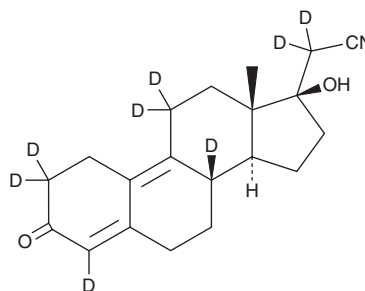


PRODUCT INFORMATION



Dienogest-d₈ Item No. 30153

CAS Registry No.: 2376035-92-4
Formal Name: 17 α -hydroxy-3-oxo-19-norpregna-4,9-diene-21-nitrile-2,2,4,8,11,11,20,20-d₈
MF: C₂₀H₁₇D₈NO₂
FW: 319.5
Chemical Purity: $\geq 95\%$ (Dienogest)
Deuterium Incorporation: $\geq 99\%$ deuterated forms (d₁-d₈); $\leq 1\%$ d₀
Supplied as: A 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

Dienogest-d₈ is intended for use as an internal standard for the quantification of dienogest (Item No. 21257) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Dienogest-d₈ is supplied as a solid. A stock solution may be made by dissolving the dienogest-d₈ in the solvent of choice, which should be purged with an inert gas. Dienogest-d₈ is slightly soluble in chloroform and methanol (sonicated).

Description

Dienogest is a synthetic progestin and progesterone receptor (PR) agonist (EC₅₀s = 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 (EC₅₀s = $>3,000$ nM for all), as well as sex hormone-binding globulin (SHBG) and cortisol-binding globulin (CBG; IC₅₀s = 900-950 and 7,970 nM, respectively, in radioligand binding assays). It also inhibits dihydrotestosterone-induced transactivation of the androgen receptor (EC₅₀s = 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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