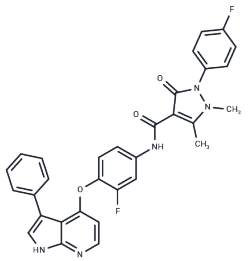
Data Sheet (Cat.No.T6907)

TargetMol

NPS-1034

Chemical Properties



CAS No. : 1221713-92-3

Formula: C31H23F2N5O3

Molecular Weight: 551.54

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	NPS-1034 is a dual Met/Axl inhibitor with IC50 of 48 nM and 10.3 nM, respectively.
Targets(IC50)	Apoptosis,c-Met/HGFR,TAM Receptor
In vitro	In HCC827/GR cells, NPS-1034 does not show significant antiproliferative effects, while overcomes gefitinib resistance by inhibiting the phosphorylation of MET, Akt, and Erk. In H820 cells, NPS-1034 enhances sensitivity to EGFR-TKIs. In HCC78 cells, NPS-1034 inhibits ROS1 activity and cell proliferation. In addition, a combination of gefitinib and NPS-1034 enhances cell death by inducing caspase-3 and PARP-1 cleavage. [1] NPS-1034 inhibits the viability of the MKN45 and SNU638 cell lines, which highly express the MET gene and p-MET, with IC50 of 112.7 and 190.3 nmol, respectively. [2]
In vivo	In SCID mice bearing HCC827/GR tumor xenografts, NPS-1034 (10 mg/kg, p.o.) decreases tumor growth, and the combination of gefitinib and NPS-1034 results in enhanced tumor growth inhibition via the inhibition of tumor proliferation and the induction of apoptosis. [1] In nude mice bearing MKN45 xenograft tumors, NPS-1034 (30 mg/kg, p.o.) decreases tumor growth through the inhibition of angiogenesis and the promotion of apoptosis. [2]
Kinase Assay	Kinase inhibition profile: The in vitro NPS-1034 profile of inhibition of RTKs is analyzed using RTK assay kits according to the manufacturer's protocols.
Cell Research	To perform the MTT assay, cells (0.5 × 104/well) are plated in 96-well sterile plastic plates and allowed to attach overnight. Cells are exposed to varying doses of gefitinib, erlotinib, PHA-665752, and NPS-1034 in medium containing 1% FBS. After 72 hours, 15 μL of MTT solution (5 mg/mL) is added to each well and plates are incubated for 4 hours. Crystalline formazan is solubilized with 100 μL of a 10% (w/v) SDS solution for 24 hours. Absorbance at 595 nm is read spectrophotometrically using a microplate reader. (Only for Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 93 mg/mL (168.62 mM),Sonication is recommended. Ethanol: 4 mg/mL (7.25 mM),Sonication is recommended.

Solubility	(< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8131 mL	9.0655 mL	18.1311 mL
5 mM	0.3626 mL	1.8131 mL	3.6262 mL
10 mM	0.1813 mL	0.9066 mL	1.8131 mL
50 mM	0.0363 mL	0.1813 mL	0.3626 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Rho JK, et al. Cancer Res. 2014, 74(1), 253-262.
Shin JS, et al. Invest New Drugs. 2014, 32(3), 389-399.