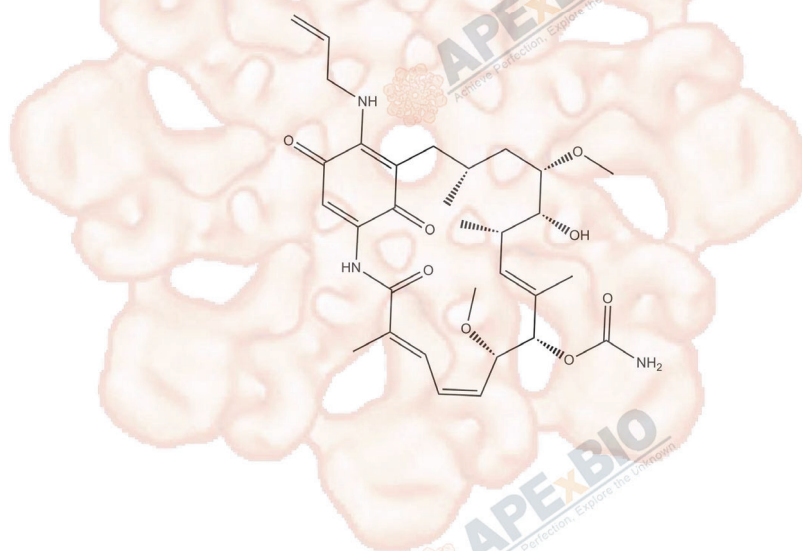


17-AAG (KOS953)

Cat. No.:	A4054
CAS No.:	75747-14-7
Formula:	C ₃₁ H ₄₃ N ₃ O ₈
M.Wt:	585.7
Synonyms:	Tanespimycin
Target:	Proteases
Pathway:	HSP
Storage:	Store at -20°C



Solvent & Solubility

≥24.95 mg/mL in DMSO, ≥9.56 mg/mL in EtOH with ultrasonic, insoluble in H₂O

In Vitro

Preparing Stock Solutions	Mass		1mg	5mg	10mg
	Solvent	Concentration			
	1 mM		1.7074 mL	8.5368 mL	17.0736 mL
	5 mM		0.3415 mL	1.7074 mL	3.4147 mL
	10 mM		0.1707 mL	0.8537 mL	1.7074 mL

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

Biological Activity

Shortsummary

Hsp90 inhibitor

IC₅₀ & Target

5 nM (HSP90)

In Vitro

Cell Viability Assay

Cell Line: HT29, HCT116, KM12 and HCT15 cells

Preparation method:

The solubility of this compound in DMSO is >10 mM. 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:

IC₅₀: 0.2 μM (HT29), 0.8 μM (HCT116), 0.9 μM (KM12) and 46 μM (HCT15) 24 hours

	Applications:	The cells were treated with a range of 17-AAG concentrations for 24 h and then cultured in the absence of 17-AAG for an additional 48 h. 17-AAG showed antitumor activity in these four human colon adenocarcinoma cell lines and reduced cell viabilities dose-dependently. The IC50 values for HT29, HCT116, KM12 and HCT15 cells are 0.2, 0.8, 0.9 and 46 μ M, respectively.
In Vivo	Animal experiment	
	Animal models:	Old nu/nu athymic mice (male with CWR22 xenograft, female with CWR22R or CWRSA6 xenograft)
	Dosage form:	Intraperitoneal injection, 50 mg/kg
	Applications:	Both continuous and intermittent dosing schedules were studied. The "continuous" dosing schedule involved exposure to drug 5 days/week for 3 consecutive weeks. In the "intermittent" schedule, mice were treated with one 5-day cycle and then monitored for tumor progression. At progression, mice were treated with a second 5-day cycle of drug. Both regimens caused a dose-dependent delay in xenograft tumor growth in all three models. With the continuous schedule, 50 mg/kg 17-AAG caused 80% growth inhibition of CWRSA6 tumor growth when assessed on the day the controls required sacrifice. With the intermittent schedule, 17-AAG caused 87% growth inhibition of CWRSA6 tumor growth. Similar results were noted with the parental CWR22 model and with a second androgen-independent subline CWR22R.
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.	

Product Citations

1. Karney-Grobe S, Russo A, et al. "HSP90 is a chaperone for DLK and is required for axon injury signaling." Proc Natl Acad Sci U S A. 2018 Oct 16;115(42):E9899-E9908.PMID:30275300
2. Jarrett Smith, Geraldine Seydoux, et al. "Liquid-like P granules require ATP hydrolysis to avoid solidification." bioRxiv, Jan. 10, 2018.
3. Khattar, Vinayak, et al. "Cks1 proteasomal degradation is induced by inhibiting Hsp90-mediated chaperoning in cancer cells." Cancer chemotherapy and pharmacology (2014): 1-10.PMID:25544127

See more customer validations on www.apexbt.com.

References

- [1] Hostein I, Robertson D, DiStefano F, et al. Inhibition of signal transduction by the Hsp90 inhibitor 17-allylamino-17-demethoxygeldanamycin results in cytostasis and apoptosis. Cancer Research, 2001, 61(10): 4003-4009.
- [2] Solit D B, Zheng F F, Drobnjak M, et al. 17-Allylamino-17-demethoxygeldanamycin induces the degradation of androgen receptor and HER-2/neu and inhibits the growth of prostate cancer xenografts. Clinical cancer research, 2002, 8(5): 986-993.

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 APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm 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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