

# Product data sheet



MedKoo Cat#: 203170 Name: WZ4002 CAS#: 1213269-23-8 Chemical Formula: C <sub>25</sub> H <sub>27</sub> ClN <sub>6</sub> O <sub>3</sub> Exact Mass: 494.1833 Molecular Weight: 494.97	
Product supplied as: Powder	
Purity (by HPLC): ≥ 98%	
Shipping conditions: Ambient temperature	
Storage conditions: Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.	

## 1. Product description:

WZ4002 is EGFR inhibitor against EGFR T790M (mutation of the gatekeeper T790 residue) which is detected in 50% of clinically resistant patients to gefitinib or erlotinib. WZ4002 has a basic chemical framework (covalent pyrimidine) which is different from that of other EGFR inhibitors. This agent is 30- to 100-fold more potent against EGFR T790M, and up to 100-fold less potent against wildtype EGFR, than quinazoline-based EGFR inhibitors (HKI-272 and CL-387,785) in vitro.

## 2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

## 3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	54.33	109.76
DMF	50.0	101.02
Ethanol	1.0	2.02

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.02 mL	10.10 mL	20.20 mL
5 mM	0.40 mL	2.02 mL	4.04 mL
10 mM	0.20 mL	1.01 mL	2.02 mL
50 mM	0.04 mL	0.20 mL	0.40 mL

## 5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

## 6. Recommended literature which reported protocols for in vitro and in vivo study

### In vitro study

1. Lee TG, Jeong EH, Kim SY, Kim HR, Kim CH. The combination of irreversible EGFR TKIs and SAHA induces apoptosis and autophagy-mediated cell death to overcome acquired resistance in EGFR T790M-mutated lung cancer. *Int J Cancer*. 2015 Jun 1;136(11):2717-29. doi: 10.1002/ijc.29320. Epub 2014 Nov 26. PMID: 25382705.

### In vivo study

1. Lee TG, Jeong EH, Kim SY, Kim HR, Kim CH. The combination of irreversible EGFR TKIs and SAHA induces apoptosis and autophagy-mediated cell death to overcome acquired resistance in EGFR T790M-mutated lung cancer. *Int J Cancer*. 2015 Jun 1;136(11):2717-29. doi: 10.1002/ijc.29320. Epub 2014 Nov 26. PMID: 25382705.

## 7. Bioactivity

Biological target: WZ4002 is an EGFR inhibitor with IC<sub>50</sub>s of 2, 8, 3 and 2 nM for EGFR L858R, EGFR L858R/T790M, EGFR E746\_A750 and EGFR E746\_A750/T790M, respectively.

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## In vitro activity

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The ability of combination treatment with WZ4002 and SAHA to inhibit the proliferation of human NSCLC (non-small cell lung cancer) cells with an EGFR T790M mutation was investigated. While treatment with WZ4002 alone reduced the viability of PC-9G and H1975 cells in a small amount, combining it with SAHA resulted in significantly decreased cell viability for both cell lines (Fig. 1a, top panel). The combination of SAHA and WZ4002 manifested a synergistic effect on the viability of both PC-9G and H1975 cells in most combination concentrations (Fig. 1a, bottom panel).

Reference: Int J Cancer. 2015 Jun 1;136(11):2717-29. <https://onlinelibrary.wiley.com/doi/10.1002/ijc.29320>

## In vivo activity

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It was investigated whether combined treatment with SAHA and WZ4002 might show an enhanced anti-tumor effect on xenografts of H1975 cells in vivo. Following tumor formation, nude mice were treated with gefitinib (50 mg/kg), SAHA (25 mg/kg), or WZ4002 (25 mg/kg) alone or together with SAHA for 3 weeks. Monotherapy with gefitinib or WZ4002 resulted in only a marginal decrease in tumor volume, whereas treatment with the combination of WZ4002 and SAHA led to a marked shrinkage of tumor (Fig. 5a).

Reference: Int J Cancer. 2015 Jun 1;136(11):2717-29. <https://onlinelibrary.wiley.com/doi/10.1002/ijc.29320>

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*