GSK3179106

**Cat. No.:** HY-100459  
**CAS No.:** 1627856-64-7  
**Molecular Formula:** C₂₂H₂₁F₄N₃O₄  
**Molecular Weight:** 467.41  
**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

<table>
<thead>
<tr>
<th>In Vitro</th>
<th>10 mM in DMSO</th>
</tr>
</thead>
</table>

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>1 mg</strong></td>
<td><strong>5 mg</strong></td>
<td><strong>10 mg</strong></td>
</tr>
<tr>
<td>1 mM</td>
<td>2.1394 mL</td>
<td>10.6972 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4279 mL</td>
<td>2.1394 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2139 mL</td>
<td>1.0697 mL</td>
</tr>
</tbody>
</table>

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

### BIOLOGICAL ACTIVITY

**Description**  
GSK3179106 is a potent and selective RET kinase inhibitor with an IC₅₀ of 0.4 nM[1].

**IC₅₀ & Target**  
IC₅₀: 0.4±0.2 nM (Human RET), 0.2±0.1 nM (Rat RET)[1]

**In Vitro**  
GSK3179106 (10 nM-100 µM; 8 days for TT cells, 3 days for SK-N-AS and A549 cells) inhibits the proliferation of the RET-dependent TT cell line with a mean IC₅₀ value of 25.5 nM however has no effect on the proliferation of the RET-independent SK-NAS and A549 cell lines (mean IC₅₀>10 µM and IC₃₀>17 µM, respectively)[1].  
GSK3179106 inhibits RET phosphorylation in SK-N-AS cells and TT cells with mean IC₅₀s of 4.6 nM and 11.1 nM, respectively[1].

**Cell Viability Assay[1]**

<table>
<thead>
<tr>
<th>Cell Line:</th>
<th>TT, SK-N-AS and A549 cells</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration:</td>
<td>10 nM-100 µM</td>
</tr>
</tbody>
</table>
Incubation Time: 8 days for TT cells, 3 days for SK-N-AS and A549 cells

Result: Inhibited the proliferation of TT cell line with a mean IC50 value of 25.5 nM however had no effect on the proliferation of the SK-NAS and A549 cell lines (mean IC50>10 µM and IC30>17 µM, respectively).

In Vivo

GSK3179106 (10 mg/kg; oral administration; BID; 3.5 days) significantly reduces the prokinetic effect of Neostigmine in rats. A single intraperitoneal injection of Neostigmine alone significantly increases the excretion of fecal pellets, whereas GSK3179106 alone has no effect on normal lower GI motility. However, oral administration of GSK3179106, attenuates (44% inhibition) Neostigmine-induced lower gastrointestinal (GI) motility in comparison with rats dosed with Neostigmine alone[2].

Animal Model: Male Sprague-Dawley rats (225-250 g, ~7-8 weeks old)[2]

Dosage: 10 mg/kg

Administration: Oral administration; BID; 3.5 days

Result: Oral administration attenuated (44% inhibition) Neostigmine-induced lower GI motility in comparison with rats dosed with Neostigmine alone.

REFERENCES


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

www.MedChemExpress.com