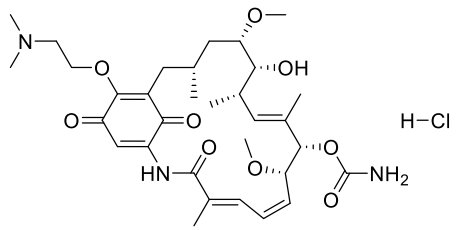
Product data sheet

MedKoo Cat#: 200170 Name: Alvespimycin HCl CAS#: 467214-21-7(HCl) Chemical Formula: $C_{32}H_{48}ClN_3O_9$ Molecular Weight: 654.19	
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:

Alvespimycin is an analogue of the antineoplastic benzoquinone antibiotic geldanamycin. Alvespimycin binds to HSP90, a chaperone protein that aids in the assembly, maturation and folding of proteins. Subsequently, the function of Hsp90 is inhibited, leading to the degradation and depletion of its client proteins such as kinases and transcription factors involved with cell cycle regulation and signal transduction. Check for active clinical trials or closed clinical trials using this agent.

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	53.4	81.63
DMF	20.0	30.57
DMF:PBS (pH 7.2) (1:1)	0.5	0.76
Ethanol	4.27	6.53

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.53 mL	7.64 mL	15.29 mL
5 mM	0.31 mL	1.53 mL	3.06 mL
10 mM	0.15 mL	0.76 mL	1.53 mL
50 mM	0.03 mL	0.15 mL	0.31 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. Hu Y, Bobb D, He J, Hill DA, Dome JS. The HSP90 inhibitor alvespimycin enhances the potency of telomerase inhibition by imetelstat in human osteosarcoma. Cancer Biol Ther. 2015;16(6):949-57. doi: 10.1080/15384047.2015.1040964. Epub 2015 Apr 28. PMID: 25920748; PMCID: PMC4622625.
2. Gao L, Zhao G, Fang JS, Yuan TY, Liu AL, Du GH. Discovery of the neuroprotective effects of alvespimycin by computational prioritization of potential anti-Parkinson agents. FEBS J. 2014 Feb;281(4):1110-22. doi: 10.1111/febs.12672. Epub 2014 Jan 9. PMID: 24304935.

In vivo study

1. Zhang J, Wang K, Qi J, Cao X, Wang F. The Hsp90 Inhibitor 17-DMAG Attenuates Hyperglycemia-Enhanced Hemorrhagic Transformation in Experimental Stroke. Biomed Res Int. 2021 Feb 2;2021:6668442. doi: 10.1155/2021/6668442. PMID: 33614785; PMCID: PMC7878095.

2. Singh S, Abu-Zaid A, Lin W, Low J, Abdolvahabi A, Jin H, Wu Q, Cooke B, Fang J, Bowling J, Vaithiyalingam S, Currier D, Yun MK, Fernando DM, Maier J, Tillman H, Bulsara P, Lu Z, Das S, Shelat A, Li Z, Young B, Lee R, Rankovic Z, Murphy AJ, White SW, Davidoff AM, Chen T, Yang J. 17-DMAG dually inhibits Hsp90 and histone lysine demethylases in alveolar rhabdomyosarcoma. iScience. 2020 Dec 28;24(1):101996. doi: 10.1016/j.isci.2020.101996. PMID: 33490904; PMCID: PMC7811140.

7. Bioactivity

Biological target:

Alvespimycin hydrochloride (17-DMAG hydrochloride; KOS-1022; BMS 826476) is an inhibitor of Hsp90, with EC50 of 62±29 nM.

In vitro activity

Exposure of human SH-SY5Y neuroblastoma cells to 17-DMAG (10−11 to 10−8 m) for 24 h and 48 h did not affect cell viability as measured by the 3-(4,5-dimethylthiazol-2-yl)-2,5-diphenyl tetrazolium bromide (MTT) assay. However, 17-DMAG at concentrations of 10−7 to 10−5 m caused significant reductions in cell viability for 24 h and 48 h ($P < 0.001$) (Fig. 5A). In the subsequent experiments, concentrations of 17-DMAG were below 10 nm. As shown in Fig. 5B, after exposure to 2 μm rotenone for 48 h, the cell viability decreased by 30% compared to the control group ($P < 0.001$). Pretreatment with 17-DMAG at concentrations of 1 nm and 3 nm significantly attenuated rotenone-induced cell death ($P < 0.05$ and $P < 0.01$). This result suggested that 17-DMAG was effective in inhibiting rotenone-induced cell death.

Reference: FEBS J. 2014 Feb;281(4):1110-22. https://pubmed.ncbi.nlm.nih.gov/24304935/

In vivo activity

Consistent with past reports, this study found that hyperglycemia led to extensive HT in ischemic areas of the brain in MCAO model rats at 24 h post-MCAO. Relative to animals injected with vehicle control, animals administered 17-DMAG exhibited significant reductions in both infarct size and hemorrhage volume at 24 h post-MCAO (Figures 1(b)–1(d)). There was also a clear correlation between infarct size and hemorrhage volume in these animals (Figures 1(b)–1(d)). Relative to sham controls, MCAO model animals exhibited clear neurological deficits that were partially reversed in MCAO model animals that had been treated with 17-DMAG (Figure 1(e)).

Reference: Biomed Res Int. 2021; 2021: 6668442. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7878095/

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.