**Product Data Sheet**

**MLN8054**

Cat. No.: HY-10180  
CAS No.: 869363-13-3  
Molecular Formula: C₂₅H₁₅ClF₂N₄O₂  
Molecular Weight: 476.86  
Target: Aurora Kinase  
Pathway: Cell Cycle/DNA Damage; Epigenetics  
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>Concentration</th>
<th>DMSO : 30 mg/mL (62.91 mM; Need ultrasonic and warming)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Mass</td>
<td>1 mg</td>
</tr>
<tr>
<td></td>
<td>5 mg</td>
</tr>
<tr>
<td></td>
<td>10 mg</td>
</tr>
<tr>
<td>1 mM</td>
<td>2.0971 mL</td>
</tr>
<tr>
<td></td>
<td>10.4853 mL</td>
</tr>
<tr>
<td></td>
<td>20.9705 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4194 mL</td>
</tr>
<tr>
<td></td>
<td>2.0971 mL</td>
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<tr>
<td></td>
<td>4.1941 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2097 mL</td>
</tr>
<tr>
<td></td>
<td>1.0485 mL</td>
</tr>
<tr>
<td></td>
<td>2.0971 mL</td>
</tr>
</tbody>
</table>

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

**BIOLOGICAL ACTIVITY**

Description: MLN8054 is a potent, selective and orally available aurora A kinase inhibitor with an IC₅₀ of 4 nM.

IC₅₀ & Target: Aurora A  
4 nM (IC₅₀)

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.
Treatment Results in Inhibition of Aurora A, Accumulation of Mitotic Cells, and Apoptosis in vivo\textsuperscript{[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, pHistH3\textsuperscript{[2]}.

**PROTOCOL**

**Cell Assay**\textsuperscript{[1]}

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\textsuperscript{[1]}. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**Animal Administration**\textsuperscript{[1]}

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\textsuperscript{[1]}. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**CUSTOMER VALIDATION**

- Cancer Res. 2017 Sep 15;77(18):4785-4796.
- Technical University of Munich. 24.01.2018.

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**REFERENCES**
