Discovery of a Potent and Mutant-Selective EGFR Inhibitor that Overcomes T790M-Mediated Resistance in Lung Cancer

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Supplementary Table 1.

**IC\textsubscript{50} values (\textmu M) of mutant-selective EGFR-TKIs in NSCLC cells**

<table>
<thead>
<tr>
<th>Drugs</th>
<th>IC\textsubscript{50} values (\textmu M, mean ± S.D.)</th>
<th>A549</th>
<th>H1975</th>
<th>PC-9</th>
<th>PC-9/GR</th>
<th>PC-9/ER</th>
</tr>
</thead>
<tbody>
<tr>
<td>Osimertinib</td>
<td>1.27 (±0.22)</td>
<td>0.28 (±0.06)</td>
<td>0.43 (±0.04)</td>
<td>0.06 (±0.01)</td>
<td>0.07 (±0.01)</td>
<td></td>
</tr>
<tr>
<td>Olmutinib</td>
<td>1.15 (±0.01)</td>
<td>0.03 (±0.01)</td>
<td>0.11 (±0.01)</td>
<td>0.03 (±0.01)</td>
<td>0.04 (±0.01)</td>
<td></td>
</tr>
<tr>
<td>OBX1-012</td>
<td>0.87 (±0.01)</td>
<td>0.06 (±0.01)</td>
<td>0.24 (±0.03)</td>
<td>0.07 (±0.01)</td>
<td>0.08 (±0.01)</td>
<td></td>
</tr>
</tbody>
</table>

Supplementary Table 2.

**IC\textsubscript{50} values (nM) of mutant-selective EGFR-TKIs in A431 and TMD-8 cells**

<table>
<thead>
<tr>
<th>Product (nM)</th>
<th>A431 (WT EGFR)</th>
<th>TMD-8 (BTK sensitive lymphoma cell)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Osimertinib</td>
<td>817</td>
<td>1157</td>
</tr>
<tr>
<td>Olmutinib</td>
<td>1000</td>
<td>75</td>
</tr>
<tr>
<td>OBX1-012</td>
<td>1000</td>
<td>1174</td>
</tr>
</tbody>
</table>

Supplementary Figure 1.

Generation of gefitinib- and erlotinib-resistant cells. (a) Cells were treated with the indicated doses of EGFR-TKIs for 72 h, and cell viability was determined by MTT assay. (b) Pyrosequencing of EGFR-TK exon 20 revealed a C-to-T base pair change (arrows) corresponding to T790M.