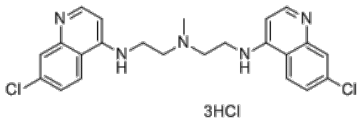
ProbeChem®
Expedite Your Scientific Research

WWW.PROBECHEM.COM

Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Lys05
Cat. No.	:	PC-60601
CAS No.	:	1391426-24-6
Molecular Formula	:	$C_{23}H_{26}Cl_5N_5$
Molecular Weight	:	549.75
Target	:	Autophagy
Solubility	:	10 mM in DMSO



Biological Activity

Lys05 (Lys01 trihydrochloride, PS-1001) is the water-soluble salt of Lys01, is a 10-fold more potent **lysosomal autophagy** inhibitor than hydroxychloroquine (HCQ), also is a **Kir4.1** inhibitor.
Lys05 shows IC50 values of 3.6, 3.8, 6 and 7.9 uM for 1205Lu, c8161, LN229 and HT-29 cell line in the MTT assay
Lys05 is more potently accumulates within and deacidifies the lysosome, resulting in impaired autophagy and tumor growth.
Lys05 enhances sunitinib-mediated suppression of clear cell ovarian carcinoma (CCOC) cell viability.
Lys05 is a potent, selective inhibitor of astrocytic inwardly rectifying potassium channel 4.1 (**Kir4.1**), inhibits inward and outward potassium currents with IC50 of 0.22 and 0.18 uM, respectively.
Lys05 preferentially inhibits the Kir4.1 channel over other Kir isoforms, displays selectivity against other classes of potassium channels, such as astrocyte-expressing TWIK1, THIK1, TREK1 and neuronal KCNQ2, KCNQ3 channels, as well as cardiac hERG channels.
A single dose of Lys05 reversed the Kir4.1-driven depression-like phenotype and exerted rapid-onset antidepressant actions in multiple canonical depression rodent models with efficacy comparable to that of (S)-ketamine.

References

McAfee Q, et al. ***Proc Natl Acad Sci U S A.*** 2012 May 22;109(21):8253-8.

Amaravadi RK, et al. ***Autophagy.*** 2012 Sep;8(9):1383-4.

DeVorkin L, et al. ***Mol Cancer Res.*** 2017 Mar;15(3):250-258.

Ndoye A, et al. ***Cancer Res.*** 2017 Nov 1;77(21):5873-5885.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com