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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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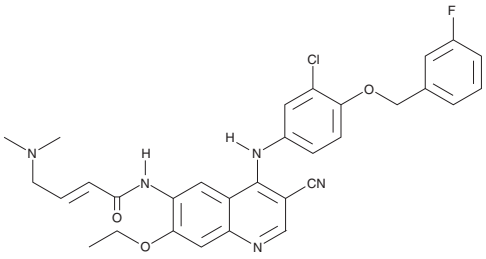
PRODUCT INFORMATION

HKI 357

Item No. 14847

CAS Registry No.:	848133-17-5
Formal Name:	(2E)-N-[4-[[3-chloro-4-[(3-fluorophenyl) methoxy]phenyl]amino]-3-cyano-7-ethoxy-6-quinolinyl]-4-(dimethylamino)-2-butenamide
MF:	$C_{31}H_{29}ClFN_5O_3$
FW:	574.1
Purity:	≥98%
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

HKI 357 is supplied as a solid. A stock solution may be made by dissolving the HKI 357 in the solvent of choice, which should be purged with an inert gas. HKI 357 is soluble in organic solvents such as ethanol and DMSO. The solubility of HKI 357 in these solvents is approximately 25 and 100 mM, respectively.

Description

HKI 357 is an irreversible dual inhibitor of the EGF receptor tyrosine kinases EGFR and HER2 (IC_{50}s = 34 and 33 nM, respectively).[1] It inhibits proliferation of A431, SK-BR-3, and SW620 cancer cell lines (IC_{50}s = 120, 2.5, and 511 nM, respectively). HKI 357 suppresses EGFR autophosphorylation and phosphorylation of the downstream effectors AKT and ERK in the gefitinib-susceptible and -resistant cell lines NCI-H1650 and NCI-H1650(G7), respectively.[2] *In vivo*, HKI 357 (10 mg/kg) inhibits tumor growth in BT474, A431, and SUM190 mouse xenograft models.[1]

References

1. Tsou, H.-R., Overbeek-Klumpers, E.G., Hallett, W.A., *et al.* Optimization of 6,7-disubstituted-4-(arylamino) quinoline-3-carbonitriles as orally active, irreversible inhibitors of human epidermal growth factor receptor-2 kinase activity. *J. Med. Chem.* **48(4)**, 1107-1131 (2005).
2. Kwak, E.L., Sordella, R., Bell, D.W., *et al.* Irreversible inhibitors of the EGF receptor may circumvent acquired resistance to gefitinib. *Proc. Natl. Acad. Sci. U.S.A.* **102(21)**, 7665-7670 (2005).

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