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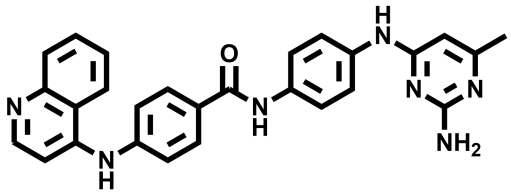
DNMT Inhibitor – SGI-1027

Chemical Name: N-(4-((2-amino-6-methylpyrimidin-4-yl)amino)phenyl)-4-(quinolin-4-ylamino)benzamide



Molecular Weight:	461.52
Formula:	$C_{27}H_{23}N_7O$
Purity:	≥98%
CAS#:	1020149-73-8
Solubility:	DMSO up to 50 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

SGI-1027 is a potent and selective inhibitor of DNA methyltransferase (DNMT) with IC_{50} of 6, 8, 7.5 μM for DNMT1, DNMT3A, and DNMT3B. It competes with S-adenosylmethionine in the methylation reaction. Treatment of different cancer cell lines with SGI-1027 resulted in selective degradation of DNMT1 with minimal or no effects on DNMT3A and DNMT3B. SGI-1027 exhibits a moderate pro-apoptotic effect on U937 human leukemia cell line with no relevant changes on the cell cycle. SGI-1027 acts as a novel class of DNA hypomethylating agent that has the potential for use in epigenetic cancer therapy.

How to Use:

In vitro: SGI-1027 was used at 10-300 μM final concentration in various in vitro assays.

In vivo: n/a

Reference:

1. Datta J, et al. A new class of quinoline-based DNA hypomethylating agents reactivates tumor suppressor genes by blocking DNA methyltransferase 1 activity and inducing its degradation. (2009) Cancer Res. 69(10):4277-85.
2. García-Domínguez P, et al. Synthetic approaches to DNMT inhibitor SGI-1027 and effects on the U937 leukemia cell line. (2013) Bioorg Med Chem Lett. 23(6):1631-5.
3. Yoo J, et al. Molecular modeling studies of the novel inhibitors of DNA methyltransferases SGI-1027 and CBC12: implications for the mechanism of inhibition of DNMTs. (2013) PLoS One. 8(4):e62152.
4. Gamage SA, et al. Structure-activity relationships for 4-anilinoquinoline derivatives as inhibitors of the DNA methyltransferase enzyme DNMT1. (2013) Bioorg Med Chem. 21(11):3147-53.