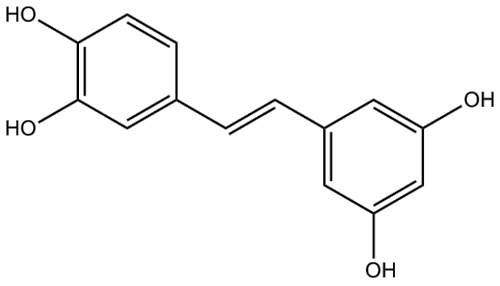
Product Data Sheet

Product Name: Piceatannol
Cat. No.: GN10503

Chemical Properties

Cas. No.	10083-24-6		
Chemical Name	4-[(E)-2-(3,5-dihydroxyphenyl)ethenyl]benzene-1,2-diol		
SMILES	C1=CC(=C(C=C1C=CC2=CC(=CC(=C2)O)O)O)O		
Formula	$C_{14}H_{12}O_4$	M.Wt	244.24
Solubility	≥ 12.2mg/mL in DMSO	Storage	Store at 2-8°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:

Cell lines Mouse brain endothelial cell line bEnd.3

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Preparation Method	The bEnd.3 cells were cultured in DMEM containing 10% FBS plus 100 U/mL penicillin and 100 U/mL streptomycin at 37 °C in a humidified atmosphere with 5% CO2. Cells were subcultured every 2-3 days. All the experiments with bEnd.3 cells were performed between passages 5 to 25 for maintaining excellent BBB characteristics in vitro. The bEnd.3 cell impaired model was induced by treating with LPS at two different concentrations and stimulation times (1 μg/mL for 24 h or 10 μg/mL for 1 h) to examine different target proteins modulating inflammatory and antioxidant responses. Piceatannol (10 or 50 μM) was added to the medium for 30 min and then LPS (10 μg/mL) was added in the existing medium containing piceatannol for 1 h. For another set of experiments, cells were pretreated with piceatannol for 4 h before LPS stimulation (1 μg/mL for 24 h) in the existing medium. Piceatannol was dissolved in 1% dimethyl sulfoxide (DMSO), and LPS was dissolved in phosphate-buffered saline (PBS).
Reaction Conditions	10 or 50 μM for 1.5 or 28 hours
Applications	The protein expression of ICAM-1 and VCAM-1 was upregulated by LPS (1 μg/mL) exposure for 24 h, whereas such upregulation was reversed by pretreatment with 50 μM piceatannol for 4 h in bEnd.3 cells

Animal experiment [2]:

Animal models	Four-week-old female BALB/c mice
Preparation Method	After given 2 weeks for adaption, 4T1-luc cells (5×104 cells) suspended in 0.1-ml matrigel/PBS (1:1, v/v) were injected into the inguinal mammary fat pad. One day after injection, the animals were randomly divided into three experimental groups. Piceatannol (10 or 20 mg/kg) in corn oil or corn oil (vehicle) alone was administered to the mice by oral gavage every day for 30 days.

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Dosage form	Oral, 10 or 20 mg/kg
Applications	Tumor weights were also significantly lower in the mice administered with Piceatannol than in the control group. At the time of sacrifice, the tumor weights were 0.915±0.037 g, 0.740±0.028 g and 0.668±0.047 g in the 0-mg/kg Piceatannol, 10-mg/kg Piceatannol and 20-mg/kg Piceatannol groups, respectively.

References:

[1]: Zhou Y, Khan H, Hoi M P M, et al. Piceatannol Protects Brain Endothelial Cell Line (bEnd. 3) against Lipopolysaccharide-Induced Inflammation and Oxidative Stress[J]. Molecules, 2022, 27(4): 1206.
[2]: Song H, Jung J I, Cho H J, et al. Inhibition of tumor progression by oral piceatannol in mouse 4T1 mammary cancer is associated with decreased angiogenesis and macrophage infiltration[J]. The Journal of nutritional biochemistry, 2015, 26(11): 1368-1378.

