EOC317

Cat. No.: HY-16025  
CAS No.: 939805-30-8  
Molecular Formula: C_{27}H_{26}F_{5}N_{7}O_{3}  
Molecular Weight: 591.53  
Target: FGFR; VEGFR  
Pathway: Protein Tyrosine Kinase/RTK  
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: ≥ 35 mg/mL (59.17 mM)

* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.6905 mL</td>
<td>8.4527 mL</td>
<td>16.9053 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3381 mL</td>
<td>1.6905 mL</td>
<td>3.3811 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1691 mL</td>
<td>0.8453 mL</td>
<td>1.6905 mL</td>
</tr>
</tbody>
</table>

Preparing Stock Solutions

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

BIOLOGICAL ACTIVITY

Description
EOC317 (ACTB-1003) is an oral kinase inhibitor with IC_{50}s of 6, 2 and 4 nM for FGFR1, VEGFR2 and Tie-2.

IC_{50} & Target

<table>
<thead>
<tr>
<th>In Vitro</th>
<th>FGFR1 6 nM (IC_{50})</th>
<th>VEGFR2 2 nM (IC_{50})</th>
<th>Tie-2 4 nM (IC_{50})</th>
</tr>
</thead>
</table>

EOC317 (ACTB-1003) is an oral kinase inhibitor with multiple modes of action, targeting cancer mutations via FGFR inhibition FGFR1 (IC_{50}=6 nM), angiogenesis through inhibition of VEGFR2 (2 nM), Tie-2 (4 nM), and induces apoptosis likely by targeting RSK (5 nM) and p70S6K (32 nM). EOC317 is highly active with dose-dependent tumor growth inhibition in cell lines with FGFR genetic alterations-OPM2 human multiple myeloma and the murine leukemia Ba/F3-TEL-FGFR1. OPM2 cells harbor the FGFR3 (4:14) translocation, FGFR3 K650E mutation and PTEN deletion while the Ba/F3-TEL-FGFR1 cells are driven by FGFR1 over-expression[1].

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

In Vivo
EOC317 (ACTB-1003) is shown to inhibit tumor angiogenesis evident by the inhibition of CD31 staining in tumor sections.
EOC317 is combinable with 5-FU or paclitaxel without diminishing the activity or increasing the toxicity of these chemotherapy agents in the HCT-116 colon tumor xenograft model[1].

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

REFERENCES