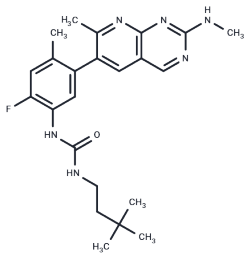
Data Sheet (Cat.No.T6882)

TargetMol®
YOUR TARGET MOLECULES

LY3009120

Chemical Properties



CAS No. : 1454682-72-4

Formula: C23H29FN6O

Molecular Weight: 424.51

Appearance: no data available

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

Biological Description

Description	LY3009120 (DP-4978) is a potent pan-Raf inhibitor with IC50 of 44 nM, 31-47 nM, and 42 nM for A-raf, B-Raf, and C-Raf in A375 cells, respectively. Phase 1.
Targets(IC50)	Raf,Autophagy
In vitro	LY3009120 inhibits the cell growth of A375 and HCT116 cells with the IC50 of 9.2 and 220 μM, respectively. LY3009120 inhibits the tyrosine kinase KDR with the IC50 of 3.9 μM.[1]
In vivo	In rats bearing BRAF V600E ST019VR PDX tumors, LY3009120 (15 or 30 mg/kg, p.o.) shows a dose-dependent tumor growth inhibition. In nude rats bearing A375 xenograft, single dose oral treatment with LY3009120 (3 to 50 mg/kg, p.o.) shows a dose dependent inhibition of phospho-ERK, with a dose for 50% inhibition of phospho-ERK (EC50) of 4.36 mg/kg, with plasma concentration to achieve 50% inhibition of phospho-ERK (EC50) of 68.9 ng/mL or 165 nM.[1]
Kinase Assay	Kinase activity measurement using KiNativ assays: Compounds are screened in A375 cell lysates using the ATP-based probe at 5 μM. IC50 values are reported in micromolar units. Cell pellets are resuspended in four volumes of lysis buffer [25 mM Tris pH 7.6, 150 mM NaCl, 1% CHAPS, 1% Tergitol NP-40 type, 1% v/v phosphatase inhibitor cocktail II], sonicated using a tip sonicator, and dounce homogenized. Lysates are cleared by centrifugation at 100,000 g for 30 min. The cleared lysates are filtered through a 0.22 μM syringe filter, and gel filtered into reaction buffer [20 mM Hepes pH 7.8, 150 mM NaCl, 0.1% triton X-100, 1% v/v phosphatase inhibitor cocktail II]. MnCl2 is then added to the lysate to a final concentration of 20 mM prior to inhibitor treatment and probe labeling. Final inhibitor concentrations used for IC50 determinations are 10, 1, 0.1, and 0.01 μM. ATP competition experiments are performed at 1,000, 100, 10, and 1 μM ATP. All inhibitor treatments are performed at room temperature.
Cell Research	Brie?y, cells are grown in DMEM high glucose supplemented with 10% characterized fetal bovine serum and 1% penicillin/streptomycin/L -glutamine at 37℃, 5% CO2, and 95% humidity. Cells are allowed to expand until 70-95% con?uency. A serial dilution of test compound is dispensed into a 384-well black clear bottom plate. 625 cells are added per well in 50 μL of complete growth medium. Plates are incubated for 67 h at 37℃, 5% CO2 , and 95% humidity. Then, 10 μL of a 440 μM solution of resazurin in PBS is added to each well of the plate and plates are incubated for an additional 5 h at 37℃, 5% CO2, and 95% humidity. Plates are read on a Synergy2 reader using an excitation of 540 nm and an emission of 600 nm. Data are analyzed using Prism software to calculate

	IC 50 values.(Only for Reference)
Animal Research	Animal Models: Female NIH nude rats bearing BRAF V600E ST019VR PDX tumorsFormulation: 20% cyclodextrin, 25 mM phosphate, pH2.0Dosages: 30 mg/kgAdministration: p.o.[1]

Solubility Information

Solubility	DMSO: 10 mg/mL (23.56 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3557 mL	11.7783 mL	23.5566 mL
5 mM	0.4711 mL	2.3557 mL	4.7113 mL
10 mM	0.2356 mL	1.1778 mL	2.3557 mL
50 mM	0.0471 mL	0.2356 mL	0.4711 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

Henry JR, et al. J Med Chem. 2015, 58(10), 4165-4179.
Patricelli MP, et al. Chem Biol.2011, 18(6), 699-710.

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