**PF-06869206**

Cat. No.: HY-112065
CAS No.: 2227425-05-8
Molecular Formula: C₁₅H₁₄ClF₃N₄O₂
Molecular Weight: 374.75
Target: Sodium Channel
Pathway: Membrane Transporter/Ion Channel
Storage:
- Powder: -20°C, 3 years; 4°C, 2 years; In solvent, -20°C, 1 month
- In solvent: -80°C, 6 months

**Solvent & Solubility**

<table>
<thead>
<tr>
<th>Solvent</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO</td>
<td>2.6684 mL</td>
<td>13.3422 mL</td>
<td>26.6845 mL</td>
</tr>
</tbody>
</table>

*“≥” means soluble, but saturation unknown.*

**BIOLOGICAL ACTIVITY**

**Description**

PF-06869206 is an orally bioavailable selective inhibitor of the sodium-phosphate cotransporter NaPi2a (SLC34A1) with an IC₅₀ of 380 nM.

**IC₅₀ & Target**

IC₅₀: 380 nM (NaPi2a/SLC34A1)[¹]

**In Vitro**

PF-06869206 shows a balance of attributes with 380 nM NaPi2a inhibition potency, excellent subtype selectivity, and acceptable aqueous solubility (46 μM). PF-06869206 is profiled for potency in the rodent NaPi2a and NaPi2c cell lines. PF-06869206 shows comparable submicromolar activity for the human, rat, and mouse NaPi2a isoforms with IC₅₀s of 0.4±0.047 μM and 0.54±0.099 μM for rat NaPi2a and mouse NaPi2a, respectively[¹].

**In Vivo**

PF-06869206 is evaluated in rodent PK studies to determine suitability for in vivo pharmacology exploration. Results show moderate clearance in both rat and mouse. Oral bioavailability at 5 mg/kg is good in rat and moderate in mouse. At higher oral doses of 50 mg/kg, supraproportional increases in exposure are observed in both species.
suggestive of saturation of clearance. PF-06869206 has moderate terminal elimination half-life ($t_{1/2}=1.35$ h, and 0.75 h for Wistar-Han rats (10 mg/kg, iv), and C57BL6 mice (1 mg/kg, iv)). Furthermore, permeability is good ($14 \times 10^{-6}$ cm/s), and rat liver microsome (RLM) clearance is low (<14 μL/min/mg; HLM=39 μL/min/mg)[1].

**PROTOCOL**

<table>
<thead>
<tr>
<th>Animal Administration [1]</th>
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<tr>
<td>Rats and Mice[1]</td>
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<tr>
<td>Male Wistar-Han rats (n=2) are treated with PF-06869206 (1 mg/kg, 5 mg/kg, and 50 mg/kg; 2 mL/kg for iv or 10 mL/kg for po). C57BL6 mice (n=2) are treated with PF-06869206 (1 mg/kg, 5 mg/kg, and 50 mg/kg; 2 mL/kg for iv or 10 mL/kg for po) [1].</td>
</tr>
<tr>
<td>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</td>
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</tbody>
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**REFERENCES**


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