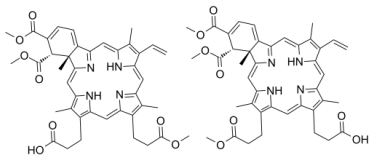
Data Sheet

Product Name:	Verteporfin
Cat. No.:	CS-1950
CAS No.:	129497-78-5
Molecular Formula:	C41H42N4O8
Molecular Weight:	718.79
Target:	Autophagy; YAP
Pathway:	Autophagy; Stem Cell/Wnt
Solubility:	DMSO : 8 mg/mL (11.13 mM; Need ultrasonic); H2O : < 0.1 mg/mL (insoluble); DMF : 100 mg/mL (139.12 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Verteporfin is a benzoporphyrin derivative monoacid ring A, and can inhibit the activity of **YAP**. **In Vitro:** Verteporfin is specifically selected by PDX-cell screening. The concentrations to cause 50% growth inhibition (GI_{50}) for PhLO, PhLH, and PhLK are 228 nM, 395 nM, and 538 nM, respectively, whereas GI_{50} for ALL-1, TCC-Y/sr, and NPhA1 are 3.93 μM, 2.11 μM, and 5.61 μM, respectively. GSH significantly reduces the sensitivity of 2 out of 3 PDX cells to verteporfin. Verteporfin reduces the mitochondrial membrane potential in PDX cells[1]. Verteporfin reduces the PTX-resistance on HCT-8/T cells by inhibiting YAP expression and combination therapy with verteporfin and paclitaxel (PTX) shows synergism on inhibition of YAP and cytotoxicity to HCT-8/T[2]. **In Vivo:** Verteporfin (10 mg/kg, c.s.c.) and dasatinib significantly reduces the leukemia cell ratio, and combined therapy further reduced the number of leukemia cells in the spleen[1].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: Verteporfin is dissolved in DMSO.[1]PDX cells co-cultured with S17 cells are treated with 16 combinations of verteporfin (60 nM, 120 nM, 180 nM, and 240 nM) and dasatinib (12 nM, 24 nM, 36 nM, and 48 nM). The viabilities of cells treated with each combination are measured after 48 h using FACS Aria flow cytometer. In order to estimate drug interaction between verteporfin and dasatinib, a normalized isobologram and fraction affectedcombination index (CI) plot are made using CompuSyn software. CI values greater than 1.0 indicated antagonistic effects, equal to 1.0 additive effects, and below 1.0 synergistic effects. **Animal Administration:** [1]Mice: PhLO cells (1.0×10^7/mouse) are injected intravenously into 6-week-old male NOG mice, which are then treated with vehicle, verteporfin (140 mg/kg/day), dasatinib (20 mg/kg/day), and a combination of these drugs from days 22 to 28. Verteporfin is administered by continuous subcutaneous infusion (c.s.c.) using Alzet osmotic pumps. An intraperitoneal injection (i.p.) is performed for dasatinib. All mice are sacrificed on day 28 and the chimerism of leukemia cells is investigated by flow cytometer using an anti-human CD19 antibody and antimouse CD45 antibody. Blood concentrations of verteporfin are calculated by LCMS-2020.

References:

[1]. Morishita T, et al. The photosensitizer verteporfin has light-independent anti-leukemic activity for Ph-positive acute lymphoblastic leukemia and synergistically works with dasatinib. Oncotarget. 2016 Aug 2.

[2]. Pan W, et al. Verteporfin can Reverse the Paclitaxel Resistance Induced by YAP Over-Expression in HCT-8/T Cells without Photoactivation through Inhibiting YAP Expression. Cell Physiol Biochem. 2016;39(2):481-90.

CAIndexNames:

24H,26H-Benzo[b]porphine-9,13-dipropanoic acid, 18-ethenyl-4,4a-dihydro-3,4-bis(methoxycarbonyl)-4a,8,14,19-tetramethyl-, monomethyl ester, (4R,4aS)-rel-

SMILES:

C[C@]1(/C2=C/C(N3)=C4C)C(C(/C=C(C(C)=C/5C=C)\NC5=C/C6=N/C(C(CCC(OC)=O)=C6C)=C\C3=C4CCC(O)=O)=N2)=CC=C(C(OC)=O)[C@H]1C(OC)=O.C[C@]7(/C8=C/C(N9)=C%10C)C(C(/C=C(C(C)=C/%11C=C)\NC%11=C/C%12=N/C(C(CCC(O)=O)=C%12C)=C\C9=C%10CCC(OC)=O)=N8)=CC=C(C(OC)=O)[C@H]7C(OC)=O

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