# **Product data sheet**



MedKoo Cat#: 406332		
Name: Purvalanol A		
CAS#: 212844-53-6		
Chemical Formula: C <sub>19</sub> H <sub>25</sub> ClN <sub>6</sub> O		H N N
Exact Mass: 388.17784		HO N
Molecular Weight: 388.89		$\hat{N} \neq \hat{N}$
Product supplied as:	Powder	CI、
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:

Purvalanol A is a potent CDK inhibitor, which effectively suppresses Src-mediated transformation by inhibiting both CDKs and c-Src indicating that the activation of CDKs contributes to the c-Src transformation. Purvalanol A suppressed the c-Src activity as effectively as the Src-selective inhibitor PP2, and that it reverted the transformed morphology to a nearly normal shape with less cytotoxicity than PP2. Purvalanol A induced a strong G2-M arrest, whereas PP2 weakly acted on the G1-S transition. Furthermore, when compared with PP2, purvalanol A more effectively suppressed the growth of human colon cancer HT29 and SW480 cells, in which Src family kinases and CDKs are activated.

#### 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	30.0	77.1
Ethanol	10.0	25.7

4. Stock solution preparation table:

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Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg		
1 mM	2.57 mL	12.86 mL	25.71 mL		
5 mM	0.51 mL	2.57 mL	5.14 mL		
10 mM	0.26 mL	1.29 mL	2.57 mL		
50 mM	0.05 mL	0.26 mL	0.51 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. Phoomvuthisarn P, Cross A, Glennon-Alty L, Wright HL, Edwards SW. The CDK inhibitor purvalanol A induces neutrophil apoptosis and increases the turnover rate of Mcl-1: potential role of p38-MAPK in regulation of Mcl-1 turnover. Clin Exp Immunol. 2018 May;192(2):171-180. doi: 10.1111/cei.13107. Epub 2018 Mar 9. PMID: 29377076; PMCID: PMC5904697.
- 2. Hikita T, Oneyama C, Okada M. Purvalanol A, a CDK inhibitor, effectively suppresses Src-mediated transformation by inhibiting both CDKs and c-Src. Genes Cells. 2010 Oct;15(10):1051-62. doi: 10.1111/j.1365-2443.2010.01439.x. Epub 2010 Sep 5. PMID: 20825494.

#### In vivo study

1. Maćkowiak M, Kolasiewicz W, Markowicz-Kula K, Wedzony K. Purvalanol A, inhibitor of cyclin-dependent kinases attenuates proliferation of cells in the dentate gyrus of the adult rat hippocampus. Pharmacol Rep. 2005 Nov-Dec;57(6):845-9. PMID: 16382206.

# 7. Bioactivity

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### Biological target:

Purvalanol A is a CDK inhibitor, which inhibits cdc2-cyclin B, cdk2-cyclin A, cdk2-cyclin E, cdk4-cyclin D1, and cdk5-p35 with IC50s of 4, 70, 35, 850, 75 nM, resepctively.

### In vitro activity

The present study has made the novel discovery that the CDK-2 inhibitor, purvalanol A, triggers a rapid onset of apoptosis in human neutrophils, but not in PBMCs. This inhibitor induces a rapid loss of Mcl-1 protein, but this inhibitor had no effect on Mcl-1 mRNA levels (measured by qPCR) during a 4-h incubation compared to untreated control levels. This rapid loss in Mcl-1 protein levels was found to be due to an increase in the turnover rate of the protein that was induced by purvalanol A, the half-life of the protein being decreased from approximately 2 h to approximately 1 h. Unlike PBMCs, which also express the anti-apoptotic proteins, Bcl-2 and Bcl-X<sub>L</sub>, this loss of Mcl-1 induced by purvalanol A induced rapid cell death in neutrophils because of their high dependency upon this protein for survival.

Reference: Clin Exp Immunol. 2018 May; 192(2): 171–180. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5904697/

#### In vivo activity

However, purvalanol A had a significant effect on the number of BrdU nuclei [F(2, 15) = 11.36; p < 0.001], if it was given 2.5 h before BrdU administration. Post hoc Duncan's test revealed that a higher concentration of purvalanol A ( $40 \text{ nmol/3} \mu l$ ) significantly decreased the number of BrdU-positive nuclei in the DG of the rat hippocampus (by approximately 35%, p < 0.005) (Fig. 1, 2) while a lower concentration of the CDKs inhibitor ( $4 \text{ nmol/3} \mu l$ ) did not affect the number of BrdU-positive cells in the DG (p < 0.98) (Fig. 1). The present study indicates that purvalanol A inhibited the cell proliferation in the DG of the hippocampus, since a decrease in the number of BrdU-positive cells after purvalanol A treatment has been observed.

Reference: Pharmacol Rep. 2005 Nov-Dec;57(6):845-9. https://pubmed.ncbi.nlm.nih.gov/16382206/

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.