

产品名称: 4-[[9-氯-7-(2,6-二氟苯基)-5H-嘧啶并[5,4-D][2]苯并氮杂卓-2-基]氨基]苯甲酸
 产品别名: MLN8054

生物活性:																									
Description	MLN8054 is a potent, selective and orally available aurora A kinase inhibitor with an IC ₅₀ of 4 nM.																								
IC₅₀ & Target	Aurora A [1]																								
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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].																								
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].																								
Solvent&Solubility	In Vitro: DMSO : 30 mg/mL (62.91 mM; Need ultrasonic and warming)																								
	<table border="1"> <thead> <tr> <th rowspan="2">Preparing</th> <th>Solvent</th> <th>Mass</th> <th rowspan="2">1 mg</th> <th rowspan="2">5 mg</th> <th rowspan="2">10 mg</th> </tr> <tr> <th>Concentration</th> <th></th> </tr> </thead> <tbody> <tr> <td rowspan="3">Stock Solutions</td> <td>1 mM</td> <td></td> <td>2.0971 mL</td> <td>10.4853 mL</td> <td>20.9705 mL</td> </tr> <tr> <td>5 mM</td> <td></td> <td>0.4194 mL</td> <td>2.0971 mL</td> <td>4.1941 mL</td> </tr> <tr> <td>10 mM</td> <td></td> <td>0.2097 mL</td> <td>1.0485 mL</td> <td>2.0971 mL</td> </tr> </tbody> </table>	Preparing	Solvent	Mass	1 mg	5 mg	10 mg	Concentration		Stock Solutions	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
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*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。-80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。																									
References	[1]. Manfredi MG, et al. Antitumor activity of MLN8054, an orally active small-molecule inhibitor of Aurora A kinase. <i>Proc Natl Acad Sci U S A</i> . 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. <i>Mol Cancer Res</i> . 2010 Mar;8(3):373-84.																								
实验参考:																									
Cell Assay	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].
Animal Administration	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].
References	[1]. <u>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.</u> [2]. <u>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.</u>



源叶生物