Product Data Sheet

Product Name: HLC40
Cat. No.: GC79147

Chemical Properties

Cas. No.

Formula	$C_{38}H_{33}F_6N_3O_6S$	M.Wt	773.74
Solubility	DMSO: 100 mg/mL (129.24 mM; Need ultrasonic)	Storage	Store at 4°C, protect from light

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

Product Data Sheet

HLC40 is a MLL1 histone methyltransferase inhibitor with an IC_{50} of 0.82 μM by binding to WDR5. HLC40 inhibits proliferation of cancer cells, induces apoptosis and upregulates cleaved caspase-3 levels. HLC40 exhibits antitumor efficacy in a murine AML xenograft model [1].

In Vivo, HLC40 (20-30 mg/kg; i.p.; daily; 21 days) delivers significant dose-dependent antitumor efficacy in a murine MV4-11 xenograft model, achieving 49.1% tumor growth inhibition at 30 mg/kg with no observable toxicity[1].

In Vitro, HLC40 potently inhibits MLL1 histone methyltransferase activity in in vitro cell-free biochemical assay with an IC_{50} of 0.82 μM[1]. HLC40 potently and selectively inhibits proliferation of MV4-11 and MOLM-13 MLL-rearranged AML cells with IC_{50} values of 8.92 μM and 7.07 μM, respectively, while showing minimal activity against MLL wild-type K562 cells (IC_{50} = 49.68 μM)[1]. HLC40 exhibits minimal antagonistic activity against the CysLT1 receptor in stable HEK-293 cells, with an IC_{50} = 5.28 μM[1]. HLC40 (2.5-10 μM; 72 h) induces concentration-dependent apoptosis in MV4-11 and MOLM-13 MLL-rearranged AML cells, with apoptosis rates reaching up to 30.31% in MV4-11 cells at 10 μM[1]. HLC40 (0.625-10 μM; 24 h) activates the apoptotic pathway in MOLM-13 MLL-rearranged AML cells, as shown by concentration-dependent upregulation of cleaved caspase-3[1]. HLC40 (0.625-10 μM; 24 h) suppresses global H3K4 mono-, di-, and trimethylation in a concentration-dependent manner in MOLM-13 MLL-rearranged AML cells[1]. HLC40 (20 μM; 2 h) directly engages intracellular WDR5 in MOLM-13 MLL-rearranged AML cells, as evidenced by pronounced thermal stabilization of WDR5 at temperatures up to 61°C[1]. HLC40 (10 μM; 24 h) disrupts WDR5-mediated transcriptional activation in MV4-11 MLL-rearranged AML cells, suppressing proliferative programs and upregulating negative cell cycle regulators[1].

References:
[1]. He L, et al. Structure-based design of the approved drug zafirlukast identifies HLC40 as a potent WDR5 WIN-site inhibitor with antitumor efficacy. Bioorg Chem. 2026;176:109869.

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