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Produktinformation

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Zuschläge

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- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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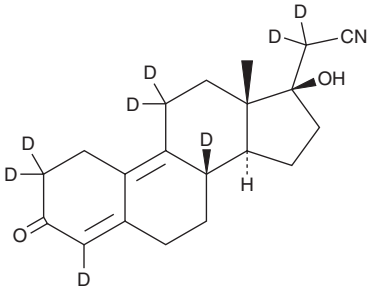
PRODUCT INFORMATION

Dienogest-d_8

Item No. 30153

CAS Registry No.:	2376035-92-4
Formal Name:	17α-hydroxy-3-oxo-19-norpregna-4,9-diene-21-nitrile-2,2,4,8,11,11,20,20-d_8
MF:	$C_{20}H_{17}D_8NO_2$
FW:	319.5
Chemical Purity:	≥95% (Dienogest)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_8); ≤1% d_0
Supplied as:	A solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

Dienogest-d_8 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-d_8 is supplied as a solid. A stock solution may be made by dissolving the dienogest-d_8 in the solvent of choice, which should be purged with an inert gas. Dienogest-d_8 is slightly soluble in chloroform and methanol (sonicated).

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 (ERα) and ERβ, 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 17β-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).

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