MLN120B dihydrochloride

Cat. No.: HY-15473A

CAS No.: 1782573-78-7

Molecular Formula: $C_{19}H_{17}Cl_3N_4O_2$

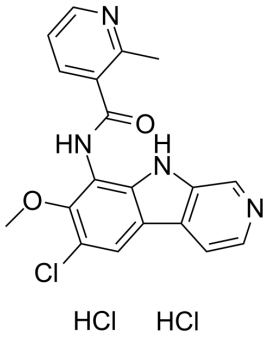
Molecular Weight: 439.72

Target: IKK

Pathway: NF-κB

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 16.67 mg/mL (37.91 mM; ultrasonic and warming and adjust pH to 8 with NaOH and heat to 80°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2742 mL	11.3709 mL	22.7417 mL
	5 mM	0.4548 mL	2.2742 mL	4.5483 mL
	10 mM	0.2274 mL	1.1371 mL	2.2742 mL

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

BIOLOGICAL ACTIVITY

Description

MLN120B dihydrochloride (ML120B dihydrochloride) is a potent, ATP competitive, and orally active inhibitor of IKKβ with an IC_{50} 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[1][2].

In Vitro

MLB120B (0-20 μM; 90 minutes) inhibits phosphorylation and degradation of IκB in RPMI 8226 and INA6 cells; however, no significant inhibition is observed in MM.1S cells[1].

MLB120B (1.25-20 μM; 90 minutes) completely abrogates TNF-a-induced phosphorylation and degradation of IκB in a dosedependent fashion. Phosphorylation of p65 NF-κB induced by TNF-a is also blocked by MLN120B[1].

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 uM and [^{3}H]thymidine uptake, respectively[1].

MLN120B (1.25-40 μM; 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[1].

MLN120B shows an inhibitory effect on LPS induced NF-κB activation in RAW267.4 cells. The IC_{50} values of MLN120B is 1.4 μM, 14.8 μM or 27.3 μM for NF-κB2-luc2, IL8-luc2 or TNF-AIP3-luc2 reporter transfected cells, respectively[3].

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

Western Blot Analysis[1]

Cell Line:	MM.1S cells
Concentration:	5 μM; 10 μM; 20 μM
Incubation Time:	90 mintues
Result:	Inhibited p-IκB and p-P65 expression in a dose-dependent manner.

Cell Viability Assay[1]

Cell Line:	Myeloma cell lines: MM.1S, MM.1R, RPMI 8226, RPMI-LR5, RPMI-Dox40, U266, and INA6 cells
Concentration:	0-40 μM
Incubation Time:	72 hours
Result:	Inhibited proliferation of multiple myeloma cell lines.

In Vivo

MLN120B (oral administration; 50 mg/kg; twice daily; 3 weeks) induces a reduction of shuIL-6R, marker of tumor growth, marker of tumor growth. It also leads to a rend toward prolonged survival in animals treated versus control[1].
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MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	SCID mice implanted with human fetal bone chips and then INA6 cells are directly injected into mice[1]
Dosage:	50 mg/kg
Administration:	Oral administration; twice daily; 3 weeks
Result:	Inhibited human multiple myeloma cell growth in vivo.

Animal Model:	Two-month-old female Lewis rats[2]
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.

CUSTOMER VALIDATION

- Blood. 2015 Nov 12;126(20):2291-301.
- Blood. 2015 Sep 10;126(11):1324-35.
- Sci Transl Med. 2021 Jan 27;13(578):eaba7308.
- Signal Transduct Target Ther. 2020 Oct 9;5(1):235.
- Theranostics. 2020 Apr 6;10(11):5029-5047.

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REFERENCES

[1]. Hideshima T, et all. MLN120B, a novel IkappaB 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]. [3].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.

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