Ziftomenib

Cat. No.: HY-132001
CAS No.: 2134675-36-6
Molecular Formula: C₃₃H₄₂F₃N₉O₂S₂
Molecular Weight: 717.87
Target: Epigenetic Reader Domain
Pathway: Epigenetics
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 : 100 mg/mL (139.30 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>Preparing Stock Solutions</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mg</td>
</tr>
<tr>
<td>1 mM</td>
<td>1.3930 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.2786 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1393 mL</td>
</tr>
</tbody>
</table>

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

In Vivo
1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (2.90 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (2.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Ziftomenib (KO-539) is a menin-MLL interaction inhibitor with antitumor activities (WO2017161028A1, compound 151)[1].

In Vitro
The mixed-lineage leukemia (MLL) protein is a histone methyltransferase critical for the epigenetic regulation of gene transcription. Many acute leukemias, including acute myeloblastic leukemia (AML), acute lymphoblastic leukemia (ALL) and mixed-lineage leukemia (MLL), are characterized by the presence of chimeric MLL fusion proteins that result from chromosomal translocations of the MLL gene located at chromosome 11, band q23 (11q23). MLL fusion proteins lack the original histone methyltransferase activity of the C-terminus of MLL and gain the ability to regulate transcription of numerous oncogenes, including HOX and MEIS1, resulting in increased cell proliferation and decreased cell differentiation, ultimately leading to leukemogenesis[1].

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