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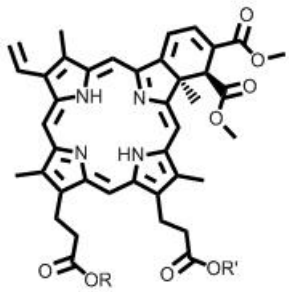
YAP Inhibitor – Verteporfin (Visudyne)

Chemical Name: 3-[(23S,24R)-14-Ethenyl-5-(3-methoxy-3-oxopropyl)-22,23-bis(methoxycarbonyl)-4,10,15,24-tetramethyl-25,26,27,28-tetraazahexacyclo[16.6.1.13,6.18,11.113,16.019,24]octacosa-1,3,5,7,9,11(27),12,14,16,18(25),19,21-dodecaen-9-yl]propanoic acid



R=Me and R'=H
or R=H and R'=Me
ratio 1:1

Molecular Weight:	718.79
Formula:	$C_{41}H_{42}N_4O_8$
Purity:	≥97%
CAS#:	129497-78-5
Solubility:	DMSO up to 50 mM
Storage	Powder: 4 ºC 1 year DMSO: 4 ºC 3 months -20 ºC 1 year

Biological Activity:

Verteporfin (Visudyne) is a potent and selective YAP inhibitor, disrupts YAP-TEAD interactions and enhances trypsin cleavage of YAP with EC_{50} ~100 nM. It inhibits growth and proliferation of retinoblastoma cells. It also significantly blocks cancer stem cell (CSC) properties in cells with high YAP1 and a high proportion of ALDH1(+). In vivo it can suppress YAP-induced liver overgrowth in mice.

How to Use:

In vitro: Verteporfin was used at 10 μM final concentration in various in vitro assays.

In vivo: Verteporfin was administered by intraperitoneal injection at 100 mg/Kg once every other day in liver overgrowth model.

Reference:

1. Liu-Chittenden Y, et al. Genetic and pharmacological disruption of the TEAD-YAP complex suppresses the oncogenic activity of YAP. (2012) Genes Dev. 26(12):1300-5.
2. Brodowska K, et al. The clinically used photosensitizer Verteporfin (VP) inhibits YAP-TEAD and human retinoblastoma cell growth in vitro without light activation. (2014) Exp Eye Res. 124:67-73.
3. Song S, et al. Hippo coactivator YAP1 upregulates SOX9 and endows esophageal cancer cells with stem-like properties. (2014) Cancer Res. 74(15):4170-82.