**ACTB-1003**

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)  
a "≥" means soluble, but saturation unknown.

<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>1.6905 mL</td>
<td>8.4527 mL</td>
<td>16.9053 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.3381 mL</td>
<td>1.6905 mL</td>
<td>3.3811 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.1691 mL</td>
<td>0.8453 mL</td>
<td>1.6905 mL</td>
</tr>
</tbody>
</table>

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

**BIOLOGICAL ACTIVITY**

Description  
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>FGFR1</th>
<th>VEGFR2</th>
<th>Tie-2</th>
</tr>
</thead>
<tbody>
<tr>
<td>6 nM ($IC_{50}$)</td>
<td>2 nM ($IC_{50}$)</td>
<td>4 nM ($IC_{50}$)</td>
</tr>
</tbody>
</table>

In Vitro  
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). ACTB-1003 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 t(4:14) translocation, FGFR3 K650E mutation and PTEN deletion while the Ba/F3-TEL-FGFR1 cells are driven by FGFR1 over-expression$^{[1]}$.

In Vivo  
ACTB-1003 is shown to inhibit tumor angiogenesis evident by the inhibition of CD31 staining in tumor sections.
ACTB-1003 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].

REFERENCES