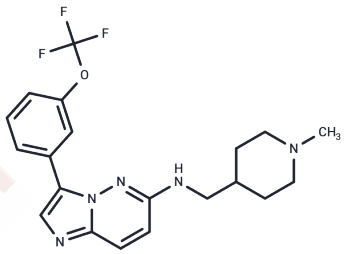
Data Sheet (Cat.No.T3078)

TargetMol

SGI-1776

Chemical Properties



CAS No. : 1025065-69-3

Formula: C20H22F3N5O

Molecular Weight: 405.42

Appearance: no data available

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

Biological Description

Description	SGI-1776 (Pim-Kinase Inhibitor IX) has been used in trials studying the treatment of Prostate Cancer, Non-Hodgkins Lymphoma, and Relapsed/Refractory Leukemias.
Targets(IC50)	Apoptosis,FLT,Autophagy,Pim
In vitro	SGI-1776 has demonstrated preclinical activity against leukemia and solid tumor cell line models, with IC50 values ranging from 0.005 to 11.68 mM. It induced a significant difference in the distribution of in vivo EFS (event-free survival) in 9 out of 31 solid tumor xenografts and in 1 out of 8 evaluable ALL (acute lymphoblastic leukemia) xenografts. Furthermore, SGI-1776 has proven effective in mouse models harboring the MV-4-11 tumor.
In vivo	SGI-1776 induces dose-dependent apoptosis in CLL cells through a mechanism that involves a decrease in Mcl-1. Additionally, in vitro, SGI-1776 demonstrates cytotoxicity with an average relative IC50 value of 3.1 mM. Beyond targeting Pim, SGI-1776 effectively targets FLT3 with an IC50 of 44 nM. It also induces apoptosis in AML cells in a concentration-dependent manner and shows cytotoxic effects on primary AML cells, leading to a reduction in Mcl-1 protein.
Kinase Assay	Kinase Assays: Kinase inhibition is measured by the use of radiometric assays performed by KinaseProfiler service. Assays contain a peptide substrate, known purified recombinant human kinases, gamma-labeled ATP, magnesium ion, and a fixed concentration (1 μM) of SGI-1776. In a final reaction volume of 25 μL, 5 to 10 mU of Pim1/2/3 is incubated with 8 mM of MOPS, pH 7.0; 0.2 mM ethylene diamine tetraacetic acid; 100 μM KKRNRTLTV;10 mM MgAcetate; and [γ-32P-ATP] . The reaction is initiated by the addition of the MgATP mix. After incubation for 40 minutes at room temperature, the reaction is stopped by the addition of 5 μL of a 3% phosphoric acid solution. Then, 10 μL of the reaction is spotted onto a P30 filtermat and washed 3 times for 5 minutes in 75 mM phosphoric acid and once in methanol before it is dried and measured via a scintillation counter.
Cell Research	Cells are cultured in IMDM (ATCC) supplemented with 10% FBS and grown in a 37oC incubator with 5% CO2. Cells are routinely tested for Mycoplasma infection using a commercially available kit. Cells are treated with DMSO or various concentrations of SGI-1776 for 24 hours. Cells (1×106) are washed, then resuspended in 100 μL of annexin binding buffer, mixed with 5 μL of FITC solution and 5 μL of propidium iodide (PI; 50 μg/mL) solution. For each sample, 1×104 cells are measured using a Becton Dickinson

FACSCalibur flow cytometer. (Only for Reference)

Solubility Information

Solubility	DMSO: 55.55 mg/ml (137.03 mM),Sonication is recommended. Ethanol: 75 mg/mL (184.99 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4666 mL	12.3329 mL	24.6658 mL
5 mM	0.4933 mL	2.4666 mL	4.9332 mL
10 mM	0.2467 mL	1.2333 mL	2.4666 mL
50 mM	0.0493 mL	0.2467 mL	0.4933 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

Chen LS, et al. Blood, 2011, 118(3), 693-702.
Chen LS, et al. Blood, 2009, 114(19), 4150-7.
Batra V, et al. Pediatr Blood Cancer, 2012, 59(4), 749-752.