MLN120B

Cat. No.: HY-15473
CAS No.: 783348-36-7
Molecular Formula: C₁₉H₁₅ClN₄O₂
Molecular Weight: 366.8
Target: IKK
Pathway: NF-κB
Storage: Powder
-20°C 3 years
4°C 2 years
In solvent
-80°C 6 months
-20°C 1 month

Solvent & Solubility

<table>
<thead>
<tr>
<th></th>
<th>DMSO: ≥ 31 mg/mL (84.51 mM)</th>
</tr>
</thead>
<tbody>
<tr>
<td>In Vitro</td>
<td></td>
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<tr>
<td>Solvent</td>
<td>Concentration</td>
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<tr>
<td></td>
<td></td>
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<tr>
<td>1 mM</td>
<td>2.7263 mL</td>
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<tr>
<td>5 mM</td>
<td>0.5453 mL</td>
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<tr>
<td>10 mM</td>
<td>0.2726 mL</td>
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</tbody>
</table>

Preparing Stock Solutions

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

BIOLOGICAL ACTIVITY

Description
MLN120B is a specific, ATP competitive IKKβ inhibitor with an IC₅₀ of 60 nM.

IC₅₀ & Target
IKKβ
60 nM (IC₅₀)

In Vitro
MLN120B inhibits both baseline and tumor necrosis factor-α-induced nuclear factor-κB activation, associated with down-regulation of IκBα and p65 nuclear factor-κB 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-κB activation in RAW267.4 cells. The IC₅₀ values of MLN120B is 1.4, 14.8 or 27.3 µM for NF-κB2-luc2, IL8-luc2 or TNF-AIP3-luc2 reporter transfected cells, respectively[3].

In Vivo
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-κB activity in arthritic joints is reduced after MLN120B administration[2].

**PROTOCOL**

**Cell Assay**[1]

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 Na3VO4, 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.

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

**Animal Administration**[1]

Human fetal long bone grafts are implanted into SCID mice (SCID-hu mice) as described previously. Approximately 4 weeks following bone implantation, 2.5×10⁶ 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.

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

**CUSTOMER VALIDATION**

- Blood. 2015 Nov 12;126(20):2291-301.
- Blood. 2015 Sep 10;126(11):1324-35.

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**REFERENCES**


