Ravoxertinib

Cat. No.: HY-15947  
CAS No.: 1453848-26-4  
Molecular Formula: C₂₁H₁₈ClFN₆O₂  
Molecular Weight: 440.86  
Target: ERK  
Pathway: MAPK/ERK Pathway; Stem Cell/Wnt  
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>DMSO: ≥ 35 mg/mL (79.39 mM)</th>
</tr>
</thead>
<tbody>
<tr>
<td>* &quot;≥&quot; means soluble, but saturation unknown.</td>
<td></td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>2.2683 mL</td>
<td>11.3415 mL</td>
<td>22.6829 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4537 mL</td>
<td>2.2683 mL</td>
<td>4.5366 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2268 mL</td>
<td>1.1341 mL</td>
<td>2.2683 mL</td>
</tr>
</tbody>
</table>

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

| In Vivo | 1. GDC-0994 is prepared in vehicle (0.5% CMCNa + 0.1% Tween80 + ddH₂O)²³. |

**BIOLOGICAL ACTIVITY**

Description: Ravoxertinib (GDC-0994) is an orally bioavailable ERK kinase inhibitor with an IC₅₀ of 6.1 nM and 3.1 nM for ERK₁ and ERK₂, respectively.

<table>
<thead>
<tr>
<th>IC₅₀ &amp; Target</th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td>ERK₂</td>
<td>3.1 nM (IC₅₀)</td>
</tr>
<tr>
<td>ERK₁</td>
<td>6.1 nM (IC₅₀)</td>
</tr>
<tr>
<td>p-RSK</td>
<td>12 nM (IC₅₀)</td>
</tr>
</tbody>
</table>

In Vitro: Ravoxertinib (GDC-0994) also inhibits p90RSK with an IC₅₀ of 12 nM. Ravoxertinib (GDC-0994) is highly selective for ERK₁ and ERK₂, with biochemical potency of 1.1 nM and 0.3 nM, respectively.

In Vivo: In CD-1 mice, a 10 mg/kg oral dose of Ravoxertinib (GDC-0994) is sufficient to achieve the desired target coverage for at least 8 h. Daily, oral dosing of Ravoxertinib results in significant single-agent activity in multiple in vivo cancer models.
models, including KRAS-mutant and BRAF-mutant human xenograft tumors in mice[2].

**PROTOCOL**

**Animal Administration** [1]

Mice[1]

PK/PD data for Ravoxertinib (GDC-0994) in the HCT116 mouse xenograft model. HCT116 tumors are established in nude mice to a tumor volume of 400-600 mm$^3$. Mice are treated with a single oral dose of 22 at 15, 30, or 100 mg/kg versus vehicle control alone (40% PEG400/60% (10% HPβCD)) follow by tumor and plasma collection at 2, 8, 16, and 24 h postdose. Tumor levels of phosphorylated p90RSK (pRSK) relative total p90RSK (tRSK) are measured by quantitative Western blot and are normalized to vehicle control at 2 h postdose (set to 100%). Plasma and tumor concentrations are measured by LC–MS.

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

**CUSTOMER VALIDATION**

- Cell. 2018 Sep 20;175(1):186-199.e19.
- Harvard Medical School LINCS LIBRARY

See more customer validations on [www.MedChemExpress.com](http://www.MedChemExpress.com)

**REFERENCES**

[1]. Blake JF, et al. Discovery of (S)-1-(1-(4-Chloro-3-fluorophenyl)-2-hydroxyethyl)-4-(2-((1-methyl-1H-pyrazol-5-yl)amino)pyrimidin-4-yl)pyridin-2(1H)-one (GDC-0994), an Extracellular Signal-Regulated Kinase 1/2 (ERK1/2) Inhibitor in Early Clinical Development


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