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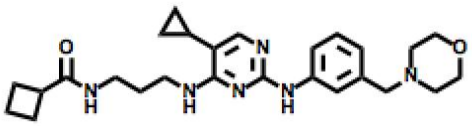
Autophagy Kinase ULK1/2 Inhibitor – MRT68921

Chemical Name: N-(3-((5-cyclopropyl-2-((3-(morpholinomethyl)phenyl)amino)pyrimidin-4-yl)amino)propyl)cyclobutanecarboxamide



Molecular Weight:	464.61
Formula:	$C_{26}H_{36}N_6O_2$
Purity:	≥98%
CAS#:	1190378-57-4
Solubility:	DMSO up to 100 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 months -20°C 1 year

Biological Activity:

MRT68921 is a potent, selective and dual autophagy kinase ULK1/2 inhibitor with IC_{50} of 2.9 nM and 1.1 nM, respectively. It can inhibit ULK in vitro and block autophagy in cells. By generating the drug-resistant M92T ULK1 mutant, MRT68921demostrates to specifically block autophagic flux through ULK1 inhibition and disrupt autophagosome maturation, not through AMPK-related kinase involvement. ULK1 inhibition results in accumulation of stalled early autophagosomal structures, indicating a role for ULK1 in the maturation of autophagosomes as well as initiation.

How to Use:

In vitro: MRT6892 was usually used at 1 μM final concentration in vitro.

In vivo: n/a

Reference:

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