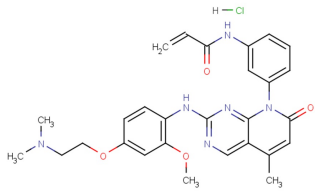
Data Sheet (Cat.No.T11157L)

TargetMol
A DRUG SCREENING EXPERT

EGFR-IN-1 hydrochloride

Chemical Properties



CAS No.:	2227455-78-7
Formula:	C28H31ClN6O4
Molecular Weight:	551.04
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	EGFR-IN-1 hydrochloride displays strong antiproliferative activity against the H1975 cells and the first line mutant HCC827 cells. Antitumor activity. EGFR-IN-1 hydrochloride is an orally active and irreversible L858R/T790M mutant selective EGFR inhibitor. EGFR-IN-1 hydrochloride potently inhibits Gefitinib-resistant EGFR L858R, T790M with 100-fold selectivity over wild-type EGFR.
Targets(IC_{50})	EGFRL858R/T790M: None
In vitro	EGFR-IN-1 highly selective against a panel of 100 kinases.EGFR-IN-1 hydrochloride (10 μM; 72 hours) displays strong antiproliferative activity against the H1975 and HCC827 cells with IC50s of 4 and 28 nM, respectively. EGFR-IN-1 hydrochloride inhibits p-EGFR in H1975 and HCC827 cells with IC50s of 4 and 9 nM, respectively.
In vivo	EGFR-IN-1 shows a >50% inhibition of phosphorylation of EGFR for >12 h. EGFR-IN-1 reaches maximal concentration of 0.10 μM at 2 h and systemic exposure (AUC0-inf.) is 0.33 μM. h.EGFR-IN-1 hydrochloride (30 mg/kg; p.o.; daily for 2 weeks) displays significant tumor growth inhibition with no observed loss in body weight. EGFR-IN-1 hydrochloride evaluates in a time course PD experiment upon oral dosing at 30 mg/kg.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.815 mL	9.074 mL	18.148 mL
5 mM	0.363 mL	1.815 mL	3.63 mL
10 mM	0.181 mL	0.907 mL	1.815 mL
50 mM	0.036 mL	0.181 mL	0.363 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. 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.

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