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**  
-80°C 6 months  
-20°C 1 month

**SOLVENT & SOLUBILITY**

<table>
<thead>
<tr>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.6684 mL</td>
<td>13.3422 mL</td>
<td>26.6845 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5337 mL</td>
<td>2.6684 mL</td>
<td>5.3369 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2668 mL</td>
<td>1.3342 mL</td>
<td>2.6684 mL</td>
</tr>
</tbody>
</table>

Preparation of Stock Solutions

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

**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 IC₅₀ 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 \(14\times10^{-6}\) cm/s, and rat liver microsome (RLM) clearance is low \(<14\ \mu\text{L/min/mg};\ \text{HLM}=39\ \mu\text{L/min/mg}\)\[1\]. |

## PROTOCOL

### Animal Administration \[1\]

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) \[1\].

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

## REFERENCES


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

Tel: 609-228-6898  Fax: 609-228-5909  E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA