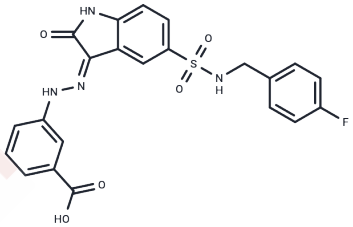
Data Sheet (Cat.No.T4340)

TargetMol

SPI-112

Chemical Properties



CAS No. : 1051387-90-6

Formula: C22H17FN4O5S

Molecular Weight: 468.46

Appearance: no data available

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

Biological Description

Description	SPI-112, the SPI-112 methyl ester analog, can inhibit cellular Shp2 PTP activity. SPI-112 bound to Shp2 by surface plasmon resonance (SPR) and displayed competitive inhibitor kinetics to Shp2.
Targets(IC50)	Phosphatase
Kinase Assay	The activity of c-KIT kinase is determined by following the production of ADP from the kinase reaction through coupling with the pyruvate kinase/lactate dehydrogenase system. In this assay, the oxidation of NADH (thus the decrease at A340 nM) is continuously monitored spectrophotometrically. The reaction mixture (100 μL) contained c-KIT (cKIT residues T544-V976, from ProQinase, 5.4 nM), polyE4Y (1 mg/mL), MgC12 (10 mM), pyruvate kinase (4 units), lactate dehydrogenase (0.7 units), phosphoenol pyruvate (1 mM), and NADH (0.28 mM) in 90 mM Tris buffer containing 0.2 % octyl-glucoside and 1% DMSO, pH 7.5. Test compounds (e.g., DCC-2618) are incubated with c-KIT and other reaction reagents at 22 °C for < 2 min before ATP (200 μM) is added to start the reaction. The absorption at 340 nm is monitored continuously for 0.5 hours at 30 °C on Polarstar Optima plate reader (BMG). The reaction rate is calculated using the 0 to 0.5 h time frame. Percent inhibition is obtained by comparison of reaction rate with that of a control (i.e. with no test compound).

Solubility Information

Solubility	DMSO: 50 mg/mL (106.73 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1347 mL	10.6733 mL	21.3465 mL
5 mM	0.4269 mL	2.1347 mL	4.2693 mL
10 mM	0.2135 mL	1.0673 mL	2.1347 mL
50 mM	0.0427 mL	0.2135 mL	0.4269 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 L, et al. Biochem Pharmacol. Inhibition of cellular Shp2 activity by a methyl ester analog of SPI-112 . 2010 Sep 15;80(6):801-10

Wu R, Wang C, Li Z, et al. SOX2 promotes resistance of melanoma with PD-L1 high expression to T-cell-mediated cytotoxicity that can be reversed by SAHA. Journal for immunotherapy of cancer. 2020 Nov;8(2):e001037.

Wu R, Wang C, Li Z, et al. SOX2 promotes resistance of melanoma with PD-L1 high expression to T-cell-mediated cytotoxicity that can be reversed by SAHA[J]. Journal for immunotherapy of cancer. 2020, 8(2).

Lu X, Yu R, Li Z, et al.JC-010a, a novel selective SHP2 allosteric inhibitor, overcomes RTK/non-RTK-mediated drug resistance in multiple oncogene-addicted cancers.Cancer Letters.2023: 216517.