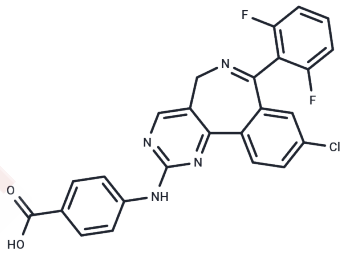
Data Sheet (Cat.No.T6315)

TargetMol

MLN8054

Chemical Properties



CAS No. : 869363-13-3

Formula: C25H15ClF2N4O2

Molecular Weight: 476.86

Appearance: no data available

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

Biological Description

Description	MLN8054 is a potent and selective Aurora A kinase inhibitor with an IC50 of 4 nM.
Targets(IC50)	Casein Kinase,Aurora Kinase,PKA,Src
In vitro	MLN8054 is an ATP-competitive, reversible inhibitor of recombinant Aurora A kinase with an IC50 of 4 nM, demonstrating over 40-fold selectivity for Aurora A compared to Aurora B. [1] In vitro, MLN8054 inhibits growth across various cell lines from diverse tissues with IC50 values ranging from 0.11 μM to 1.43 μM. It selectively inhibits Aurora A over Aurora B in cultured cells, and impedes cell proliferation by inducing G2/M accumulation and spindle defects in multiple human tumor cell lines. [1] A recent study indicates that MLN8054 sensitizes androgen-resistant prostate cancer to radiation by inhibiting Aurora A kinase, leading to sustained DNA double-strand breaks. [2]
In vivo	In HCT-116 tumor-bearing mice, oral administration of MLN8054 at 3 mg/kg, 10 mg/kg, and 30 mg/kg once daily results in dose-dependent tumor growth inhibition (TGI: 76% and 84% for 10 mg/kg and 30 mg/kg, respectively). MLN8054 demonstrates similar antitumor activity in PC-3 tumor xenografts in nude mice. [1] In HCT-116 xenograft-bearing animals, MLN8054 induces DNA and tubulin staining of tumor tissue in nuclear and cell body areas, consistent with a senescent phenotype, by increasing senescence-associated beta-galactosidase activity. [3]
Kinase Assay	Enzyme Assays：Recombinant murine Aurora A and Aurora B protein are expressed in Sf9 cells and purified with GST affinity chromatography. The peptide substrate for Aurora A is conjugated with biotin (Biotin-GLRRASLG). Aurora A kinase (5 nM) is assayed in 50 mM Hepes (pH 7.5)/10 mM MgCl2/5 mM DTT/0.05% Tween 20/2 μM peptide substrate/3.3 μCi/ml [γ-33P]ATP at 2 μM by using Image FlashPlates. Aurora B kinase (2 nM) is assayed with 10 μM biotinylated peptide Biotin-TKQTARKSTGGKAPR in 50 mM Tricine (pH 8.0)/2.5 mM MgCl2/5 mM DTT/10% glycerol/2% BSA/40 μCi/ml [γ-33P]ATP at 250 μM. The conditions for all other in vitro kinase assays are available upon request. MLN8054 is run in a 226 kinase screen at a 1 μM compound concentration with an ATP concentration of 10 μM for all assays.
Cell Research	Human tumor cell lines are grown in 96-well cell culture dishes according to the distributor's recommendations. MLN8054, diluted in DMSO, is added to the cells in 2-fold serial dilutions to achieve final concentrations ranging from 10 mM to 0.04 mM. MLN8054 at each dilution is added in triplicate with each replicate on a separate plate.

Cells treated with DMSO (n = 6 wells per plate; 0.2% final concentration) serves as the untreated control. The cells are treated with MLN8054 for 96 hours at 37 °C in a humidified cell culture chamber. Cell viability in each cell line is measured by using the Cell Proliferation ELISA, BrdU colorimetric kit according to the manufacturer's recommendation(Only for Reference)

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 88 mg/mL (184.54 mM),Sonication 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	2.0971 mL	10.4853 mL	20.9705 mL
5 mM	0.4194 mL	2.0971 mL	4.1941 mL
10 mM	0.2097 mL	1.0485 mL	2.0971 mL
50 mM	0.0419 mL	0.2097 mL	0.4194 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

Manfredi MG, et al. Proc Natl Acad Sci U S A, 2007, 104(10), 4106-4111.
Li Y, Tang S, Shi X, et al.Metabolic classification suggests the GLUT1/ALDOB/G6PD axis as a therapeutic target in chemotherapy-resistant pancreatic cancer.Cell Reports Medicine.2023
Moretti L, et al. Int J Radiat Oncol Biol Phys, 2011, 80(4), 1189-1197.
Huck JJ, et al. Mol Cancer Res, 2010, 8(3), 373-384.