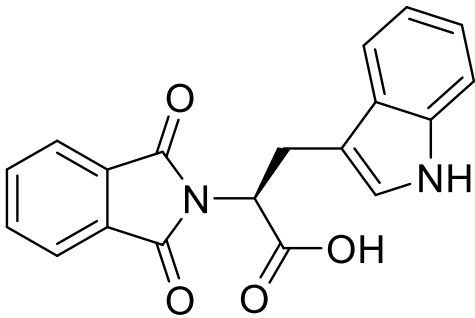


Product data sheet



MedKoo Cat#: 406615 Name: RG108 CAS#: 48208-26-0 Chemical Formula: C ₁₉ H ₁₄ N ₂ O ₄ Exact Mass: 334.0954 Molecular Weight: 334.33		
Product supplied as:	Powder	
Purity (by HPLC):	≥ 98%	
Shipping conditions	Ambient temperature	
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.	

1. Product description:

RG108 is a non-nucleoside DNA methyltransferase inhibitor (DNMT inhibitor). RG108 led to a significant dose and time dependent growth inhibition and apoptosis induction in LNCaP, 22Rv1 and DU145. LNCaP and 22Rv1 also displayed decreased DNMT activity, DNMT1 expression and global DNA methylation. Interestingly, chronic treatment with RG108 significantly decreased GSTP1, APC and RAR-β2 promoter hypermethylation levels, although mRNA reexpression was only attained for GSTP1 and APC. RG108 is an effective tumor growth suppressor in most PCa cell lines tested.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	100	299.11

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.99 mL	14.96 mL	29.91 mL
5 mM	0.60 mL	2.99 mL	5.98 mL
10 mM	0.30 mL	1.50 mL	2.99 mL
50 mM	0.06 mL	0.30 mL	0.60 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

- Assis RIF, Wiench M, Silvério KG, da Silva RA, Feltran GDS, Sallum EA, Casati MZ, Nociti FH Jr, Andia DC. RG108 increases NANOG and OCT4 in bone marrow-derived mesenchymal cells through global changes in DNA modifications and epigenetic activation. PLoS One. 2018 Dec 3;13(12):e0207873. doi: 10.1371/journal.pone.0207873. PMID: 30507955; PMCID: PMC6277091.
- Yang L, Hou J, Cui XH, Suo LN, Lv YW. RG108 induces the apoptosis of endometrial cancer Ishikawa cell lines by inhibiting the expression of DNMT3B and demethylation of HMLH1. Eur Rev Med Pharmacol Sci. 2017 Nov;21(22):5056-5064. doi: 10.26355/eurrev_201711_13818. PMID: 29228451.

In vivo study

- Zheng Z, Zeng S, Liu C, Li W, Zhao L, Cai C, Nie G, He Y. The DNA methylation inhibitor RG108 protects against noise-induced hearing loss. Cell Biol Toxicol. 2021 Oct;37(5):751-771. doi: 10.1007/s10565-021-09596-y. Epub 2021 Mar 15. PMID: 33723744; PMCID: PMC8490244.

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2. Zhai Y, Zhang Z, Yu H, Su L, Yao G, Ma X, Li Q, An X, Zhang S, Li Z. Dynamic Methylation Changes of DNA and H3K4 by RG108 Improve Epigenetic Reprogramming of Somatic Cell Nuclear Transfer Embryos in Pigs. *Cell Physiol Biochem*. 2018;50(4):1376-1397. doi: 10.1159/000494598. Epub 2018 Oct 24. PMID: 30355946.

7. Bioactivity

Biological target:

RG108 is a non-nucleoside DNA methyltransferases (DNMTs) inhibitor (IC₅₀=115 nM) that blocks the DNMTs active site. RG108 causes demethylation and reactivation of tumor suppressor genes, but it does not affect the methylation of centromeric satellite sequences.

In vitro activity

This study proposes that RG108 could be used for epigenetic modulation, because it promotes epigenetic activation of NANOG and OCT4 without affecting the viability of human bone marrow-derived mesenchymal stem cells. RG108 is a stronger modulator of epigenetic machinery enzymes than DMSO.

Reference: PLoS One. 2018 Dec 3;13(12):e0207873. <https://pubmed.ncbi.nlm.nih.gov/30507955/>

In vivo activity

Inhibition of DNA methyltransferase activity via RG108 significantly attenuated auditory brainstem response threshold elevation, hair cell damage, and the loss of auditory synapses. RG108 protected against noise-induced hearing loss, protected against noise-induced hair cell loss and auditory neural damage, and attenuated oxidative stress-induced DNA damage and subsequent apoptosis-mediated cell loss in the cochlea after noise exposure.

Reference: *Cell Biol Toxicol*. 2021 Oct;37(5):751-771. <https://pubmed.ncbi.nlm.nih.gov/33723744/>

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.