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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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Lys05

Cat. No.: HY-12855A

CAS No.: 1391426-24-6

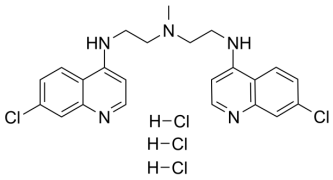
Molecular Formula: $C_{23}H_{26}Cl_5N_5$

Molecular Weight: 549.75

Target: Autophagy

Pathway: Autophagy

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 6.4 mg/mL (11.64 mM; Need ultrasonic)
DMSO : 5.88 mg/mL (10.70 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8190 mL	9.0950 mL	18.1901 mL
	5 mM	0.3638 mL	1.8190 mL	3.6380 mL
	10 mM	0.1819 mL	0.9095 mL	1.8190 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 7.5 mg/mL (13.64 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 4.17 mg/mL (7.59 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.55 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lys05 (Lys01 trihydrochloride) is a novel lysosomal autophagy inhibitor with IC_{50} values of 3.6, 3.8, 6 and 7.9 μM for 1205Lu, c8161, LN229 and HT-29 cell line in the MTT assay.

IC_{50} & Target

IC50: 3.6 μM (1205Lu), 3.8 μM (c8161), 6 μM (LN229), 7.9 μM (HT-29)[1]

In Vitro

Lys01, is a 10-fold more potent autophagy inhibitor than HCQ. Compared with HCQ, Lys05, a water-soluble salt of Lys01, more potently accumulates within and deacidifies the lysosome. Lys01 and Lys05 produce equivalent dose-dependent increases in the LC3II/LC3I ratio, accumulation of the autophagy cargo protein p62, and identical IC_{50} values in the MTT

	assay[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	With this high-dose, short-term treatment, no mice die, but after 2 d of dosing, mice treated with Lys05 76 mg/kg i.p. are observed to have arched backs and lethargy. Morphologically, EM show that cells with intact nuclear and cytoplasmic membranes contain large AVs in Lys05-treated tumors. Tumor growth is significantly impaired in Lys05-treated tumors compared with controls. Lys05 treatment results in a 53% reduction in the average daily tumor growth rate compared with vehicle-treated controls. A significant three- and six-fold accumulation of AV is observed at the end of 14 d of treatment in HCQ- and Lys05-treated tumors, respectively, compared with control-treated tumors[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	1205Lu, c8161, LN229 and HT-29 cells are treated with Lys05 (0, 0.01, 0.1, 1, and 10 μM) or Lys01 (0, 0.01, 0.1, 1, and 10 μM) in five replicates for 72 h. The Acid Phsophatase Assay kit is used for the MTT assay[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice: To investigate the safety of Lys05 and its in vivo effects on autophagy, c8161 xenografts matched for tumor size are treated with i.p. daily PBS, or equimolar doses of HCQ or Lys05 [HCQ 60 mg/kg (138 nM/g), Lys05 76 mg/kg (138 nM/g)] for 48 h[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Microbiol. 2023 May;8(5):958-972.
- Environ Toxicol. 2021 Dec 7.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. McAfee Q, et al. Autophagy inhibitor Lys05 has single-agent antitumor activity and reproduces the phenotype of a genetic autophagy deficiency. Proc Natl Acad Sci U S A. 2012 May 22;109(21):8253-8.

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