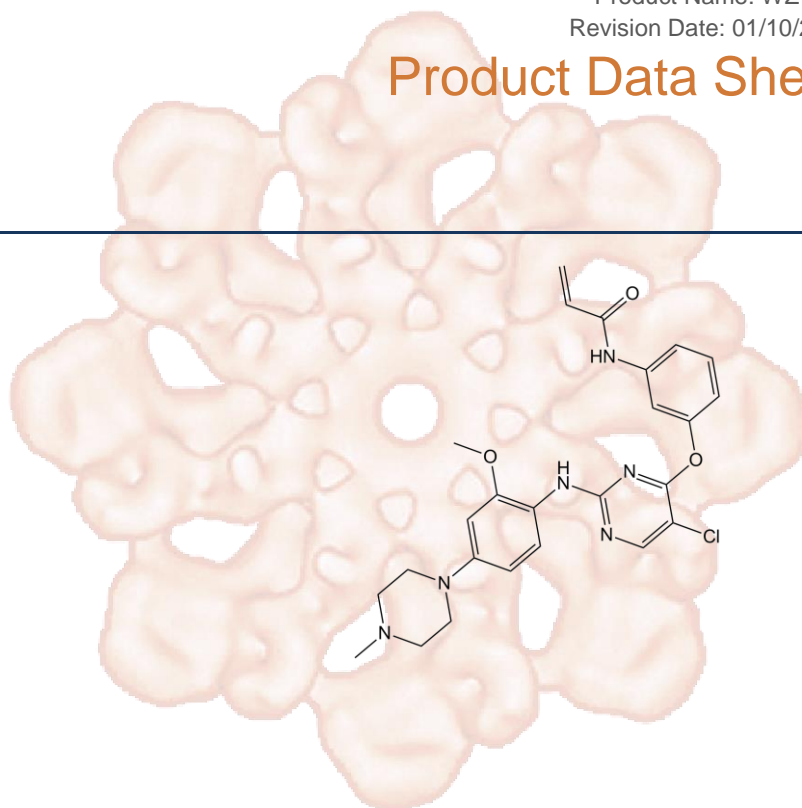


Product Data Sheet

WZ4002

Cat. No.:	A1389
CAS No.:	1213269-23-8
Formula:	C ₂₅ H ₂₇ ClN ₆ O ₃
M.Wt:	494.18
Synonyms:	
Target:	JAK/STAT Signaling
Pathway:	EGFR
Storage:	Store at -20°C



Solvent & Solubility

≥24.7mg/mL in DMSO

In Vitro

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1mg	5mg	10mg
	1 mM		2.0236 mL	10.1178 mL	20.2355 mL
	5 mM		0.4047 mL	2.0236 mL	4.0471 mL
	10 mM		0.2024 mL	1.0118 mL	2.0236 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary

Mutant-selective EGFR inhibitor(L858R,T790M), irreversible and potent

IC₅₀ & Target

2 nM (EGFRL858R), 8 nM (EGFRL858R/T790M)

In Vitro

Cell Viability Assay

Cell Line:	EGFR-mutated NSCLC cell lines PC-9 and NCI-H1975 (H1975)
Preparation method:	The solubility of this compound in DMSO is >24.7mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	1-40 nM (PC-9G cells) and 0-1000 nM (H1975 cells); 72 h
Applications:	In PC-9G and H1975 cells, WZ4002 alone reduced the cell viability in a small

amount, and combining WZ4002 with SAHA significantly decreased cell viability for both cell lines. Combined treatment of WZ4002 with SAHA significantly enhanced bcl-xL decrement, caspase 3 activation and PARP cleavage. In PC-9G cells, combining WZ4002 with SAHA also enhanced autophagy.

Animal experiment

Animal models: nude mice xenografted with H1975 cells

Dosage form: 25 mg/kg; oral gavage for 5 days per week; 3 weeks

Applications: In nude mice xenografted with H1975 cells, 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. In H1975 tumors, Combined treatment of WZ4002 with SAHA decreased expression of bcl-2 and bcl-xL and increased PARP cleavage, which then enhanced apoptosis and autophagy.

Other notes: Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

In Vivo

Product Citations

See more customer validations on www.apexbt.com.

References

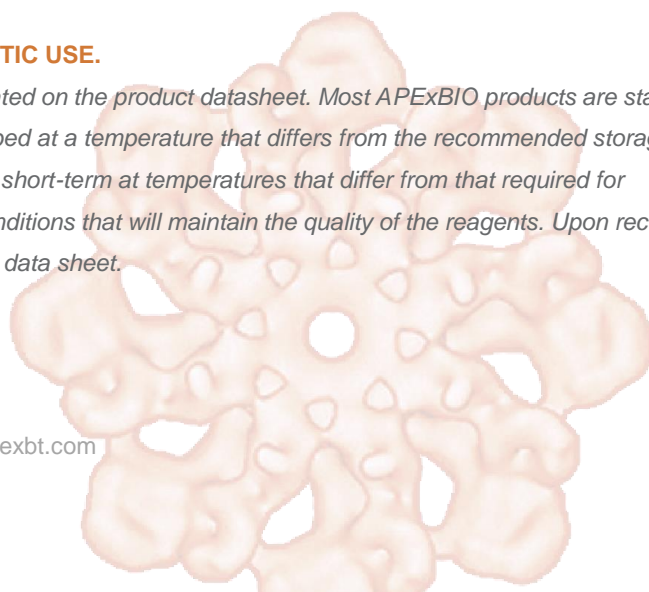
[1]. Lee TG1, Jeong EH, Kim SY, et al. The combination of irreversible EGFR TKIs and SAHA induces apoptosis and autophagy-mediated cell death to overcome acquired resistance in EGFR T790M-mutated lung cancer. *Int J Cancer*. 2015 Jun 1;136(11):2717-29.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APEX BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.



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