HLCL-61 hydrochloride

Cat. No.: HY-100025A

CAS No.: 1158279-20-9

Molecular Formula: $C_{23}H_{25}ClN_2O$

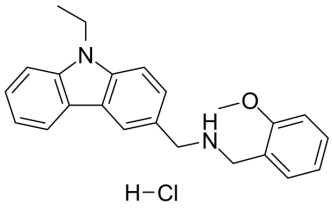
Molecular Weight: 380.91

Target: Histone Methyltransferase; Apoptosis

Pathway: Epigenetics; Apoptosis

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

DMSO : 50 mg/mL (131.26 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6253 mL	13.1265 mL	26.2529 mL
5 mM	0.5251 mL	2.6253 mL	5.2506 mL
10 mM	0.2625 mL	1.3126 mL	2.6253 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.56 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.56 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

HLCL-61 hydrochloride is a first-in-class inhibitor of protein arginine methyltransferase 5 (PRMT5).

IC_{50} & Target

PRMT5

In Vitro

HLCL-61 hydrochloride (1-100 μM; 24-72 hours) reduces cells growth at dose-dependent manner with IC_{50}s of 14.12, 16.74, 6.3, 8.72 μM for MV4-11 cells, THP-1 cells, FLT3-WT blast, and FLT3-ITD blast, respectively[1].

HLCL-61 hydrochloride shows effective inhibition of symmetric arginine dimethylation (me2) of histones H3 and H4 in AML samples, starting at 12?h post-treatment and persisting after 48?h[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	MV4-11 cells, THP-1 cells, FLT3-WT blast (primary blasts from patients), FLT3-ITD blast (primary blasts from patients)
Concentration:	1, 5, 10, 25, 50, 100 μM
Incubation Time:	24, 48, 72 hours
Result:	Dose-dependent reduction in cell viability with IC_{50}s of 14.12, 16.74, 6.3, 8.72 μM for MV4-11 cells, THP-1 cells, FLT3-WT blast, and FLT3-ITD blast, respectively.

CUSTOMER VALIDATION

- Proc Natl Acad Sci U S A. 2019 Feb 19;116(8):2961-2966.
- J Virol. 2023 Feb 14;e0163722.

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REFERENCES

[1]. Tarighat SS, et al. The dual epigenetic role of PRMT5 in acute myeloid leukemia: gene activation and repression via histone arginine methylation. Leukemia. 2016 Apr;30(4):789-99.

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