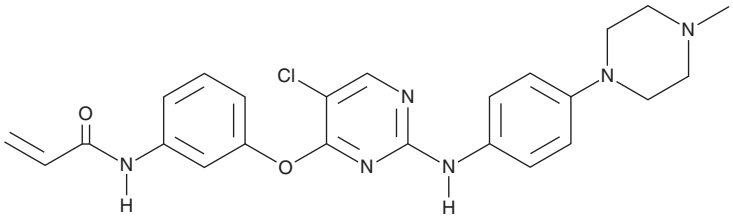
PRODUCT INFORMATION

WZ3146

Item No. 23440

CAS Registry No.:	1214265-56-1
Formal Name:	N-[3-[[5-chloro-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-4-pyrimidinyl]oxy]phenyl]-2-propenamide
MF:	$C_{24}H_{25}ClN_6O_2$
FW:	465.0
Purity:	≥98%
UV/Vis.:	λ_{max}: 287 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

WZ3146 is supplied as a crystalline solid. A stock solution may be made by dissolving the WZ3146 in the solvent of choice, which should be purged with an inert gas. WZ3146 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of WZ3146 in these solvents is approximately 30 mg/ml.

WZ3146 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, WZ3146 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. WZ3146 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

WZ3146 is an irreversible inhibitor of mutant EGF receptors (EGFRs) with IC_{50} values ranging from 2 to 2,740 nM in Ba/F3 cells.[1] It is selective for EGFR mutants including $EGFR^{L858R}$ and $EGFR^{Del\ E746\_A750}$ (IC_{50}s = 2 nM for both) over wild-type EGFR (IC_{50} = 750 nM in HN11 cells) but also inhibits $ERBB2^{Ins\ G776V,C}$ and wild-type ERBB2 (IC_{50}s = 10 and 24 nM, respectively, in Ba/F3 cells). However, it does not inhibit the $ERBB2^{T7981}$ gatekeeper mutant. WZ3146 (10-1,000 nM) decreases phosphorylation of EGFR, AKT, and ERK1/2 in H1975 non-small cell lung cancer (NSCLC) cells in a concentration-dependent manner. It inhibits proliferation of PC-9 NSCLC and gefitinib-resistant PC-9 GR cells (EC_{50}s = 15 and 3 nM, respectively).[2]

References

1. Zhou, W., Ercan, D., Chen, L., *et al.* Novel mutant-selective EGFR kinase inhibitors against EGFR T790M. *Nature* **462(7276)**, 1070-1074 (2009).
2. Zhou, W., Ercan, D., Jänne, P.A., *et al.* Discovery of selective irreversible inhibitors for EGFR-T790M. *Bioorg. Med. Chem. Lett.* **21(2)**, 638-643 (2011).

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