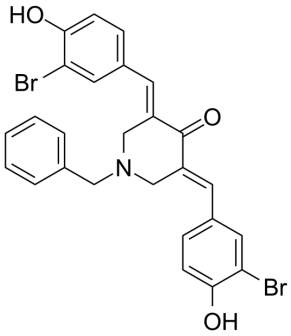
CARM1-IN-1



Cat. No.: HY-12759

CAS No.: 1020399-49-8

Molecular Formula: $C_{26}H_{21}Br_2NO_3$

Molecular Weight: 555.26

Target: Histone Methyltransferase

Pathway: Epigenetics

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 35 mg/mL (63.03 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8010 mL	9.0048 mL	18.0096 mL
5 mM	0.3602 mL	1.8010 mL	3.6019 mL
10 mM	0.1801 mL	0.9005 mL	1.8010 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 (4.50 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.50 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

CARM1-IN-1 is a potent and specific CARM1(Coactivator-associated arginine methyltransferase 1) inhibitor with IC50 of 8.6 uM; shows very low activity against PRMT1 and SET7(IC50 > 600 uM). IC50 value: 8.6 uM [1]Target: CRAM1 inhibitorin vitro: CARM1-IN-1(Cmp 7g) displayed high and selective CARM1 inhibition, with lower or no activity against a panel of different PRMTs or HKMTs. In human LNCaP cells, 7g showed a significant dose-dependent reduction of the PSA promoter activity.

CUSTOMER VALIDATION

- Sci Bull. 64 (2019) 986-997.

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REFERENCES

[1]. Cheng D, et al. Novel 3,5-bis(bromohydroxybenzylidene)piperidin-4-ones as coactivator-associated arginine methyltransferase 1 inhibitors: enzyme selectivity and cellular activity. J Med Chem. 2011 Jul 14;54(13):4928-32.

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