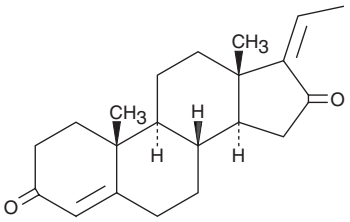
PRODUCT INFORMATION

(Z)-Guggulsterone

Item No. 71800

CAS Registry No.: 39025-23-5
Formal Name: pregna-4, 17Z(20)-diene-3,16-dione
MF: $C_{21}H_{28}O_2$
FW: 312.5
Purity: ≥95%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

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

(Z)-Guggulsterone is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, (Z)-guggulsterone should first be dissolved in DMF and then diluted with the aqueous buffer of choice. (Z)-Guggulsteronehas 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.

Description

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 *trans* stereoisomer of guggulsterone, (Z)-guggulsterone, decreases chenodeoxycholic acid (CDCA)-induced FXR activation with an IC_{50} value of 17 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] While both *cis* and *trans* stereoisomers have been shown to directly decrease hepatic cholesterol, the Z isomer is the most studied. (Z)-Guggulsterone demonstrates antitumor-promoting effects inhibiting both constitutive and interleukin-6-induced STAT3 activation in human multiple myeloma cells and suppressing the VEGF-VEGF/R2-Akt signaling axis in DU145 human prostate cancer cells.[7,8]

References

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CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM