AMI-1

Cat. No.: HY-18962

CAS No.: 20324-87-2

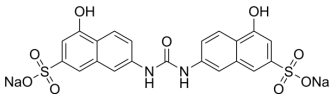
Molecular Formula: $C_{21}H_{14}N_2Na_2O_9S_2$

Molecular Weight: 548.45

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

H_2O : 62.5 mg/mL (113.96 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8233 mL	9.1166 mL	18.2332 mL
	5 mM	0.3647 mL	1.8233 mL	3.6466 mL
	10 mM	0.1823 mL	0.9117 mL	1.8233 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (182.33 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.56 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AMI-1 is a potent, cell-permeable and reversible inhibitor of protein arginine N-methyltransferases (PRMTs), with IC_{50}s of 8.8 μM and 3.0 μM for human PRMT1 and yeast-Hmt1p, respectively. AMI-1 exerts PRMTs inhibitory effects by blocking peptide-substrate binding[1].

IC_{50} & Target

PRMT1

In Vitro

AMI-1 can inhibit the in vitro methylation reactions performed by all five recombinantly active PRMTs (PRMT1, -3, -4, and -6 and Hmt1p)[2].
?AMI-1 not only inhibits type I PRMTs (PRMT1, 3, 4 and 6) but also type II PRMT5[2].

?AMI-1 specifically inhibits arginine, but not lysine, methyltransferase activity in vitro and does not compete for the AdoMet binding site[3].
?AMI-1 inhibits methylation of GFP-Npl3 and cellular proteins[3].
?AMI-1 (0.6-2.4 mM; 48-96 hours) inhibits the cell viability of sarcoma in S180 and U2OS cells in a time-dependent and dose-dependent manner in vitro[4].
?AMI-1 (1.2-2.4 mM; 48-72 hours) reduces S180 cell viability through the induction of cell apoptosis[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[4]

Cell Line:	S180 cells, U2OS cells
Concentration:	0.6 mM, 1.2 mM, 2.4 mM
Incubation Time:	48 hours, 72 hours, 96 hours
Result:	Inhibited the cell viability.

Apoptosis Analysis[4]

Cell Line:	S180 cells
Concentration:	1.2 mM, 2.4 mM
Incubation Time:	48 hours, 72 hours
Result:	Increased the percentages of cells undergoing apoptosis.

In Vivo

AMI-1 (0.5 mg; intratumorally; daily; for 7 days) inhibits S180 viability in vivo[4].
?AMI-1 (0.5 mg; intratumorally; daily; for 7 days) downregulates PRMT5 but does not regulate the expression of PRMT7 in a tumor xenograft model[4].
?AMI-1 (0.5 mg; intratumorally; daily; for 7 days) decreases the levels of H4R3me2s and H3R8me2s in a tumor xenograft model[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6-7 weeks old male Kunming mice (18-22 g), with S180 cells xenograft[4]
Dosage:	0.5 mg
Administration:	Intratumorally, daily, for 7 days
Result:	Decreased tumor weight.

CUSTOMER VALIDATION

- Nat Commun. 2023 Feb 23;14(1):1011.
- Cell Death Dis. 2023 Sep 22;14(9):624.
- Genes Dis. 2023 Mar 28.

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REFERENCES

[1]. Donghang Cheng, et al. Small Molecule Regulators of Protein Arginine Methyltransferases. J Biol Chem. 2004 Jun 4;279(23):23892-9.

[2]. Zhang, B., et al. Targeting protein arginine methyltransferase 5 inhibits colorectal cancer growth by decreasing arginine methylation of eIF4E and FGFR3. Oncotarget. 2015 Sep 8;6(26):22799-811.

[3]. Baolai Zhang, et al. Arginine Methyltransferase inhibitor-1 Inhibits Sarcoma Viability in vitro and in vivo. Oncol Lett. 2018 Aug;16(2):2161-2166.

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