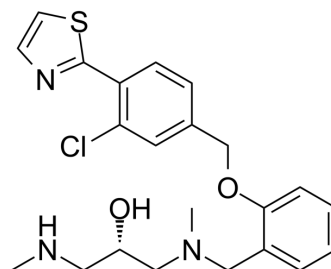


CARM1-IN-5

Cat. No.:	HY-158158
Molecular Formula:	C ₂₂ H ₂₆ ClN ₃ O ₂ S
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	<p>CARM1-IN-5 is selective for CARM1. IC₅₀ 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].</p> <p>CARM1-IN-5 antiproliferative activity against two melanoma cell lines was IC₅₀ = 0.55 ± 0.03 μM (A375); 1.74 ± 0.07 μM (A2058)^[1].</p> <p>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].</p> <p>In vitro metabolic stability in Mouse Liver Microsomes^[1]</p> <table border="1"> <thead> <tr> <th>t_{1/2} (min)</th> <th>Cl (mL/min/kg)</th> </tr> </thead> <tbody> <tr> <td>41.5</td> <td>132</td> </tr> </tbody> </table> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Western Blot Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>A375 Cells</td> </tr> <tr> <td>Concentration:</td> <td>0; 0.3; 0.6; 1.2; 2.5; 5μM</td> </tr> <tr> <td>Incubation Time:</td> <td>72h</td> </tr> <tr> <td>Result:</td> <td>Enhanced protein thermal stability of CARM1 in a concentration-dependent manner. Reduced asymmetric dimethyl-PABP1 and overall aDMA levels in a dose-dependent manner.</td> </tr> </table>	t _{1/2} (min)	Cl (mL/min/kg)	41.5	132	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.
t _{1/2} (min)	Cl (mL/min/kg)												
41.5	132												
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.

Caution: Product has not been fully validated for medical applications. For research use only.

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