Pyrotinib

Cat. No.: HY-104065
CAS No.: 1269662-73-8
Molecular Formula: C₃₂H₃₁ClN₆O₃
Molecular Weight: 583.08
Target: EGFR
Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
Storage: Powder -20°C 3 years
        4°C  2 years
        In solvent -80°C 2 years
        -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro DMSO : 10 mg/mL (17.15 mM; ultrasonic and adjust pH to 6 with HCl)

<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>1.7150 mL</td>
<td>8.5752 mL</td>
<td>17.1503 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.3430 mL</td>
<td>1.7150 mL</td>
<td>3.4301 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.1715 mL</td>
<td>0.8575 mL</td>
<td>1.7150 mL</td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

Description Pyrotinib (SHR-1258) is a potent and selective EGFR/HER2 dual inhibitor with IC₅₀s of 13 and 38 nM, respectively[^1].

IC₅₀ & Target

<table>
<thead>
<tr>
<th>EGFR 13 nM (IC₅₀)</th>
<th>HER2 38 nM (IC₅₀)</th>
</tr>
</thead>
</table>

In Vitro Pyrotinib has high potency in HER2-dependent cell lines (BT474, SK-OV-3), while showing much weaker inhibition in the HER2 negative cell line (MDA-MB-231). It inhibits BT474 and SK-OV-3 Pyrotinib cells with IC₅₀s of 5.1 and 43 nM, respectively[^1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo Pyrotinib has acceptable bioavailability of 20.6%, 43.5% and 13.5% in nude mice, rats and dogs, respectively. The TGI % (tumor growth inhibition) of Pyrotinib on day 21 is 109%, 157%, 159% at the doses of 5 mg/kg, 10 mg/kg, 20 mg/kg respectively. Pyrotinib in SK-OV-3 ovarian xenograft model shows TGI% on day 21 of 2%, 12%, 83% at the doses of 2.5 mg/kg, 5 mg/kg, 10 mg/kg respectively), which further confirms its robust in vivo antitumor efficacy at 10 mg/kg[^1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.
PROTOCOL

Cell Assay[1]
Cancer cells (A431, SK-BR-3 and NCI-N87) are treated with a series of concentrations of Pyrotinib for 72 hours. Cell proliferation is determined by a sulforhodamine B (SRB) method. The IC₅₀ values are calculated by the data of inhibition rates of serial concentrations of test compounds[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration [1]
Rats: Test compounds (include Pyrotinib) are administrated in both intravenous (i.v.) and intragastric (i.g.) for mice to obtain their bioavailability. Plasma samples of nude mice is collected at pre-dose and 0.083, 0.25, 0.5, 1, 2, 4, 6, 8, 12, 24 h after the IV administration[1].

Mice: In vivo efficacy studies are performed on BALB/Ca-nude mice (6 to 7 weeks, female) from SLAC. Nude mice are hypodermic inoculated BT-474 human breast cancer cell or SK-OV-3 ovarian cancer cell. After tumor grows to 150-250 mm³, mice are randomly divided into groups and dosed with Pyrotinib (2.5, 5, 10, 20 mg/kg) once daily. The volume of tumors and the weight of the mice are measured and recorded for 2-3 times per week[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Thorac Oncol. 2023 Sep 5;S1556-0864(23)00802-X.
- Cell Rep Med. 2023 Jan 10;100911.
- J Med Chem. 2019 May 9;62(9):4772-4778.
- Lung Cancer. 2018 Dec;126:72-79.

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REFERENCES


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