MM-102

Cat. No.: HY-12220

CAS No.: 1417329-24-8

Molecular Formula: $C_{35}H_{49}F_2N_7O_4$

Molecular Weight: 669.8

Target: Histone Methyltransferase

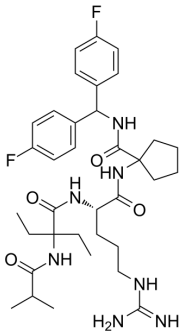
Pathway: Epigenetics

Storage: Sealed storage, away from moisture and light, under nitrogen

Powder -80°C 2 years

-20°C 1 year

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light, under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (186.62 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4930 mL	7.4649 mL	14.9298 mL
	5 mM	0.2986 mL	1.4930 mL	2.9860 mL
	10 mM	0.1493 mL	0.7465 mL	1.4930 mL

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

BIOLOGICAL ACTIVITY

Description

MM-102 (HMTase Inhibitor IX) is a potent WDR5/MLL interaction inhibitor, achieves IC_{50}= 2.4 nM with an estimated K_i< 1 nM in WDR5 binding assay, which is >200 times more potent than the ARA peptide.IC50 value: 2.4 nMTarget: MLLin vitro: MM-102 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, with the highest binding affinities to WDR5, also show the most potent inhibitory activity in the HMT assay with IC50 = 0.4-0.9 μM. MM-102 dose-dependently inhibits cell growth in the MV4;11 and KOPN8 leukemia cell lines, which carry MLL1-AF4 and MLL1-ENL fusion proteins, respectively. MM-102 has IC50 = 25 μM in both cell lines and completely inhibits cell growth in these cell lines at 75 μM. MM-102 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]

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.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Karatas H, et al. High-affinity, small-molecule peptidomimetic inhibitors of MLL1/WDR5 protein-protein interaction. J Am Chem Soc. 2013, 135(2), 669-682.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA