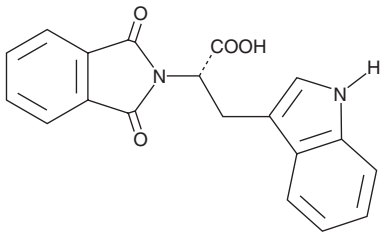
PRODUCT INFORMATION

RG108

Item No. 13302

CAS Registry No.: 48208-26-0
Formal Name: αS-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1H-indole-3-propanoic acid
Synonym: N-Phthalyl-L-Tryptophan
MF: $C_{19}H_{14}N_2O_4$
FW: 334.3
Purity: ≥98%
UV/Vis.: λ_{max}: 220, 283 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

RG108 is supplied as a crystalline solid. A stock solution may be made by dissolving the RG108 in the solvent of choice, which should be purged with an inert gas. RG108 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of RG108 in ethanol is approximately 50 mg/ml and approximately 30 mg/ml in DMSO and DMF.

RG108 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, RG108 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. RG108 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.

Description

DNA methylation regulates gene expression in normal and malignant cells. RG108 is a non-nucleoside DNA methyltransferase inhibitor (IC_{50} = 115 nM *in vitro*).[1] 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, RG108 inhibits DNA methyltransferase activity by blocking the enzyme active site.[2] Through these actions, RG108 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 small-molecule inhibitor of human DNA methyltransferases. *Cancer Res.* **65(14)**, 6305-6311 (2005).
2. 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).

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