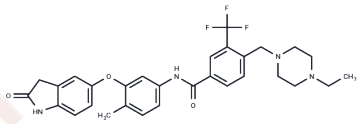
Data Sheet (Cat.No.T3337)

TargetMol

Ddr1-In-1

Chemical Properties



CAS No. : 1449685-96-4

Formula: C30H31F3N4O3

Molecular Weight: 552.59

Appearance: no data available

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

Biological Description

Description	DDR1-IN-1 is an effective and specific DDR1 receptor tyrosine kinase inhibitor (IC50: 105 nM), about 3-fold selectivity over DDR2.
Targets(IC50)	Discoidin Domain Receptor (DDR)
In vitro	In U2OS cells, DDR1-IN-1 inhibits basal DDR1 autophosphorylation with EC50 of 86 nM, and demonstrates stronger inhibition of DDR1 autophosphorylation in the absence of collagen stimulation. In a panel of different cancer cell lines that possess DDR1 gain-of-function mutations and/or overexpression, DDR1-IN-1, dose not inhibit proliferation below a concentration of 10 μM, while GSK2126458 potentiates the antiproliferative activity of DDR1-IN-1. [1]
Kinase Assay	General procedure for the EC50 test: DDR1 is induced by 2 Gg/ml doxycycline for 48 hrs prior to DDR1 activation by rat tail collagen I. The DDR1 over-expressed U2OS is pre-treated by media containing each concentration of the compound for 1 hr and treated by changing the media to the EC50 test media containing 10 Gg/ml collagen and each concentration of the compound for 2 hrs. Each cells is washed with cold PBS three times and lysed with the lysis buffer (50 mMTris, pH 7.5, 1% Triton X-100, 0.1% SDS, 150 mM NaCl, 5 mM EDTA, 100 mMNaF, 2 mM Na3VO4, 1 mM PMSF, 10 Gg/ml aprotinin, and 10 Gg/ml leupeptin). The activation of DDR1 is quantified by density using program ImageJ to determine EC50 following Western blot using anti-activated human DDR1b (Y513).
Cell Research	Cells are plated in triplicate at a density of 3000 cells per well in 96-well plates and 1500 cells per well in 384-well plates. Compounds of various concentrations are added into plates for 48 hours. Cell viability is determined using the CellTiter-Glo and CCK-8. Both assays are performed according to the manufacturer's instructions. For CellTiter-Glo assay, luminescence is determined in a multi-label reader. For CCK-8 assay, absorbance is measured in a microplate reader at 450 nM. Data are normalized to control group (DMSO) and represented by the mean of at least two independent measurement with standard error <20%. GI50 were calculated using Prism 5.0.(Only for Reference)

Solubility Information

Solubility	DMSO: 93 mg/mL (168.3 mM),Sonication is recommended. Ethanol: 4 mg/mL (7.23 mM),Heating 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	1.8097 mL	9.0483 mL	18.0966 mL
5 mM	0.3619 mL	1.8097 mL	3.6193 mL
10 mM	0.181 mL	0.9048 mL	1.8097 mL
50 mM	0.0362 mL	0.181 mL	0.3619 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

Kim HG, et al. ACS Chem Biol. 2013, 8(10), 2145-2150.
Wang J, Xie S, Li N, et al. Matrix stiffness exacerbates the proinflammatory responses of vascular smooth muscle cell through the DDR1-DNMT1 mechanotransduction axis. Bioactive Materials. 2022
Liu G, Jin X, Wang H, et al.Downregulation of CYRI-B promotes migration, invasion and EMT by activating the Rac1-STAT3 pathway in gastric cancer.Experimental Cell Research.2022: 113453.