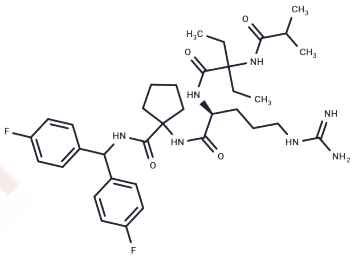
# Data Sheet (Cat.No.T6333)

TargetMol

MM-102

## Chemical Properties



CAS No. : 1417329-24-8

Formula: C35H49F2N7O4

Molecular Weight: 669.8

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

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| Description | MM-102 (HMTase Inhibitor IX) is a potent inhibitor of WDR5/MLL interaction with IC50 of 2.4 nM and Ki of less than 1 nM in a WDR5 binding assay. MM-102 can also specifically inhibit cell growth and induce apoptosis in leukemia cells carrying MLL1 fusion protein. |
| Targets(IC50) | Apoptosis,NF-κB,Histone Methyltransferase,Interleukin,TNF |
| In vitro | **METHODS**: MLL1-AF9 transduced mouse cells were treated with MM-102 (HMTase Inhibitor IX) (25, 50 μM), and gene expression analysis was performed using quantitative RT-PCR (QRT-PCR).<br>**RESULTS** MM-102 reduced HoxA9 mRNA expression in a dose-dependent manner. MM-102 significantly decreased Meis-1 expression at 50 μM. MM-102 did not affect the expression of the housekeeping gene GAPDH. [1]<br>**METHODS**: Cells were pretreated with 20 μM MM-102 (20 μM, 20 hours) for 24 hours and then incubated with 500 μM SNP. Cell apoptosis activity was evaluated approximately 24 hours later.<br>**RESULTS** SNP significantly stimulated chondrocyte apoptosis, while cells pretreated with MM-102 alleviated SNP-stimulated chondrocyte apoptosis. MM-102 pretreatment effectively rescued the negative effects of FSS on chondrocytes, which may lay the foundation for epigenetic-based OA treatment. [2] |
| 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. |
| Cell Research | MV4;11, KOPN8, and K562 cells are cultured in RPMI 1640 medium (ATCC) supplemented with 10% fetal bovine serum and 100 U/L penicillin-streptomycin and incubated at 37 °C under 5% CO2. Cells are seeded into 12-well plates for suspension at a density of 5 × 105 |

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| Cell Research | per well (1 mL) and treated with either vehicle control (DMSO, 0.2%) or MM-102 for 7 days. The medium is changed every 2 days, and compounds are resupplied. The CellTiter-Glo Luminescent Cell Viability Assay kit is used following the manufacturer's instruction. First, 100 μL of the assay reagent is added into each well, and the content is mixed for 2 min on an orbital shaker to induce cell lysis. After 10 min incubation at room temperature, the luminescence is read on a microplate reader. (Only for Reference) |

## Solubility Information

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| Solubility | DMSO: 140 mg/mL (209.02 mM),Sonication is recommended.<br>H2O: 92 mg/mL (137.35 mM),Sonication is recommended.<br>Ethanol: 93 mg/mL (138.85 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+90% Saline: < 10 mg/mL (14.93 mM),Lower concentrations may be soluble, but exact solubility limit is unknown.<br>10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (14.93 mM),Solution.<br>*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 | 1.493 mL | 7.4649 mL | 14.9298 mL |
| 5 mM | 0.2986 mL | 1.493 mL | 2.986 mL |
| 10 mM | 0.1493 mL | 0.7465 mL | 1.493 mL |
| 50 mM | 0.0299 mL | 0.1493 mL | 0.2986 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. High-affinity, small-molecule peptidomimetic inhibitors of MLL1/WDR5 protein-protein interaction. J Am Chem Soc. 2013 Jan 16;135(2):669-82.

Wang S, Lin Y, Gao L, et al. PPAR-γ integrates obesity and adipocyte clock through epigenetic regulation of Bmal1. Theranostics. 2022, 12(4): 1589.

Jin Y, et al. Aberrant Fluid Shear Stress Contributes to Articular Cartilage Pathogenesis via Epigenetic Regulation of ZBTB20 by H3K4meJ Inflamm Res. 2021 Nov 19;14:6067-6083.



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