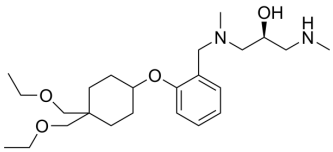
CARM1-IN-4

Cat. No.:	HY-161334
CAS No.:	2878481-07-1
Molecular Formula:	$C_{24}H_{42}N_2O_4$
Molecular Weight:	422.6
Target:	Histone Methyltransferase; Apoptosis
Pathway:	Epigenetics; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

CARM1-IN-4 (compound 11f) is a potent CARM1 inhibitor with IC_{50}s of 9 nM and 56 nM for CARM1 and PRMT1, respectively. CARM1-IN-4 displays significant anti-proliferative effects on colorectal cancer cell lines. CARM1-IN-4 effectively inhibits the methyltransferase activity of CARM1 and prevents methylation of downstream proteins. CARM1-IN-4 induces apoptosis and shows antitumor activity[1].

IC_{50} & Target

CARM1 9 nM (IC_{50})	PRMT1 56 nM (IC_{50})	PRMT6 30 nM (IC_{50})	PRMT8 31 nM (IC_{50})
PRMT3 2637 nM (IC_{50})	PRMT5 >100,000 nM (IC_{50})		

In Vitro

CARM1-IN-4 (compound 11f) exhibits significant anti-proliferative activity in the HCT116 cell lines (IC_{50}=3.13 μM)[1].
CARM1-IN-4 (0.625-5 μM; 72 h) causes a dose-dependent induction of apoptosis in HCT116 cell[1].
CARM1-IN-4 (0.625-10 μM; 48 h) effectively inhibits the methyltransferase activity of CARM1, influencing the levels of asymmetric demethylation on CARM1 substrates within a cellular environment[1].
CARM1-IN-4 exhibits a relatively high mitochondrial stability, with an extended half-life in mouse mitochondria ($T_{1/2}$=217 min)[1].

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

Apoptosis Analysis[1]

Cell Line:	HCT116 cells
Concentration:	0.625, 1.25, 2.5, 5 μM
Incubation Time:	72 h
Result:	Illustrated a noticeable increase in the overall percentages of both early and late apoptotic cells.

Western Blot Analysis[1]

Cell Line:	HCT116 cells
Concentration:	0.625, 1.25, 2.5, 5, 10 μM

Incubation Time:	48 h
Result:	Caused dose-dependent reductions in global asymmetric dimethylarginine (aDMA) and asymmetric dimethyl-PABP1 levels in HCT116 cells.

In Vivo

CARM1-IN-4 (compound 11f; 10, 25 mg/kg/day; ip; for 12 days) shows evident inhibitory effect in BALB/c nude mice bearing subcutaneous HCT116 xenograft[1].

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Animal Model:	6 to 8-week-old female BALB/c nude mice bearing subcutaneous HCT116 xenograft[1]
Dosage:	10, 25 mg/kg
Administration:	Intraperitoneal injection; daily; for 12 days
Result:	Showed evident inhibitory effect.

REFERENCES

[1]. Chenyu Liu, et al. Structure-based discovery of potent CARM1 inhibitors for colorectal cancer therapy. Eur J Med Chem. 2024 Mar 4:269:116288.

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