**Naquotinib mesylate**

Cat. No.: HY-19803  
CAS No.: 1448237-05-5  
Molecular Formula: C₃₁H₄₆N₈O₆S  
Molecular Weight: 658.81  
Target: EGFR  
Pathway: JAK/STAT Signaling; 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**

<table>
<thead>
<tr>
<th>In Vitro</th>
<th>DMSO : 12.5 mg/mL (18.97 mM; Need ultrasonic and warming)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Preparing Stock Solutions</td>
<td>Solvent</td>
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<tr>
<td></td>
<td>Concentration</td>
</tr>
<tr>
<td></td>
<td>1 mM</td>
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<tr>
<td></td>
<td>5 mM</td>
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<td>10 mM</td>
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Please refer to the solubility information to select the appropriate solvent.

**BIOLOGICAL ACTIVITY**

**Description**
Naquotinib mesylate (ASP8273 mesylate) is an orally available, mutant-selective and irreversible EGFR inhibitor; with IC₅₀s of 8-33 nM toward EGFR mutants and 230 nM for EGFR.

**IC₅₀ & Target**

<table>
<thead>
<tr>
<th>EGFR&lt;sup&gt;L858R/T790M&lt;/sup&gt; (IC₅₀)</th>
<th>EGFR&lt;sup&gt;L858R&lt;/sup&gt; (IC₅₀)</th>
<th>EGFR&lt;sup&gt;Exon 19 deletion&lt;/sup&gt; (IC₅₀)</th>
<th>EGFR&lt;sup&gt;Exon 19 deletion/T790M&lt;/sup&gt; (IC₅₀)</th>
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<tbody>
<tr>
<td>EGFR</td>
<td>230 nM (IC₅₀)</td>
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**In Vitro**
In assays using endogenously EGFR-dependent cells, Naquotinib inhibits the growth of PC-9(del ex19), HCC827(del ex19), NCI-H1975(del ex19/T790M) and PC-9ER(del ex19/T790M) with IC₅₀s of 8-33 nM<sup>[1]</sup>. Naquotinib selectively inhibits phosphorylation of EGFR and its down-stream signal pathway, ERK and Akt from 10nM in HCC827 and NCI-H1975 while inhibitory effects are only detected at 1000nM in A431. In NCI-H1650 (del ex19), Naquotinib inhibits cell growth with an IC₅₀ value of 70nM while other EGFR-TKIs are only partially effective<sup>[2]</sup>. 

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<sup>[1]</sup> Yin et al. 2011
In Vivo

Oral Naquotinib treatment dose dependently induces tumor regression in NCI-H1975 (L858R/T790M), HCC827 (del ex19) and PC-9 (del ex19) xenograft models. Dosing schedules does not affect the efficacy of Naquotinib. In an NCI-H1975 xenograft model, complete regression of tumor is achieved after 14-days of Naquotinib treatment. Complete regression is maintained in 50% of mice more than 85 days after cessation of Naquotinib treatment\(^2\).

CUSTOMER VALIDATION

- RSC Adv. 2019, 9, 4862-4869

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REFERENCES
