

## Product Data Sheet

Product Name: Sirtinol  
Cat. No.: GC14945

### Chemical Properties

Cas. No. 410536-97-9

Chemical Name 2-[[[Z)-(2-oxonaphthalen-1-ylidene)methyl]amino]-N-(1-phenylethyl)benzamide

SMILES CC(C1=CC=CC=C1)NC(=O)C2=CC=CC=C2NC=C3C(=O)C=CC4=CC=CC=C43

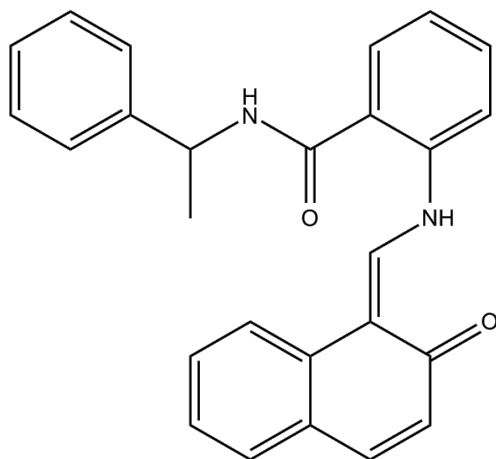
Formula  $C_{26}H_{22}N_2O_2$  M.Wt 394.47

Solubility  $\geq 19.7$  mg/mL in DMSO Storage Store at  $-20^{\circ}\text{C}$

General tips For obtaining a higher solubility, please warm the tube at  $37^{\circ}\text{C}$  and shake it in the ultrasonic bath for a while. Stock solution can be stored below  $-20^{\circ}\text{C}$  for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



### Protocol

#### Kinase experiment [1]:

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1.5 µg of recombinant human GST-Sirt2 (amino acids 18 ~ 340) were incubated at 30 °C for 2 hrs in 50 µL of assay buffer (50 mM Tris-HCl, pH 8.8, 4 mM MgCl<sub>2</sub>, 0.2 mM dithiothreitol with different concentrations of Sirtinol, 50 µM NAD, human Sirt2 activity and tritiated acetylated HeLa histones (1000 cpm), purified by acid extraction). HDAC activity was determined by scintillation counting of the ethyl acetate-soluble [<sup>3</sup>H]acetic acid.

### Cell experiment [2]:

Cell lines LNCaP, 22Rv1, DU145 and PC3 cells

Preparation method The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20 °C for several months.

Reaction Conditions 30 or 120 µM; 24 or 48 hrs

Applications Sirtinol (30 and 120 µM) treatment for 24 or 48 hrs significantly decreased the growth and viability of the entire PCa cell lines tested.

### Animal experiment [3]:

Animal models Male SD rats subjected to trauma-hemorrhage

Dosage form 1 mg/kg; i.v.

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**Applications** At the dose of 1 mg/kg, Sirtinol attenuated pro-inflammatory cytokine production and protected against hepatic injury following trauma-hemorrhage in male SD rats.

**Other notes** Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

### References:

[1]. Grozinger CM, Chao ED, Blackwell HE, Moazed D, Schreiber SL. Identification of a class of small molecule inhibitors of the sirtuin family of NAD-dependent deacetylases by phenotypic screening. J Biol Chem. 2001 Oct 19;276(42):38837-43.

[2]. Jung-Hynes B, Nihal M, Zhong W, Ahmad N. Role of sirtuin histone deacetylase SIRT1 in prostate cancer. A target for prostate cancer management via its inhibition? J Biol Chem. 2009 Feb 6;284(6):3823-32.

[3]. Liu FC, Day YJ, Liou JT, Lau YT, Yu HP. Sirtinol attenuates hepatic injury and pro-inflammatory cytokine production following trauma-hemorrhage in male Sprague-Dawley rats. Acta Anaesthesiol Scand. 2008 May;52(5):635-40.

### Background

Sirtinol is an inhibitor of SIRT with IC<sub>50</sub> value of 48.6  $\mu$ M (24 h) and 43.5  $\mu$ M (48 h) in MCF-7 cells [1].

Sirtinol significantly reduces the growth of MCF-7 cells in a concentration- and time-dependent manner. Meanwhile, the expression level of SIRT1 is notably decreased by sirtinol results in an induction of acetylated p53. It is found that sirtinol decreases the the expression of cell cycle-regulated proteins such as cyclin B1, cyclin D1, CDK2 and CDK6 and subsequently induces G1 phase arrest which indicates cell apoptosis. Furthermore, sirtinol is also demonstrated to induce autophagy in MCF-7 cells. Other in vitro assays show that sirtinol inhibits SIRT2 (amino acids 18–

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340) with IC<sub>50</sub> value of 45  $\mu$ M while it does not inhibit HDAC1 at 50 and 100  $\mu$ M [1, 2].

Reference:

[1] Wang J, Kim TH, Ahn MY, Lee J, Jung JH, Choi WS, Lee BM, Yoon KS, Yoon S, Kim HS.

Sirtinol, a class III HDAC inhibitor, induces apoptotic and autophagic cell death in MCF-7 human breast cancer cells. *Int J Oncol.* 2012 Sep;41(3):1101-9.

[2] Grozinger CM, Chao ED, Blackwell HE, Moazed D, Schreiber SL. Identification of a class of small molecule inhibitors of the sirtuin family of NAD-dependent deacetylases by phenotypic screening. *J Biol Chem.* 2001 Oct 19;276(42):38837-43.

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