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Zuschläge

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- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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CARM1-IN-5

Cat. No.: HY-158158

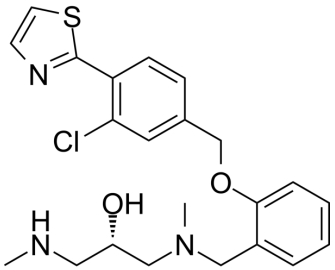
Molecular Formula: $C_{22}H_{26}ClN_3O_2S$

Molecular Weight: 431.98

Target: Histone Methyltransferase

Pathway: Epigenetics

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

CARM1-IN-5 (Compound 17e) is a potent and selective inhibitor of CARM1 (IC_{50}= 2 nM). CARM1-IN-5 effectively prevents CARM1 from methylating substrate proteins by directly interacting with CARM1. CARM1-IN-5 exhibits significant antiproliferative effects on melanoma cell lines[1].

In Vitro

CARM1-IN-5 is selective for CARM1. IC_{50} is 2 ± 1 nM (CARM1), 213 ± 45 nM (PRMT1), 942 ± 78 nM (PRMT3), 64 ± 9 nM (PRMT6), 73 ± 8 nM (PRMT8), >100,000 nM (PRMT5), >100,000 nM (PRMT7)[1].

CARM1-IN-5 antiproliferative activity against two melanoma cell lines was IC_{50} = 0.55 ± 0.03 μM (A375); 1.74 ± 0.07 μM (A2058)[1].

CARM1-IN-5 (0-5 μM; 72 h) can enter A375 Cells and bind directly to CARM1. CARM1-IN-5 also can affect the level of asymmetric dimethylation of CARM1 substrates in the cellular environment by inhibiting the methyltransferase activity of CARM1[1].

In vitro metabolic stability in Mouse Liver Microsomes[1]

$t_{1/2}$ (min)	Cl (mL/min/kg)
41.5	132

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

Western Blot Analysis[1]

Cell Line:	A375 Cells
Concentration:	0; 0.3; 0.6; 1.2; 2.5; 5μM
Incubation Time:	72h
Result:	Enhanced protein thermal stability of CARM1 in a concentration-dependent manner. Reduced asymmetric dimethyl-PABP1 and overall aDMA levels in a dose-dependent manner.

In Vivo

CARM1-IN-5 (i.p.; 10 mg/kg/day and 25 mg/kg/day; 14 days) inhibits tumor growth in BALB/c nude mice bearing

subcutaneous A375 xenograft[1].

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

Animal Model:	BALB/c nude mice bearing subcutaneous A375 xenograft [1]
Dosage:	10 mg/kg/daily; 25 mg/kg/daily for 14 days
Administration:	i.p.
Result:	TGI was 63% (25 mg/kg) and 55% (10 mg/kg). Significantly reduced asymmetric dimethylation by western blotting.

REFERENCES

[1]. Liu Z, et al. Development of (2-(Benzyloxy)phenyl)methanamine Derivatives as Potent and Selective Inhibitors of CARM1 for the Treatment of Melanoma. J Med Chem. 2024 Apr 25;67(8):6313-6326.

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