Olutasidenib

Cat. No.: HY-114226
CAS No.: 1887014-12-1
Molecular Formula: \(\text{C}_{18}\text{H}_{15}\text{ClN}_{4}\text{O}_{2}\)
Molecular Weight: 354.79
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

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass (mg/mL)</th>
<th>Concentration (mM)</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO</td>
<td>125</td>
<td>1</td>
</tr>
<tr>
<td>DMSO</td>
<td>14.0928</td>
<td>5</td>
</tr>
<tr>
<td>DMSO</td>
<td>28.1857</td>
<td>10</td>
</tr>
</tbody>
</table>

Preparing Stock Solutions

- 1 mM: 2.8186 mL
- 5 mM: 0.5637 mL
- 10 mM: 0.2819 mL

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.86 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.86 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Olutasidenib (FT-2102) is a highly potent, orally active, brain penetrant and selective inhibitor of mutant Isocitrate dehydrogenase 1 (IDH1), with IC\(_{50}\) values of 21.2 nM and 114 nM for IDH1- R132H and IDH1- R132C, respectively. Olutasidenib (FT-2102) is under the study in the treatment of acute myeloid leukemia (AML) or myelodysplastic syndrome (MDS)\(^1\)[2].

IC\(_{50}\) & Target
IC\(_{50}\): 21.2 nM (IDH1- R132H), 114 nM (IDH1- R132C)[2].

In Vitro
Olutasidenib (FT-2102) potently inhibits 2-HG production by multiple IDH1-R132 mutants (R132H, R132C, R132G, R132L), suggesting Olutasidenib (FT-2102) could be efficacious against most IDH1-R132 mutant-expressing tumors. Olutasidenib (FT-2102) is highly selective for IDH1 isoforms, showing no appreciable inhibition against wild-type IDH1 (> 20 µM) and IDH2.

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mutants (R172K and R140Q: both > 20 µM)[2].

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

In Vivo

Olutasidenib (FT-2102, three oral doses (12.5, 25, and 50 mg/kg) in 12-hour intervals) exhibits potent anti-tumor activity in HCT116-IDH1-R132H/+ xenograft bearing female BALB/c Nude mice[2].

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

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<td>Dosage:</td>
<td>12.5, 25, and 50 mg/kg.</td>
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<td>Administration:</td>
<td>Three oral doses (12.5, 25, and 50 mg/kg) in 12-hour intervals.</td>
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<tr>
<td>Result:</td>
<td>Showed a time and dose-dependent inhibition of 2-HG levels in in tumor. At the highest dose tested in these studies (50 mg/kg), treatment with FT-2102 inhibited 2-HG levels in the tumor by &gt;90% for up to 24 hours after the last dose in the HCT116-IDH1-R132H/+ xenograft model.</td>
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REFERENCES
