

EGFR-IN-1 hydrochloride

Chemical Properties

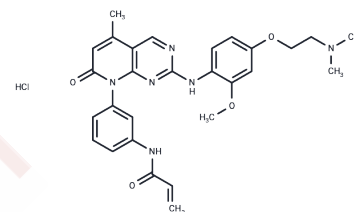
CAS No. : 2227455-78-7

Formula: C₂₈H₃₁ClN₆O₄

Molecular Weight: 551.04

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

Actual storage temperature shall be subject to the COA.



Biological Description

Description	EGFR-IN-1 hydrochloride is an irreversible and specific inhibitor of L858R/T790M mutant EGFR, with 100-fold selectivity over wild-type EGFR. It exhibits potent antitumor and antiproliferative activity in H1975 cells and mutant HCC827 cells with IC ₅₀ s of 4 and 28 nM, respectively.
Targets(IC ₅₀)	EGFR
In vitro	EGFR-IN-1 is highly selective against a panel of 100 kinases and inhibits p-EGFR in H1975 and HCC827 cells with IC ₅₀ s of 4 and 9 nM, respectively[1].
In vivo	In female athymic nude mice with H1975 Tumor Xenograft, gavage administration of EGFR-IN-1 hydrochloride (30 mg/kg) significantly inhibits the tumor growth without observed loss in body weight. EGFR-IN-1 shows a >50% inhibition of phosphorylation of EGFR and a systemic exposure (AUC _{0-inf.}) of 0.33 μM. h[1].

Solubility Information

Solubility	DMSO: 10 mg/mL (18.15 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	1.8148 mL	9.0738 mL	18.1475 mL
5 mM	0.363 mL	1.8148 mL	3.6295 mL
10 mM	0.1815 mL	0.9074 mL	1.8148 mL
50 mM	0.0363 mL	0.1815 mL	0.363 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

Wurz RP, et al. Oxopyrido[2,3-d]pyrimidines as Covalent L858R/T790M Mutant Selective Epidermal Growth Factor Receptor (EGFR) Inhibitors. ACS Med Chem Lett. 2015 Jul 27;6(9):987-92.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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