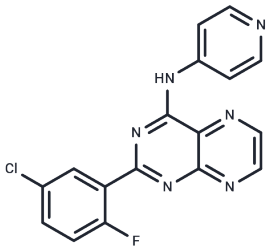
Data Sheet (Cat.No.T2109)

TargetMol

SD-208

Chemical Properties



CAS No. : 627536-09-8

Formula: C17H10ClFN6

Molecular Weight: 352.75

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	SD-208 (ALK5 Inhibitor V), a selective TGF-βRI (ALK5) inhibitor (IC50: 48 nM), is >100-fold selectivity over TGF-βRII.
Targets(IC50)	TGF-beta/Smad
In vitro	SD-208 (1 mg/mL, p.o.) significantly prolonged the median survival rate of mice loaded with SMA-560 glioma. In syngeneic 129S1 mice, SD-208 (60 mg/kg, p.o.) inhibited the growth of primary R3T tumors and reduced the number and size of lung metastases. Additionally, in a murine aortic allograft model, SD-208 effectively reduced the formation of intimal hyperplasia associated with transplant arteriosclerosis.
In vivo	In vitro, SD-208 inhibits TGF-β-induced receptor-associated Smads, Smad2/3 phosphorylation, and stimulates differentiation, migration, and invasion from epithelial to mesenchymal cells into the basal membrane. Additionally, SD-208 blocks the protective effects of TGF-β on the migration and proliferation of neointimal smooth muscle-like cells. In both mouse SMA-560 and human SMA-560 glioma cells, SD-208 suppresses cell growth, constitutive and TGF-β-induced migration and infiltration, and enhances immunogenicity.
Kinase Assay	Kinase assay: Various kinase activities are assayed by measuring the incorporation of radiolabeled ATP into a peptide or protein substrate. The reactions are performed in 96-well plates and included the relevant kinase, substrate, ATP, and appropriate cofactors. The reactions are incubated and then stopped by the addition of phosphoric acid. Substrate is captured onto a phosphocellulose filter, which is washed free of unreacted ATP. The counts incorporated are determined by counting on a microplate scintillation counter. The ability of SD-208 to inhibit the respective kinase is determined by comparing counts incorporated in the presence of compound with those incorporated in the absence of compound.
Cell Research	Glioma cells are cultured in the absence or presence of SD-208 (1 μM) for 48 hours. The cells are pulsed for the last 24 hours with [methyl-3H]thymidine (0.5 μCi) and harvested, and incorporated radioactivity is determined in a liquid scintillation counter.(Only for Reference)

Solubility Information

Solubility	DMSO: 7.1 mg/mL (20 mM),Heating is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8349 mL	14.1743 mL	28.3487 mL
5 mM	0.567 mL	2.8349 mL	5.6697 mL
10 mM	0.2835 mL	1.4174 mL	2.8349 mL
50 mM	0.0567 mL	0.2835 mL	0.567 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

Uhl M, et al. Cancer Res. 2004, 64(21), 7954-7961.
Zhou F, Qian H Y, Wang K, et al.Metformin relieves bone cancer pain by reducing TGFβRI-TRPV1 signaling in rats. Heliyon.2024
Ge R, et al. Clin Cancer Res. 2006, 12(14 Pt 1), 4315-4330.
Sun Y, et al. J Heart Lung Transplant. 2014, 33(6), 654-661.