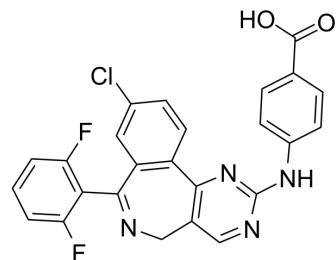


MLN8054

Cat. No.:	HY-10180		
CAS No.:	869363-13-3		
Molecular Formula:	C ₂₅ H ₁₅ ClF ₂ N ₄ O ₂		
Molecular Weight:	476.86		
Target:	Aurora Kinase		
Pathway:	Cell Cycle/DNA Damage; Epigenetics		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	1 year
		-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20.83 mg/mL (43.68 mM); ultrasonic and warming and heat to 60°C)

Concentration	Mass		
	1 mg	5 mg	10 mg
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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: 2.5 mg/mL (5.24 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.08 mg/mL (4.36 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.08 mg/mL (4.36 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MLN8054 is a potent, selective and orally available aurora A kinase inhibitor with an IC₅₀ of 4 nM.

IC₅₀ & Target

Aurora A
4 nM (IC₅₀)

In Vitro

MLN8054 is an ATP-competitive, reversible inhibitor of recombinant Aurora A kinase. MLN8054 is >40-fold more selective for Aurora A compared with the family member Aurora B. MLN8054 selectively inhibits Aurora A over Aurora B in cultured

human tumor cells. MLN8054 treatment results in G2/M accumulation and spindle defects and inhibits proliferation in multiple cultured human tumor cells lines. MLN8054 effectively inhibits the growth of cells from diverse tissue origins with IC₅₀ values ranging from 0.11 to 1.43 μM ^[1]. Treatment of human tumor cells grown in culture with MLN8054 shows a number of morphologic and biochemical changes associated with senescence^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

In the HCT-116 tumor-bearing mice, MLN8054 treatment inhibits tumor growth dose dependently. MLN8054 is generally well tolerated. MLN8054 also inhibits the growth of the PC-3 tumor xenograft in nude mice. MLN8054 Treatment Results in Inhibition of Aurora A, Accumulation of Mitotic Cells, and Apoptosis in vivo^[1]. MLN8054 selectively inhibits Aurora A kinase activity when dosed at 30 mg/kg. At this dose in HCT116 tumor tissue, MLN8054 has been shown to inhibit Aurora A autophosphorylation, and induce an increase in the Aurora B substrate, pHisH3^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay ^[1]

MLN8054 is added to human tumor cells in 2-fold serial dilutions to achieve final concentrations ranging from 10 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) served as the untreated control. The cells are treated with MLN8054 for 96 h 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^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration ^[1]

Mice: Nude mice bearing HCT-116 tumors are treated orally once per day for 21 consecutive days with either vehicle control or MLN8054 at doses of 3, 10, or 30 mg/kg. Tumor volumes are measured by using a vernier caliper and calculated^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Cancer Res. 2017 Sep 15;77(18):4785-4796.
- PLoS Biol. 2020 Jun 9;18(6):e3000288.
- EMBO Rep. (2021)e51847.
- Comput Struct Biotechnol J. 2019 Feb 8;17:352-361.

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REFERENCES

- [1]. Manfredi MG, et al. Antitumor activity of MLN8054, an orally active small-molecule inhibitor of Aurora A kinase. Proc Natl Acad Sci U S A. 2007 Mar 6;104(10):4106-11.
- [2]. Huck JJ, et al. MLN8054, an inhibitor of Aurora A kinase, induces senescence in human tumor cells both in vitro and in vivo. Mol Cancer Res. 2010 Mar;8(3):373-84.

Caution: Product has not been fully validated for medical applications. For research use only.

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