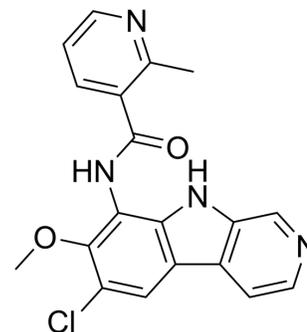


## MLN120B

<b>Cat. No.:</b>	HY-15473		
<b>CAS No.:</b>	783348-36-7		
<b>Molecular Formula:</b>	C <sub>19</sub> H <sub>15</sub> ClN <sub>4</sub> O <sub>2</sub>		
<b>Molecular Weight:</b>	366.8		
<b>Target:</b>	IKK		
<b>Pathway:</b>	NF-κB		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



## SOLVENT & SOLUBILITY

### In Vitro

DMSO : ≥ 31 mg/mL (84.51 mM)  
 \* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	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

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

### In Vivo

- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 2.5 mg/mL (6.82 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.08 mg/mL (5.67 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: 2.08 mg/mL (5.67 mM); Suspended solution; Need ultrasonic

## BIOLOGICAL ACTIVITY

### Description

MLN120B (ML120B) is a potent, ATP competitive, and orally active inhibitor of IKKβ with an IC<sub>50</sub> of 60 nM. MLN120B inhibits multiple myeloma cell growth in vitro and in vivo and also can be used for the research of rheumatoid arthritis<sup>[1][2]</sup>.

### IC<sub>50</sub> & Target

IKKβ  
60 nM (IC<sub>50</sub>)

**In Vitro**

MLN120B (0-20  $\mu$ M; 90 minutes) inhibits phosphorylation and degradation of I $\kappa$ B in RPMI 8226 and INA6 cells; however, no significant inhibition is observed in MM.1S cells<sup>[1]</sup>.

MLN120B (1.25-20  $\mu$ M; 90 minutes) completely abrogates TNF- $\alpha$ -induced phosphorylation and degradation of I $\kappa$ B in a dose-dependent fashion. Phosphorylation of p65 NF- $\kappa$ B induced by TNF- $\alpha$  is also blocked by MLN120B<sup>[1]</sup>.

MLN120B inhibits proliferation of multiple myeloma cell lines. MM.1S, MM.1R, RPMI 8226, RPMI-LR5, RPMI-Dox40, U266, and INA6 cells. Five percent to fifty percent and 18% to 70% inhibition in proliferation is observed at doses >20  $\mu$ M and [<sup>3</sup>H]thymidine uptake, respectively<sup>[1]</sup>.

MLN120B (1.25-40  $\mu$ M; 72 hours) 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<sup>[1]</sup>.

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  $\mu$ M, 14.8  $\mu$ M or 27.3  $\mu$ M for NF- $\kappa$ B2-luc2, IL8-luc2 or TNF- $\alpha$ -luc2 reporter transfected cells, respectively<sup>[3]</sup>.

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

**Western Blot Analysis<sup>[1]</sup>**

Cell Line:	MM.1S cells
Concentration:	1.25-20 $\mu$ M
Incubation Time:	90 minutes
Result:	Inhibited p- I $\kappa$ B and p-P65 expression in a dose-dependent manner.

**Cell Viability Assay<sup>[1]</sup>**

Cell Line:	Multiple myeloma cell lines: MM.1S, MM.1R, RPMI 8226, RPMI-LR5, RPMI-Dox40, U266, and INA6 cells
Concentration:	1.25 $\mu$ M-20 $\mu$ M
Incubation Time:	72 hours
Result:	Inhibits proliferation of multiple myeloma cell lines.

**In Vivo**

MLN120B (oral administration; 50 mg/kg; twice daily; 3 weeks) induces a reduction of shuLL-6R, marker of tumor growth, marker of tumor growth. It also leads to a trend toward prolonged survival in animals treated versus control<sup>[1]</sup>.

MLN120B (oral administration; 1-30 mg/kg; twice daily; 3 weeks) 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 also reduced after MLN120B administration<sup>[2]</sup>.

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

Animal Model:	Two-month-old female Lewis rats <sup>[2]</sup>
Dosage:	30 mg/kg, 10 mg/kg, 3 mg/kg, or 1 mg/kg
Administration:	Oral administration; twice daily; 3 weeks
Result:	Protected against bone and cartilage destruction in a rat model.

Animal Model:	SCID mice implanted with human fetal bone chips and then INA6 cells are directly injected into mice <sup>[1]</sup>
Dosage:	50 mg/kg
Administration:	Oral administration; twice daily; 3 weeks

Result:

Inhibited human multiple myeloma cell growth in vivo.

## CUSTOMER VALIDATION

- Nature. 2022 Oct;610(7931):366-372.
- Cancer Cell. 2024 Jan 6;S1535-6108(23)00447-6.
- Signal Transduct Target Ther. 2020 Oct 9;5(1):235.
- Blood. 2015 Nov 12;126(20):2291-301.
- Blood. 2015 Sep 10;126(11):1324-35.

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## REFERENCES

- [1]. Hideshima T, et al. MLN120B, a novel I $\kappa$ B kinase beta inhibitor, blocks multiple myeloma cell growth in vitro and in vivo. Clin Cancer Res. 2006 Oct 1;12(19):5887-94.
- [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.
- [3]. Ansaldi D, et al. Imaging pulmonary NF-kappaB activation and therapeutic effects of MLN120B and TDZD-8. PLoS One. 2011;6(9):e25093.
- [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.

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

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