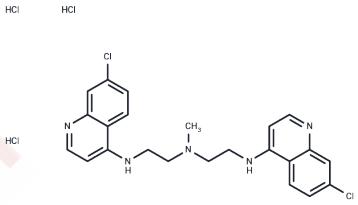
Data Sheet (Cat.No.T3437)

TargetMol

Lys05

Chemical Properties



CAS No. : 1391426-24-6

Formula: C23H26Cl5N5

Molecular Weight: 549.75

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Lys05, or Lys01 trihydrochloride, is a potent, water soluble lysosomal autophagy inhibitor. Lys05 is a previously undescribed dimeric chloroquine which more potently accumulates in the lysosome and blocks autophagy compared with HCQ. Lys05 produced more potent antitumor activity as a single agent both in vitro and in vivo in multiple human cancer cell lines and xenograft models compared with HCQ. Lys05 is therefore a new lysosomal autophagy inhibitor that has potential to be developed further into a drug for cancer and other medical applications.
Targets(IC50)	Lysosomal Autophagy,Autophagy
In vitro	Lys01 is an autophagy inhibitor significantly (10-fold) more potent than hydroxychloroquine (HCQ). Its water-soluble derivative, Lys05, demonstrates enhanced potency by more effectively accumulating in and deacidifying lysosomes compared to HCQ. Both Lys01 and its trihydrochloride version induce similar dose-dependent effects, such as an increase in the LC3II/LC3I ratio, p62 protein accumulation, and identical half-maximal inhibitory concentrations (IC50) in the MTT assay[1].
In vivo	In a study utilizing high-dose, short-term administration of lys01 trihydrochloride at 76 mg/kg intraperitoneally (i.p.), no mortality was observed in mice. However, symptoms such as arched backs and lethargy became apparent after two days of treatment. Electron microscopy (EM) revealed that in lys01 trihydrochloride-treated tumors, cells maintained intact nuclear and cytoplasmic membranes but demonstrated a significant presence of large autophagic vacuoles (AVs). This treatment markedly inhibited tumor growth, showing a substantial 53% decrease in the average daily tumor growth rate compared to tumors in vehicle-treated control mice. Furthermore, a pronounced increase in AV accumulation was noted in treated tumors—three-fold in HCQ-treated and six-fold in lys01 trihydrochloride-treated tumors—after 14 days of treatment, when compared to control-treated tumors.
Cell Research	1205Lu, c8161, LN229 and HT-29 cells are treated with lys01 trihydrochloride (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].

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble) H2O: 3 mg/mL (5.46 mM),Sonication is recommended. DMSO: < 1 mg/mL (insoluble),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.819 mL	9.095 mL	18.1901 mL
5 mM	0.3638 mL	1.819 mL	3.638 mL
10 mM	0.1819 mL	0.9095 mL	1.819 mL
50 mM	0.0364 mL	0.1819 mL	0.3638 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.