Background

Piceatannol (3,3′,4,5′-trans-trihydroxystilbene) is a naturally occurring hydroxylated analogue of resveratrol [1]. Piceatannol inhibited mushroom tyrosinase with IC50 value of 1.53 mM [2]. piceatannol is a spleen tyrosine kinase (Syk) inhibitor, it is often used in studies involving Syk kinase-dependent cells [3,4], especially neutrophils [5,6], macrophages [7,8] and smooth muscle cells [9,10].

Piceatannol was used to specifically inhibit Syk, which is an important adaptor of FcγR cross-linking-induced downstream signaling. Application of Piceatannol aborted cyclin D1 expression induced by FcγR cross-linking in murine bone marrow-derived macrophages (BMM) [7]. Pre-incubation of piceatannol (100 nM for 1 h) increased neutrophil adhesion in the presence of E3MPO, reversing the non-adherent phenotype of the cells [5]. piceatannol inhibited Ang II-enhanced rat aortic smooth muscle cells (RASMCs) migration, and inhibited Ang II-stimulated phosphorylation of ERK1/2, p38 MAPK and Hsp27, pretreatment with piceatannol attenuated Ang II-increased sprout outgrowth from aortic rings [9].

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Piceatannol (10 or 20 mg/kg/d, 3 weeks, oral) administration significantly decreases solid tumor growth in BALB/c mice injected with 4T1 mammary cancer cells [11]. Piceatannol (20 mg/kg/d, 9 days, oral) inhibits lung metastasis of MAT-Ly-Lu (MLL) cells in nude mice [12].

References:

[1]. Piotrowska H, Kucinska M, Murias M. Biological activity of piceatannol: leaving the shadow of resveratrol[J]. Mutation Research/Reviews in Mutation Research, 2012, 750(1): 60-82.
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[3]. Pavanetto M, Zarpellon A, Borgo C, et al. Regulation of serotonin transport in human platelets by tyrosine kinase Syk[J]. Cellular Physiology and Biochemistry, 2011, 27(2): 139-148.
[4]. Beckmann S, Buro C, Dissous C, et al. The Syk kinase SmTK4 of Schistosoma mansoni is involved in the regulation of spermatogenesis and oogenesis[J]. PLoS Pathogens, 2010, 6(2): e1000769.
[5]. Hayes M J, Cambridge G. An IgM class anti-neutrophil cytoplasm antibody inhibits neutrophil adhesion and apoptosis via a Syk dependent signaling cascade[J]. Molecular immunology, 2004, 41(4): 457-468.
[6]. Ortiz-Stern A, Rosales C. FcγRIIIB stimulation promotes β1 integrin activation in human neutrophils[J]. Journal of leukocyte biology, 2005, 77(5): 787-799.
[7]. Luo Y, Pollard J W, Casadevall A. Fcγ receptor cross-linking stimulates cell proliferation of macrophages via the ERK pathway[J]. Journal of Biological Chemistry, 2010, 285(6): 4232-4242.
[8]. Gevrey J C, Isaac B M, Cox D. Syk is required for monocyte/macrophage chemotaxis to CX3CL1 (Fractalkine)[J]. The Journal of Immunology, 2005, 175(6): 3737-3745.
[9]. Lau H K F, Ho J. Regulation of plasminogen activator inhibitor‿ secretion by urokinase and tissue plasminogen activator in rat epithelioid-type smooth muscle cells[J]. British journal of haematology, 2002, 117(1): 151-158.
[10]. Lee H M, Lee C K, Lee S H, et al. p38 mitogen-activated protein kinase contributes to angiotensin II-stimulated migration of rat aortic smooth muscle cells[J]. Journal of pharmacological sciences, 2007, 105(1): 74-81.
[11]. Song H, Jung J I, Cho H J, et al. Inhibition of tumor progression by oral piceatannol in mouse 4T1 mammary cancer is associated with decreased angiogenesis and macrophage infiltration[J]. The Journal of nutritional biochemistry, 2015, 26(11): 1368-1378.
[12]. Kwon G T, Jung J I, Song H R, et al. Piceatannol inhibits migration and invasion of prostate cancer cells: possible mediation by decreased interleukin-6 signaling[J]. The Journal of nutritional

biochemistry, 2012, 23(3): 228-238.