

MLN0905

Chemical Properties

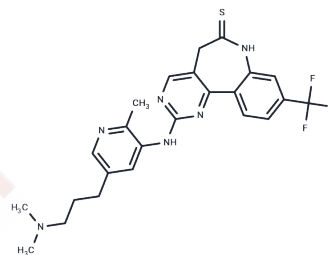
CAS No. : 1228960-69-7

Formula: C₂₄H₂₅F₃N₆S

Molecular Weight: 486.56

Appearance: no data available

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



Biological Description

Description	MLN0905 (PLK1 Inhibitor) is an effective PLK1 inhibitor(IC ₅₀ =2 nM).
Targets(IC ₅₀)	PLK
In vitro	In nude mice bearing HT-29 xenografts, oral administration of MLN0905 (6.25 mg / Kg- 50 mg / Kg) demonstrated a dose-dependent pharmacological response 48 hours post-treatment. Similarly, in mice harboring OCI LY-19-Luc tumors, oral MLN0905 (3.12 mg / Kg-6.25 mg / Kg) showed a pharmacological response 8 hours after treatment.
In vivo	MLN0905 acts as a potent inhibitor of PLK1, exhibiting an IC ₅₀ value of 2 nM. It effectively hampers cell mitosis with an EC ₅₀ of 9 nM and inhibits cell proliferation in HT-29 cells, as evidenced by an LD ₅₀ of 22 nM. Furthermore, in a range of lymphoma cell lines, MLN0905 demonstrates the ability to diminish cell viability, with IC ₅₀ values ranging from 3 to 24 nM.
Kinase Assay	PLK1 Flash Plate Assay: The human PLK1 enzymatic reaction totaling 30μL contained 50 mM Tris-HCl (pH 8.0), 10 mM MgCl ₂ , 0.02% BSA, 10% glycerol, 1 mM DTT, 100 mM NaCl, 3.3% DMSO, 8 μM ATP, 0.2μCi [γ- ³³ P]-ATP, 4 μM peptide substrate (Biotin-AHX-LDETGHLDSSGLQEVLHA-CONH ₂), and 10 nM recombinant human PLK1[2-369]T210D. The enzymatic reaction mixture, with or without PLK inhibitors, is incubated for 2.5 h at 30°C before termination with 20μL of 150 mM EDTA. Then 25μL of the stopped enzyme reaction mixture is transferred to a 384-well streptavidin coated Image FlashPlate and incubated at room temperature for 3 h. The Image Flash Plate wells are washed three times with 0.02% Tween-20 and then read on the Perkin-Elmer Viewlux.

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 90 mg/mL (184.97 mM),Sonication is recommended. H ₂ O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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A DRUG SCREENING EXPERT

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0552 mL	10.2762 mL	20.5524 mL
5 mM	0.411 mL	2.0552 mL	4.1105 mL
10 mM	0.2055 mL	1.0276 mL	2.0552 mL
50 mM	0.0411 mL	0.2055 mL	0.411 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

Duffey MO, et al. J Med Chem, 2012, 55(1), 197-208.
Shi JQ, et al. Mol Cancer Ther, 2012, 11(9), 2045-2053.

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