

Product Data Sheet

Product Name: HLCL-61
Cat. No.: GC17023

Chemical Properties

Cas. No. 1158279-20-9

Chemical Name 1-(9-ethyl-9H-carbazol-3-yl)-N-(2-methoxybenzyl)methanamine hydrochloride

SMILES CCN1C2=CC=CC=C2C3=C1C=CC(CNCC4=CC=CC=C4OC)=C3.Cl

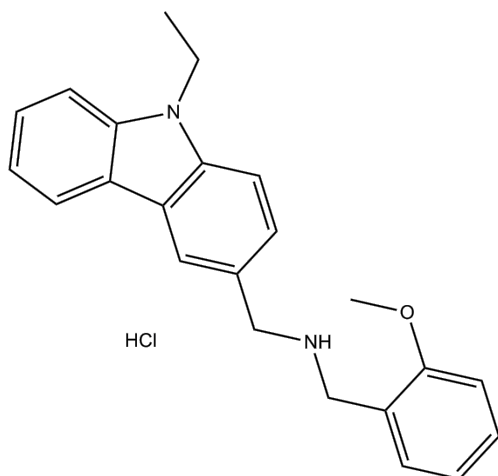
Formula C23H25ClN2O M.Wt 380.91

Solubility DMSO: >1mg/ml, Ethanol: >1mg/ml 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.

Structure



Background

HLCL-61 is a PRMT5 inhibitor.

Aberrant methylation of protein arginine residues mediated by protein arginine methyltransferase (PRMT) enzymes is reported to be linked to cancer. PRMTs are a family of proteins with 11 known members catalyzing the transfer of methyl group(s) to the guanidine nitrogen atoms of peptide

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

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arginine residues. PRMT5 has multiple substrates including histones and other non-chromatin proteins and has been gained attention for cancer therapy.

In vitro: In previous study, HLCL-61 showed no inhibitory activity against PRMT1, PRMT4, and type II PRMT family members, therefore demonstrating its specificity for PRMT5. HLCL-61 also showed effective inhibition of symmetric arginine dimethylation of histones H3 and H4, starting at 12 h posttreatment and persisting for 48 h. Moreover, the treatment of AML cell lines and primary blasts with HLCL-61 led to the decrease of cell viability. In addition, HLCL-61-treated AML cell lines and patient samples had induction of differentiation as demonstrated by dose-dependent increases in the expression of CD11b. Furthermore, PRMT5 inhibition caused by HLCL-61 treatment led to a decrease in MV4-11 cells [1].

In vivo: So far, there is no animal in vivo data reported.

Clinical trial: Up to now, HLCL-61 is still in the preclinical development stage.

Reference:

[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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