

Product Data Sheet

Product Name: MZP-54
Cat. No.: GC33102

Chemical Properties

Cas. No. 2010159-47-2

SMILES O=C(NCCOCCOCCOCC(N[C@@H](C(C)(C)C)C(N1C[C@H](O)C[C@H]1C(NCC2=CC=C(C3=C(C)N=CS3)C=C2)=O)=O)=O)C(C=C4)=CC=C4C5=CC6=C(C=C5)N(C(C)=O)[C@@H](C)C[C@H]6NC7=CC=C(Cl)C=C7

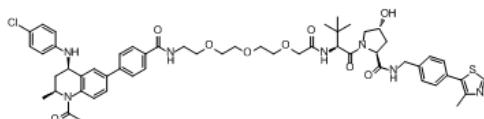
Formula $C_{55}H_{66}ClN_7O_9S$ M.Wt 1036.67

Solubility Ethanol : 50 mg/mL (48.23 mM) 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



Protocol

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

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Cell experiment: MV4;11 or HL60 cells are incubated with MZP-54 at the desired concentration for 48 h on a clear-bottom 384-well plate. Cells are kept in RPMI medium supplemented with 10% FBS, l-glutamine, penicillin, and streptomycin. Initial cell density is 3×10^5 per mL. Cells are treated with various concentrations of MZP-54 or 0.05% DMSO. After treatment, cell viability is measured with cell viability assay kit. Signal is recorded. Data are analyzed with Graphpad Prism software to obtain EC50 values of each MZP-54[1].

References:

[1]. Chan KH, et al. Impact of Target Warhead and Linkage Vector on Inducing Protein Degradation: Comparison of Bromodomain and Extra-Terminal (BET) Degraders Derived from Triazolodiazepine (JQ1) and Tetrahydroquinoline (I-BET726) BET Inhibitor Scaffolds. J Med Chem. 2018 Jan 25;61(2):504-513.

Background

MZP-54 is a selective degrader of BRD3/4 based on PROTAC technology, with a Kd of 4 nM for Brd4BD2.

MZP-54 is a selective degrader of BRD3/4 based on PROTAC technology, with a Kd of 4 nM for Brd4BD2. MZP-54 binds to VHL-EloC-EloB protein (VCB) with a Kd of 105 ± 24 nM. MZP-54 shows an inhibitory activity against MV4;11 and HL60 cells, with pEC50s of 7.08 ± 0.05 and 6.37 ± 0.03 , respectively. MZP-54 also exhibits high depletion of cMyc levels[1].

[1]. Chan KH, et al. Impact of Target Warhead and Linkage Vector on Inducing Protein Degradation: Comparison of Bromodomain and Extra-Terminal (BET) Degraders Derived from Triazolodiazepine (JQ1) and Tetrahydroquinoline (I-BET726) BET Inhibitor Scaffolds. J Med Chem. 2018 Jan 25;61(2):504-513.

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