks pancreatic cancer growth in vitro and in vivo. *Mol Cancer Ther.* 2010 May;9(5):1136-46.

Xi Chen, et al. Identification and assessment of new PIM2 inhibitors for treating hematologic cancers: A combined approach of energy-based virtual screening and machine learning evaluation. *Arch Pharm (Weinheim).* 2024 Jan 23:e2300516.

Cui B, et al. , Small molecule inhibitor CRT0066101 inhibits cytokine storm syndrome in a mouse model of lung injury. *Int Immunopharmacol.* 2023 Jul;120:110240.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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