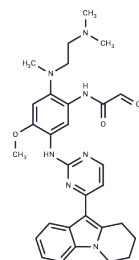


Oritinib

Chemical Properties

CAS No. :	2035089-28-0
Formula:	C ₃₁ H ₃₇ N ₇ O ₂
Molecular Weight:	539.67
Storage:	Keep away from direct sunlight Powder: -20°C for 3 years In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>



Biological Description

Description	Oritinib (SH-1028) is an inhibitor of EGFR with IC ₅₀ s of 18, 0.7, 4, 0.1, 1.4 and 0.89 nM for EGFR (wt), EGFR (L858R), EGFR (L861Q), EGFR (L858R/T790M), EGFR (d746-750), EGFR (d746-750/T790M), respectively. Oritinib can be used in studies about the treatment of non-small cell lung cancer.
Targets(IC ₅₀)	EGFR
In vitro	Oritinib (0.001, 0.01, 0.1, 1, and 10 μM) selectively inhibits EGFR-mutated NCI-H1975, H3255 and PC-9 cells, with IC ₅₀ s of 3.932, 9.39 and 7.63 nM, respectively. Oritinib (0.1 μM) continuously inhibits the phosphorylation of EGFR in PC-9 and NCI-H1975 cells[1].
In vivo	In female mice bearing NCI-H1975 and A431 xenograft models, Oritinib (2.5, 5, and 15 mg/kg; oral) significantly inhibits proliferation of tumor cells with no TKI-induced weight loss. In NCI-H1975 tumor-bearing mice, Oritinib (2.5, 5, and 15 mg/kg; oral) shows good bioavailability(T _{max} = 1.5-2 h) and extensive distribution from the plasma to the tissues. The AUC _{0-t} values in plasma are 118, 300 and 931 ngxh/mL on Day 1, while 272, 308 and 993 ngxh/ml on Day 14, respectively[1].

Solubility Information

Solubility	DMSO: 120 mg/mL (222.36 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.853 mL	9.2649 mL	18.5298 mL
5 mM	0.3706 mL	1.853 mL	3.706 mL
10 mM	0.1853 mL	0.9265 mL	1.853 mL
50 mM	0.0371 mL	0.1853 mL	0.3706 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Luwei Han, et al. SH-1028, An Irreversible Third-Generation EGFR TKI, Overcomes T790M-Mediated Resistance in Non-Small Cell Lung Cancer. *Front Pharmacol.* 2021 Apr 27;12:665253.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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