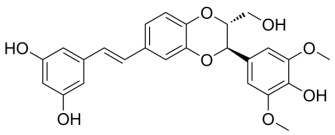
(±)-Aiphanol

Cat. No.:	HY-152120
CAS No.:	578020-29-8
Molecular Formula:	$C_{25}H_{24}O_8$
Molecular Weight:	452.45
Target:	COX; VEGFR
Pathway:	Immunology/Inflammation; Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

(±)-Aiphanol is a newly discovered stilbenolignan analog. (±)-Aiphanol exhibits significant anti-inflammatory activity, acting through inhibition of COX-1 and COX-2. The inhibitory effect on COX-1 (IC_{50} = 1.9 μM) is particularly strong, while the effect on COX-2 (IC_{50}= 9.9 μM) is relatively weak[1].(±)-Aiphanol effectively inhibits VEGFR2 (IC_{50}=0.92 μM). (±)-Aiphanol blocks angiogenesis and promotes apoptosis through inhibition of VEGFR2 and COX2 activity. (±)-Aiphanol is orally active[2].

IC_{50} & Target

COX-1	COX-2	VEGFR2
1.9 μM (IC_{50})	9.9 μM (IC_{50})	0.92 μM (IC_{50})

In Vitro

(±)-Aiphanol (7.5-30 μM; 6 h) dose-dependently inhibits VEGF-induced neovascularization in HUVECs. (±)-Aiphanol significantly reduces the levels of PGE2 and VEGF in HUVECs, and this effect is abolished after COX2 knockdown. (±)-Aiphanol is more effective than Celecoxib (HY-14398) in inhibiting VEGF-induced tubular structure formation in HUVECs[2].

(±)-Aiphanol (7.5-30 μM; 6h) significantly inhibits microvascular growth in the CAM assay, with an effect comparable to Bevacizumab (HY-P9906)[2].

(±)-Aiphanol inhibits the activities of VEGFR3/FLT4, VEGFR2/KDR, and VEGFR1/FLT1, and also moderately or weakly inhibits certain kinases in the PI3K-AKT and MAPK pathways[2].

(±)-Aiphanol (30 μM; 24 h) inhibits the proliferation of HUVECs and induces cell apoptosis[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[2]

Cell Line:	HUVECs
Concentration:	30 μM
Incubation Time:	24 h
Result:	Did not cause significant changes in cell cycle distribution but significantly increased apoptosis. Elevated the expression of P53 and BAX proteins.

In Vivo

(±)-Aiphanol (30 mg/kg; p.o.; single dose) significantly inhibits tumor growth in the MC38 syngeneic mouse model, with a significant reduction in tumor weight[2]

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	MC38 syngeneic mouse model[2]
Dosage:	30 mg/kg
Administration:	p.o.; single dose
Result:	Increased apoptosis in tumor tissues and reduced the phosphorylation levels of VEGFR2, AKT, and ERK. Significantly decreased the levels of vascular markers CD31 and factor VIII. Lowered the levels of PGE2 in plasma and VEGF in tumor tissues. Did not cause changes in body weight or the morphology of major organs.

REFERENCES

[1]. Kuboki A, et al. Total Synthesis of (.+-.)-Aiphanol, a Novel Cyclooxygenase-inhibitory Stilbenolignan[J]. Chemistry letters, 2003, 32(5): 420-421.

[2]. Chen S, et al. Aiphanol, a native compound, suppresses angiogenesis via dual-targeting VEGFR2 and COX2. Signal Transduct Target Ther. 2021 Dec 3;6(1):413.

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