Belvarafenib

Cat. No.: HY-109080
CAS No.: 1446113-23-0
Molecular Formula: C_{23}H_{16}ClFN_{6}OS
Molecular Weight: 478.93
Target: Raf
Pathway: MAPK/ERK Pathway
Storage: 4°C, stored under nitrogen

* In solvent: -80°C, 6 months; -20°C, 1 month (stored under nitrogen)

SOLVENT & SOLUBILITY

In Vitro

DMSO: 12.5 mg/mL (26.10 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>Preparing Stock Solutions</td>
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</tr>
<tr>
<td>Concentration</td>
<td>1 mM</td>
<td>2.0880 mL</td>
<td>10.4399 mL</td>
<td>20.8799 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4176 mL</td>
<td>2.0880 mL</td>
<td>4.1760 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2088 mL</td>
<td>1.0440 mL</td>
<td>2.0880 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: ≥ 1.25 mg/mL (2.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Belvarafenib (HM95573) is a potent and pan RAF (Rapidly Accelerated Fibrosarcoma) inhibitor, with IC_{50}s of 56 nM, 7 nM and 5 nM for B-RAF, B-RAF_{V600E} and C-RAF respectively\(^1\).

IC_{50} & Target

<table>
<thead>
<tr>
<th>IC_{50} &amp; Target</th>
<th>BRaf_{V600E} 7 nM (IC_{50})</th>
<th>CRAF 5 nM (IC_{50})</th>
<th>B-Raf 56 nM (IC_{50})</th>
</tr>
</thead>
</table>

In Vitro

Belvarafenib (Example 116) is a potent and pan RAF inhibitor with antineoplastic activity. The IC_{50} values of Belvarafenib are 56 nM, 7 nM and 5 nM for B-RAF, B-RAF_{V600E} and C-RAF respectively. It also shows high inhibitory activity for FMS, DDR1 and DDR2 kinases, with IC_{50}s of 10 nM, 23 nM and 44 nM, respectively\(^1\).

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

REFERENCES

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