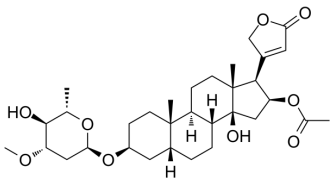
Oleandrin

Cat. No.:	HY-13719
CAS No.:	465-16-7
Molecular Formula:	$C_{32}H_{48}O_9$
Molecular Weight:	576.72
Target:	Na+/K+ ATPase
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (173.39 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7339 mL	8.6697 mL	17.3394 mL
	5 mM	0.3468 mL	1.7339 mL	3.4679 mL
	10 mM	0.1734 mL	0.8670 mL	1.7339 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.33 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.33 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.33 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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

IC_{50} & Target

IC50: 620 nM (Na^+, K^+-ATPase)[1].

In Vitro

Study of Na,K-ATPase inhibition shows an IC_{50} (nM) of 620 for Oleandrin. The inhibition of Na,K-ATPase by Oleandrin confirms that it likely exert its toxic effect through inhibition of sodium pump activity[1]. When treated with a series of concentrations of Oleandrin (0.2-25 nM), the undifferentiated CaCO-2 cells are sensitive as evidenced by an IC_{50} of 8.25 nM.

	In contrast, a maximum growth inhibition of only 20% is reached in differentiated CaCO-2 cells even though they are treated with Oleandrin concentrations as high as 25 nM[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The effect of Oleandrin is investigated on glioma growth in vivo. To this aim, SCID or C57BL/6 mice are transplanted, respectively, with human U87MG (5×10^4), U251, GBM19 (5×10^5), or murine (syngeneic) GL261 (7.5×10^4) cells into the right striatum and, after 10 d, treated daily with intraperitoneal Oleandrin for an additional 7 d. Oleandrin significantly reduces tumor sizes in human and murine glioma cell models in vivo in a dose-dependent way. High concentrations of Oleandrin (3 mg/kg) are fatal in both models, as expected from the known lethal dose for rodents. Doses of Oleandrin below the lethal dose (0.3 mg/kg) significantly increase the survival time from 32.6±1.4 d to 53.8±9.6 d in mice injected with U87MG cells (n=5-11; $p<0.01$, log-rank test) and from 23.37±1.2 d to 34.38±3.3 d (n=5-11; $p<0.01$, log rank test) in mice injected with GL261 cells [3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Undifferentiated wild-type and well-differentiated CaCO-2 cells are treated with a range of concentrations of Oleandrin (0.2-25 nM). After 48 h, cells are labeled with BrdU and relative cell proliferation is determined with a BrdU Cell Proliferation Kit[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [3]	Mice[3] After tumor cell injection, SCID or C57BL/6 mice are monitored daily. The end point is determined by lack of physical activity or death. The mean survival time is calculated using the Kaplan-Meier method and statistical analysis is performed using a log-rank test. For cotreatment with Temozolomide (TMZ), 10 d after tumor injection, mice are treated with Oleandrin (0.03, 0.3, or 3 mg/kg/daily, i.p.), TMZ (50 mg/kg, i.p., every 2 d for a total of 4 times with a stop of 2 weeks) or both. The dosing scheme is chosen starting from these data to be reasonably sure that a constant concentration of drug is maintained along the experiment. Animals used in Kaplan-Meier survival studies receive up to four TMZ cycles. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Death Dis. 2021 Mar 24;12(4):314.
- Biomed Pharmacother. 2020 Apr;124:109852.

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REFERENCES

[1]. Jortani SA, et al. Inhibition of Na,K-ATPase by oleandrin and oleandrigenin, and their detection by digoxin immunoassays. Clin Chem. 1996 Oct;42(10):1654-8.

[2]. Yang P, et al. 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.

[3]. Garofalo S, et al. The Glycoside Oleandrin Reduces Glioma Growth with Direct and Indirect Effects on Tumor Cells. J Neurosci. 2017 Apr 5;37(14):3926-3939.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA