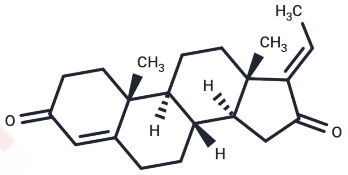
Data Sheet (Cat.No.T36563)

TargetMol

(E)-Guggulsterone

Chemical Properties



CAS No. : 39025-24-6

Formula: C21H28O2

Molecular Weight: 312.45

Storage: Keep away from direct sunlight,Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	(E)-Guggulsterone is an isomer of guggulsterone. As an FXR antagonist, it reduces blood lipids, induces heme oxygenase-1 expression, blocks DENV NS2B/3B activity, and inhibits DENV replication.
Targets(IC50)	FXR,ROS,Virus Protease
In vitro	In human mammary epithelial MCF10A cells, (E)-Guggulsterone (5-25 μM, 0-12 h) activated the Nrf2 signaling pathway, induced HO-1 expression, and led to a moderate accumulation of intracellular reactive oxygen species (ROS)[1]. In Huh-7 cells, (E)-Guggulsterone (0-20 μM, 3 days) exerted potent anti-dengue virus (DENV) activity via activation of the Nrf2/HO-1 pathway and restoration of the antiviral interferon response[2]. (E)-Guggulsterone (3.2 mM, 24 h) exhibited antibacterial activity against both Gram-positive and Gram-negative bacteria (Bacillus subtilis, Staphylococcus aureus, Pseudomonas aeruginosa), with inhibition zone diameters of 14mm, 14mm, and 11mm, respectively[3]. In murine and human hepatocytes, (E)-Guggulsterone (1-20 μM) selectively activated estrogen receptor α (Erα) without activating other ERα isoforms, thereby inducing the expression of Cyp3a11 and CYP3A4[4]. (E)-Guggulsterone (5-20 μM) inhibited Cu^{2+}-mediated low-density lipoprotein (LDL) lipid peroxidation and reactive oxygen species generation, effectively blocking both enzymatic and non-enzymatic lipid peroxidation processes[6]. (E)-Guggulsterone displayed high plasma protein binding (>96%) in humans, monkeys, rabbits, and rats, and could promote the oxidative metabolism of liver microsomes[7]. (E)-Guggulsterone showed moderate inhibitory effects on CYP2C19, CYP2C8, CYP2C9, and CYP2B6, with half-maximal inhibitory concentrations (IC_{50}) of 2.1, 6.0, 19, and 22μM, respectively; whereas it exerted weak inhibition on CYP1A2, CYP2A6, CYP2D6, CYP2E1, and CYP3A4 (IC_{50} >50μM)[7].
In vivo	In the mouse dengue fever virus (DENV) infection model, (E)-Guggulsterone (5-10 mg/kg, orally administered once on days 1, 3, and 5 post-infection) significantly improved infection-related symptoms [2]. In the rat myocardial ischemia model, (E)-Guggulsterone (50 mg/kg, orally administered once daily for 5 consecutive days) markedly improved biochemical indicators in serum

In vivo	and myocardial tissue [6].

Solubility Information

Solubility	DMF:PBS (pH 7.2) (1:4): 0.2 mg/mL (0.64 mM),Sonication is recommended. DMSO: 20 mg/mL (64.01 mM),Sonication is recommended. DMF: 10 mg/mL (32.01 mM),Sonication is recommended. Ethanol: 1 mg/mL (3.2 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.2005 mL	16.0026 mL	32.0051 mL
5 mM	0.6401 mL	3.2005 mL	6.401 mL
10 mM	0.3201 mL	1.6003 mL	3.2005 mL
50 mM	0.064 mL	0.3201 mL	0.6401 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Almazari I, et al. Guggulsterone induces heme oxygenase-1 expression through activation of Nrf2 in human mammary epithelial cells: PTEN as a putative target. Carcinogenesis. 2012 Feb;33(2):368-76.
Chen WC, et al. (E)-Guggulsterone Inhibits Dengue Virus Replication by Upregulating Antiviral Interferon Responses through the Induction of Heme Oxygenase-1 Expression. Viruses. 2021 Apr 20;13(4):712.
Choudhary MI, et al. Fungal metabolites of (E)-guggulsterone and their antibacterial and radical-scavenging activities. Chem Biodivers. 2005 Apr;2(4):516-24.
Brobst DE, et al. Guggulsterone activates multiple nuclear receptors and induces CYP3A gene expression through the pregnane X receptor. J Pharmacol Exp Ther. 2004 Aug;310(2):528-35.
N. VERMA, et al. Pharmacokinetics of Guggulsterone after Intravenous and Oral Administration in Rats.
Chander R, et al. Cardioprotective activity of synthetic guggulsterone (E and Z-isomers) in isoproterenol induced myocardial ischemia in rats: A comparative study. Indian J Clin Biochem. 2003 Jul;18(2):71-9.