

Product Data Sheet

Product Name: (E)-Guggulsterone

Cat. No.: GC46336

Chemical Properties

Cas. No. 39025-24-6

SMILES O=C1CC[C@@]2(C)C(CC[C@]3([H])[C@]2([H])CC[C@@]4(C)[C@@]3([H])CC(/C4=C\C)=O)=C1

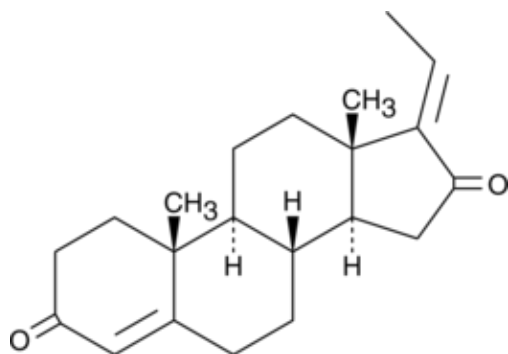
Formula $C_{21}H_{28}O_2$ M.Wt 312.5

Solubility DMF: 10 mg/ml, DMF:PBS (pH 7.2) (1:4): 0.2 mg/ml, DMSO: 0.25 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.

Structure



Protocol

Cell experiment [1]:

Cell lines DENV-infected Huh-7 cells

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Preparation Method DENV-infected Huh-7 cells were treated with the indicated concentrations of (E)-Guggulsterone (2.5, 5, 10, and 20 μ M) for 3 days to assess the anti-DENV properties of (E)-Guggulsterone. DENV protein and RNA levels were then analyzed by Western blotting and RT-qPCR with specific antibodies and primers, respectively.

Reaction Conditions 2.5, 5, 10, and 20 μ M; 3 days

Applications (E)-Guggulsterone treatment reduced DENV protein synthesis and RNA levels with an EC₅₀ value of 8.7 $\pm$ 1.5 μ M.

Animal experiment [1]:

Animal models DENV-infected 6-day-old ICR suckling mice

Preparation Method The DENV-infected mice were treated with (E)-Guggulsterone (5 and 10mg/kg) at 1, 3, and 5-days post-infection. The body weights and survival rates of the infected mice with (E)-Guggulsterone treatment were recorded daily.

Dosage form 5, 10mg/kg; 3 times; i.p.

Applications Treatment with (E)-Guggulsterone (5, 10mg/kg) significantly improved mouse survival (by 20% and 60%, respectively) and promoted weight recovery.

References:

[1] CHEN W C, WEI C K, HOSSEN M, et al. (E)-Guggulsterone inhibits dengue virus replication by upregulating antiviral interferon responses through the induction of heme oxygenase-1 expression[J]. Viruses, 2021, 13(4): 712.

Background

(E)-Guggulsterone is an orally active farnesoid X receptor (FXR) antagonist that modulates

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cholesterol/bile acid metabolism, with an IC_{50} of $24.06\mu M$ ^[1]. FXR is a bile acid-activated transcription factor that plays a crucial role in maintaining the homeostasis of bile acids, lipids, and glucose^[2]. (E)-Guggulsterone is commonly used in the study and treatment of conditions such as hyperlipidemia, atherosclerosis, and chronic inflammation^[3,4,5].

In vitro, treatment of dengue virus (DENV)-infected Huh-7 cells with (E)-Guggulsterone ($2.5-20\mu M$) for 3 days inhibited DENV protein synthesis and RNA replication in a dose-dependent manner, with an EC_{50} of $8.7 \pm 1.5\mu M$, and showed no cytotoxicity within this concentration range^[6]. Treatment of lamina propria CD4⁺ T cells isolated from 2,4,6-trinitrobenzenesulfonic acid (TNBS)-induced colitis mice (co-cultured with anti-CD3/CD28 antibodies) with (E)-Guggulsterone ($100\mu M$) for 6-24h induced apoptosis in a time-dependent manner and was accompanied by a decrease in mitochondrial membrane potential^[7].

In vivo, intraperitoneal administration of (E)-Guggulsterone (5, 10mg/kg) to DENV-infected 6-day-old ICR suckling mice on days 1, 3, and 5 post-infection significantly improved survival rates (by 20% and 60%, respectively) and promoted body weight recovery^[6]. Intraperitoneal administration of (E)-Guggulsterone (30mg/kg) for 5 days, starting concurrently with colitis induction, significantly alleviated TNBS-induced colitis symptoms in BALB/c mice, including reduced weight loss, improved stool scores, and downregulated gene expression of IFN- γ , IL-2, IL-6, TNF- α , and TGF- β 1 in the colon^[7].

References:

- [1] HSU C W, ZHAO J, HUANG R, et al. Quantitative high-throughput profiling of environmental chemicals and drugs that modulate farnesoid X receptor[J]. Scientific reports, 2014, 4(1): 6437.
- [2] CLAUDEL T, STAELS B, KUIPERS F. The Farnesoid X receptor: a molecular link between bile acid and lipid and glucose metabolism[J]. Arteriosclerosis, thrombosis, and vascular biology, 2005, 25(10): 2020-2030.
- [3] DENG R. Therapeutic effects of guggul and its constituent guggulsterone: cardiovascular benefits[J]. Cardiovascular drug reviews, 2007, 25(4): 375-390.
- [4] GEBHARD C, STÄMPFLI S F, GEBHARD C E, et al. Guggulsterone, an anti-inflammatory phytosterol, inhibits tissue factor and arterial thrombosis[J]. Basic research in cardiology, 2009, 104(3): 285-294.
- [5] CHHONKER Y S, CHANDASANA H, BALA V, et al. In-vitro metabolism, CYP profiling and metabolite identification of E-and Z-guggulsterone, a potent hypolipidmic agent[J]. Journal of

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[6] CHEN W C, WEI C K, HOSSEN M, et al. (E)-Guggulsterone inhibits dengue virus replication by upregulating antiviral interferon responses through the induction of heme oxygenase-1 expression[J]. Viruses, 2021, 13(4): 712.

[7] MENCARELLI A, RENGA B, PALLADINO G, et al. The plant sterol guggulsterone attenuates inflammation and immune dysfunction in murine models of inflammatory bowel disease[J]. Biochemical pharmacology, 2009, 78(9): 1214-1223.

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