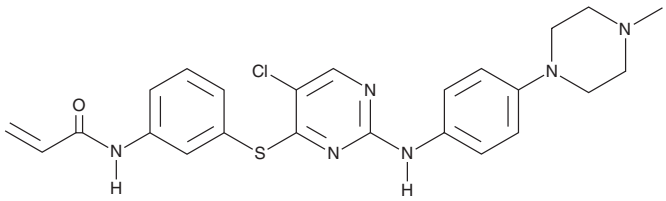
PRODUCT INFORMATION

WZ8040

Item No. 30062

CAS Registry No.: 1214265-57-2
Formal Name: N-[3-[[5-chloro-2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-4-pyrimidinyl]thio]phenyl]-2-propenamide
MF: $C_{24}H_{25}ClN_6OS$
FW: 481.0
Purity: ≥98%
UV/Vis.: λ_{max}: 281 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

WZ8040 is supplied as a crystalline solid. A stock solution may be made by dissolving the WZ8040 in the solvent of choice, which should be purged with an inert gas. WZ8040 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of WZ8040 in ethanol is approximately 1 mg/ml and approximately 30 mg/ml in DMSO and DMF.

WZ8040 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, WZ8040 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. WZ8040 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

WZ8040 is an inhibitor of mutant EGF receptors (EGFRs) with IC_{50} values ranging from 2 to 306 nM in Ba/F3 cells.[1] It is selective for EGFR mutants, including the $EGFR^{Del\ E746\_A750}$ and $EGFR^{L858R}$ mutations conferring gefitinib sensitivity and the $EGFR^{Del\ E746\_A750/T790M}$ mutation conferring gefitinib resistance (IC_{50}s = 2, 6, and 6 nM in Ba/F3 cells), over wild-type EGFR (IC_{50} = 1,820 nM in HN11 cells) but also inhibits $ERBB2^{Ins\ G776V,C}$ and wild-type ERBB2 (IC_{50}s = 20 and 32 nM, respectively, in Ba/F3 cells). WZ8040 inhibits proliferation of PC-9 cells harboring the $EGFR^{Del\ E746\_A750}$ mutation and gefitinib-resistant PC-9 GR cells harboring the $EGFR^{T790M}$ resistant allele (EC_{50}s = 6 and 8 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).

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