Ningetinib

Cat. No.: HY-107145A
CAS No.: 1394820-69-9
Molecular Formula: C₃₁H₂₉FN₄O₅
Molecular Weight: 556.58
Target: TAM Receptor; VEGFR; c-Met/HGFR
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 : 16.67 mg/mL (29.95 mM; Need ultrasonic)
            H₂O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

<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>1.7967 mL</td>
<td>8.9834 mL</td>
<td>17.9669 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3593 mL</td>
<td>1.7967 mL</td>
<td>3.5934 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1797 mL</td>
<td>0.8983 mL</td>
<td>1.7967 mL</td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

Description
Ningetinib is a potent, orally bioavailable small molecule tyrosine kinase inhibitor (TKI) with IC₅₀s of 6.7, 1.9 and <1.0 nM for c-Met, VEGFR2 and Axl, respectively.

IC₅₀ & Target
VEGFR2
1.9 nM (IC₅₀)

In Vitro
Ningetinib is a potent, orally bioavailable small molecule tyrosine kinase inhibitor (TKI) with IC₅₀s of 6.7, 1.9 and <1.0 nM for c-Met, VEGFR2 and Axl, respectively. In cell-based functional assays, Ningetinib (CT053PTSA) inhibits HGF and VEGF-stimulated HUVEC proliferation and microvascular angiogenesis in rat aortic rings with IC₅₀ values of 8.6 and 6.3 nM, respectively[1].

In Vivo
When single dosed orally (3 mg/kg) to U87MG tumor-bearing nude mice, Ningetinib (CT053PTSA) potently inhibits the phosphorylation of c-Met and its downstream signaling kinases AKT and ERK1/2 for up to 6 hours in tumor
In orthotopic U87MG human glioblastoma xenograft model, Ningetinib prolongs the median survival time (MST) and yields significant increase in life-span value (ILS=32%, p=0.003) at an oral dose of 20 mg/kg/day (dosed 21 days) versus the vehicle-treated group\[1\].

REFERENCES