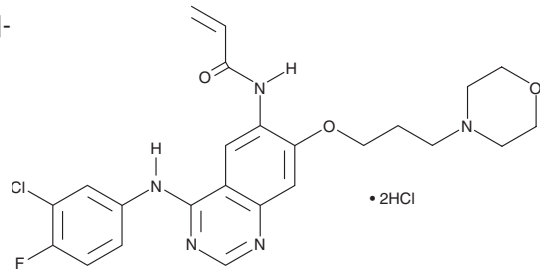
PRODUCT INFORMATION

Canertinib (hydrochloride)

Item No. 12076

CAS Registry No.: 289499-45-2
Formal Name: N-[4-[(3-chloro-4-fluorophenyl) amino]-7-[3-(4-morpholinyl)propoxy]-6-quinazolinyl]-2-propenamide, dihydrochloride
Synonyms: CI-1033, PD 183805
MF: $C_{24}H_{25}ClFN_5O_3 \bullet 2HCl$
FW: 558.9
Purity: ≥98%
UV/Vis.: λ_{max}: 225, 257, 345 nm
Supplied as: A crystalline 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

Canertinib (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the canertinib (hydrochloride) in the solvent of choice. Canertinib (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of canertinib (hydrochloride) in these solvents is approximately 10 and 14 mg/ml, respectively.

Canertinib (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, canertinib (hydrochloride) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Canertinib (hydrochloride) has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The HER family of receptor tyrosine kinases, EGFR, HER2, HER3, and HER4, mediate proliferation, migration, adhesion, differentiation, and survival in many different cell types and have been implicated in the development and progression of a variety of human tumors.[1] Canertinib is an irreversible quinazoline-based HER family tyrosine kinase inhibitor with IC_{50} values of 0.8, 19, and 7 nM for blocking *in vitro* activity of EGFR, HER2, and HER4, respectively.[1] As a broadly applicable anti-cancer agent, it has been used to suppress proliferation of malignant peripheral nerve sheath tumor cells (effective concentration of 250-500 nM), to inhibit growth and induce dose-dependent apoptosis in a panel of neuroblastoma cell lines (IC_{50}s = 0.94-2.45 µM), and to reduce proliferation of acute myeloid leukemia cells (IC_{50} = 0.27 µM).[2-4] Canertinib also displays anti-neoplastic activity towards T98G glioblastoma cells, HCT8 colorectal carcinoma cells, and cells expressing the breast cancer resistance protein.[5]

References

1. Arkin, M. and Moasser, M.M. *Curr. Opin. Investig. Drugs* **9(12)**, 1264-1276 (2008).
2. Dilworth, J.T., Wojtkowiak, J.W., Mathieu, P., *et al. Cancer Biol. Ther.* **7(12)**, 1938-1946 (2008).
3. Richards, K.N., Zweidler-McKay, P.A., Van Roy, N., *et al. Cancer* **116(13)**, 3233-3243 (2010).
4. Nordigården, A., Zetterblad, J., Trinks, C., *et al. Br. J. Haematol.* **155**, 198-208 (2011).
5. Erlichman, C., Boerner, S.A., Hallgren, C.G., *et al. Cancer Res.* **61**, 739-748 (2001).

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