**PRODUCT INFORMATION**

**Dienogest**  
*Item No. 21257*

**CAS Registry No.:** 65928-58-7  
**Formal Name:** (17α)-17-hydroxy-3-oxo-19-norpregna-4,9-diene-21-nitrile  
**Synonym:** STS 557  
**MF:** C₂₀H₂₅NO₂  
**FW:** 311.4  
**Purity:** ≥98%  
**UV/Vis.:** λ_{max} 214, 303 nm  
**Supplied as:** A crystalline 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 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} = 3.4-10.5 nM in transactivation assays). It is selective for PR over estrogen receptor α (ERα) and ERβ, as well as glucocorticoid and mineralocorticoid receptors (EC_{50} = >3,000 nM for all), as well as sex hormone-binding globulin (SHBG) and cortisol-binding globulin (CBG; IC_{50} = 900-950 and 7,970 nM, respectively, in radioligand binding assays). It also inhibits dihydrotestosterone-induced transactivation of the androgen receptor (EC_{50} = 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. 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.

Formulations containing dienogest in combination with estradiol valerate have been used as contraceptives.

**References**