Ningetinib Tosylate

**Cat. No.:** HY-107145  
**CAS No.:** 1394820-77-9  
**Molecular Formula:** C₃₈H₃₇FN₄O₈S  
**Molecular Weight:** 728.79  
**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 : 8.25 mg/mL (11.32 mM; Need ultrasonic and warming)

<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>1.3721 mL</td>
<td>6.8607 mL</td>
<td>13.7214 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.2744 mL</td>
<td>1.3721 mL</td>
<td>2.7443 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.1372 mL</td>
<td>0.6861 mL</td>
<td>1.3721 mL</td>
</tr>
</tbody>
</table>

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

**BIOLOGICAL ACTIVITY**

**Description**  
Ningetinib Tosylate 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 Tosylate 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 Tosylate (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 Tosylate (CT053PTSA) potently inhibits the phosphorylation of c-Met and its downstream signaling kinases AKT and ERK1/2 for up to 6 hours in tumor tissues. In orthotopic U87MG human glioblastoma xenograft model, Ningetinib Tosylate 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