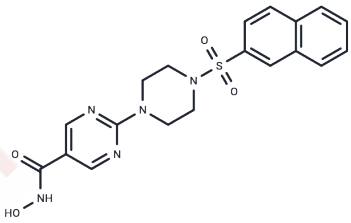
Data Sheet (Cat.No.T21324)

TargetMol

R306465

Chemical Properties



CAS No. :	604769-01-9
Formula:	C19H19N5O4S
Molecular Weight:	413.45
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	R306465 (JNJ-16241199) is an orally active and selective class I histone deacetylase (HDAC 1) inhibitor with an IC50 of 3.3 nM. R306465 has a broad spectrum of antitumor activity, can induce histone 3 acetylation, and induce apoptosis, and can be used to study solid tumors and hematological malignancies.
Targets(IC50)	Apoptosis,HDAC
In vitro	b>METHODS: A2780 cells were treated with R306465 (0.1, 0.3, 1 μM, 24-48 h), and FACS analysis was performed to analyze whether the antiproliferative effect in A2780 cells was attributed to the induction of cell cycle arrest or cell death. **RESULTS**: R306465 induced apoptosis of A2780 cells in a concentration-dependent manner and inhibited angiogenesis. [2]
In vivo	b>METHODS: R306465 (10-40 mpk, oral) was administered subcutaneously to nude mice bearing A2780, H460, and HCT116 cell models, and tumor growth in nude mice was observed. **RESULTS**: R306465 inhibited tumor growth in the three nude mouse models. [2]

Solubility Information

Solubility	DMSO: 22.14 mg/mL (53.55 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: 2.21 mg/mL (5.35 mM),Suspension. *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	2.4187 mL	12.0934 mL	24.1867 mL
5 mM	0.4837 mL	2.4187 mL	4.8373 mL
10 mM	0.2419 mL	1.2093 mL	2.4187 mL
50 mM	0.0484 mL	0.2419 mL	0.4837 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

Angibaud P, et al. Identification of a series of substituted 2-piperazinyl-5-pyrimidylhydroxamic acids as potent histone deacetylase inhibitors. Bioorg Med Chem Lett. 2010 Jan 1;20(1):294-8.

Arts J, et al. R306465 is a novel potent inhibitor of class I histone deacetylases with broad-spectrum antitumoral activity against solid and haematological malignancies. Br J Cancer. 2007 Nov 19;97(10):1344-53.

Arts, J.; Angibaud, P.; Marien, A.; Floren, W.; Janssens, B.; King, P.; van Dun, J.; Janssen, L.; Geerts, T.; Tuman, R. W.; Johnson, D. L.; Andries, L.; Jung, M.; Janicot, M.; van Emelen, K. R306465 is a novel potent inhibitor of class I histone deacetylases with broad-spectrum antitumoral activity against solid and hematological malignancies. British Journal of Cancer (2007), 97(10), 1344-1353.

Van Brandt, Sven Franciscus Anna; Van Emelen, Kristof; Angibaud, Patrick Rene; Marconnet-Decrane, Laurence Francoise Bernadette; Arts, Janine. Preparation of substituted propenyl piperazine derivatives as novel inhibitors of histone deacetylase. PCT Int. Appl. (2006), 67 pp. CODEN: PIXXD2 WO 2006010749 A2 20060202

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