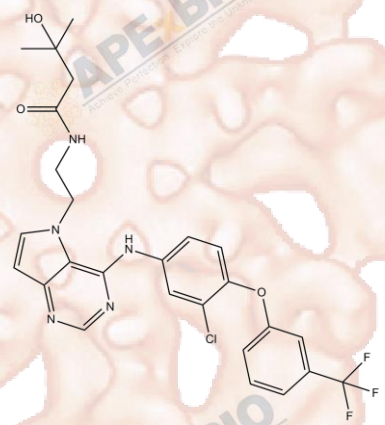
Product Data Sheet

TAK-285



Cat. No.:	A8528
CAS No.:	871026-44-7
Formula:	C26H25ClF3N5O3
M.Wt:	547.96
Synonyms:	
Target:	Tyrosine Kinase
Pathway:	HER2
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

≥27.4 mg/mL in DMSO; insoluble in H2O; insoluble in EtOH

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
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.

Biological Activity

Shortsummary	HER2/EGFR(HER1) inhibitor
IC_{50} & Target	17 nM (HER2), 23 nM (EGFR/HER1), 260 nM (HER4), 1.1 μM (MEK1), 1.7 μM (Aurora B)

In Vitro

Cell Viability Assay

Cell Line:	The BT-474 human breast cancer cell line, MES-SA human uterine sarcoma cells and multidrug-resistant MES-SA/DX-5 cells
Preparation method：	The solubility of this compound in DMSO is >27.4mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

	Reacting conditions:	0.00097-25 μmol/L, 3-7 days
	Applications:	In BT-474 cells, TAK-285 inhibited cell growth with IC50 value of 0.017 μmol/L, compared with the IC50 values of 1.1 and 20 μmol/L in A-431 and MRC-5 cells which did not overexpress HER2. TAK-285 inhibited HER2, Akt and MAPK phosphorylation with IC50 values of 0.0093 μmol/L, 0.015 μmol/L and <0.0063 μmol/L, respectively. In A-431 cells, TAK-285 inhibited EGFR phosphorylation with IC50 value of 0.053 μmol/L.
In Vivo	**Animal experiment**	
	Animal models:	Female BALB/c nu/nu mice implanted subcutaneously with BT-474 cells or 4-1ST tumors; female F344/N athymic (rnu/rnu) rats implanted with A-431 cells or 4-1ST tumors
	Dosage form:	100 mg/kg BID or 12.5 mg/kg BID, emulsified in 0.5% methyl cellulose, administered orally, 2 weeks
	Applications:	In murine xenograft models, TAK-285 (100 mg/kg BID) inhibited BT-474 breast tumors and 4-1ST gastric tumor growth with T/C values of 29% and 11%, respectively. In rat xenografts, TAK-285 (12.5 mg/kg BID) inhibited growth of tumors that overexpressed HER2 (4-1ST) or EGFR (A-431) with T/C values of 14% and 13%, respectively. The pharmacokinetic profile for TAK-285 showed much greater drug exposure in rats compared with mice.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

[1]. Nakayama, A., et al., Antitumor Activity of TAK-285, an Investigational, Non-Pgp Substrate HER2/EGFR Kinase Inhibitor, in Cultured Tumor Cells, Mouse and Rat Xenograft Tumors, and in an HER2-Positive Brain Metastasis Model. J Cancer, 2013. 4(7): p. 557-65.

Caution

FOR RESEARCH PURPOSES ONLY.
NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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