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Data Sheet

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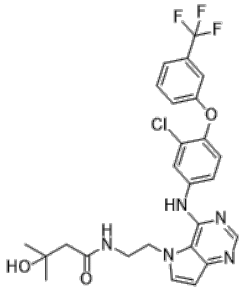
Product Name	:	TAK-285
Cat. No.	:	PC-23313
CAS No.	:	871026-44-7
Molecular Formula	:	$C_{26}H_{25}ClF_3N_5O_3$
Molecular Weight	:	547.96
Target	:	EGFR
Solubility	:	10 mM in DMSO



Biological Activity

TAK-285 is a potent, dual inhibitor of HER2 and EGFR (HER1) with IC50 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 shows significant growth inhibitory activity against BT-474 cells (HER2-overexpressing human breast cancer cell line) with GI50 of 17 nM.
TAK-285 (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.

References

Aertgeerts K, et al. J Biol Chem. 2011 May 27;286(21):18756-65.

Ishikawa T, et al. J Med Chem. 2011 Dec 8;54(23):8030-50.

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