
Product Data Sheet

Product Name: Oritinib
Cat. No.: GC64770

Chemical Properties

Cas. No. 2035089-28-0

Formula C₃₁H₃₇N₇O₂ M.Wt 539.67

Solubility Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure

Background

Oritinib (SH-1028), an irreversible third-generation EGFR TKI, overcomes T790M-mediated resistance in non-small cell lung cancer. Oritinib (SH-1028), a mutant-selective inhibitor of EGFR kinase activity, inhibits EGFRWT, EGFR L858R, EGFR L861Q, EGFR L858R/T790M, EGFRd746-750 and EGFRd746-750/T790M kinases, with IC₅₀s of 18, 0.7, 4, 0.1, 1.4 and 0.89 nM, respectively[1].

Oritinib (SH-1028) binds irreversibly to EGFR kinase by targeting cysteine-797 residue in the ATP binding site via covalent bond formation[1]. Oritinib (0.001-10 μM) potently and selectively targets mutant EGFR cell lines in vitro[1]. Oritinib (0.1 μM) continuously inhibits the phosphorylation of EGFR in PC-9 and NCI-H1975 cells at lower concentrations or even drug-free for at least 6 h[1].

Oral administration of Oritinib at a daily dose of 5 mg/kg significantly inhibits proliferation of tumor cells with EGFR sensitive mutation (exon 19 del) and resistant mutation (T790 M) for consecutive 14 days, with no TKI-induced weight loss in mouse xenograft models[1]. Oritinib shows good bioavailability, and is distributed extensively from the plasma to the tissues[1].

[1]. Luwei Han, et al. SH-1028, An Irreversible Third-Generation EGFR TKI, Overcomes

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

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T790M-Mediated Resistance in Non-Small Cell Lung Cancer. Front Pharmacol. 2021 Apr 27;12:665253.

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