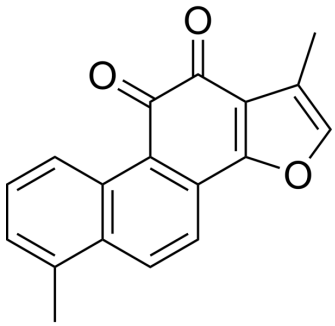
Tanshinone I

Cat. No.:	HY-N0134
CAS No.:	568-73-0
Molecular Formula:	$C_{18}H_{12}O_3$
Molecular Weight:	276.29
Target:	Phospholipase
Pathway:	Metabolic Enzyme/Protease
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 : 2 mg/mL (7.24 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.6194 mL	18.0969 mL	36.1939 mL
5 mM	0.7239 mL	3.6194 mL	7.2388 mL
10 mM	---	---	---

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Tanshinone I is an inhibitor of type IIA human recombinant $sPLA_2$ (IC_{50}=11 μM) and rabbit recombinant $cPLA_2$ (IC_{50}=82 μM).

IC_{50} & Target

IC50: 11 μM ($sPLA_2$), 82 μM ($cPLA_2$)[1].

In Vitro

Tanshinone I inhibits PGE_2 formation from LPS-induced RAW macrophages (IC_{50}=38 μM). When Tanshinone I is added simultaneously with LPS, this compound clearly inhibits PGE2 production (IC_{50}=38 μM) at 10-100 μM. Tanshinone I also reduces PGE2 production (IC_{50}=46 μM) when added after COX-2 is fully induced. The fact that Tanshinone I inhibits PGE2 production by pre-induced COX-2 strongly suggests that this compound may directly inhibit COX-2 activity and/or affect PLA $_2$ activity. When Tanshinone I is incubated with two different forms of phospholipase A_2 (PLA_2), it clearly inhibits $sPLA_2$ (IC_{50} =11 μM) in a concentration-dependent manner. Although being less potent, Tanshinone I also inhibits $cPLA_2$ (IC_{50}=82 μM)[1].

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

In Vivo

Tanshinone I shows antiinflammatory activity in rat carrageenan-induced paw oedema and adjuvant-induced arthritis. In order to establish the anti-inflammatory activity of Tanshinone I, the classical animal models of acute and chronic inflammation [rat carrageenan (CGN)-induced paw oedema and rat adjuvant-induced arthritis (AIA)] are employed. When

Tanshinone I is orally administered, it shows significant anti-inflammatory activity against CGN-induced paw oedema (47% inhibition at 160 mg/kg), while the IC_{50} of indomethacin is 7.1 mg/kg. In AIA, Tanshinone I gives 27% inhibition of secondary inflammation at 18 day with an oral dose of 50 mg/kg/day, whereas prednisolone (5 mg/kg/day) shows potent inhibition (65%)[1].

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PROTOCOL

Kinase Assay [1]

As sources of PLA2, human recombinant $sPLA_2$ (type IIA) is purified from CHO cells transfected with the PLA_2 gene and rabbit recombinant platelet cPLA2 is obtained through its expression in baculovirus. The standard reaction mixture (200 μL) contained 100 mM Tris-HCl buffer (pH 9.0) with 6 mM $CaCl_2$ and 20 nmol 1-acyl-[1-^{14}C]-arachidonyl-sn-glycerophosphoethanolamine (2000 cpm/nmol) in the presence or absence of Tanshinone I. The reaction is started by adding 50 ng purified $sPLA_2$ or $cPLA_2$. After 20 min at 37°C, the free fatty acid generated is analysed. Under these standard conditions, the reaction mixture in the absence of Tanshinone I released approximately 10% of free fatty acid from the phospholipid substrate added[1].

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Cell Assay [1]

RAW 264.7 cells are cultured with DMEM supplemented with 10% FBS and 1% antibiotics under 5% CO_2 at 37°C. Briefly, cells are plated in 96-well plates (2×10^5 cells/well). LPS (1 ug/mL) and Tanshinone I are simultaneously added and incubated for 24 h, unless otherwise specified. The PGE_2 concentration in the medium is measured using an EIA kit for PGE2. In order to determine the effects of Tanshinone I on PGE2 production after induction of COX-2, cells are incubated with LPS (1 ug/mL) for 24 h and thoroughly washed. Then, Tanshinone I is added without LPS and the cells are incubated for another 24 h. From the medium, PGE2 concentrations are measured. The cytotoxicity of Tanshinone I to RAW cells is checked using the MTT assay. Tanshinone I does not show any cytotoxicity up to 100 uM[1].

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Animal Administration [1]

Mice[1]

In order to evaluate the inhibitory activity of Tanshinone I against animal models of acute and chronic inflammation, rat carrageenan (CGN)-induced paw oedema and adjuvant-induced arthritis (AIA) models are employed. Briefly, 1% CGN dissolved in pyrogen-free saline (0.05 mL) is injected into right hind paw of rats for the paw oedema test. After 5 h, swelling of the treated paw is measured using a plethysmometer. Tanshinone I dissolved in 0.5% CMC is administered orally 1 h prior to CGN injection. For the AIA test, an arthritic inflammation is provoked by injection of Mycobacterium Butyricum (0.6 mL/rat) dissolved in mineral oil to the right hind paw of rats. Tanshinone I is orally administered every day. The swelling of the treated and untreated paws is measured using a plethysmometer.

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CUSTOMER VALIDATION

- Int J Mol Sci. 2022, 23(19), 11721.

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REFERENCES

[1]. Kim SY, et al. Effects of Tanshinone I isolated from Salvia miltiorrhiza bunge on arachidonic acid metabolism and in vivo inflammatory responses. Phytother Res. 2002 Nov;16(7):616-20.

Caution: Product has not been fully validated for medical applications. For research use only.

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