MM-401 TFA

Cat. No.: HY-19554A

CAS No.: 1442106-11-7

Molecular Formula: $C_{31}H_{47}F_3N_8O_7$

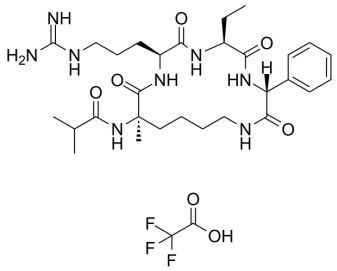
Molecular Weight: 700.75

Target: Histone Methyltransferase; Apoptosis

Pathway: Epigenetics; Apoptosis

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (142.70 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4270 mL	7.1352 mL	14.2704 mL
	5 mM	0.2854 mL	1.4270 mL	2.8541 mL
	10 mM	0.1427 mL	0.7135 mL	1.4270 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 (3.57 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.57 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MM-401 (TFA) is a MLL1 H3K4 methyltransferase inhibitor. MM-401 inhibits MLL1 activity (IC_{50} = 0.32 μM) by blocking MLL1-WDR5 interaction. MM-401 can induce cell cycle arrest, apoptosis and differentiation. MM-401 can be used for the research of MLL leukemia[1].

IC_{50} & Target

Ki: < 1 nM (WDR5); IC50: 0.9 nM (WDR5-MLL1 interaction), 0.32 μM (MLL1)[1].

In Vitro

MM-401 maintains high binding affinity to WDR5 with a K_i value of < 1 nM and disrupts WDR5-MLL1 interaction with an IC_{50} value of 0.9 nM[1].

MM-401 is able to specifically inhibit MLL1 activity (IC_{50} value of 0.32μM) by blocking MLL1-WDR5 interaction and thus the

complex assembly[1].
MM-401 (20 μM; 48 h) specifically inhibits MLL1-dependent H3K4 methylation in cells[1].
MM-401 induces similar changes in MLL-AF9 transcriptome as the MLL1 deletion[1].
MM-401 (10, 20, 40 μM; 48 h) specifically inhibits growth of MLL leukemia cells by inducing cell cycle arrest, apoptosis[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1]

Cell Line:	Murine MLL-AF9 and Hoxa9/Meis1 cells
Concentration:	10, 20, 40 μM
Incubation Time:	48 h
Result:	Specifically induced apoptosis of MLL-AF9 cells.

Cell Cycle Analysis[1]

Cell Line:	Murine MLL-AF9 and Hoxa9/Meis1 cells
Concentration:	10, 20, 40 μM
Incubation Time:	48 h
Result:	Induced prominent G1/S arrest in MLL-AF9 cells in a concentration dependent manner.

RT-PCR[1]

Cell Line:	MLL-AF9 cells
Concentration:	20 μM
Incubation Time:	48 h
Result:	Significantly decreased H3K4me, expression of 5 Hox A genes, especially Hoxa9 and Hoxa10.

REFERENCES

[1]. Fang Cao, et al. Targeting MLL1 H3K4 methyltransferase activity in mixed-lineage leukemia. Mol Cell. 2014 Jan 23;53(2):247-61.

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