PFK-158

Cat. No.: HY-12203
CAS No.: 1462249-75-7
Molecular Formula: C₁₈H₁₁F₃N₂O
Molecular Weight: 328.29
Target: Others
Pathway: Others
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 : ≥ 30 mg/mL (91.38 mM)
* “≥” means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass (1 mg)</th>
<th>Mass (5 mg)</th>
<th>Mass (10 mg)</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>3.0461 mL</td>
<td>15.2304 mL</td>
<td>30.4609 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.6092 mL</td>
<td>3.0461 mL</td>
<td>6.0922 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.3046 mL</td>
<td>1.5230 mL</td>
<td>3.0461 mL</td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

Description: PFK-158 is a potent and selective inhibitor of PFKFB3 that is currently being investigated in a phase I study in patients with advanced solid malignancies. Target: PFKFB3
* In vitro: PFK-158 is the first 6-phosphofructo-2-kinase/fructose-2,6-bisphosphatase 3 (PFKFB3) inhibitor to undergo clinical trial testing in cancer patients. PFK-158, a small molecule therapeutic candidate that inactivates a novel cancer metabolism target never before examined in human clinical trials. PFK-158 is not only a first-in-class cancer drug but also the first to target glucose metabolism by inhibiting PFKFB3. PFK-158 is a nanomolar inhibitor of recombinant PFKFB3. PFK-158 inhibits PFKFB3 activity and glycolysis in cancer cells.
* In vivo: PFK158 is well tolerated in rats and dogs resulting in an acceptable pre-clinical therapeutic index. PFK158 is very effective in multiple preclinical mouse models of human-derived tumors and syngeneic murine models. IND-enabling safety and toxicity studies demonstrated that PFK158 is well tolerated in rats and dogs and supported the initiation of a phase I trial that is now underway.

REFERENCES

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