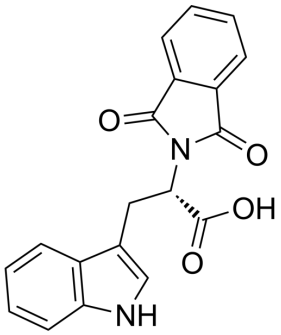
RG108

Cat. No.:	HY-13642
CAS No.:	48208-26-0
Molecular Formula:	$C_{19}H_{14}N_2O_4$
Molecular Weight:	334.33
Target:	DNA 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 : 100 mg/mL (299.11 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	2.9911 mL	14.9553 mL	29.9106 mL
	5 mM	0.5982 mL	2.9911 mL	5.9821 mL
	10 mM	0.2991 mL	1.4955 mL	2.9911 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: ≥ 2.08 mg/mL (6.22 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.22 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.22 mM); Clear solution
4. Add each solvent one by one: PBS
   Solubility: 1 mg/mL (2.99 mM); Clear solution; Need ultrasonic and warming and heat to 70°C

BIOLOGICAL ACTIVITY

Description

RG108 (N-Phthalyl-L-tryptophan) is a non-nucleoside DNA methyltransferases (DNMTs) inhibitor (IC_{50}=115 nM) that blocks the DNMTs active site. RG108 (N-Phthalyl-L-tryptophan) causes demethylation and reactivation of tumor suppressor genes, but it does not affect the methylation of centromeric satellite sequences[1][2][3].

IC_{50} & Target	CpG methylase M.SssI 115 nM (IC_{50})
In Vitro	RG108 effectively blocks DNA methyltransferases in vitro and does not cause covalent enzyme trapping in human cell lines. Incubation of cells with low micromolar concentrations of RG108 results in significant demethylation of genomic DNA without any detectable toxicity. Intriguingly, RG108 causes demethylation and reactivation of tumor suppressor genes, but it does not affect the methylation of centromeric satellite sequences[1]. In another study, the synthesis and in vitro analysis of a biotinylated RG108 conjugate is investigated to evaluate the interactions with DNA methyltransferase enzymes[2]. In a recent study, it is shown RG108 can significantly reduce the DNA methyltransferases activity in SM derived iPS cells as compared to the native SMs[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	The substrate DNA for the in vitro methylation assay is a 798 bp fragment (−423/+375 relative to the initiation codon) from the promoter region of the human p16Ink4a gene. The methylation reaction contains 350 to 400 ng substrate DNA and 4 units of M.SssI methylase (0.5 μM) in a final volume of 50 μL. Inhibitors are added to final concentrations of 10, 100, 200, and 500 μM, respectively. Reactions are done at 37°C for 2 hours. After completion, the reaction is inactivated at 65°C for 15 minutes and the DNA is purified using PCR Purification kit. Three hundred nanograms of purified DNA is digested for 3 hours at 60°C with 30 units of BstUI and analyzed on 2% Tris-borate EDTA agarose gels. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Life Sci. 2020 Jan 15;241:117103.
- Proc Biol Sci. 2023 Dec 6;290(2012):20232093.
- Antivir Res. 2020 Nov;183:104931.
- Respir Physiol Neurobiol. 2023 Apr 7;104060.
- bioRxiv. 2023 Feb 16.

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REFERENCES

[1]. Brueckner B, et al. Epigenetic reactivation of tumor suppressor genes by a novel small-molecule inhibitor of human DNA methyltransferases. Cancer Res. 2005 Jul 15;65(14):6305-11.

[2]. Schirrmacher E, et al. Synthesis and in vitro evaluation of biotinylated RG108: a high affinity compound for studying binding interactions with human DNA methyltransferases. Bioconjug Chem. 2006 Mar-Apr;17(2):261-6.

[3]. Pasha Z, et al. Efficient non-viral reprogramming of myoblasts to stemness with a single small molecule to generate cardiac progenitor cells. PLoS One. 2011;6(8):e23667.

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

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