

Product Data Sheet

Product Name: Scopoletin (Gelseminic acid)

Cat. No.: GC31699

Chemical Properties

Cas. No. 92-61-5

SMILES O=C1C=CC2=CC(OC)=C(O)C=C2O1

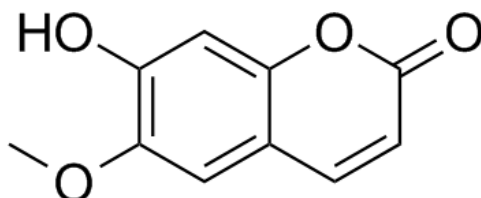
Formula C10H8O4 M.Wt 192.17

Solubility DMSO : ≥ 32 mg/mL (166.52 mM) 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.

Structure



Protocol

Cell experiment [1]:	
Cell lines	Mouse bone marrow-derived dendritic cell

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

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Preparation Method	Mouse bone marrow-derived dendritic cells were cultured with Scopoletin and lipopolysaccharide. Major histocompatibility complex class II, cluster of differentiation 80, cluster of differentiation 86, inflammatory cytokines, and NF- κ B phosphorylation were evaluated.
Reaction Conditions	100 μ M; 18h
Applications	Scopoletin reduced major histocompatibility complex class II, cluster of differentiation 80, and cluster of differentiation 86 expression, inhibited NF- κ B phosphorylation, and decreased interleukin-1 beta and tumor necrosis factor-alpha levels.
Animal experiment [2]:	
Animal models	Male C57BL/6 mouse model of complete Freund's adjuvant-induced chronic inflammation and anxiety
Preparation Method	Male C57BL/6 mice aged 6-8 weeks received an intraplantar injection of complete Freund's adjuvant to establish chronic inflammation and anxiety and received intraperitoneal Scopoletin. Open-field and elevated-plus-maze behavior, microglial activation, inflammatory cytokines, and NF- κ B/MAPK signaling were evaluated.
Dosage form	2mg/kg, 10mg/kg, and 50mg/kg; intraperitoneal injection; 14 days
Applications	Scopoletin dose-dependently reduced anxiety-like behavior, microglial activation, and peripheral and central interleukin-1 beta, interleukin-6, and tumor necrosis factor-alpha levels and inhibited NF- κ B/MAPK signaling.

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References : [1] Zhang F, Zhang Y, Yang T, Ye ZQ, Tian J, Fang HR, et al. Scopoletin suppresses activation of dendritic cells and pathogenesis of experimental autoimmune encephalomyelitis by inhibiting NF-kappaB signaling. Front Pharmacol. 2019;10:863. doi:10.3389/fphar.2019.00863.[2] Luo L, Sun T, Yang L, Liu A, Liu QQ, Tian QQ, et al. Scopoletin ameliorates anxiety-like behaviors in complete Freund's adjuvant-induced mouse model. Mol Brain. 2020;13(1):15. doi:10.1186/s13041-020-0560-2.

Background

Scopoletin (Gelseminic acid) is a naturally occurring phenolic coumarin and an acetylcholinesterase (AChE) inhibitor with IC_{50} of $168.6\mu M$ ^[1]. Scopoletin inhibits AChE and regulates nuclear factor-kappa B (NF- κ B), mitogen-activated protein kinase (MAPK), and cyclic adenosine monophosphate/protein kinase A (cAMP/PKA) signaling, thereby altering cholinergic neurotransmission, inflammatory activation, angiogenesis, and melanogenesis^[1-4]. Scopoletin is used in research on cholinergic signaling, pigmentation, angiogenesis, autoimmune neuroinflammation, arthritis, urate crystal-induced inflammation, and inflammation-associated anxiety^[1-7].

