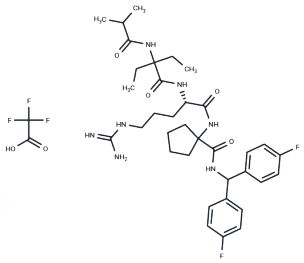
Data Sheet (Cat.No.T8768)

TargetMol

MM-102 TFA

Chemical Properties



CAS No. : 1883545-52-5

Formula: C37H50F5N7O6

Molecular Weight: 783.83

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	MM-102 TFA (HMTase Inhibitor IX TFA) is a potent WDR5/MLL interaction inhibitor with an IC50 of 2.4 nM. This compound prevents the interaction between mixed lineage leukemia 1 (MLL1) and WD Trp-Asp repeat domain 5 (WDR5), inhibiting MLL1 H3K4 histone methyltransferase (HMT) activity and down-regulating H3K4me3, which facilitates the epigenetic reprogramming of porcine somatic cell nuclear transfer embryos.
Targets(IC50)	Histone Methyltransferase
In vitro	MM-102, in bone marrow cells transduced with MLL1-AF9 fusion construct shows that the compound effectively decreases the expression of HoxA9 and Meis-1, two critical MLL1 target genes in MLL1 fusion protein mediated leukemogenesis.?MM-102 also specifically inhibits cell growth and induces apoptosis in leukemia cells harboring MLL1 fusion proteins.
Kinase Assay	In Vitro Histone Methyltransferase (HMT) Assay: The HMT assay is performed in 50 mM HEPES pH 7.8, 100 mM NaCl, 1.0 mM EDTA, and 5% glycerol at 22 °C. Each reaction contains 1.5 μCi of the co-factor, 3H-S-adenosylmethionine. H3 10-residue peptide is used as the substrate at 50 μM. Compounds are added at concentrations ranging from 0.125 to 128 μM and incubated with the pre-assembled WDR5/RbBP5/ASH2L complex at a final concentration of 0.5 μM for each protein for 2-5 min. Reactions are initiated by addition of the MLL1 protein at a final concentration of 0.5 μM and allowed to proceed for 30 min before preparing scintillation counting. To count samples, reactions are spotted on separate squares of P81 filter paper and precipitated by submerging in freshly prepared 50 mM sodium bicarbonate buffer with pH 9.0. After washing and drying, samples are vortexed in Ultima Gold scintillation fluid and counted. As a negative control, assays are performed using 0.5 μM MLL1/WDR5/RbBP5/ASH2L complex assembled with the non-interacting mutant, WDR5D107A.

Solubility Information

Solubility	DMSO: 99 mg/mL (126.3 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (4.21 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.2758 mL	6.3789 mL	12.7579 mL
5 mM	0.2552 mL	1.2758 mL	2.5516 mL
10 mM	0.1276 mL	0.6379 mL	1.2758 mL
50 mM	0.0255 mL	0.1276 mL	0.2552 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Karatas H, et al. J Am Chem Soc. 2013, 135(2), 669-682.