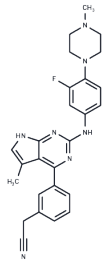
Data Sheet (Cat.No.T6982)

TargetMol

SGI-7079

Chemical Properties



CAS No. : 1239875-86-5

Formula: C26H26FN7

Molecular Weight: 455.53

Appearance: no data available

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

Biological Description

Description	SGI-7079 is a new selective Axl inhibitor. SGI-7079 can be a dose-dependent manner inhibited growth of tumors. It is also a potential therapeutic target for EGFR inhibitor resistance.
Targets(IC50)	FLT,c-RET,c-Met/HGFR,Src,TAM Receptor
In vitro	SGI-7079 exhibits a Ki = 5.7 nM for AXL ,and in HEK293T cells (EC50 = 100 nM) inhibits Gas6 ligand-induced tyrosine phosphorylation of human AXL expressed . Similar to AXL, SGI-7079 inhibits TAM family members such as MER and Tyro3, and shows effective inhibition of Syk, Flt1, Flt3, Jak2, TrkA, TrkB, PDGFRβ and Ret kinases. Mesenchymal cells, which have the increase of the receptor tyrosine kinase Axl, show a trend that has greater sensitivity to the Axl inhibitor SGI-7079.
In vivo	SGI-7079 can be in a dose-dependent manner inhibits tumor growth. And at the maximum dose, 67% tumor can be inhibited growth. Mesenchymal cells showed a trend towards a greater sensitivity to the Axl inhibitor SGI-7079, while the combination of SGI-7079 with erlotinib reversed erlotinib resistance in mesenchymal lines expressing Axl and in a xenograft model of mesenchymal NSCLC.
Kinase Assay	In phospho-GEF-H1 cellular assay, TR-293-KDG cells are incubated for 3 hours with PF-3758309. TR-293-KDG cells were captured on an anti-HA antibody-coated plate, which is detected with an anti-phospho-S810-GEF-H1 antibody, and quantified with a horseradish peroxidase-goat anti-rabbit antibody conjugate. TR-293-KDG cells are constructed from HEK293 cells, which is transfected with tetracycline-inducible PAK4-kinase domain (amino acids 291-591) and expressed HA-tagged GEFH1ΔDH (amino acids 210-921).
Cell Research	SGI-7079 show inhibition of Axl activation in HEK-293 cells, which were transiently transfected by electroporation with 1 mg FLAG-tagged plasmid containing the human Axl gene and incubated in standard media + 10% FBS for 24 hours.Cells are treated with SGI-7079(concentrations : 0.03, 0.1, 0.3, 1, 3 μmol/L) for 10 minutes. Five minutes before lysis, the cells are stimulated by WI38 conditioned media containing Gas6.
Animal Research	Animal Models: Mouse(NCr-nu/nu female mice) xenograft model of NSCLC using the mesenchymal NSCLC cell line A549Formulation: 0.1N citrate bufferDosages: 10, 25, 50 mg/kgAdministration: p.o.

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 84 mg/mL (184.4 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1952 mL	10.9762 mL	21.9525 mL
5 mM	0.439 mL	2.1952 mL	4.3905 mL
10 mM	0.2195 mL	1.0976 mL	2.1952 mL
50 mM	0.0439 mL	0.2195 mL	0.439 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

Myers SH, et al. J Med Chem. 2016, 59(8):3593-608.
Byers LA, et al. Clin Cancer Res. 2013, 19(1):279-90.