SGC-GAK-1

Cat. No.: HY-122186
CAS No.: 2226517-76-4
Molecular Formula: C₁₈H₁₇BrN₂O₃
Molecular Weight: 389.24
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

In Vitro
DMSO: ≥ 125 mg/mL (321.14 mM)
≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.5691 mL</td>
<td>12.8455 mL</td>
<td>25.6911 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5138 mL</td>
<td>2.5691 mL</td>
<td>5.1382 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2569 mL</td>
<td>1.2846 mL</td>
<td>2.5691 mL</td>
</tr>
</tbody>
</table>

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

In Vivo
1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.08 mg/mL (5.34 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.08 mg/mL (5.34 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
SGC-GAK-1 is a potent, selective cyclin G-associated kinase (GAK) inhibitor with a $K_i$ of 3.1 nM. SGC-GAK-1 is a chemical probe for GAK.[1]

IC₅₀ & Target
$K_i$: 3.1 nM (GAK)[1]

In Vitro
SGC-GAK-1 potently binds cyclin G-associated kinase (GAK), adaptor protein 2-associated kinase (AAK1), serine/threonine kinase 16 (STK16) with $K_i$s of 3.1 nM, 53 µM, 51 µM, respectively.[1]
SGC-GAK-1 potently binds cyclin G-associated kinase (GAK), receptor-interacting protein kinase 2 (RIPK2), AarF...
domain containing kinase 3 (ADCK3), and nemo-like kinase (NLK) with $K_D$s of 1.9 nM, 110 nM, 190 nM, and 520 nM, respectively\textsuperscript{[1]}.

SGCGAK-1 (0.1, 1, and 10 µM, 48 hours or 72 hours) shows strong growth inhibition in LNCaP, VCaP, and 22Rv1 cells at 10 µM, but minimal effect in PC3 and DU145 cells\textsuperscript{[1]}.

**Cell Viability Assay\textsuperscript{[1]}**

<table>
<thead>
<tr>
<th>Cell Line:</th>
<th>Prostate cancer cells (22Rv1, LNCaP, VCaP, PC3, DU145)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Concentration:</td>
<td>0.1, 1, and 10 µM</td>
</tr>
<tr>
<td>Incubation Time:</td>
<td>48 hours or 72 hours</td>
</tr>
<tr>
<td>Result:</td>
<td>Showed potent antiproliferative activity in LNCaP and 22Rv1 cells with $IC_{50}$s of 0.05±0.15 µM and 0.17±0.65 µM, respectively.</td>
</tr>
</tbody>
</table>

**REFERENCES**


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

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