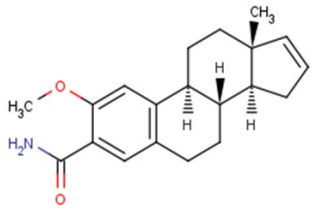
Data Sheet (Cat.No.T15234)

TargetMol
A DRUG SCREENING EXPERT

ENMD-119

Chemical Properties



CAS No.:	864668-87-1
Formula:	C20H25NO2
Molecular Weight:	311.42
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	ENMD-119 is a 2-methoxyestradiol analog with antiproliferative and antiangiogenic activity. It is suitable for inhibiting HIF-1α and STAT3 in human HCC cells.
Targets(IC_{50})	STAT3: None HIF-1α: None
In vitro	ENMD-1198 inhibits the proliferation of endothelial cell HMEC-1 and BMH29L (IC50: 0.4 μM and 3.8 μM) and it also significantly inhibits capillary tube formation from 0.1 μM and suppresses endothelial cell morphogenesis at 1 μM. ENMD-1198 inhibits endothelial cell motility and endothelial cell migration and inhibits MDA-BO2 cancer cell viability (IC50: approximately 0.8 μM). ENMD-1198 also inhibits the phosphorylation of MAPK/Erk, PI-3K/Akt, and FAK. ENMD-1198 (0.5 μM) inhibits the formation of capillary tubes in HMEC-1 cells. Moreover, ENMD-1198 at the IC50 for cell proliferation causes a significant decrease in VEGFR-2 expression both in the presence and in the absence of serum. ENMD-1198 rapidly causes extensive microtubule depolymerization and accumulation of actin stress fibers and large focal adhesions [1]. ENMD-1198 has both an antiangiogenic effect and a vascular disruptive effect in vitro. ENMD-1198 reduces the viability of RAW264.7 osteoclast precursor cells and inhibits PTHrP-stimulated bone resorption. ENMD-1198 shows inhibitory activity against RAW264 (IC50: approximately 0.4 μM) and is shown to be 4 times more potent than 2ME2 (IC50 appro 1.6 μM) [2]. The activation of HIF-1α and STAT3 is dramatically reduced by ENMD-1198, which leads to lower VEGF mRNA expression. In addition, the tumor cell migratory and invasive properties are obviously inhibited [3].
In vivo	ENMD-1198 treatment protects the bone against tumor-induced osteolysis in vivo [2]. ENMD-1198 (200 mg/kg/d, peroral gavage)-based combination treatments decrease tumor burden but do not eradicate the tumor in mice. ENMD-1198 (200 mg/kg/day, p.o.) leads to a significant reduction in tumor growth, tumor vascularization, and numbers of proliferating tumor cells [3].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.211 mL	16.055 mL	32.111 mL
5 mM	0.642 mL	3.211 mL	6.422 mL
10 mM	0.321 mL	1.606 mL	3.211 mL
50 mM	0.064 mL	0.321 mL	0.642 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Pasquier E, et al. ENMD-1198, a new analogue of 2-methoxyestradiol, displays both antiangiogenic and vascular-disrupting properties. Mol Cancer Ther. 2010 May;9(5):1408-18.
2. Snoeks TJ, et al. 2-methoxyestradiol analogue ENMD-1198 reduces breast cancer-induced osteolysis and tumor burden both in vitro and in vivo. Mol Cancer Ther. 2011 May;10(5):874-82.
3. Moser C, et al. ENMD-1198, a novel tubulin-binding agent reduces HIF-1alpha and STAT3 activity in human hepatocellular carcinoma(HCC) cells, and inhibits growth and vascularization in vivo. BMC Cancer. 2008 Jul 23;8:206.

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