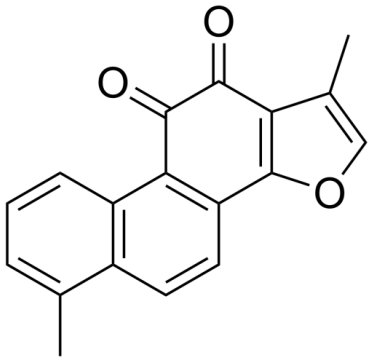
Data Sheet

Product Name:	Tanshinone I
Cat. No.:	CS-4274
CAS No.:	568-73-0
Molecular Formula:	$C_{18}H_{12}O_3$
Molecular Weight:	276.29
Target:	Phospholipase
Pathway:	Metabolic Enzyme/Protease
Solubility:	DMSO : 2 mg/mL (7.24 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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). IC50 & 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]. ***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].

PROTOCOL (Extracted from published papers and Only for reference)

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]. **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]. **Animal Administration:** Tanshinone I is dissolved in 0.5% CMC[1].[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.

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.

CAIndexNames:

Phenanthro[1,2-b]furan-10,11-dione, 1,6-dimethyl

SMILES:

O=C(C1=C2C=CC3=C1C=CC=C3C)C(C4=C2OC=C4C)=O

Tel: 610-426-3128 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA