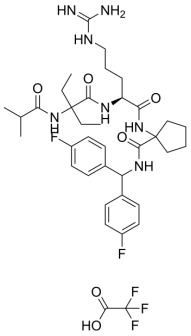
MM-102 TFA



Cat. No.:	HY-12220A
CAS No.:	1883545-52-5
Molecular Formula:	$C_{37}H_{50}F_5N_7O_6$
Molecular Weight:	783.83
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 2 years; -20°C, 1 year (sealed storage, away from moisture)

SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (127.58 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.19 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.19 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MM-102 TFA (HMTase Inhibitor IX TFA) is a potent WDR5/MLL interaction inhibitor, achieves IC50 = 2.4 nM with an estimated Ki < 1 nM in WDR5 binding assay, which is >200 times more potent than the ARA peptide.

IC_{50} & Target

IC50: 2.4 nM (MLL)[1].

In Vitro

MM-102 (HMTase Inhibitor IX) inhibits MLL1 methyltransferase activity and MLL-1-induced HoxA9 and Meis-1 gene expression in leukemia cells expressing the MLL1-AF9 fusion gene. Also inhibits cell growth and induces apoptosis in leukemia cells harbouring MLL1 fusion proteins.

MM-102 (TFA), with the highest binding affinities to WDR5, also show the most potent inhibitory activity in the HMT assay withIC_{50}=0.4-0.9 μM[1].

MM-102 (HMTase Inhibitor IX) dose-dependently inhibits cell growth in the MV4;11 and KOPN8 leukemia cell lines, which carry MLL1-AF4 and MLL1-ENL fusion proteins, respectively[1].

MM-102 (HMTase Inhibitor IX) has IC_{50}=25 μM in both cell lines and completely inhibits cell growth in these cell lines at 75 μM [1].

MM-102 (HMTase Inhibitor IX) effectively and selectively inhibits cell growth and induces apoptosis in leukemia cells harboring MLL1 fusion proteins and has minimal effect in leukemia cells with wild-type MLL1 protein[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay

MV4;11, KOPN8, and K562 cells were cultured in RPMI 1640 medium (ATCC) supplemented with 10% fetal bovine serum and 100 U/L penicillinstreptomycin and incubated at 37°C under 5% CO2. Cells were seeded into 12-well plates for suspension at a density of 5 × 105 per well (1 mL) and treated with either vehicle control (DMSO, 0.2%) or MM-102 (HMTase Inhibitor IX) for 7 days. The medium was changed every 2 days, and compounds were resupplied. The CellTiter-Glo Luminescent Cell Viability Assay kit was used. First, 100 μL of the assay reagent was added into each well, and the content was mixed for 2 min on an orbital shaker to induce cell lysis. After 10 min incubation at room temperature, the luminescence was read on a microplate reader.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Proc Natl Acad Sci U S A. 2019 Feb 19;116(8):2961-2966.
- Cell Death Dis. 2022 Sep 6;13(9):770.
- Acta Pharmacol Sin. 2021 Apr 13.
- J Mol Endocrinol. 2021 Jan;66(1):45-57.

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REFERENCES

[1]. 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):669-682.

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