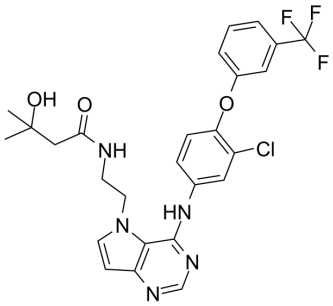
TAK-285

Cat. No.:	HY-15196
CAS No.:	871026-44-7
Molecular Formula:	$C_{26}H_{25}ClF_3N_5O_3$
Molecular Weight:	547.96
Target:	EGFR
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (91.25 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8250 mL	9.1248 mL	18.2495 mL
5 mM	0.3650 mL	1.8250 mL	3.6499 mL
10 mM	0.1825 mL	0.9125 mL	1.8250 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.56 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.56 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.56 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TAK-285 is a potent, selective, ATP-competitive and orally active HER2 and EGFR(HER1) inhibitor with IC_{50} of 17 nM and 23 nM, respectively. TAK-285 is >10-fold selectivity for HER1/2 than HER4, and less potent to MEK1/5, c-Met, Aurora B, Lck, CSK etc. TAK-285 has effective antitumor activity[1]. TAK-285 can cross the blood-brain barrier (BBB)[2].

IC_{50} & Target

EGFR	HER2
23 nM (IC_{50})	17 nM (IC_{50})

In Vitro	TAK-285 (Compound 34e) shows significant growth inhibitory activity against BT-474 cells (HER2-overexpressing human breast cancer cell line) with GI_{50} of 17 nM[1].TAK-285 (Compound 34e) exhibits HER4 inhibitory activity with an IC_{50} value of 260 nM. TAK-285 also inhibits MEK1, MEK5, c-Met, Aurora B, Lck, CSK and Lyn B with IC_{50}s of 1100 nM, 5700 nM, 4200 nM, 1700 nM, 2400 nM, 4700 nM and 5200 nM, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	TAK-285 (Compound 34e; 50-100 mg/kg; oral administration; twice daily; for 14 days; female BALB/cAJcl mice) treatment exhibits dose-dependent tumor growth inhibition (tumor/control ratio [T/C]): 44% and 11% at 50 and 100 mg/kg, respectively) without significant body weight loss in mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female BALB/cAJcl mice (7-weeks old) with 4−1ST xenograft models[1]
Dosage:	50 mg/kg, 100 mg/kg
Administration:	Oral administration; twice daily; for 14 days
Result:	Exhibited dose-dependent tumor growth inhibition.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.

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REFERENCES

[1]. Ishikawa T, et al. Design and synthesis of novel human epidermal growth factor receptor 2 (HER2)/epidermal growth factor receptor (EGFR) dual inhibitors bearing a pyrrolo[3,2-d]pyrimidine scaffold. J Med Chem. 2011 Dec 8;54(23):8030-50.

[2]. Erdo F, et al. Verification of brain penetration of the unbound fraction of a novel HER2/EGFR dual kinase inhibitor (TAK-285) by microdialysis in rats. Brain Res Bull. 2012 Mar 10;87(4-5):413-9.

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