Piceatannol 3'-O-glucoside

Cat. No.: HY-N2237

CAS No.: 94356-26-0

Molecular Formula: $C_{20}H_{22}O_9$

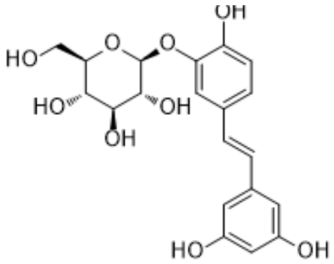
Molecular Weight: 406.38

Target: NO Synthase; Arginase

Pathway: Immunology/Inflammation; Metabolic Enzyme/Protease

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (123.04 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4608 mL	12.3038 mL	24.6075 mL
5 mM	0.4922 mL	2.4608 mL	4.9215 mL
10 mM	0.2461 mL	1.2304 mL	2.4608 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 (6.15 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.15 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Piceatannol 3'-O-glucoside, an active component of Rhubarb, activates endothelial nitric oxide (NO) synthase through inhibition of arginase activity with IC_{50}s of 11.22 μM and 11.06 μM against arginase I and arginase II, respectively.

IC_{50} & Target

NO synthase[1]

IC50: 11.22 μM (Arginase I), 11.06 μM (Arginase II)[1]

In Vitro

Piceatannol 3'-O-glucoside (Piceatannol-3'-O-β-D-glucopyranoside; PG) is a potent component of stilbenes, inhibits the activity of arginase I and II prepared from mouse liver and kidney lysates, respectively, in a dose-dependent manner. In

	human umbilical vein endothelial cells, incubation of Piceatannol 3'-O-glucoside markedly blocks arginase activity and increases nitrite and nitrate (NOx) production, as measured by Griess assay. In liver lysates, incubation of different concentrations of Piceatannol 3'-O-glucoside significantly decreases arginase I activity (75±5% at 1 μM, 72±7% at 3 μM, 62±1% at 10 μM) compared to untreated control (100±9%). In kidney lysates, the residual arginase activities after incubation of 1, 3 and 10 μM Piceatannol 3'-O-glucoside are 75±6, 74±5, and 53±8%, respectively. Arginase activity is measured in the presence of different concentration of Piceatannol 3'-O-glucoside (from 0 to 120 μM) using liver lysate and kidney lysate. The 50% inhibitory concentrations (IC_{50}) are 11.22 μM for the liver lysate and 11.06 μM for kidney lysate. The values are obtained using the software of Graphpad prizm 4.0. Piceatannol 3'-O-glucoside inhibits arginase activity and increases NO production in HUVECs. Piceatannol 3'-O-glucoside inhibits lipoxygenase activity upto 66% at the concentration of 100 μM and IC_{50} value is 69 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In order to ascertain whether Piceatannol 3'-O-glucoside (PG) ameliorates vascular function in wild-type (WT) and atherogenic model mice [apolipoprotein E-null mice ($ApoE^{-/-}$)] and to investigate the possible underlying mechanism. Preincubation of aortic vessels from WT mice fed a normal diet (ND) with Piceatannol 3'-O-glucoside attenuates vasoconstriction response to U46619 and phenylephrine (PE), while the vasorelaxant response to acetylcholine (Ach) is markedly enhanced in an endothelium-dependent manner. Piceatannol 3'-O-glucoside treatment attenuates the phenylephrine (PE)-dependent contractile response, and significantly improves the acetylcholine (Ach)-dependent vasorelaxation response in aortic rings from $ApoE^{-/-}$ mice fed a high-cholesterol diet (HCD). Piceatannol 3'-O-glucoside administration in the drinking water significantly reduces fatty streak formation in $ApoE^{-/-}$ mice fed an HCD[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	Tissue lysates are prepared using lysis buffer (50 mM Tris-HCl, pH 7.5, 0.1 mM EDTA and protease inhibitors) by homogenization at 4°C followed by centrifugation for 20 min at 14,000× g at 4°C. The supernatants are used to assay for arginase activity. The livers or kidneys from C57BL/6 mice (10 weeks) are homogenized in the buffer (50 mM Tris-HCl, 150 mM NaCl, 1% Nonidet P-40, 1 mM EDTA, 1 μg/mL of leupeptin, 1 μg/mL of pepstatin, 1 μg/mL of aprotinin, 1 mM phenylmethylsulfonylflouride, 1 mM sodium orthovanadate, and 1 mM NaF) and centrifuged for 30 min at 14,000× g. The protein amount of the supernatant is analyzed by the Bradford method. Protein (100 μg) are separated in a 10% SDS-PAGE and then transferred to a nitrocellulose membrane. The blots are incubated with a monoclonal anti-arginase I, anti-arginase II, anti-endothelial nitric oxide synthase (eNOS), or anti-β-tubulin antibodies followed by the secondary antibody. The signals are detected using an enhanced chemiluminescence detection reagent with X-ray films[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Mice[2] Twenty 10-week-old male wild-type (WT) (C57BL/6J) and $ApoE^{-/-}$ mice are studied. To determine the effect of Piceatannol 3'-O-glucoside on vascular reactivity, aortic rings isolated from 20 male C57BL/6J WT mice fed a normal diet (ND) and 20 male $ApoE^{-/-}$ mice fed an HCD are studied for 6 weeks. Aortic rings are incubated with or without Piceatannol 3'-O-glucoside (50 μM) for 18 h. For the pathological assay, Piceatannol 3'-O-glucoside is administered in the drinking water to $ApoE^{-/-}$ mice for 6 weeks when the mice are started on the HCD. Given that each mouse consumes ~10 mL water/day this represents a daily dose of ~500 μg/mouse/day of Piceatannol 3'-O-glucoside[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Woo A, et al. Piceatannol-3'-O-beta-D-glucopyranoside as an active component of rhubarb activates endothelial nitric oxide synthase through inhibition of arginase activity. Exp Mol Med. 2010 Jul 31;42(7):524-32.

[2]. Woo A, et al. Arginase inhibition by piceatannol-3'-O-β-D-glucopyranoside improves endothelial dysfunctionvia activation of endothelial nitric oxide synthase in ApoE-

null mice fed a high-cholesterol diet. Int J Mol Med. 2013 Apr;31(4):803-10.

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