Product Information



RG-108

Item No. 13302

CAS Registry No.: 48208-26-0

 α -(1,3-dihydro-1,3-dioxo-2H-isoindol-Formal Name:

2-yl)-(αS)-1H-indole-3-propanoic acid

Synonyms: N-Phthalyl-L-Tryptophan

MF: $C_{19}H_{14}N_2O_4$ FW: 334.3 **Purity:** ≥98%

Stability: ≥2 years at -20°C Supplied as: A crystalline solid

СООН

Laboratory Procedures

For long term storage, we suggest that RG-108 be stored as supplied at -20°C. It should be stable for at least two years.

RG-108 is supplied as a crystalline solid. A stock solution may be made by dissolving the RG-108 in an organic solvent purged with an inert gas. RG-108 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of RG-108 in these solvents is approximately 50 mg/ml in ethanol and approximately 30 mg/ml in DMSO

RG-108 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, RG-108 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. RG-108 has a solubility of approximately 0.1 mg/ml in a 1:10 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

DNA methylation regulates gene expression in normal and malignant cells. RG-108 is a non-nucleoside DNA methyltransferase inhibitor (IC $_{50}$ = 115 nM in vitro). It significantly reduces the methylation of genomic DNA in cells at 10 μM without detectable toxicity, distinguishing it from nucleoside-based inhibitors like 5-azacytidine.^{1,2} Further, RG-108 inhibits DNA methyltransferase activity by blocking the enzyme active site. Through these actions, RG-108 demethylates and reactivates epigenetically silenced tumor suppressor genes. 1

References

- 1. Brueckner, B., Boy, R.G., Siedlecki, P., et al. Epigenetic reactivation of tumor suppressor genes by a novel smallmolecule inhibitor of human DNA methyltransferases. Cancer Res 65(14), 6305-6311 (2005).
- Stresemann, C., Brueckner, B., Musch, T., et al. Functional diversity of DNA methyltransferase inhibitors in human cancer cell lines. Cancer Res 66(5), 2794-2800 (2006).

Related Products

Zebularine - Item No. 10975 • 2',3',5'-triacetyl-5-Azacytidine - Item No. 13373 • DNA Methyltransferase 1 Monoclonal Antibody - Item No. 13479 • DNA Methyltransferase 2 Polyclonal Antibody - Item No. 13480 • DNA Methyltransferase 3a Monoclonal Antibody (Clone 64B814.1) - Item No. 13482 • DNA Methyltransferase 3b Monoclonal Antibody - Item No. 13485

WARNING: This product is for laboratory research only: not for administration to humans. Not for human or veterinary DIAGNOSTIC OR THERAPEUTIC USE.

MATERIAL SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Material Safety Data Sheet, which has been sent via email to your institution.

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