EGFR-IN-1 TFA

Cat. No.: HY-19617B

CAS No.: 2753348-63-7

Molecular Formula: $C_{30}H_{31}F_3N_6O_6$

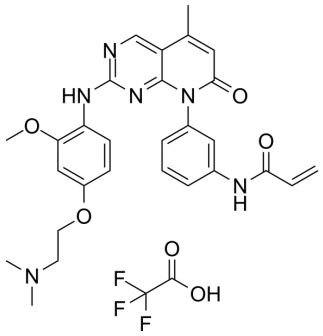
Molecular Weight: 628.6

Target: EGFR

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (397.71 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5908 mL	7.9542 mL	15.9084 mL
	5 mM	0.3182 mL	1.5908 mL	3.1817 mL
	10 mM	0.1591 mL	0.7954 mL	1.5908 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

EGFR-IN-1 TFA is an orally active and irreversible L858R/T790M mutant selective EGFR inhibitor. EGFR-IN-1 TFA potently inhibits Gefitinib-resistant EGFR L858R, T790M with 100-fold selectivity over wild-type EGFR. EGFR-IN-1 TFA displays strong antiproliferative activity against the H1975 cells and the first line mutant HCC827 cells. Antitumor activity[1].

IC_{50} & Target

$EGFR^{L858R/T790M}$

In Vitro

EGFR-IN-1 TFA (compound 24) is an orally active and irreversible L858R/T790M mutant selective EGFR inhibitor. EGFR-IN-1 TFA potently inhibits Gefitinib-resistant EGFR L858R, T790M with 100-fold selectivity over wild-type EGFR. EGFR-IN-1 TFA displays strong antiproliferative activity against the H1975 cells and the first line mutant HCC827 cells. Antitumor activity[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	NSCLC cell lines H1975 (T790M/L858R), HCC827 (Δ746-750)
Concentration:	10 μM
Incubation Time:	72 hours

	Result:	Inhibited H1975 nonsmall cell lung cancer cell line and the first line mutant HCC827 cell line with IC50s of 4 and 28 nM, respectively.

In Vivo

EGFR-IN-1 TFA (30 mg/kg; p.o.; daily for 2 weeks) displays significant tumor growth inhibition with no observed loss in body weight[1].

EGFR-IN-1 TFA evaluates in a time course PD experiment upon oral dosing at 30 mg/kg. EGFR-IN-1 TFA shows a >50% inhibition of phosphorylation of EGFR for >12 h. EGFR-IN-1 TFA reaches maximal concentration of 0.10 μM at 2 h and systemic exposure (AUC0-inf.) is 0.33 μM. h[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[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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