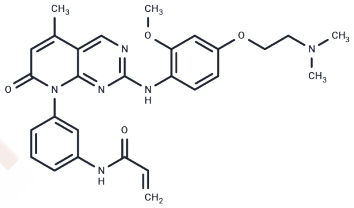
Data Sheet (Cat.No.T11157)

TargetMol

EGFR-IN-1

Chemical Properties



CAS No. : 1625677-63-5

Formula: C28H30N6O4

Molecular Weight: 514.58

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, an orally active and irreversible selective inhibitor targeting L858R/T790M mutant EGFR, exhibits strong antiproliferative and antitumor activity, particularly against H1975 cells and first line mutant HCC827 cells. This compound potently inhibits Gefitinib-resistant EGFR L858R/T790M mutations with a 100-fold selectivity over the wild-type EGFR.
Targets(IC50)	EGFR
In vitro	EGFR-IN-1 highly selective against a panel of 100 kinases.EGFR-IN-1 (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 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.EGFR-IN-1 (30 mg/kg; p.o.; daily for 2 weeks) displays significant tumor growth inhibition with no observed loss in body weight. EGFR-IN-1 evaluates in a time course PD experiment upon oral dosing at 30 mg/kg.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9433 mL	9.7167 mL	19.4333 mL
5 mM	0.3887 mL	1.9433 mL	3.8867 mL
10 mM	0.1943 mL	0.9717 mL	1.9433 mL
50 mM	0.0389 mL	0.1943 mL	0.3887 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.

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