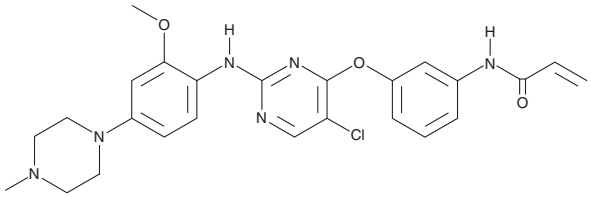
# PRODUCT INFORMATION

## WZ4002

*Item No. 16297*

**CAS Registry No.:** 1213269-23-8
**Formal Name:** N-[3-[[5-chloro-2-[[2-methoxy-4-(4-methyl-1-piperazinyl)phenyl]amino]-4-pyrimidinyl]oxy]phenyl]-2-propenamide
**MF:** $C_{25}H_{27}ClN_6O_3$
**FW:** 495.0
**Purity:** ≥98%
**UV/Vis.:** $\lambda_{max}$: 279 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

WZ4002 is supplied as a crystalline solid. A stock solution may be made by dissolving the WZ4002 in the solvent of choice, which should be purged with an inert gas. WZ4002 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of WZ4002 in ethanol is approximately 1 mg/ml and approximately 50 mg/ml in DMSO and DMF.

WZ4002 is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

### Description

The recurrent missense mutation T790M in the kinase domain of epidermal growth factor receptor (EGFR) is a gatekeeper mutation, as it sterically hinders binding of inhibitors while preserving catalytic activity.[1,2] WZ4002 is an irreversible EGFR tyrosine kinase inhibitor that blocks ATP-dependent autophosphorylation of EGFR carrying the T790M mutation as well as an L858R mutation ($IC_{50}$ = 8 nM).[2,3] It preferentially targets cells expressing $EGFR^{T790M}$ over those expressing EGFR without the T790M mutation.[2] WZ4002 is also bioavailable *in vivo* when given intravenously and is effective in mouse models of lung cancer driven by $EGFR^{T790M}$.[2] Efficacy may be enhanced when used in combination therapy with inhibitors of Met kinase activity, Bcl-2, or histone deacetylases.[4-7]

### References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2. Zhou, W., Ercan, D., Chen, L., *et al. Nature* **462(7276)**, 1070-1074 (2009).
3. Lee, H.-J., Schaefer, G., Heffron, T.P., *et al. Cancer Discov.* **3(2)**, 168-181 (2013).
4. Wang, W., Li, Q., Takeuchi, S., *et al. Clin. Cancer Res.* **18(6)**, 1663-1671 (2012).
5. Nakagawa, T., Takeuchi, S., Yamada, T., *et al. Mol. Cancer Ther.* **11(10)**, 2149-2157 (2012).
6. Sakuma, Y., Yamazaki, Y., Nakamura, Y., *et al. Lab. Invest.* **92(3)**, 371-383 (2012).
7. Nanjo, S., Yamada, T., Nishihara, H., *et al. PLoS One* **8(12)**, 1-13 (2013).





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