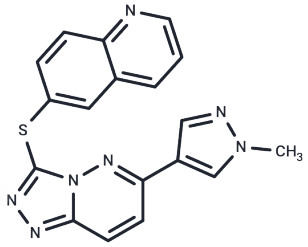
Data Sheet (Cat.No.T2293)

TargetMol

SGX-523

Chemical Properties



CAS No. : 1022150-57-7

Formula: C18H13N7S

Molecular Weight: 359.41

Appearance: no data available

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

Biological Description

Description	SGX-523 is a selective Met inhibitor (IC50: 4 nM), no inhibitory to Abl, BRAFV599E, p38α, and c-Raf.
Targets(IC50)	Raf,Bcr-Abl,c-Met/HGFR,p38 MAPK
In vitro	SGX523, administered orally twice daily at a dose of ≥10 mg/kg, significantly delays the anticipated growth of GTL16 tumors. At a higher concentration of 30 mg/kg, SGX523 not only markedly inhibits the growth of U87 mg tumors but also delays the growth of H441 tumors, while reducing the levels of MET autophosphorylation.
In vivo	SGX523, at nanomolar concentrations, inhibits MET-regulated signaling, cell proliferation, and migration without affecting signals dependent on other protein kinases, such as RON, even at micromolar levels. In vivo, SGX523 dose-dependently inhibits the growth of xenografts derived from human malignant gliomas, lung cancer, and gastric cancer, indicating these tumors' reliance on MET's catalytic activity. SGX-523 acts as a c-Met/hepatocyte growth factor receptor tyrosine kinase inhibitor, deactivating MET and preventing its interaction with other protein kinases. It significantly inhibits the catalytic domain of purified MET while having no effect on closely related RON. As an ATP-competitive inhibitor, SGX523 shows a higher affinity for low-activity and non-phosphorylated MET (MET-KD(0P), Ki: 2.7 nM).
Kinase Assay	Kinase assays: Initial rate constants are measured at 21 °C in the presence of 100 mM HEPES (pH 7.5), 0.3 mg/mL poly(Glu-Tyr) peptide substrate, 10 mM MgCl2, 1 mg/mL bovine serum albumin, 5% DMSO, 20 nM MET-KD and various concentrations of ATP and SGX523. Total reaction volumes (20 μL) are quenched with 20 μL Kinase-Glo detection buffer. Luminescence is detected in a plate-reading luminometer and the results are analyzed by nonlinear regression.
Cell Research	MDCK cells are seeded at 1 × 103 per well in a 24-well plate and incubated at 37 °C in 5% CO2 for 1 week in MEM and 10% fetal bovine serum. HGF (90 ng/mL) and various concentrations of SGX523 are added and the cells are incubated for another 18 hours (37 °C, 5% CO2 humidified incubator) and visualized. A549 cells are plated in 12-well plates (6 × 104 per well) and incubated to confluence to investigate cell migration. A channel is introduced into the monolayers by scratching with a pipette tip. Various dilutions of compound are added in starve medium in the presence and absence of HGF (90 ng/mL).The wells are checked for cell migration after twenty-fou(Only for Reference)

Solubility Information

Solubility	DMSO: 3.59 mg/mL (9.99 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7823 mL	13.9117 mL	27.8234 mL
5 mM	0.5565 mL	2.7823 mL	5.5647 mL
10 mM	0.2782 mL	1.3912 mL	2.7823 mL
50 mM	0.0556 mL	0.2782 mL	0.5565 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

Buchanan SG, et al. Mol Cancer Ther, 2009, 8(12), 3181-3190.
Huang Y, Guo Y, Zhou Y, et al.Tivantinib alleviates inflammatory diseases by directly targeting NLRP3.iScience. 2023