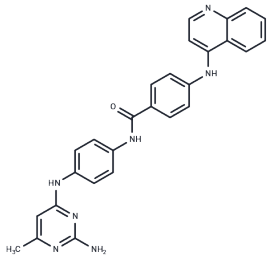
Data Sheet (Cat.No.T1904)

TargetMol

SGI-1027

Chemical Properties



CAS No. : 1020149-73-8

Formula: C27H23N7O

Molecular Weight: 461.52

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	SGI-1027 (DNA Methyltransferase Inhibitor II) is an effective and selective inhibitor of DNA methyltransferase (DNMT). The IC50 of SGI-1027(SGI1027) against DNMT1, DNMT3A, and DNMT3B are 6, 8, 7.5 μM, respectively.
Targets(IC50)	Apoptosis,DNA Methyltransferase
In vivo	SGI-1027, at concentrations ranging from 0 to 100 μM, demonstrates moderate pro-apoptotic effects in the U937 human leukemia cell line without affecting the cell cycle. It directly inhibits DNMTs, leading to suppression of DNA methylation and selective degradation of DNMT1 across various human cancer cell lines. In the rat hepatoma H4IIE cells, SGI-1027 exhibits minimal or no toxicity.
Kinase Assay	DNA methyltransferase (CpG methyltransferase) assay: DNA methylase activity is assayed by measuring the incorporation of 3H1-methyl group from Ado-Met into DNA using DE-81 ion exchange filter binding assay with some modifications. Human recombinant DNMT1, recombinant mouse Dnmt3a/ Dnmt3b (500 ng) is incubated with 500 ng of poly(dI-dC) or hemimethylated DNA duplex and 75 or 150 nM (0.275μCi or 0.55 μCi) of [methyl-3H]-Sadenosylmethionine (Ado-Met) in a total volume of 50 μl at 37°C for 1hr. or M. Sss I is assayed in the supplier's buffer. SGI-1027 or decitabine is added at indicated concentrations. Each reaction is performed in duplicate and included controls with no inhibitor or no DNA. The reaction is stopped by soaking reaction mixture onto a Whatman DE-81 ion exchange filter disc, washed (five times, 10 min each, with 0.5M Na-phosphate buffer; pH 7.0) dried and counted in a scintillation counter. The background radioactivity (no DNA control) is subtracted from the values obtained with reaction mixtures containing DNA and the radioactivity obtained in the reaction without any inhibitor is considered as 100% activity. IC50 is determined by interpolation from the plot of percent activity versus inhibitor concentration. To determine the nature of inhibition of DNMTase activity by SGI-1027, DNMT1 enzyme activityis measured in presence of a fixed concentration of inhibitor (0, 2.5, 5, and 10 μM) while one of the two (Ado-Met or DNA) was varied in a particular reaction mixture. At a fixed concentration of DNA (500 ng) varying concentrations of Ado-Met used are from 25-500 nM, respectively. Similarly, final DNA concentrations are varied from (25-500ng) at 75 nM Ado-Met.
Cell Research	Rat hepatoma H4IIE cells are used as the test system. These cells are grown in DMEM supplemented with fetal bovine serum (10%) and calf serum (10%). Cells are seeded into 96-well plates and after 48 h exposed to SGI-1027 at concentrations ranging from 0 to 300 μMol/L. The solubility is determined by Nephalometry techniques immediately after

Cell Research	dosing and before harvesting the cells at 24 h. Following the exposure period, the cells or their supernatant (culture medium) are analyzed for changes in cell proliferation (propidium iodide), membrane leakage (α-GST), mitochondrial function [3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyltetrazolium bromide and cellular ATP], oxidative stress (intracellular GSH and 8-isoprostane), and apoptosis. The half-maximal toxic concentration (TC50) is determined from the dose-response curves.(Only for Reference)

Solubility Information

Solubility	DMSO: 252.5 mg/mL (547.11 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2 mg/mL (4.33 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1668 mL	10.8338 mL	21.6675 mL
5 mM	0.4334 mL	2.1668 mL	4.3335 mL
10 mM	0.2167 mL	1.0834 mL	2.1668 mL
50 mM	0.0433 mL	0.2167 mL	0.4334 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Datta J, et al. Cancer Res. 2009, 69(10), 4277-4285.
Cheng M H, Kuo H F, Chang C Y, et al.Curcumin regulates pulmonary extracellular matrix remodeling and mitochondrial function to attenuate pulmonary fibrosis by regulating the miR-29a-3p/DNMT3A axis.Biomedicine & Pharmacotherapy.2024, 174: 116572.
García-Domínguez P, et al. Bioorg Med Chem Lett. 2013, 23(6):1631-5.