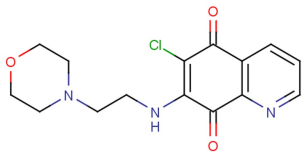
Data Sheet (Cat.No.T16357)

NSC 663284

Chemical Properties



CAS No.:	383907-43-5
Formula:	C15H16ClN3O3
Molecular Weight:	321.76
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	NSC 663284 is a cell-permeable and irreversible Cdc25 dual specificity phosphatase inhibitor (IC50 for Cdc25B2: 0.21 μM). NSC 663284 inhibits NSD2 (IC50 of 170 nM) through direct interaction with the catalytic SET domain (Kd of 370 nM). NSC 663284 displays mixed competitive kinetics against Cdc25A, Cdc25B(2), and Cdc25C with Ki values of 29, 95, and 89 nM, respectively.
Targets(IC_{50})	Cdc25B2: 0.21 μM
In vitro	NSC 663284 has relative IC50 values for Cdc25B2 (IC50=0.21 μM) are 20- and 450-fold lower than for VHR (IC50=4.0 μM) or PTP1B (IC50>4.0 μM), respectively. NSC 663284 (3-100μM; 48 hours) has a mean IC50 value in the NCI 60 Cell human tumor panel of 1.5 ± 0.6 μM. NSC 663284 (3-100μM; 48 hours) has IC50 values of 0.2 μM in human breast cancer MDA-MB-435 and MDA-N cells. NSC 663284 (3-100μM; 48 hours) has an IC50 value of 1.7 μM in human breast MCF-7 cells in culture[1].
In vivo	NSC 663284 is not detectable in plasma or tissues beyond 5 min, after a single dose of 5 mg/kg. NSC 663284 (intravenous injection; 2, 3, and 5mg/kg) inhibits the growth of subcutaneous human colon HT29 xenografts in SCID mice. Following NSC 663284 treatment of tumor-bearing SCID mice, decreases glutathione concentrations in HT29 tumors are decreased to a greater extent and remained decreased for longer than the reduced glutathione concentrations in the liver and kidneys[3].

Solubility Information

Solubility	DMSO: 150 mg/mL (466.19 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.108 mL	15.54 mL	31.079 mL
5 mM	0.622 mL	3.108 mL	6.216 mL
10 mM	0.311 mL	1.554 mL	3.108 mL
50 mM	0.062 mL	0.311 mL	0.622 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Lazo JS, et al. Discovery and biological evaluation of a new family of potent inhibitors of the dual specificity protein phosphatase Cdc25. J Med Chem. 2001 Nov 22;44(24):4042-9.

2. Coussens NP, et al. High-throughput screening with nucleosome substrate identifies small-molecule inhibitors of the human histone lysine methyltransferase NSD2. J Biol Chem. 2018 Aug 31;293(35):13750-13765.

3. Guo J, et al. Pharmacology and antitumor activity of a quinolinedione Cdc25 phosphatase inhibitor DA3003-1 (NSC 663284). Anticancer Res. 2007 Sep-Oct;27(5A):3067-73.

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