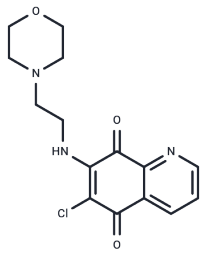
Data Sheet (Cat.No.T16357)

TargetMol

DA-3003-1

Chemical Properties



CAS No. :	383907-43-5
Formula:	C15H16ClN3O3
Molecular Weight:	321.76
Appearance:	no data available
Storage:	store at low temperature,keep away from direct sunlight Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	DA-3003-1 (DA-3003-1) is a membrane-permeable, potent and selective Cdc25 dual specificity phosphatase inhibitor with antitumor activity that inhibits Cdc25B2, Cdc25A, Cdc25B2 and Cdc25C.
Targets(IC50)	Phosphatase
In vitro	DA-3003-1(DA-3003-1)(3-100 μM; 48 hours) exhibits an average IC50 value of 1.5 ± 0.6 μM across the NCI 60 cell panel of human tumor types. The IC50 values for human breast cancer MDA-MB-435 and MDA-N cells are 0.2 μM, while in cultured human breast MCF-7 cells, the IC50 value is 1.7 μM[1]. The relative IC50 value of DA-3003-1(DA-3003-1) against Cdc25B2 (IC50=0.21 μM) is lower than that against VHR (IC50 20 times lower and 450 times lower than 4.0 μM) or PTP1B (IC50>4.0 μM)[3].
In vivo	DA-3003-1(DA-3003-1)(intravenous injection; 2, 3, and 5 mg/kg) inhibits the growth of subcutaneous human colon HT29 xenografts in SCID mice. Following a single dose of 5 mg/kg, DA-3003-1(DA-3003-1)is undetectable in plasma or tissues for more than 5 minutes. After treatment of HT29 tumor-bearing SCID mice with DA-3003-1(DA-3003-1), a greater reduction in glutathione concentration is observed in the tumor compared to the liver and kidneys, and this decrease persists for a longer duration[1].

Solubility Information

Solubility	DMSO: 60 mg/mL (186.47 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.1079 mL	15.5395 mL	31.0791 mL
5 mM	0.6216 mL	3.1079 mL	6.2158 mL
10 mM	0.3108 mL	1.554 mL	3.1079 mL
50 mM	0.0622 mL	0.3108 mL	0.6216 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.

Reference

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