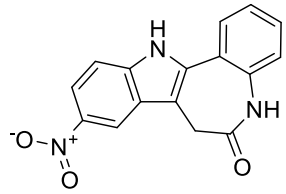
Product data sheet

MedKoo Cat#: 206619 Name: Alsterpaullone CAS#: 237430-03-4 Chemical Formula: $C_{16}H_{11}N_3O_3$ Exact Mass: 293.08 Molecular Weight: 293.282	
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:

Alsterpaullone, also known as 9-Nitropaullone and NSC 705701, is a derivative of kenpaullone and an ATP-competitive inhibitor of several cyclin-dependent kinases (CDKs) as well as glycogen synthase kinase 3β (GSK3β). Alsterpaullone induces apoptosis by activation of caspase-9 due to perturbation in mitochondrial membrane potential. Alsterpaullone mediated toxicity in HeLa Cells through Apoptosis-Inducing Effect.

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	12.33	42.04
DMSO:PBS (pH 7.2) (1:1)	0.5	1.70
DMF	3.0	10.23

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.41 mL	17.05 mL	34.10 mL
5 mM	0.68 mL	3.41 mL	6.82 mL
10 mM	0.34 mL	1.70 mL	3.41 mL
50 mM	0.07 mL	0.34 mL	0.68 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. Wang J, Li Y, Gao L, Yan F, Gao G, Li L. GSK-3β Inhibitor Alsterpaullone Attenuates MPP+-Induced Cell Damage in a c-Myc-Dependent Manner in SH-SY5Y Cells. Front Cell Neurosci. 2018 Aug 30;12:283. doi: 10.3389/fncel.2018.00283. PMID: 30233322; PMCID: PMC6127625.

2. Faria CC, Agnihotri S, Mack SC, Golbourn BJ, Diaz RJ, Olsen S, Bryant M, Bebenek M, Wang X, Bertrand KC, Kushida M, Head R, Clark I, Dirks P, Smith CA, Taylor MD, Rutka JT. Identification of alsterpaullone as a novel small molecule inhibitor to target group 3 medulloblastoma. Oncotarget. 2015 Aug 28;6(25):21718-29. doi: 10.18632/oncotarget.4304. PMID: 26061748; PMCID: PMC4673298.

In vivo study

1. Watanabe T, Sato Y, Masud HMAA, Takayama M, Matsuda H, Hara Y, Yanagi Y, Yoshida M, Goshima F, Murata T, Kimura H. Antitumor activity of cyclin-dependent kinase inhibitor alsterpaullone in Epstein-Barr virus-associated lymphoproliferative disorders. Cancer Sci. 2020 Jan;111(1):279-287. doi: 10.1111/cas.14241. Epub 2019 Dec 11. PMID: 31743514; PMCID: PMC6942432.

2. Yin P, Zheng N, Dong J, Xu C, Zhang X, Ding G. Alsterpaullone induces apoptosis of HepG2 cells via a p38 mitogen-activated protein kinase signaling pathway. Oncol Lett. 2019 Jan;17(1):1177-1183. doi: 10.3892/ol.2018.9700. Epub 2018 Nov 14. PMID: 30655881; PMCID: PMC6312958.

7. Bioactivity

Biological target:

Alsterpaullone (9-Nitropaullone) is a CDK inhibitor, with IC50s of 35 nM, 15 nM, 200 nM and 40 nM for CDK1/cyclin B, CDK2/cyclin A, CDK2/cyclin E and CDK5/p35, respectively. Alsterpaullone also competes with ATP for binding to GSK-3alpha/GSK-3beta with IC50s of both 4 nM.

In vitro activity

The MTT assay indicated that MPP^+ induced a dose-dependent decrease of the cell viability, and the cell viability decreased almost 45% at an exposure to 500 μM MPP^+ (Figure 1A). However, the cell viability of SH-SY5Y cells exhibited an increase when cells were pretreated with various concentrations of Als (Alsterpaullone) (0.5, 1.0, 2.0 μM) for 24 h before MPP^+= treatment (Figure 1B). Moreover, Als (0.25 μM or 0.5 μM) was applied to SH-SY5Y cells treated with MPP^+ and the cell viability was assessed 0 h, 12 h, 24 h or 48 h later. The results indicated that the decrease of cell viability induced by MPP^+ could be abrogated by 0.5 μM and 24 h Als treatment (Supplementary Figure S1).

Reference: Front Cell Neurosci. 2018; 12: 283. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6127625/

In vivo activity

To analyze the in vivo effects of alsterpaullone, this study used a mouse model of EBV-LPD. Viral DNA levels in the peripheral blood of the treatment group decreased compared with nontreated controls (Figure 4B). Moreover, the survival rate significantly increased following treatment with the inhibitor (Figure 4C). Tumor formation in the pancreas was suppressed by inhibitor treatment (Figure 4D). The H&E staining of tumor tissue sections showed a clear reduction in lymphocyte infiltration in inhibitor-treated mice (Figure 4D). The EBV-positive B cell infiltration was decreased in mice treated with the inhibitor (Figure 4D). BZLF1, a representative marker of lytic infection and the master key gene for promoting the lytic cycle, was detected in the tumor tissue of nontreated control mice (Figure 4D). These results indicated that the CDK inhibitor has an antitumor effect in an EBV-LPD mouse model.

Reference: Cancer Sci. 2020 Jan; 111(1): 279–287. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6942432/