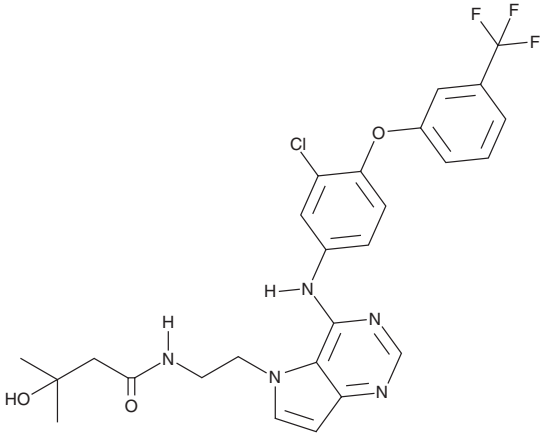
PRODUCT INFORMATION

TAK-285

Item No. 29157



CAS Registry No.:	871026-44-7
Formal Name:	N-[2-[4-[[3-chloro-4-[3-(trifluoromethyl)phenoxy] phenyl]amino]-5H-pyrrolo[3,2-d] pyrimidin-5-yl]ethyl]-3-hydroxy-3-methyl-butanamide
MF:	$C_{26}H_{25}ClF_3N_5O_3$
FW:	548.0
Purity:	≥95%
UV/Vis.:	λ_{max}: 215, 306 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

TAK-285 is supplied as a solid. A stock solution may be made by dissolving the TAK-285 in the solvent of choice, which should be purged with an inert gas. TAK-285 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of TAK-285 in ethanol is approximately 10 mg/ml and approximately 30 mg/ml in DMSO and DMF.

TAK-285 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, TAK-285 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. TAK-285 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

TAK-285 is a dual inhibitor of EGFR and HER2 (IC_{50}s = 23 and 17 nM, respectively).[1] It is selective for EGFR and HER2 over a panel of 36 kinases (IC_{50}s = 250->10,000 nM). TAK-285 inhibits the proliferation of BT474 breast cancer cells (GI_{50} = 17 nM) as well as induces tumor regression in a 4-1st gastric adenocarcinoma rat xenograft model when administered at doses of 25 and 50 mg/kg.

Reference

1. Ishikawa, T., Seto, M., Banno, H., *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.* **54(23)**, 8030-8050 (2011).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM