

Product Data Sheet

Product Name: Dienogest-d8

Cat. No.: GC47215

Chemical Properties

Cas. No. 2376035-92-4

SMILES O=C1C([2H])([2H])CC2=C3[C@@]([C@@](CC[C@@]4(O)C([2H])([2H])C#N)([H])[C@]4(C)CC3([2H])([2H])([2H])CCC2=C1[2H]

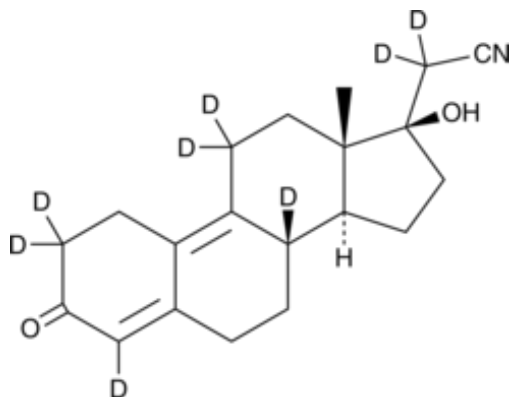
Formula C₂₀H₁₇D₈NO₂ M.Wt 319.5

Solubility Chloroform: slightly soluble, Methanol: slightly, sonicated Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

Dienogest-d₈ is intended for use as an internal standard for the quantification of dienogest by GC- or LC-MS. 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 (ERα) and ERβ, 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

Caution: Product has not been fully validated for medical applications. For research use only.

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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.

- 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 profileSteroids73(2)222-231(2008)
- 2.Katsuki, Y., Takano, Y., Futamura, Y., et al.Effects of dienogest, a synthetic steroid, on experimental endometriosis in ratsEur. 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 progestinsCancer79(1)169-176(1997)

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