

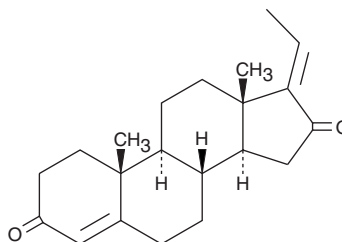
Product Information



(E)-Guggulsterone

Catalog No. 10011296

CAS Registry No.: 39025-24-6
Formal Name: pregna-4-17(20)-diene-3,16-dione
MF: C₂₁H₂₈O₂
FW: 312.5
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that (E)-guggulsterone be stored as supplied at -20°C. It should be stable for at least two years.

(E)-Guggulsterone is supplied as a crystalline solid. A stock solution may be made by dissolving the (E)-guggulsterone in the solvent of choice. (E)-Guggulsterone is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of (E)-guggulsterone in ethanol is approximately 1 mg/ml, approximately 0.25 mg/ml in DMSO, and approximately 10 mg/ml in DMF.

(E)-Guggulsterone is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, (E)-guggulsterone should first be dissolved in DMF and then diluted with the aqueous buffer of choice. (E)-Guggulsterone has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Bile acids are essential for solubilization and transport of dietary lipids, are the major products of cholesterol catabolism, and are physiological ligands for farnesoid X receptor (FXR), a nuclear receptor that regulates genes involved in lipid metabolism.¹ They are also inherently cytotoxic, as physiological imbalance contributes to increased oxidative stress.^{2,3} Bile acid-controlled signaling pathways are promising novel targets to treat such metabolic diseases as obesity, type II diabetes, hyperlipidemia, and atherosclerosis. Guggulsterone, derived from resin of the guggul tree, is a competitive antagonist of FXR both *in vitro* and *in vivo*.⁴ The *cis* stereoisomer of guggulsterone, (E)-guggulsterone, decreases chenodeoxycholic acid (CDCA)-induced FXR activation with an IC₅₀ value of 15 μM.^{5,6} By inhibiting CDCA-induced transactivation of FXR, guggulsterone lowers low-density lipoprotein cholesterol and triglyceride levels in rodents fed a high cholesterol diet.⁴

References

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2. Barbier, O., Torra, I.P., Sirvent, A., *et al.* FXR induces the UGT2B4 enzyme in hepatocytes: A potential mechanism of negative feedback control of FXR activity. *Gastroenterology* **124**, 1926-1940 (2003).
3. Tan, K.P., Yang, M., and Ito, S. Activation of nuclear factor (erythroid-2 like) factor 2 by toxic bile acids provokes adaptive defense responses to enhance cell survival at the emergence of oxidative stress. *Mol. Pharmacol.* **72**(5), 1380-1390 (2007).
4. Urizar, N.L., Liverman, A.B., Dodds, D.T., *et al.* A natural product that lowers cholesterol as an antagonist ligand for FXR. *Science* **296**, 1703-1706 (2002).
5. Cui, J., Huang, L., Zhao, A., *et al.* Guggulsterone is a farnesoid X receptor antagonist in coactivator association assays but acts to enhance transcription of bile salt export pump. *The Journal of Biological Chemistry* **278**(12), 10214-10220 (2003).
6. Wu, J., Xia, C., Meier, J., *et al.* The hypolipidemic natural product guggulsterone acts as an antagonist of the bile acid receptor. *Mol. Endocrinol.* **16**(7), 1590-1597 (2002).

Related Products

Chenodeoxycholic Acid - Cat. No. 10011286 • (Z)-Guggulsterone - Cat. No. 71800

WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY: NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

MATERIAL SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Material Safety Data Sheet, which has been sent *via* email to your institution.

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