

Small Molecules

(Z)-Guggulsterone

Retinoic acid receptor (RAR) pathway inhibitor; Inhibits farnesoid X receptor (FXR)

Catalog #100-0252
100-0253

10 mg
50 mg



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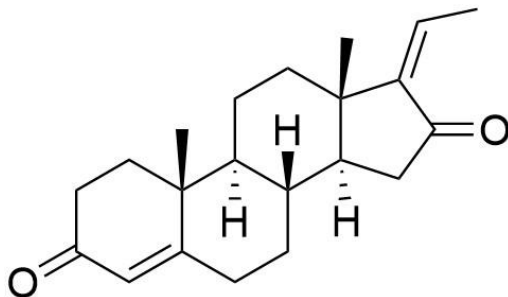
INFO@STEMCELL.COM • TECHSUPPORT@STEMCELL.COM

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Product Description

(Z)-Guggulsterone is a plant steroid found in the resin of the guggul plant *Commiphora mukul* that acts as a selective antagonist of farnesoid X receptor (FXR; Cui et al.). It decreases chenodeoxycholic acid-induced FXR activation ($IC_{50} = 10 \mu\text{M}$) in the presence of $100 \mu\text{M}$ chenodeoxycholic acid (Cui et al.; Urizar et al.).

Molecular Name:	(Z)-Guggulsterone
Alternative Names:	Not applicable
CAS Number:	39025-23-5
Chemical Formula:	$C_{21}H_{28}O_2$
Molecular Weight:	312.5 g/mol
Purity:	$\geq 98\%$
Chemical Name:	(Z)-Pregna-4,17(20)-diene-3,16-dione
Structure:	



Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. For long-term storage store with a desiccant. Stable as supplied for 12 months from date of receipt.
Solubility:	<ul style="list-style-type: none">· DMSO $\leq 19 \text{ mM}$· Absolute ethanol $\leq 9.6 \text{ mM}$ For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 3.20 mL of DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C . Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

DIFFERENTIATION

- Induces differentiation of human pluripotent stem cell-derived neural stem cells into dopaminergic neurons (Gonzalez et al.).

METABOLISM

- Decreases hepatic low-density lipoprotein cholesterol and triglyceride levels in rodents fed with a high-cholesterol diet (Urizar et al.).

CANCER RESEARCH

- Regulates growth and metastasis of tumor cells in human multiple myeloma cells by the expression of STAT3-regulated antiapoptotic (Bcl-2, Bcl-xL, and Mcl-1), proliferative (cyclin D1), and angiogenic (VEGF) gene products (Ahn et al.).

- Inhibits tube formation in human umbilical vein endothelial cells (HUVECs) and migration in HUVECs or a human prostate cancer cell line (Xiao et al.).

References

Ahn KS et al. (2008) Guggulsterone, a farnesoid X receptor antagonist, inhibits constitutive and inducible STAT3 activation through induction of a protein tyrosine phosphatase SHP-1. *Cancer Res* 68(11): 4406–15.

Cui J et al. (2003) Guggulsterone is a farnesoid X receptor antagonist in coactivator association assays but acts to enhance transcription of bile salt export pump. *J Biol Chem* 278(12): 10214–20.

Gonzalez R et al. (2013) Deriving dopaminergic neurons for clinical use. A practical approach. *Sci Rep* 3: 1463.

Urizar NL et al. (2002) A natural product that lowers cholesterol as an antagonist ligand for FXR. *Science* 296(5573): 1703–6.

Xiao D & Singh SV (2008) z-Guggulsterone, a constituent of Ayurvedic medicinal plant *Commiphora mukul*, inhibits angiogenesis in vitro and in vivo. *Mol Cancer Ther* 7(1): 171–80.

Related Small Molecules

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