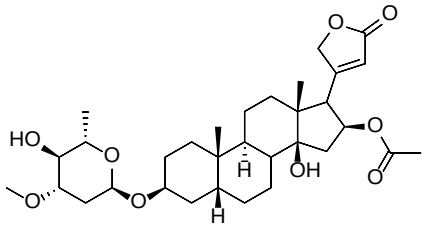
Product data sheet

MedKoo Cat#: 202070 Name: Oleandrin CAS: 465-16-7 Chemical Formula: $C_{32}H_{48}O_9$ Exact Mass: 576.3298 Molecular Weight: 576.727	
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:
Oleandrin, also known as PBI-05204 , is a lipid soluble cardiac glycoside with potential antineoplastic activity. Upon administration, oleandrin specifically binds to and inhibits the alpha3 subunit of the Na/K-ATPase pump in human cancer cells. This may inhibit the phosphorylation of Akt, upregulate MAPK, inhibit NF-kb activation and inhibit FGF-2 export and may downregulate mTOR thereby inhibiting p70S6K and S6 protein expression. All of this may lead to an induction of apoptosis.

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	100.0	173.39
Ethanol	10.0	17.34

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	1.73 mL	8.67 mL	17.34 mL
5 mM	0.35 mL	1.73 mL	3.47 mL
10 mM	0.17 mL	0.87 mL	1.73 mL
50 mM	0.04 mL	0.17 mL	0.35 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. Eroğlu Güneş C, Seçer Çelik F, Seçme M, Elmas L, Dodurga Y, Kurar E. Glycoside oleandrin downregulates toll-like receptor pathway genes and associated miRNAs in human melanoma cells. Gene. 2022 Nov 15;843:146805. doi: 10.1016/j.gene.2022.146805. Epub 2022 Aug 11. PMID: 35964872.
2. Yang P, Cartwright C, Efuet E, Hamilton SR, Wistuba II, Menter D, Addington C, Shureiqi I, Newman RA. Cellular location and expression of Na+, K+ -ATPase α subunits affect the anti-proliferative activity of oleandrin. Mol Carcinog. 2014 Apr;53(4):253-63. doi: 10.1002/mc.21968. Epub 2012 Oct 16. PMID: 23073998; PMCID: PMC4442617.

In vivo study

1. Garofalo S, Grimaldi A, Chece G, Porzia A, Morrone S, Mainiero F, D'Alessandro G, Esposito V, Cortese B, Di Angelantonio S, Trettel F, Limatola C. The Glycoside Oleandrin Reduces Glioma Growth with Direct and Indirect Effects on Tumor Cells. J Neurosci. 2017 Apr 5;37(14):3926-3939. doi: 10.1523/JNEUROSCI.2296-16.2017. Epub 2017 Mar 14. PMID: 28292827; PMCID: PMC6596714.

2. Afaq F, Saleem M, Aziz MH, Mukhtar H. Inhibition of 12-O-tetradecanoylphorbol-13-acetate-induced tumor promotion markers in CD-1 mouse skin by oleandrin. Toxicol Appl Pharmacol. 2004 Mar 15;195(3):361-9. doi: 10.1016/j.taap.2003.09.027. PMID: 15020199.

7. Bioactivity

Biological target:

Oleandrin (PBI-05204) inhibits the Na^+, K^+-ATPase activity with an IC_{50} of 620 nM.

In vitro activity

The effects of oleandrin on α3 subunit intracellular distribution, cell death, proliferation, and EKR phosphorylation were examined in differentiated and undifferentiated human colon cancer CaCO-2 cells. Intriguingly, oleandrin exerted threefold stronger anti-proliferative activity in undifferentiated CaCO-2 cells (IC50, 8.25 nM) than in differentiated CaCO-2 cells (IC50, >25 nM). Oleandrin (10 to 20 nM) caused an autophagic cell death and altered ERK phosphorylation in undifferentiated but not in differentiated CaCO-2 cells.

Reference: Mol Carcinog. 2014 Apr;53(4):253-63. https://pubmed.ncbi.nlm.nih.gov/23073998/

In vivo activity

To this aim, mice were transplanted with human or murine glioma and analyzed for tumor progression upon oleandrin treatment. In both systems, oleandrin impaired glioma development, reduced tumor size, and inhibited cell proliferation. This study demonstrated that oleandrin does the following: (1) enhances the brain-derived neurotrophic factor (BDNF) level in the brain; (2) reduces both microglia/macrophage infiltration and CD68 immunoreactivity in the tumor mass; (3) decreases astrogliosis in peritumoral area; and (4) reduces glioma cell infiltration in healthy parenchyma.

Reference: J Neurosci. 2017 Apr 5;37(14):3926-3939. https://pubmed.ncbi.nlm.nih.gov/28292827/