**PF-06843195**

**Cat. No.:** HY-131972  
**CAS No.:** 2067281-51-8  
**Molecular Formula:** C₂₀H₂₅F₃N₈O₄  
**Molecular Weight:** 498.46  
**Target:** PI3K  
**Pathway:** PI3K/Akt/mTOR  
**Storage:** Please store the product under the recommended conditions in the Certificate of Analysis.

### BIOLOGICAL ACTIVITY

**Description**  
PF-06843195 is a highly selective PI3Kα inhibitor with an IC₅₀ of 18 nM in Rat1 fibroblasts. The Kᵢ of PF-06843195 for PI3Kα and PI3Kδ in biochemical kinase assay are less than 0.018 nM and 0.28 nM, respectively. PF-06843195 has great suppression of the PI3K/mTOR signaling pathway and durable antitumor efficacy[1].

<table>
<thead>
<tr>
<th>IC₅₀ &amp; Target</th>
<th>PI3Kα</th>
<th>PI3Kβ</th>
<th>PI3Kδ</th>
<th>PI3Kα</th>
</tr>
</thead>
<tbody>
<tr>
<td>18 nM (IC₅₀, in Rat1 fibroblasts)</td>
<td>360 nM (IC₅₀, in Rat1 fibroblasts)</td>
<td>160 nM (IC₅₀, in Rat1 fibroblasts)</td>
<td>0.018 nM (Kᵢ)</td>
<td></td>
</tr>
</tbody>
</table>

**In Vitro**  
PF-06843195 inhibits the breast cancer cell lines MCF7 and T47D proliferation with IC₅₀ of 62 nM and 32 nM, respectively[1]. PF-06843195 inhibits pAKT (T308) in MCF7 and T47D cells with IC₅₀ of 7.8 nM and 8.7 nM, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**  
In rats, PF-06843195 can rapidly and quantitatively transform from PF-06862309[1]. PF-06843195 exhibits oral bioavailability (rat 25 %) following oral administration (rat 10 mg/kg)[1]. PF-06843195 exhibits a moderate half-life (rat 3.6 h) due to high plasma clearance (30 mL/min/kg) combined with large volumes of distribution (3.0 L/kg) following intravenous administration (rat 2 mg/kg)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

<table>
<thead>
<tr>
<th>Animal Model:</th>
<th>Male Wistar Han Rats[1]</th>
</tr>
</thead>
<tbody>
<tr>
<td>Dosage:</td>
<td>2 mg/kg (intravenous) and 10 mg/kg (oral gavage)(Pharmacokinetic Analysis)</td>
</tr>
<tr>
<td>Administration:</td>
<td>Intravenous (IV) or oral gavage (PO)</td>
</tr>
<tr>
<td>Result:</td>
<td>T₁/₂ of 3.6 h for rats.</td>
</tr>
</tbody>
</table>

### REFERENCES

[1] MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Caution: Product has not been fully validated for medical applications. For research use only.

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