Naquotinib

Cat. No.: HY-19729
CAS No.: 1448232-80-1
Molecular Formula: C₃₀H₄₂N₈O₃
Molecular Weight: 562.71
Target: EGFR
Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
Storage: Please store the product under the recommended conditions in the COA.

BIOLOGICAL ACTIVITY

Description
Naquotinib (ASP8273) 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

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<tr>
<th>IC₅₀ &amp; Target</th>
<th>EGFR</th>
<th>EGFR&lt;sup&gt;T790M&lt;/sup&gt;</th>
<th>EGFR&lt;sup&gt;L858R/T790M&lt;/sup&gt;</th>
<th>EGFR&lt;sup&gt;L858R&lt;/sup&gt;</th>
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<td>230 nM (IC₅₀)</td>
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<tr>
<td>EGFR&lt;sup&gt;Exon 19 deletion/T790M&lt;/sup&gt;</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>.

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 do 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<sup>[2]</sup>.

CUSTOMER VALIDATION

- RSC Adv. 2019, 9, 4862-4869

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REFERENCES
