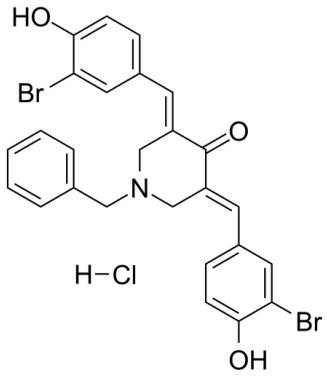
Data Sheet

Product Name:	CARM1-IN-1 (hydrochloride)
Cat. No.:	CS-5108
Molecular Formula:	C26H22Br2ClNO3
Molecular Weight:	591.72
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Solubility:	DMSO : ≥ 38 mg/mL (64.22 mM); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

CARM1-IN-1 hydrochloride 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 inhibitor in vitro: CARM1-IN-1 displays high and selective CARM1 inhibition, with lower or no activity against a panel of different PRMTs or HKMTs. In human LNCaP cells, CARM1-IN-1 shows a significant dose-dependent reduction of the PSA promoter activity.

PROTOCOL (Extracted from published papers and Only for reference)

Cell assay [1] A stable/inducible T-Rex-3XFlag-PABP1 cell line was established by transfection with pcDNA/FRT/TO-3XFlag-PABP1 and pOG44 plasmids into Flp-in T-Rex HEK293 cells. Transfected cells were maintained in Dulbecco's modified Eagle's medium containing 10% fetal bovine serum supplemented with 10 μg/mL blasticidin and 100 μg/mL hygromycin. The resistant single colony was picked up to propagate. The human prostate cancer cell lines LNCaP were grown in RPMI-1640 media with 10% FBS and antibiotics (penicillin 100 U/mL, streptomycin 100 μg/mL). All methylation reactions were performed in a final volume of 30-μL of PBS (137 mM NaCl, 2.7 mM KCl, 4.3 mM Na2HPO4, 1.4 mM KH2PO4, pH 7.4) and in the presence of S-adenosyl-l-[methyl-3H]methionine ([3H]AdoMet, 85 Ci/mmol from a 0.5 mCi/mL in dilute HCl/ethanol 9:1, pH 2.0-2.5). The reaction contained 0.5-1.5 μM of substrate and 0.1-0.2 μM of recombinant enzyme with 100 μM of each indicated compound for fluorograph or different doses of each compound for IC50 determination. The reaction was incubated at 30°C for 90 min and then separated by SDS/PAGE, transferred to a PVDF membrane, sprayed with Enhance, and exposed to film overnight for fluorograph. After fluorograph, the same PVDF membrane stained by Ponceau S, and cut the visualized bands of substrate to count dpm by using liquid scintillation analyzer for graphic depiction or IC50 value determination.

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.

CAIndexNames:

4-Piperidinone, 3,5-bis[(3-bromo-4-hydroxyphenyl)methylene]-1-(phenylmethyl)-, hydrochloride (1:1)

SMILES:

[H]Cl.O=C1/C(CN(CC2=CC=CC=C2)C/C1=C\C3=CC=C(O)C(Br)=C3)=C/C4=CC=C(O)C(Br)=C4

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

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