Dacinostat

Cat. No.: HY-13606
CAS No.: 404951-53-7
Molecular Formula: C₂₂H₂₅N₃O₃
Molecular Weight: 379.45
Target: HDAC; Autophagy
Pathway: Cell Cycle/DNA Damage; Epigenetics; Autophagy
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>Solvent</th>
<th>Mass (mg/mL)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mg</td>
<td></td>
</tr>
<tr>
<td>5 mg</td>
<td></td>
</tr>
<tr>
<td>10 mg</td>
<td></td>
</tr>
</tbody>
</table>

DMSO : ≥ 43 mg/mL (113.32 mM)
* “≥” means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.6354 mL</td>
<td>13.1770 mL</td>
<td>26.3539 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5271 mL</td>
<td>2.6354 mL</td>
<td>5.2708 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2635 mL</td>
<td>1.3177 mL</td>
<td>2.6354 mL</td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

Description
Dacinostat is a potent HDAC inhibitor, with an IC₅₀ of 32 nM; Dacinostat also inhibits HDAC1 with an IC₅₀ of 9 nM, and used in cancer research.

IC₅₀ & Target

<table>
<thead>
<tr>
<th>Target</th>
<th>IC₅₀</th>
</tr>
</thead>
<tbody>
<tr>
<td>HDAC1</td>
<td>9 nM (IC₅₀)</td>
</tr>
<tr>
<td>HDAC</td>
<td>32 nM (IC₅₀)</td>
</tr>
</tbody>
</table>

In Vitro
Dacinostat (NVP-LAQ824) activates p21 promoter, with AC₅₀ of 0.30 μM. NVP-LAQ824 inhibits tumor cell (H1299, HCT116) growth, with IC₅₀s of 150 and 10 nM, respectively. NVP-LAQ824 also shows inhibitory activities against two prostate cancer cell lines (DU145 and PC3) and a breast cancer line (MDA435), with IC₅₀s of 18, 23, 39 nM, respectively. Continuous exposure of NVP-LAQ824 for 72 h produces LD90s of 0.09 M in HCT116 cells and 0.47 M in A549 cells. NVP-LAQ824 treatment of NDHF cells causes the expected G1-S growth arrest in addition to a significant reduction of cells in S-phase and accumulation of cells at the G2-M checkpoint. NVP-LAQ824 induces apoptotic death in human tumor cells. NVP-LAQ824 increases acetylation of histones H3 and H4[1]. Dacinostat inhibits HDAC1.

### In Vivo

NVP-LAQ824 produces a dose-dependent inhibition of tumor growth, and at 100 mg/kg, its antitumor effect is similar to that of 5-Fluorouracil[1].

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### PROTOCOL

#### Kinase Assay [2]

The HDAC enzymatic assay measures compound activity in inhibiting purified HDAC isoforms. HDACs 1, 3, and 6 are immunopurified from 293 cells stably expressing the FLAG-tagged HDAC isoform, whereas HDACs 2, 4, 5, 7, 8, 9, 10, and 11 are purified from the baculovirus expression system. HDAC activity is measured in a fluorescent assay in which deacetylation of the substrate, bis-Boc-(Ac)Lys-rhodamine 110, generates a fluorophore that can be detected on a fluorometric plate reader[2].

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

#### Cell Assay [2]

Cells are plated at 5000–10000 cells per well in 96-well plates and treated with eight serial compound dilutions. Cell viability following 72 h of compound treatment is measured using the CellTiter-Glo or MTS assay. XLfit 4 is used for plotting of the growth curves and calculation of IC₅₀ values[2].

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#### Animal Administration [1]

The studies are performed on-site, using outbred athymic (nu/nu) female mice. Mice are anesthetized with Metofane, and a cell suspension (100 μL) containing 1×10⁶ HCT116 cells is injected s.c. into the right axillary (lateral) region of each animal. Tumors are allowed to reach the volume of approximately 100-400 mm³. At this point, mice bearing tumors with acceptable morphology (non-necrotic) and of similar size range are selected and distributed into groups of six for the studies. NVP-LAQ824 is dissolved in DMSO to create a stock solution, which is further diluted just before dosing with D5W to a final DMSO concentration of 10%. Tumor-bearing mice are treated with the compound by i.v. injection into the tail vein. NVP-LAQ824 is dosed once daily, 5 days/week, for a total of 15 doses. 5-Fluorouracil is administered at 100 mg/kg in 0.9% saline 1 day/week for a total of three doses. The control groups are treated with the vehicle. Tumors are collected from the animals at the indicated time points[1].

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### REFERENCES


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