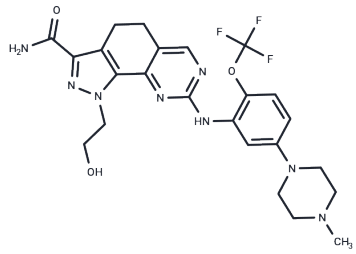
Data Sheet (Cat.No.T6247)

TargetMol
YOUR TARGET MOLECULES

Onvansertib

Chemical Properties



CAS No. : 1034616-18-6

Formula: C24H27F3N8O3

Molecular Weight: 532.52

Appearance: no data available

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

Biological Description

Description	Onvansertib (NMS-1286937), an oral, specific Polo-like Kinase 1 (PLK1) inhibitor, is with IC50 of 2 nM. The specificity of NMS-P937 forPLK1 is 5000-fold higher over PLK2/PLK3.
Targets(IC50)	Apoptosis,PLK
In vitro	NMS-P937 shows a broad-spectrum antiproliferative activity against different solid tumor, leukemias and lymphomas cell lines. NMS-P937 potently causes a mitotic cell-cycle arrest followed by apoptosis in A2780 cells. [2]
In vivo	In mice xenografted with human HCT116 colon adenocarcinoma cells, NMS-P937 (90 mg/kg/d i.v. or p.o.) shows a significant tumor growth inhibition. [1] In mice bearing HT29, Colo205 colorectal, or A2780 ovarian xenograft tumors, NMS-P937 inhibits xenograft tumor growth. In addition, NMS-P937, in combination with approved cytotoxic drugs, causes enhanced tumor regression, and prolongs survival of animals. [2]
Kinase Assay	Kinase profile: The inhibitory activity of putative kinase inhibitors and the potency of selected compounds are determined using a trans-phosphorylation assay. Specific peptide or protein substrates are trans-phosphorylated by their specific serine-threonine or tyrosine kinase, in the presence of ATP traced with 33P-γ-ATP, at optimized buffer and cofactors conditions. At the end of the phosphorylation reaction, more than 98% unlabeled ATP and radioactive ATP is captured by adding an excess of the ion exchange dowex resin; the resin then settles down to the bottom of the reaction plate by gravity. Supernatant, containing the phosphorylated substrate, is subsequently withdrawn and transferred into a counting plate, followed by evaluation by b-counting. Inhibitory potency evaluation for all the tested kinases was performed at 25 °C using a 60 min end-point assay where the concentrations of ATP and substrates are kept equal to 2 x αKm and saturated (>5 x αKm), respectively.
Cell Research	Cells are seeded into 96- or 384-well plates at densities ranging from 10,000 to 30,000/cm2 for adherent and 100,000/mL for nonadherent cells in appropriate medium supplemented with 10% fetal calf serum. After 24 hours, cells were treated in duplicate with serial dilutions of NMS-P937, and 72 hours later, the viable cell number was assessed by the CellTiter-Glo Assay (Promega). IC50 values were calculated with a sigmoidal fitting algorithm (Assay Explorer MDL). Experiments were carried out independently at least twice.(Only for Reference)

Solubility Information

Solubility	DMSO: 55 mg/mL (103.28 mM), Ethanol: 10 mg/mL (18.77 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	1.8779 mL	9.3893 mL	18.7786 mL
5 mM	0.3756 mL	1.8779 mL	3.7557 mL
10 mM	0.1878 mL	0.9389 mL	1.8779 mL
50 mM	0.0376 mL	0.1878 mL	0.3756 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

Beria I, et al. Bioorg Med Chem Lett. 2011, 21(10), 2969-2974.
Valsasina B, et al. Mol Cancer Ther. 2012, 11(4), 12006-12016.