Gestrinone

Item No. 10006488

CAS Registry No.: 16320-04-0
Formal Name: 13-ethyl-17α-hydroxy-18,19-dinorpregna-4,9,11-trien-20-yn-3-one
MF: C₂₁H₂₄O₂
FW: 308.4
Purity: ≥98%
UV/Vis.: λmax: 238, 341 nm
Supplied as: A crystalline 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

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

Gestrinone is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, gestrinone should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Gestrinone has a solubility of approximately 0.25 mg/ml in a 1:5 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Endometriosis is common disease characterized by the presence of endometrial tissue outside the uterus which affects approximately 10% of premenopausal women. Gestrinone is a synthetic steroid used occasionally to treat endometriosis. It acts centrally on the hypothalamic-pituitary system to suppress release of luteinizing hormone (LH) and follicle-stimulating hormone (FSH), thus reducing estrogen synthesis. It also binds to androgen (AR), progesterone (PR), and estrogen (ER) receptors in the human endometrial tissue but not to steroid hormone binding globulin or corticord-binding globulin. Gestrinone binds to AR and PR with EC₅₀ values of approximately 20 and 30 nM, respectively. These values reflect approximately 5-6 fold lower affinity than testosterone and progesterone, the natural AR and PR ligands, for these receptors.

References