



上海源叶生物科技有限公司  
 Shanghai yuanye Bio-Technology Co., Ltd  
 电话: 021-61312973 传真: 021-55068248  
 网址: www.shyuanye.com  
 邮箱: shyysw@sina.com

产品名称: **MLN120B**  
 产品别名: **MLN120B**

生物活性:					
<b>Description</b>	MLN120B is a specific, ATP competitive IKK $\beta$ inhibitor with an IC <sub>50</sub> of 60 nM.				
<b>IC<sub>50</sub> &amp; Target</b>	IKK $\beta$				
	60 nM (IC <sub>50</sub> )				
<b>In Vitro</b>	MLN120B inhibits both baseline and tumor necrosis factor- $\alpha$ -induced nuclear factor- $\kappa$ B activation, associated with down-regulation of I $\kappa$ B $\alpha$ and p65 nuclear factor- $\kappa$ B phosphorylation in multiple myeloma cells. MLN120B almost completely blocks stimulation of MM.1S, U266, and INA6 cell growth, as well as IL-6 secretion from BMSCs, induced by multiple myeloma cell adherence to BMSCs[1]. MLN120B shows an inhibitory effect on LPS induced NF- $\kappa$ B activation in RAW267.4 cells. The IC <sub>50</sub> values of MLN120B is 1.4, 14.8 or 27.3 $\mu$ M for NF- $\kappa$ B2-luc2, IL8-luc2 or TNF-AIP3-luc2 reporter transfected cells, respectively[3].				
<b>In Vivo</b>	MLN120B (50 mg/kg, p.o.) inhibits human multiple myeloma cell growth in vivo[1]. MLN120B (12 mg/kg twice daily, p.o.) inhibits paw swelling in a dose-dependent manner and offers significant protection against arthritis-induced weight loss as well as cartilage and bone erosion. NF- $\kappa$ B activity in arthritic joints is reduced after MLN120B administration[2].				
<b>Solvent&amp;Solubility</b>	<p><b>In Vitro:</b>            DMSO : <math>\geq</math> 31 mg/mL (84.51 mM)            H<sub>2</sub>O : &lt; 0.1 mg/mL (insoluble)            * "<math>\geq</math>" means soluble, but saturation unknown.</p>				
		Solvent Concentration	Mass Concentration		
	<b>Preparing</b>		<b>1 mg</b>	<b>5 mg</b>	<b>10 mg</b>
	<b>Stock Solutions</b>	1 mM	2.7263 mL	13.6314 mL	27.2628 mL
		5 mM	0.5453 mL	2.7263 mL	5.4526 mL
	10 mM	0.2726 mL	1.3631 mL	2.7263 mL	
<p>*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。</p> <p><b>In Vivo:</b>            请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：            ——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用；以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶</p> <p>1.请依序添加每种溶剂： 10% DMSO<math>\rightarrow</math> 90% (20% SBE-<math>\beta</math>-CD in saline)            Solubility: 2.5 mg/mL (6.82 mM); Suspended solution; Need ultrasonic            此方案可获得 2.5 mg/mL (6.82 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。            以 1 mL 工作液为例，取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 20% 的 SBE-<math>\beta</math>-CD 生理</p>					



	<p>盐水水溶液中，混合均匀。</p> <p>2.请依序添加每种溶剂： 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (6.82 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (6.82 mM, 饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。</p> <p>以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。</p>
<p><b>References</b></p>	<p>[1]. Hideshima T, et al. MLN120B, a novel IκappaB kinase beta inhibitor, blocks multiple myeloma cell growth in vitro and in vivo. Clin Cancer Res. 2006 Oct 1;12(19):5887-94.</p> <p>[2]. Schopf L, et al. IKKbeta inhibition protects against bone and cartilage destruction in a rat model of rheumatoid arthritis. Arthritis Rheum. 2006 Oct;54(10):3163-73.</p> <p>[3]. Ansaldi D, et al. Imaging pulmonary NF-kappaB activation and therapeutic effects of MLN120B and TDZD-8. PLoS One. 2011;6(9):e25093.</p> <p>[4]. Nagashima K, et al. Rapid TNFR1-dependent lymphocyte depletion in vivo with a selective chemical inhibitor of IKKbeta. Blood. 2006 Jun 1;107(11):4266-73.</p>
<p><b>实验参考:</b></p>	
<p><b>Cell Assay</b></p>	<p>Multiple myeloma cells are cultured with MLN120B, harvested, washed, and lysed using lysis buffer [50 mM Tris-HCl (pH 7.4), 150 mM NaCl, 1% NP40, 5 mM EDTA, 5 mM NaF, 2 mM Na<sub>3</sub>VO<sub>4</sub>, 1 mM phenylmethylsulfonyl fluoride, 5 μg/mL leupeptin, 5 μg/mL aprotinin]. Whole-cell lysates are subjected to Western blotting using phosphorylated IκBα, IκBα, phosphorylated p65 NF-κB, and p65 NF-κB antibodies. [1]</p>
<p><b>Animal Administration</b></p>	<p>Human fetal long bone grafts are implanted into SCID mice (SCID-hu mice) as described previously. Approximately 4 weeks following bone implantation, 2.5×10<sup>6</sup> INA6 multiple myeloma cells in 50 μL PBS is injected directly into human bone within SCID-hu hosts. Soluble human IL-6 receptor (shuIL-6R) released from INA6 cells is assessed in mouse sera by ELISA as in our prior studies. Mice are treated orally with vehicle alone or MLN120B 50 mg/kg (twice daily) for 3 weeks after detection of measurable shuIL-6R in mouse sera. [1]</p>
<p><b>References</b></p>	<p>[1]. Hideshima T, et al. MLN120B, a novel IκappaB kinase beta inhibitor, blocks multiple myeloma cell growth in vitro and in vivo. Clin Cancer Res. 2006 Oct 1;12(19):5887-94.</p> <p>[2]. Schopf L, et al. IKKbeta inhibition protects against bone and cartilage destruction in a rat model of rheumatoid arthritis. Arthritis Rheum. 2006 Oct;54(10):3163-73.</p> <p>[3]. Ansaldi D, et al. Imaging pulmonary NF-kappaB activation and therapeutic effects of MLN120B and TDZD-8. PLoS One. 2011;6(9):e25093.</p> <p>[4]. Nagashima K, et al. Rapid TNFR1-dependent lymphocyte depletion in vivo with a selective chemical inhibitor of IKKbeta. Blood. 2006 Jun 1;107(11):4266-73.</p>