Taselisib

Cat. No.: HY-13898
CAS No.: 1282512-48-4
Molecular Formula: C₂₄H₂₈N₈O₂
Molecular Weight: 460.53
Target: PI3K
Pathway: PI3K/Akt/mTOR
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 : 50 mg/mL (108.57 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>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>1 mM</td>
<td>2.1714 mL</td>
<td>10.8571 mL</td>
<td>21.7141 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4343 mL</td>
<td>2.1714 mL</td>
<td>4.3428 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2171 mL</td>
<td>1.0857 mL</td>
<td>2.1714 mL</td>
<td></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: ≥ 2.5 mg/mL (5.43 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (5.43 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (5.43 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Taselisib (GDC-0032) is a potent PI3K inhibitor targets PIK3CA mutations, with Ki's of 0.12 nM, 0.29 nM, 0.97 nM, and 9.1 nM for PI3Kδ, PI3Kα, PI3Kγ and PI3Kβ, respectively.

IC₅₀ & Target

<table>
<thead>
<tr>
<th>PI3Kδ</th>
<th>PI3Kα</th>
<th>PI3Kγ</th>
<th>PI3Kβ</th>
</tr>
</thead>
<tbody>
<tr>
<td>0.12 nM (Ki)</td>
<td>0.29 nM (Ki)</td>
<td>0.97 nM (Ki)</td>
<td>9.1 nM (Ki)</td>
</tr>
</tbody>
</table>
### In Vitro

| | Taselisib (GDC-0032) (100 nM) inhibits AKT/mTOR signaling in PIK3CA mutant cell lines but not in cells with loss or mutation of PTEN; Taselisib (GDC-0032) enhances radiation-induced apoptosis and inhibits growth in head and neck cancer cell lines that are sensitive to its single-agent activity\[^{[1]}\]. Taselisib (GDC-0032) enhances the effects of MEK1/2 inhibition on both BRAF\(^{V600E}/PTEN^{Null}\) human melanoma cells autochthonous mouse melanomas\[^{[2]}\]. |
|---|

### In Vivo

| | Taselisib (GDC-0032) (5 mg/kg, p.o.) potently impairs PI3K signaling and enhances the efficacy of fractionated radiotherapy; Taselisib (GDC-0032) and radiation is more effective than either treatment alone in nude mice implanted with subcutaneous Cal-33 xenografts\[^{[1]}\]. The vehicle-treated BRAF\(^{V600E}/PTEN^{Null}\) melanoma-bearing mice experience initial tumor regression after treatment with Taselisib (GDC-0032) (22.5 mg/kg, p.o.)\[^{[2]}\]. |

### PROTOCOL

| | **Cell Assay**\[^{[1]}\]

Cells are seeded in replicates of 6 in 96-well plates with 500 to 5,000 cells/well overnight and then treated with Taselisib (GDC-0032). After 4 days, the media are removed and the cells are fixed with 4% glutaraldehyde for 30 minutes. Fixed cells are stained with 0.1% crystal violet for 2 minutes, then washed, and dissolved in 10% acetic acid.

MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| | **Animal Administration**\[^{[1]}\]

Six-week-old Nu/Nu mice are injected bilaterally with 5×10\(^5\) cells resuspended in 200 \(\mu\)L of culture media and Matrigel mixed in a 1:1 ratio. After tumors reache approximately 100 to 200 cm\(^3\), mice are randomized into treatment arms with 8 to 10 tumors per group. Taselisib (GDC-0032) (5 mg/kg) is dissolved in a vehicle containing 0.5% methylcellulose with 0.2% TWEEN-80 and is administered via daily oral gavage.

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

### CUSTOMER VALIDATION

- Pharmacol Res. 2018 Sep 28;139:314-324.

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### REFERENCES


Caution: Product has not been fully validated for medical applications. For research use only.

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