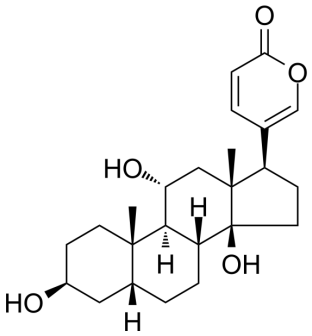
Gamabufotalin

Cat. No.:	HY-N0883
CAS No.:	465-11-2
Molecular Formula:	$C_{24}H_{34}O_5$
Molecular Weight:	402.52
Target:	VEGFR
Pathway:	Protein Tyrosine Kinase/RTK
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 : 50 mg/mL (124.22 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4843 mL	12.4217 mL	24.8435 mL
	5 mM	0.4969 mL	2.4843 mL	4.9687 mL
	10 mM	0.2484 mL	1.2422 mL	2.4843 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 (6.21 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.21 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.21 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Gamabufotalin (Gamabufagin), a main active compound isolated from Chinese medicine Chansu, has been shown to strongly inhibit cancer cell growth and inflammatory response. Gamabufotalin could inhibite angiogenesis by inhibiting the activation of VEGFR-2 signaling pathways.

In Vitro

Gamabufotalin (0-500 nM, 48 h) inhibits cell viability of human lung cancer A549, H1299, H322 cells, and inhibits colony formation and migration (0-100 nM, 48 h), with no cytotoxicity in human normal lung cell line (HLF cells)[1].
Gamabufotalin (0-100 nM, 12-48 h) inhibits COX-2 expression and inhibits NF-κB and p300 translocation in A549 cells[1].

Gamabufotalin (0-100 nM, 12-48 h) induces apoptosis by activating the cytochrome c release and caspase-dependent apoptotic pathway in A549 cells[1].
Gamabufotalin (0-100 nM, 48 h) induces hyperphosphorylation of p38, increases the expression of ATP1A3 and decreases AQP4 expression in U87 cells[3].
Gamabufotalin (0-50 nM, 24 h) inhibits VEGF (50 ng/mL)-induced angiogenesis in an HUVECs in vitro angiogenesis tube formation assay[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1]

Cell Line:	A549 cells
Concentration:	0-100 nM
Incubation Time:	12-48 h
Result:	Increase the expression levels of the cleaved caspase-3, caspase-9 and PARP. Induced the release of cyt c from mitochondria to cytosol.

Immunofluorescence[1]

Cell Line:	A549 cells
Concentration:	0-100 nM
Incubation Time:	12-48 h
Result:	Inhibited translocation of the NF-κB p65/p50 proteins from cell cytoplasm to nucleus, and induced p300 into the cytoplasm.

In Vivo

Gamabufotalin (5 and 20 mg/kg/day, i.p., for 17 days) inhibits tumor growth in the A549-xenografts mice[1].
Gamabufotalin (1 mg/kg, i.p., three times per week) together with Temozolomide (HY-17364) (20 mg/kg. i.p., three times per week) shows a synergistic antitumor effect, and inhibits tumor growth and prolongs mice survival in mice U87 xenografts[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	A549-xenografts mice[1]
Dosage:	5 and 20 mg/kg/day
Administration:	i.p., for 17 days
Result:	Reduced tumor volume and the tumor weights. Decreased COX-2 and p-p65 level in tumors.

CUSTOMER VALIDATION

- Nat Microbiol. 2023 Jan;8(1):121-134.
- Phytomedicine. 2023 Oct 28, 155169.
- Front Pharmacol. 2021 Apr 23;12:629968.
- J Nat Prod. 2023 Apr 12.

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REFERENCES

[1]. Yu Z, et al. Gamabufotalin, a bufadienolide compound from toad venom, suppresses COX-2 expression through targeting IKKβ/NF-κB signaling pathway in lung cancer cells. Mol Cancer. 2014 Aug 31;13:203.

[2]. Dong Y, et al. Bufadienolide compounds sensitize human breast cancer cells to TRAIL-induced apoptosis via inhibition of STAT3/Mcl-1 pathway. Apoptosis. 2011 Apr;16(4):394-403.

[3]. Lan YL, et al. Gamabufotalin induces a negative feedback loop connecting ATP1A3 expression and the AQP4 pathway to promote temozolomide sensitivity in glioblastoma cells by targeting the amino acid Thr794. Cell Prolif. 2020;53(1):e12732.

[4]. Tang N, et al. Gamabufotalin, a major derivative of bufadienolide, inhibits VEGF-induced angiogenesis by suppressing VEGFR-2 signaling pathway. Oncotarget. 2016;7(3):3533-3547.

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