Web: www.taiclone.com
Tel: +886-2-2735-9682
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TAK-285

Catalog No: tcsc0774

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
871026-44-7

Formula:
$C_{26}H_{25}ClF_3N_5O_3$

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

Target:
EGFR;EGFR

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
547.96

Product Description

TAK-285 is a novel dual HER2 and EGFR(HER1) inhibitor with IC50 of 17 nM and 23 nM, >10-fold selectivity for HER1/2 than HER4, less potent to MEK1/5, c-Met, Aurora B, Lck, CSK etc.

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IC50 value: 17/23 nM (HER2/1) [1]

Target: HER1/2

in vitro: MEK1, MEK5, c-Met, Aurora B, Lck, CSK, and Lyn B with IC50 of 1.1 μM, 5.7 μM, 4.2 μM, 1.7 μM, 2.4 μM, 4.7 μM, and 5.2 μM, respectively, and displays no activity against other kinases with IC50 of >10 μM. TAK-285 shows significant growth inhibitory activity against BT-474 cells (HER2-overexpressing human breast cancer cell line) with GI50 of 17 nM [1]. Compared with SYR127063 a potent inhibitor of HER2, TAK-285 displays similar in vitro potency against HER2 and EGFR. Compared with the full cytoplasmic domains of the wild-type proteins, the mutations and shortened boundaries used for structure determination of HER2-KD and EGFR-KD do not significantly change the inhibitory activity (IC50) of TAK-285. TAK-285 binds to the inactive conformation of EGFR, and shows a similar binding mode with lapatinib in the active site [2].

in vivo: The oral bioavailability of TAK-285 is 97.7% in rats and 72.2% in mice at a dose of 50 mg/kg. Oral administration of TAK-285 at 100 mg/kg twice daily for 14 days displays significant antitumor efficacy in the HER2-overexpressing BT-474 tumor xenograft mouse model with tumor/control (T/C) ratio of 29%, without affecting body weight. Similar to the BT-474 model, TAK-285 exhibits dose-dependent tumor growth inhibition of 4-1ST (HER2-overexpressing human gastric cancer tumor) xenografts in mice, with T/C of 44% and 11% at doses of 50 mg/kg and 100 mg/kg, twice daily, respectively, without significant body weight loss in mice [1]. After oral administration of TAK-285, a significant amount of TAK-285 is present in the brain of rats in pharmacologically active, unbound form (approximately 20% of its free plasma level), indicating that TAK-285 has a potential in the therapy of CNS malignancies/metastases [3].