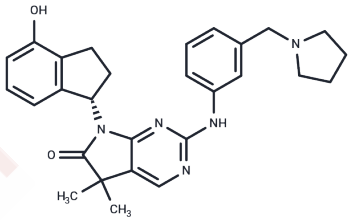
Data Sheet (Cat.No.T16142)

MRT199665

Chemical Properties



CAS No. : 1456858-57-3

Formula: C28H31N5O2

Molecular Weight: 469.58

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	MRT199665 is an effective and ATP-competitive, selective MARK/SIK/AMPK inhibitor (IC50s of 2/2/3/2 nM, 10/10 nM, and 110/12/43 nM for MARK1/MARK2/MARK3/MARK14, AMPKα1/AMPKα2, and SIK1/SIK2/SIK3, respectively). MRT199665 suppresses the phosphorylation of SIK substrate CRTC3 at S370. MRT199665 induces apoptosis in MEF2C-activated human acute myeloid leukemia (AML) cells.
Targets(IC50)	Apoptosis,Others,AMPK,SIK
In vitro	MRT199665 also causes a decrease in total MEF2C protein. MRT199665 (1 μM; pre-treated for 1 h) enhances LPS (100 ng/mL; stimulated for up to 24 h)-stimulated IL-10 mRNA and Nurr77 mRNA production, and IL-10 secretion. MRT199665 treatment can block MEF2C S222 phosphorylation in acute myeloid leukemia (AML) cells. MRT199665 (1 nM-100 μM; 48 hours) decreases leukemia growth. MRT199665 (10 nM-1000 nM; 12 hours) leads to a dose-dependent reduction in total and pS222 MEF2C [1][2].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1296 mL	10.6478 mL	21.2956 mL
5 mM	0.4259 mL	2.1296 mL	4.2591 mL
10 mM	0.213 mL	1.0648 mL	2.1296 mL
50 mM	0.0426 mL	0.213 mL	0.4259 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

Clark K, et al. Phosphorylation of CRTC3 by the salt-inducible kinases controls the interconversion of classically activated and regulatory macrophages. Proc Natl Acad Sci U S A. 2012 Oct 16;109(42):16986-91.
Brown FC, et al. MEF2C Phosphorylation Is Required for Chemotherapy Resistance in Acute Myeloid Leukemia. Cancer Discov. 2018 Apr;8(4):478-497.
Hutchinson LD, et al. Salt-inducible kinases (SIKs) regulate TGFβ-mediated transcriptional and apoptotic responses.Cell Death Dis. 2020 Jan 22;11(1):49.

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