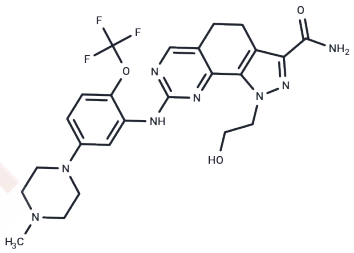
Data Sheet (Cat.No.T6247)

TargetMol

Onvansertib

Chemical Properties



CAS No. : 1034616-18-6

Formula: C24H27F3N8O3

Molecular Weight: 532.52

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	Onvansertib (NMS-1286937) is a PLK1 inhibitor (IC50=2 nM) with high selectivity and oral activity. Onvansertib has antitumor activity and inhibits tumor growth.
Targets(IC50)	Apoptosis,PLK
In vitro	**METHODS**: 137 tumor cells were treated with Onvansertib for 72 h. Cell viability was measured by CellTiter-Glo Assay. **RESULTS**: Sixty of the 137 cell lines had IC50 values below 100 nmol/L, and only 9 cell lines had IC50 values above 1 μmol/L, indicating a wide range of activity. [1] **METHODS**: Cisplatin-sensitive and -resistant CAL33 were treated with Onvansertib (25-50 nM) for 24 days, and the cell cycle was examined by Flow cytometry. **RESULTS**: Onvansertib treatment induced accumulation of all sensitive and resistant CAL33 cells in G2/M phase in a dose-dependent manner. [2]
In vivo	**METHODS**: To test the antitumor activity in vivo, Onvansertib (60 mg/kg) was administered orally to Hsd, athymic nu/nu mice bearing HCT116 xenografts once daily for eight days. **RESULTS**: Onvansertib was able to achieve good antitumor activity with minimal weight loss and inhibited tumor growth to a considerable extent with a TGI of 79%. [1]
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 Supernatant, containing the phosphorylated substrate, is subsequently withdrawn and transferred into a counting plate, followed by evaluation by b-counting.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

Cell Research	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: 25 mg/mL (46.95 mM),Sonication and heating are recommended. Ethanol: 10 mg/mL (18.78 mM),Heating is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (3.76 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

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.

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

Valsasina B, et al. NMS-P937, an orally available, specific small-molecule polo-like kinase 1 inhibitor with antitumor activity in solid and hematologic malignancies. Mol Cancer Ther. 2012 Apr;11(4):1006-16.
Hu D, Cao J, Yu H, et al.PI3K inhibitor idelalisib enhances the anti-tumor effects of CDK4/6 inhibitor palbociclib via PLK1 in B-cell lymphoma.Cancer Letters.2024: 216996.
Hagege A, et al. The Polo-like kinase 1 inhibitor onvansertib represents a relevant treatment for head and neck squamous cell carcinoma resistant to cisplatin and radiotherapy. Theranostics. 2021 Sep 21;11(19):9571-9586.