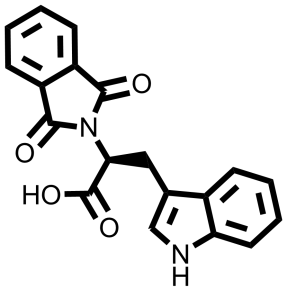
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

http://www.xcessbio.com
Toll free: 1-866-706-2330
Fax: 1-619- 810-0718
Email: info@xcessbio.com

DNA Methyltransferase Inhibitor – RG108

Chemical Name: (S)-2-(1,3-dioxoisoindolin-2-yl)-3-(1H-indol-3-yl)propanoic acid



Molecular Weight:	334.33
Formula:	$C_{19}H_{14}N_2O_4$
Purity:	≥98%
CAS#:	48208-26-0
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

RG108 is a potent, selective and cell permeable inhibitor of DNA methyltransferase. Unlike 5-azaC, RG108 is not a nucleoside and therefore does not modify DNA. It binds to the enzyme active site and inhibits DNMT enzymatic activity with an IC_{50} ~ 115 nM. It inhibits DNA methylation in human cancer cell lines in vitro without detectable toxicity. Treatment with RG108 results in the demethylation of genomic DNA and can reactivate epigenetically silenced tumor suppressor genes. It has been shown to improve the reprogramming efficiency of mouse embryonic fibroblasts (MEFs) into induced pluripotent stem (iPS) cells. RG108 can potentially be used to maintain embryonic stem (ES) cells in an undifferentiated state as well as replace transcription factors in both mouse and human cell reprogramming.

How to Use:

In vitro: RG108 was used at 10-100 µM final concentration in various in vitro assays.

In vivo: n/a

Reference:

1. Brueckner B, et al. Epigenetic reactivation of tumor suppressor genes by a novel small-molecule inhibitor of human DNA methyltransferases. (2005) Cancer Res. 65(14):6305-11.
2. Stresemann C, et al. Functional diversity of DNA methyltransferase inhibitors in human cancer cell lines. (2006) Cancer Res. 66(5):2794-800.
3. Schirrmacher E, et al. Synthesis and in vitro evaluation of biotinylated RG108: a high affinity compound for studying binding interactions with human DNA methyltransferases. (2006) Bioconjug Chem. 17(2):261-6.
4. Shi Y, et al. Induction of pluripotent stem cells from mouse embryonic fibroblasts by Oct4 and Klf4 with small-molecule compounds. (2008) Cell Stem Cell. 3(5):568-74.
5. Pasha Z, et al. Efficient non-viral reprogramming of myoblasts to stemness with a single small molecule to generate cardiac progenitor cells. (2011) PLoS One. 6(8):e23667.