

Lazertinib

Chemical Properties

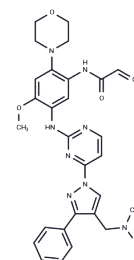
CAS No. : 1903008-80-9

Formula: C₃₀H₃₄N₈O₃

Molecular Weight: 554.64

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

| | |
|----------------------------|--|
| Description | Lazertinib (GNS-1480) is an effective, highly mutant-selective and irreversible EGFR-TKI with IC ₅₀ values of 1.7 nM, 2 nM, 5 nM, 20.6 nM and 76 nM for Del19/T790M, L858R/T790M, Del19, L85R and Wild type EGFR respectively. |
| Targets(IC ₅₀) | EGFR |
| In vitro | In NSCLC cell lines and primary cancer cells from patients harbouring EGFR mutations, YH25448 more potently inhibits cancer cell growth and significantly increases tumour cell apoptosis compared to osimertinib[1]. In the cell proliferation assays, GI ₅₀ values of Lazertinib are 6 nM, 5 nM, and 711 nM for H1975 cells (L858R/T790M), PC9 cells (del19) and H2073 cells (wt), respectively. |
| In vivo | In an in vivo mouse model implanted with H1975 cells, once-daily Lazertinib treatment results in dramatic dose-dependent tumour regression in both subcutaneous and intracranial lesions with no abnormal signs such as skin keratosis. The plasma half-life of Lazertinib is 5.9-6.8 hr, while a tumour to plasma AUC _{0-last} ratio is 3.0-5.1 in tumour-bearing mice. Lazertinib shows excellent penetration of the blood-brain barrier, achieving CSF concentrations exceeding the IC ₅₀ value for pEGFR inhibition. Lazertinib shows superior efficacy for tumour regression in an EGFR mutant brain metastasis model[1]. |
| Cell Research | Concentrations: 5, 10, 100 nM. Ba/F3 cells overexpressing the indicated EGFR mutant are treated with YH25448 or osimertinib for 6 hours at the indicated concentrations. pEGFR levels are detected by Western blot analysis. |

Solubility Information

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| Solubility | DMSO: 5.55 mg/mL (10 mM), Sonication is recommended. Ethanol: Insoluble, H ₂ O: Insoluble, (< 1 mg/ml refers to the product slightly soluble or insoluble) |
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A DRUG SCREENING EXPERT

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|-----------|-----------|------------|
| 1 mM | 1.803 mL | 9.0149 mL | 18.0297 mL |
| 5 mM | 0.3606 mL | 1.803 mL | 3.6059 mL |
| 10 mM | 0.1803 mL | 0.9015 mL | 1.803 mL |
| 50 mM | 0.0361 mL | 0.1803 mL | 0.3606 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Byoung Chul Cho, et al. YH25448, a 3rd Generation EGFR-TKI, in Patients with EGFR-TKI-resistant NSCLC: Phase I/II Study Results.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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