Mutant IDH1 inhibitor

Cat. No.: HY-13972
CAS No.: 1429180-08-4
Molecular Formula: C₂₅H₃₄N₆O₃
Molecular Weight: 466.58
Target: Isocitrate Dehydrogenase (IDH)
Pathway: Metabolic Enzyme/Protease
Storage:
- Powder: -20°C, 3 years
  - 4°C, 2 years
- In solvent:
  - -80°C, 6 months
  - -20°C, 1 month

SOLVENT & SOLUBILITY

In Vitro
DMSO: ≥ 34 mg/mL (72.87 mM)
* “≥” means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.1433 mL</td>
<td>10.7163 mL</td>
<td>21.4326 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4287 mL</td>
<td>2.1433 mL</td>
<td>4.2865 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2143 mL</td>
<td>1.0716 mL</td>
<td>2.1433 mL</td>
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</tbody>
</table>

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

BIOLOGICAL ACTIVITY

Description
Mutant IDH1 inhibitor is a potent mutant IDH1 R132H inhibitor with IC₅₀ of < 72 nM.

IC₅₀ & Target
IC₅₀: < 72 nM (mutant IDH1 R132H)

In Vitro
Mutant IDH1 inhibitor is a potent IDH1 R132H inhibitor, and used for the treatment of diseases or disorders associated with such mutant IDH proteins including, but not limited to, cell-proliferation disorders, such as cancer[1].

PROTOCOL

Cell Assay[1]
Day 1: cells are seeded in 384-well plates in triplicates for both the cell proliferation and 2HG assay, and incubated at 37°C, 95% Rh, 5% CO₂ overnight. Day 2: compounds are serially diluted 1:3 (10 point dilution from 10 mM solutions

in DMSO) and delivered to the cell assay plates via acoustic dispenser, with final concentration ranging from 30 μM to 1.5 nM. The plates are returned to the incubator after treatment and incubated for 48 hours. Day 4 Proliferation assay: CTG is added to the assay plates and luminescence signal is read on the plate reader. Day 4 2HG assay: Extraction sample preparation consisted of aspirating all media from the assay plates, adding 70 μL of 90% methanol in water, dry ice incubation for 15 minutes, centrifuging at 2000 rpm for 30 min to ensure all particulates have settled, and transferring 30 μL of the supernatant into LC-MS ready plates. LC-MS analysis follows. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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REFERENCES