

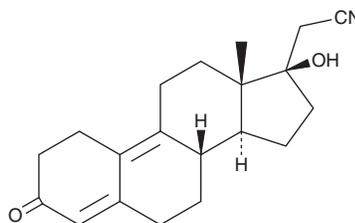
PRODUCT INFORMATION



Dienogest

Item No. 21257

CAS Registry No.: 65928-58-7
Formal Name: (17 α)-17-hydroxy-3-oxo-19-norpregna-4,9-diene-21-nitrile
Synonym: STS 557
MF: C₂₀H₂₅NO₂
FW: 311.4
Purity: \geq 98%
UV/Vis.: λ_{max} : 214, 303 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: \geq 4 years



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

Laboratory Procedures

Dienogest is supplied as a crystalline solid. A stock solution may be made by dissolving the dienogest in the solvent of choice, which should be purged with an inert gas. Dienogest is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of dienogest in these solvents is approximately 20, 0.1, and 2 mg/ml, respectively.

Dienogest is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, dienogest should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Dienogest has a solubility of approximately 0.3 mg/ml in a 1:2 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Dienogest is a synthetic progestin and progesterone receptor (PR) agonist (EC_{50} s = 3.4-10.5 nM in transactivation assays).¹ It is selective for PR over estrogen receptor α (ER α) and ER β , as well as glucocorticoid and mineralocorticoid receptors (EC_{50} s = $>$ 3,000 nM for all), as well as sex hormone-binding globulin (SHBG) and cortisol-binding globulin (CBG; IC_{50} s = 900-950 and 7,970 nM, respectively, in radioligand binding assays). It also inhibits dihydrotestosterone-induced transactivation of the androgen receptor (EC_{50} s = 420.6-775 nM). Dienogest (0.1, 0.3, and 1 mg/kg per day for 21 days, p.o.) reduces lesion formation in a rat model of endometriosis.² It reduces 17 β -estradiol benzoate-dependent tumor growth in an MCF-7 ovariectomized mouse xenograft model when administered at doses of 0.1 and 1 mg/kg per day for 28 days.³ Formulations containing dienogest in combination with estradiol valerate have been used as contraceptives.

References

1. Sasagawa, S., Shimizu, Y., Kami, H., *et al.* Dienogest is a selective progesterone receptor agonist in transactivation analysis with potent oral endometrial activity due to its efficient pharmacokinetic profile. *Steroids* **73**(2), 222-231 (2008).
2. Katsuki, Y., Takano, Y., Futamura, Y., *et al.* Effects of dienogest, a synthetic steroid, on experimental endometriosis in rats. *Eur. J. Endocrinol.* **138**(2), 216-226 (1998).
3. Katsuki, Y., Shibutani, Y., Aoki, D., *et al.* Dienogest, a novel synthetic steroid, overcomes hormone-dependent cancer in a different manner than progestins. *Cancer* **79**(1), 169-176 (1997).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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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