

Product Data Sheet

Product Name: Cardamonin

Cat. No.: GC18069

Chemical Properties

Cas. No. 19309-14-9

Chemical Name (E)-1-(2,4-dihydroxy-6-methoxyphenyl)-3-phenylprop-2-en-1-one

SMILES OC1=C(C(/C=C/C2=CC=CC=C2)=O)C(OC)=CC(O)=C1

Formula $C_{16}H_{14}O_4$ M.Wt 270.28

Solubility DMF: 25 mg/ml, DMSO: 25 mg/ml, DMSO:PBS(pH 7.2) (1:4): 0.2 mg/ml, Ethanol: 1 mg/ml
Storage Store at -20°C, protect from light

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.

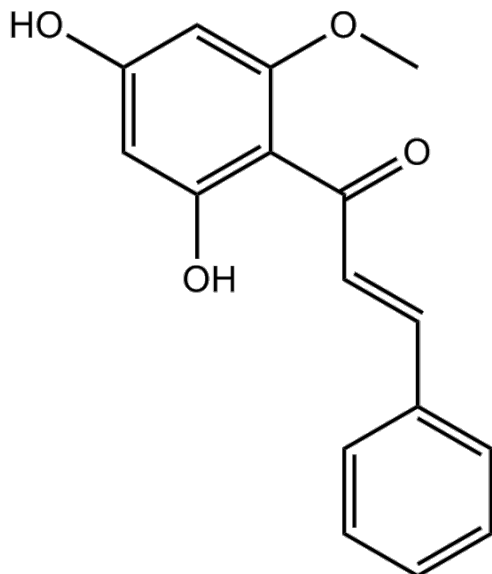
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Protocol

Cell experiment [1, 2]:

Cell lines Activated RAW 264.7 cells and whole blood, vascular smooth muscle cell

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Preparation method The solubility of this compound in DMSO is > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting condition 17–20 h

Applications Cardamonin inhibited NO and PGE2 production from lipopolysaccharide- and IFN γ -induced RAW cells and whole blood with IC50 values of 11.4 μ M and 26.8 μ M, respectively. In whole blood, cardamonin inhibited the generation of TxB2. Cardamonin dose-dependently inhibited the generation of intracellular reactive oxygen species and secretion of TNF- α from RAW 264.7 cells with IC50 values of 12.8 μ M and 4.6 μ M, respectively. Treatment with Cardamonin (37, 74, or 111 μ M) inhibited Ang II-induced proliferation of rat VSMCs. Cardamonin suppressed Ang II-stimulated migration of rat VSMCs.

Animal experiment [3, 4]:

Animal models Female ICR mice, Male Sprague-Dawley rats

Dosage form Intraperitoneal injection, 0.02-20 mg/kg, daily for 4 consecutive days; oral administration, 3-30 mg/kg

Application In female ICR mice, Cardamonin (0.02–2 mg/kg, i.p.) inhibited NO production. In male Sprague-Dawley rats, Cardamonin (3-30 mg/kg, oral administration) significantly inhibited PBQ-induced writhing. Cardamonin dose-dependently increased the withdrawal response latencies in carrageenan-induced hyperalgesia.

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Other notes Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

References:

- [1]. Ahmad S, Israf D A, Lajis N H, et al. Cardamonin, inhibits pro-inflammatory mediators in activated RAW 264.7 cells and whole blood[J]. European journal of pharmacology, 2006, 538(1): 188-194.
- [2]. Shen Y J, Zhu X X, Yang X, et al. Cardamonin inhibits angiotensin II-induced vascular smooth muscle cell proliferation and migration by downregulating p38 MAPK, Akt, and ERK phosphorylation[J]. Journal of natural medicines, 2014, 68(3): 623-629.
- [3]. Takahashi A, Yamamoto N, Murakami A. Cardamonin suppresses nitric oxide production via blocking the IFN- γ /STAT pathway in endotoxin-challenged peritoneal macrophages of ICR mice[J]. Life sciences, 2011, 89(9): 337-342.
- [4]. Park MK, et al. Novel anti-nociceptive effects of cardamonin via blocking expression of cyclooxygenase-2 and transglutaminase-2. Pharmacol Biochem Behav. 2014 Mar;118:10-5.

Background

IC50: 1.2 μ M (NF- κ B activation) [1]

Cardamonin (2',4'-dihydroxy-6'-methoxychalcone), a chalcone isolated from the fruits of *Alpinia rafflesiana*, shows anti-inflammatory activity by targeting the nuclear factor kappa-light-chain-enhancer of activated B cells (NF- κ B) pathway. NF- κ B is a protein complex that controls cytokine production, transcription of DNA and cell survival.

In vitro: Cardamonin is a potential anti-inflammatory drug that targets the NF- κ B pathway, which leads to suppress both NO and PGE2 synthesis, iNOS and COX-2 expression and enzymatic activity. The inhibition activation was due to a dose-dependent inhibition of phosphorylation and degradation of I- κ B α , which resulted in a reduction of p65 NF- κ B nuclear translocation [2]. Cardamonin also appears to inhibit prostaglandin E2, thromboxane B2 production, tumor necrosis factor α (TNF- α) release, and intracellular reactive oxygen species generation, all in a dose-dependent manner [3]

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In vivo: Cardamonin shows protective effects on acute lung injury in sepsis. In mice, the results showed that cardamonin decreases systemic inflammatory responses, during sepsis, by downregulating TNF- α and interleukins [3].

Clinical trial: So far, no clinical study has been conducted.

References:

- [1] Lee JH, Jung HS, Giang PM, Jin X, Lee S, Son PT, Lee D, Hong YS, Lee K, Lee JJ. Blockade of nuclear factor-kappaB signaling pathway and anti-inflammatory activity of cardamomin, a chalcone analog from *Alpinia conchigera*. *J Pharmacol Exp Ther*. 2006 Jan;316(1):271-8. Epub 2005 Sep 23.
- [2] Israfi DA, Khaizurin TA, Syahida A, Lajis NH, Khozirah S. Cardamonin inhibits COX and iNOS expression via inhibition of p65NF-kappaB nuclear translocation and Ikappa-B phosphorylation in RAW 264.7 macrophage cells. *Mol Immunol*. 2007 Feb;44(5):673-9. Epub 2006 Jun 13.
- [3] Gonaves LM, Valente IM, Rodrigues JA. An overview on cardamonin. *J Med Food*. 2014 Jun;17(6):633-40.

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