

Product Data Sheet

Product Name: MRT68921 dihydrochloride

Cat. No.: GC36654

Chemical Properties

Cas. No. 2080306-21-2

SMILES [H]Cl.O=C(C1CCC1)NCCCNC2=NC(NC3=CC4=C(CN(C)CC4)C=C3)=NC=C2C5CC5.[H]Cl

Formula $C_{25}H_{35}ClN_6O$ M.Wt 471.04

Solubility Water: 10 mg/mL (21.23 mM and warming)
Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

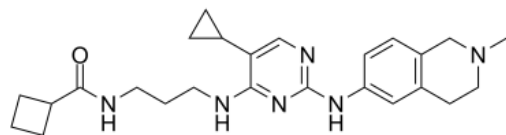
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



H-Cl

H-Cl

Protocol

Kinase experiment:

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 μ M cold ATP, and 0.5 μ Ci 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].

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Cell experiment: MEFs and 293T cells are grown in DMEM, supplemented with 10% fetal bovine serum and penicillin/streptomycin, and cultured at 37°C, 5% CO₂. For induction of autophagy, cells are typically grown to 75% confluency, trypsinized 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].

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.

Background

MRT68921 dihydrochloride is the most potent inhibitor of ULK1 and ULK2, with IC₅₀ values of 2.9 nM and 1.1 nM, respectively.

ULK1, a serine/threonine protein kinase, is essential for the initial stages of autophagy. MRT68921 inhibits ULK1 and ULK2 in vitro and blocks autophagy in cells. MRT68921 is the most potent inhibitor of both ULK1 and ULK2, with greater than a 15-fold reduction in the IC₅₀ 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].

[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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