VAL-083

Cat. No.: HY-16513  
CAS No.: 23261-20-3  
Molecular Formula: C₆H₁₀O₄  
Molecular Weight: 146.14  
Target: DNA Alkylator/Crosslinker  
Pathway: Cell Cycle/DNA Damage  
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>H₂O: 50 mg/mL (342.14 mM) (Need ultrasonic)</td>
<td></td>
</tr>
<tr>
<td>Preparing Stock Solutions</td>
<td>1 mg</td>
</tr>
<tr>
<td>1 mM</td>
<td>6.8428 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>1.3686 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.6843 mL</td>
</tr>
</tbody>
</table>

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

**BIOLOGICAL ACTIVITY**

**Description**  
VAL-083 is an alkylating agent that creates N7 methylation on DNA, with antitumor activity.

**IC₅₀ & Target**  
DNA Alkylator[^1]

**In Vitro**  
VAL-083 is an alkylating agent that creates N7 methylation on DNA. VAL-083 suppresses U251 and SF188 cell growth and induces apoptosis after 72 h. VAL-083 (5 μM) inhibits the growth of SF188 by -95%. VAL-083 inhibits T98G cells growth in a dose-dependent manner (IC₅₀ <5 μM[^1]). VAL-083 (Dianhydrogalactitol) inhibits the proliferation of HUVEC and U251 cells at doses of more than 12.5 μg/mL. VAL-083 (3.125, 6.25, 12.5 μg/mL) also suppresses the migration and invasion, and reduces MMP2, VEGF, VEGFR2, and FGF2 expression in HUVEC and U251 cells[^2]. VAL-083 (1,2:5,6-dianhydrogalactitol, 1, 2, 5 μM) dose-dependently induces cell cycle arrest at G2/M phase in the 3 glioma cell lines. VAL-083 activates two parallel signaling cascades, the p53-p21 and the CDC25C-CDK1 cascade. In addition, VAL-083 significantly enhances the radiosensitivity of LN229 cells[^3].

**In Vivo**  
VAL-083 (Dianhydrogalactitol, 25, 50, 100 μg/mL) dose-dependently inhibits angiogenesis in zebrafish model. VAL-083 (Dianhydrogalactitol, 25, 50, 100 μg/mL) inhibits angiogenesis in zebrafish model.
083 considerably reduces VEGF, VEGFR2, and FGF2 expression at 25 μg/mL, and further causes reduction in FGFR2 expression at 50 μg/mL\(^2\). VAL-083 (1,2:5,6-dianhydrogalactitol; 5 mg/kg, iv, twice per week for 6 weeks) significantly blocks the growth of LN229 cells in mice with the relative tumor growth rate (T/C) of 22.38%, and the tumor growth inhibitory rate (TGI) of 83.58%. Moreover, VAL-083 dramatically activates the CDC25C-CDK1 cascade in the xenografted tumor model\(^3\).

**PROTOCOL**

**Cell Assay**\(^2\)

The effects of VAL-083 in HUVEC and U251 cell lines are measured by the CCK8 assay. Cells are seeded into 96-well plates at a density of 1 × 10^4 cells per well. After overnight incubation, cell attachment is followed by the addition of VAL-083 in various concentrations for 24 h; then 10 μL CCK8 is added to each well and incubated at 37°C for 2 h. Optical density is measured at 450 nm\(^2\).

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

**Animal Administration**\(^3\)

LN229 cells are suspended in MEM, and 2 × 10^6 cells per mouse are subcutaneously injected into the flank of BALB/c nude mice at 6-8 weeks old. The tumor volume is calculated as follows: 0.5×L×W\(^2\). Tumor-bearing mice are divided into two groups (n = 8) with similar average volumes (vehicle: 108 ± 4 mm\(^3\) vs VAL-083: 107 ± 4 mm\(^3\)). Then, both groups undergo the following treatment: The VAL-083 treatment group receives VAL-083 at 5 mg/kg or 10 μL/g, iv, twice per week for 6 weeks. The vehicle group receives saline at 10 μL/g, iv, three times per week for 6 weeks. Tumor volumes are measured twice per week\(^3\).

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**REFERENCES**

