**Product Name:** Pyrotinib  
**Cat. No.:** HY-104065  
**CAS No.:** 1269662-73-8  
**Molecular Formula:** C_{32}H_{31}ClN_{6}O_{3}  
**Molecular Weight:** 583.08  
**Target:** EGFR  
**Pathway:** JAK/STAT Signaling; Protein Tyrosine Kinase/RTK  
**Solubility:** DMSO: 0.172 mg/mL

### BIOLOGICAL ACTIVITY:

Pyrotinib (SHR-1258) is a potent and selective **EGFR/HER2** dual inhibitor with **IC**_{50}s of 13 and 38 nM, respectively.  

**IC50 & Target:** IC50: 13 nM (EGFR), 38 nM (HER2)

**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-3Pyrotinib cells with IC_{50}s of 5.1 and 43 nM, respectively. Pyrotinib displays high selectivity as HKI-272 when tested in a panel of different kinases such as KDR, c-Kit, PDGFRβ, c-Src and C-Met (c-Src with an IC_{50} of 790 nM, and others over 3000 nM)

**In Vivo:** Pyrotinib has acceptable bioavailability of 20.6%, 43.5% and 13.5% in nude mice, rats and dogs, respectively. Pyrotinib has favorable drug-like physicochemical properties and shows relatively higher oral exposure in human subjects with a much longer half life than that of preclinical animal species such as mouse, rat and dog. 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

### PROTOCOL (Extracted from published papers and Only for reference)

**Cell Assay:** 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 IC_{50} values are calculated by the data of inhibition rates of serial concentrations of test compounds. **Animal Administration:** 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.

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 mm^3, 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.

### References:

Caution: Product has not been fully validated for medical applications. For research use only.

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