In vitro, Scopoletin ($40\mu g/mL$; 72h) increased intracellular melanin, tyrosinase activity, tyrosinase-related protein 1 (TRP-1), microphthalmia-associated transcription factor (MITF), cAMP production, and p38 MAPK phosphorylation in B16F10 melanoma cells^[2]. Scopoletin ($10\mu M$, $30\mu M$, and $100\mu M$; 24h; 10ng/mL fibroblast growth factor 2) reduced human umbilical vein endothelial cell proliferation, migration, and tubule formation and decreased vascular endothelial growth factor expression, inhibitor of nuclear factor kappa-B kinase alpha phosphorylation, and inhibitor of NF- κ B degradation^[3]. Scopoletin ($100\mu M$; 18h; 100ng/mL lipopolysaccharide) reduced major histocompatibility complex class II, cluster of differentiation 80, cluster of differentiation 86, NF- κ B phosphorylation, interleukin-1 beta, and tumor necrosis factor-alpha in mouse bone marrow-derived dendritic cells^[4].

In vivo, Scopoletin (50mg/kg; intraperitoneal injection once daily from day 0 to day 20 after immunization) reduced disease scores, spinal-cord inflammatory infiltration, demyelination, dendritic-cell activation, and pathogenic T helper 1/T helper 17 responses in C57BL/6 mice with experimental autoimmune encephalomyelitis^[4]. Scopoletin (50mg/kg and 100mg/kg; intraperitoneal injection once

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daily for 23 days) reduced joint inflammation, cartilage and bone destruction, synovial microvessel density, and angiogenic mediator expression in Sprague-Dawley rats with adjuvant-induced arthritis^[5]. Scopoletin (50mg/kg, 100mg/kg, and 200mg/kg; single intraperitoneal injection; 6h) reduced leukocyte infiltration and inflammatory mediator production in the air pouches of ICR mice challenged with monosodium urate crystals^[6]. Scopoletin (2mg/kg, 10mg/kg, and 50mg/kg; intraperitoneal injection once daily for 14 days) reduced microglial activation, interleukin-1 beta, interleukin-6, tumor necrosis factor-alpha, NF- κ B/MAPK activation, and anxiety-like behavior in male C57BL/6 mice with complete Freund's adjuvant-induced chronic inflammation^[7].

References :

- [1] Rollinger JM, Hornick A, Langer T, Stuppner H, Prast H. Acetylcholinesterase inhibitory activity of scopolin and scopoletin discovered by virtual screening of natural products. J Med Chem. 2004;47(25):6248-6254. doi:10.1021/jm049655r.
- [2] Kim DS, Cha SB, Park MC, Park SA, Kim HS, Woo WH, Mun YJ. Scopoletin stimulates melanogenesis via cAMP/PKA pathway and partially p38 activation. Biol Pharm Bull. 2017;40(12):2068-2074. doi:10.1248/bpb.b16-00690.
- [3] Pan R, Gao XH, Lu D, Xu XX, Xia YF, Dai Y. Prevention of FGF-2-induced angiogenesis by scopoletin, a coumarin compound isolated from Erycibe obtusifolia Benth, and its mechanism of action. Int Immunopharmacol. 2011;11(12):2007-2016. doi:10.1016/j.intimp.2011.08.012.
- [4] Zhang F, Zhang Y, Yang T, Ye ZQ, Tian J, Fang HR, et al. Scopoletin suppresses activation of dendritic cells and pathogenesis of experimental autoimmune encephalomyelitis by inhibiting NF-kappaB signaling. Front Pharmacol. 2019;10:863. doi:10.3389/fphar.2019.00863.
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- [6] Yao X, Ding Z, Xia Y, Wei Z, Luo Y, Feleder C, et al. Inhibition of monosodium urate crystal-induced inflammation by scopoletin and underlying mechanisms. Int Immunopharmacol. 2012;14(4):454-462. doi:10.1016/j.intimp.2012.07.024.
- [7] Luo L, Sun T, Yang L, Liu A, Liu QQ, Tian QQ, et al. Scopoletin ameliorates anxiety-like behaviors in complete Freund's adjuvant-induced mouse model. Mol Brain. 2020;13(1):15. doi:10.1186/s13041-020-0560-2.

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