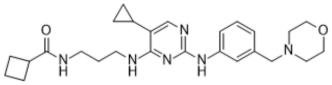
MRT67307

Cat. No.:	HY-13018
CAS No.:	1190378-57-4
Molecular Formula:	$C_{26}H_{36}N_6O_2$
Molecular Weight:	464.6
Target:	IKK; ULK; Autophagy
Pathway:	NF-κB; Autophagy
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 : ≥ 100 mg/mL (215.24 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1524 mL	10.7619 mL	21.5239 mL
5 mM	0.4305 mL	2.1524 mL	4.3048 mL
10 mM	0.2152 mL	1.0762 mL	2.1524 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 (5.38 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.38 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MRT67307 is a dual inhibitor of the IKKε and TBK-1 with IC_{50}s of 160 and 19 nM, respectively[1]. MRT67307 also inhibits ULK1 and ULK2 with IC_{50}s of 45 and 38 nM, respectively. MRT67307 also blocks autophagy in cells[2].

IC_{50} & Target

TBK1	IKKε	ULK2	ULK1
19 nM (IC_{50}, at 0.1 mM ATP)	160 nM (IC_{50}, at 0.1 mM ATP)	38 nM (IC_{50})	45 nM (IC_{50})

Autophagy

In Vitro

MRT67307 inhibits IKK and TBK1 with IC_{50} values of 160 and 19 nM when assayed at 0.1 mM ATP in vitro, but did not inhibit IKKα or IKKβ even at 10 μM[1].
MRT67307 (2 μM) prevents the phosphorylation of IRF3 in bone-marrow-derived macrophages (BMDMs). MRT67307 (2 μM) dose not suppresse the activation of JNK or p38 MAPK by poly(I:C)[1].
MRT67307 (1 nM-10 μM) prevents the production of IFNβ in macrophages[1].
MRT67307 (10 μM) is sufficient to reduce phospho-ATG13 to control levels[2].
MRT67307 (10 μM) blocks autophagy in mouse embryonic fibroblasts (MEFs)[2].
MRT67307 (5 μM; 4 h) abrogates TBK1/IKKε-induced CYLD phosphorylation in 293T cells[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[3]

Cell Line:	293T cells
Concentration:	5 μM
Incubation Time:	4 hours
Result:	Abrogated TBK1/IKKε-induced CYLD phosphorylation.

CUSTOMER VALIDATION

- Nat Med. 2018 Aug;24(8):1143-1150.
- Nature. 2023 Mar;615(7950):158-167.
- Cell Res. 2019 Mar;29(3):193-205.
- Nat Commun. 2023 Sep 18;14(1):5666.
- Nat Commun. 2015 Jan 21;6:6074.

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REFERENCES

[1]. Clark K, et al. Novel cross-talk within the IKK family controls innate immunity. Biochem J. 2011 Feb 15;434(1):93-104.

[2]. 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.

[3]. Zhu Z, et al. Inhibition of KRAS-driven tumorigenicity by interruption of an autocrine cytokine circuit. 26.37Cancer Discov. 2014 Apr;4(4):452-65.

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