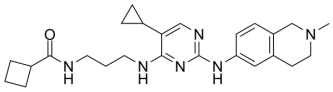
MRT68921

Cat. No.:	HY-100006
CAS No.:	1190379-70-4
Molecular Formula:	$C_{25}H_{34}N_6O$
Molecular Weight:	434.58
Target:	ULK
Pathway:	Autophagy

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (230.11 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3011 mL	11.5054 mL	23.0107 mL
	5 mM	0.4602 mL	2.3011 mL	4.6021 mL
	10 mM	0.2301 mL	1.1505 mL	2.3011 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 (5.75 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.75 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (5.75 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

MRT68921 is a potent inhibitor of ULK1 and ULK2, with IC_{50} values of 2.9 nM and 1.1 nM, respectively.

IC_{50} & Target

IC50: 2.9 nM (ULK1), 1.1 nM(ULK2)[1]

In Vitro

ULK1, a serine/threonine protein kinase, is essential for the initial stages of autophagy. MRT68921 inhibits ULK1 and ULK2 in vitro and block autophagy in cells. MRT68921 is the most potent inhibitor of both ULK1 and ULK2, with greater than a 15-fold reduction in the IC_{50} for ULK1 (2.9 nm) and greater than a 30-fold reduction for ULK2 (1.1 nm). Autophagy-inhibiting capacity

of the compounds is specifically through ULK1. ULK1 inhibition results in accumulation of stalled early autophagosomal structures, indicating a role for ULK1 in the maturation of autophagosomes as well as initiation[1].

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

PROTOCOL

Kinase Assay [1]

Kinase assays are carried out in 50 mM Tris-HCl, pH 7.4, 10 mM magnesium acetate, 0.1 mM EGTA, and 0.1% β-mercaptoethanol, containing 30 μM cold ATP, and 0.5 μCi of [γ-^{32}P]ATP for 5 min at 25 °C. Prior to ATP addition, reaction mixes are pre-warmed to 25 °C for 5 min. Reactions are stopped by the addition of sample buffer, followed by SDS-PAGE, transfer to nitrocellulose, and analysis by autoradiography and immunoblot[1].

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

Cell Assay [1]

MEFs and 293T cells are grown in DMEM, supplemented with 10% fetal bovine serum and penicillin/streptomycin, and cultured at 37°C, 5% CO_2. For induction of autophagy, cells are typically grown to 75% confluency, ished twice, and incubated in Earle's balanced salt solution (EBSS) for 1 h (or complete medium as a control). MRT67307 (10 μM), MRT68921 (1 μM), AZD8055 (1 μM), or bafilomycin A1 (50 nM) is included[1].

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

CUSTOMER VALIDATION

- Nature. 2022 Oct;610(7931):366-372.
- Cancer Cell. 2021 May 10;39(5):678-693.e11.
- Nature Cancer. 2021 May;2(5):503-514.
- Dev Cell. 2023 Dec 8:S1534-5807(23)00621-4.
- Mol Ther Oncolytics. 28 August 2021.

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REFERENCES

[1]. Petherick KJ, et al. Pharmacological inhibition of ULK1 kinase blocks mammalian target of rapamycin (mTOR)-dependent autophagy. J Biol Chem. 2015 May 1;290(18):11376-83.

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