

Product Data Sheet

Product Name: (R)-Edelfosine

Cat. No.: GC16687

Chemical Properties

Cas. No. 77286-66-9

Chemical Name (S)-2-methoxy-3-(octadecyloxy)propyl (2-(trimethylammonio)ethyl) phosphate

SMILES [O-][P@@](OCC[N+](C)(C)C)(OC[C@H](COCCCCCCCCCCCCCCCCCCC)OC)=O

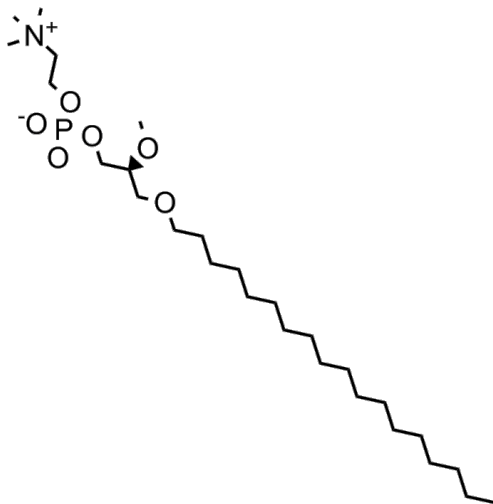
Formula $C_{27}H_{58}NO_6P$ M.Wt 523.73

Solubility PBS pH 7.2: 1 mg/ml Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

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Cell lines	LNCaP, PC3, and DU145 cells (human prostate cancer cell lines with distinct androgen dependency, and differing PTEN and p53 status)
Preparation Method	Cells were grown in RPMI-1640 medium supplemented with 10% heat-inactivated fetal bovine serum (FBS), 100U/ml penicillin, 100µg/ml streptomycin, and 2mM L-glutamine at 37°C in a humidified atmosphere containing 5% CO ₂ . Exponentially growing cells were treated with (R)-Edelfosine (5-30µM; 24-72 hours).
Reaction Conditions	5-30µM; 24-72 hours
Applications	(R)-Edelfosine was the most potent alkylphospholipid analog in inducing apoptosis. (R)-Edelfosine accumulated in the endoplasmic reticulum (ER) of prostate cancer cells, leading to ER stress and cell death. Treatment induced a G2/M cell cycle arrest prior to apoptosis onset. (R)-Edelfosine also triggered a potent autophagic response in LNCaP and PC3 cells, and inhibition of autophagy potentiated its pro-apoptotic activity.

Animal experiment [2]:

Animal models	Male athymic nude mice (orthotopic LNCaP tumor model)
Preparation Method	LNCaP cells (5×10^5) were implanted into the dorsal prostate of mice. Two weeks post-implantation, mice with serum PSA levels of 3.0-8.0ng/mL were treated orally by gavage. Androgen deprivation (AD) was achieved via bilateral orchiectomy 3 days prior to (R)-Edelfosine (5, 10, and 20mg/kg; orally) treatment in the AD groups.

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Dosage form 5, 10, and 20mg/kg; orally

Applications (R)-Edelfosine combined with AD resulted in a significant decrease in tumor volume and serum PSA levels compared to (R)-Edelfosine alone. The combination treatment showed the greatest antitumor effect, with a more than 7-fold decrease in tumor volume and PSA levels.

References:

- [1] Dakir EH, Gajate C, Mollinedo F. Antitumor activity of alkylphospholipid edelfosine in prostate cancer models and endoplasmic reticulum targeting. *Biomed Pharmacother.* 2023 Nov;167:115436.
- [2] Udayakumar TS, Stoyanova R, Shareef MM, et al. Edelfosine Promotes Apoptosis in Androgen-Deprived Prostate Tumors by Increasing ATF3 and Inhibiting Androgen Receptor Activity. *Mol Cancer Ther.* 2016 Jun;15(6):1353-63.

Background

(R)-Edelfosine is a synthetic lipid agent belonging to a class of compounds with antitumor and antiparasitic activities^[1]. (R)-Edelfosine can induce apoptosis-like cell death in tumor cells by targeting FOF1-ATP synthase on mitochondria and lipid rafts^[2]. (R)-Edelfosine also exhibits antileishmanial and antischistosomal activities^[3]. (R)-Edelfosine acts as a novel HIV-1 latency-reversing agent^[4].

In vitro, treatment of prostate cancer cells (LNCaP, PC3, and DU145) with (R)-Edelfosine (5–30 μ M) for 24–72 hours leads to accumulation in the endoplasmic reticulum, inducing endoplasmic reticulum stress, significantly promoting caspase-3 activation and PARP cleavage, and triggering apoptosis^[5]. Treatment of non-small cell lung cancer cells (NCI-H157, NCI-H520, NCI-H522) with (R)-Edelfosine (2.6–100 μ M) for 24–72 hours inhibits phospholipase C-B1 activity, induces G2/M phase arrest and c-Jun N-terminal kinase activation, and significantly promotes apoptosis and necrosis^[6].

In vivo, daily oral administration of (R)-Edelfosine (30mg/kg) starting from day 5 after tail vein injection of 435-Lung-eGFP-CMV/Luc metastatic cancer cells until week 12 in nude mice significantly

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inhibits metastatic tumor colonization in the lungs and brain, while prolonging the survival of tumor-bearing mice^[7]. Oral administration of (R)-Edelfosine (5–20mg/kg) three times per week in an orthotopic LNCaP cell xenograft mouse model, combined with androgen deprivation (bilateral orchiectomy), significantly suppresses tumor volume growth^[8].

References:

- [1] Teixeira SF, Rodrigues CP, Costa CJS, et al. Edelfosine: An Antitumor Drug Prototype. *Anticancer Agents Med Chem.* 2018;18(6):865-874.
- [2] Gajate C, Mollinedo F. Lipid rafts, endoplasmic reticulum and mitochondria in the antitumor action of the alkylphospholipid analog edelfosine. *Anticancer Agents Med Chem.* 2014 May;14(4):509-27.
- [3] Tanzifi A, Khoshi A, Emami S, et al. The effect of edelfosine on GRA1 and MIC3 expressions in acute toxoplasmosis. *Parasitol Res.* 2020 Apr;119(4):1371-1380.
- [4] Rai M, Rawat K, Muhammadi MK, et al. Edelfosine reactivates latent HIV-1 reservoirs in myeloid cells through activation of NF- κ B and AP1 pathway. *Virology.* 2022 Sep;574:57-64.
- [5] Dakir EH, Gajate C, Mollinedo F, et al. Antitumor activity of alkylphospholipid edelfosine in prostate cancer models and endoplasmic reticulum targeting. *Biomed Pharmacother.* 2023 Nov;167:115436.
- [6] Shafer SH, Williams CL, et al. Non-small and small cell lung carcinoma cell lines exhibit cell type-specific sensitivity to edelfosine-induced cell death and different cell line-specific responses to edelfosine treatment. *Int J Oncol.* 2003 Aug;23(2):389-400.
- [7] Alonso-Pérez V, Hernández V, Calzado MA, et al. Suppression of metastatic organ colonization and antiangiogenic activity of the orally bioavailable lipid raft-targeted alkylphospholipid edelfosine. *Biomed Pharmacother.* 2024 Feb;171:116149.
- [8] Udayakumar TS, Stoyanova R, Shareef MM, et al. Edelfosine Promotes Apoptosis in Androgen-Deprived Prostate Tumors by Increasing ATF3 and Inhibiting Androgen Receptor Activity. *Mol Cancer Ther.* 2016 Jun;15(6):1353-63.

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