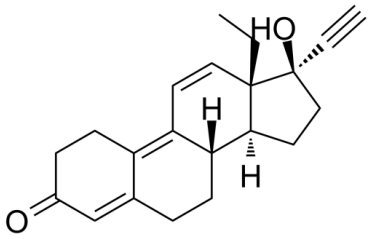
Data Sheet

Product Name:	Gestrinone
Cat. No.:	CS-6362
CAS No.:	16320-04-0
Molecular Formula:	C21H24O2
Molecular Weight:	308.41
Target:	Estrogen Receptor/ERR
Pathway:	Others
Solubility:	H2O : < 0.1 mg/mL (insoluble); DMSO : ≥ 50 mg/mL (162.12 mM)



BIOLOGICAL ACTIVITY:

Gestrinone (R2323) is a synthetic steroid hormone used to treat endometriosis. It inhibits leiomyoma cells with an IC_{50} of 43.67 μM. IC50 & Target: IC50: 43.67 μM (leiomyoma cells)[2] **In Vitro:** Gestrinone binds to endometrial receptors for estrogen, progesterone and androgen, occupies all specific binding sites of steroids in the steroid target cells despite the presence of endogenous steroids[1]. Gestrinone exhibits stronger inhibitory effects on the growth of leiomyoma cells at 60 h than that at 20 and 40 h. Leiomyoma cells appears less dense, the cytoplasm is atrophic, the intercellular connections dwindled and nuclear aggregations are observed with more than 10 μM gestrinone treatment. Gestrinone treatment reduces the relative mRNA levels of estrogen α in a concentration dependent manner at concentrations of 0.1-3.0 μM[2]. **In Vivo:** The estrogen-sensitive endpoints, vaginal keratinization and uterine progesterone receptor concentration, are enhanced by treatment with a combination of flutamide and either danazol or gestrinone. These data indicate that danazol and gestrinone have estrogenic activity that is masked by the androgenic component of these drugs [3]. The mean hormone binding globulin treated with gestrinone fell from 56.4 nM to 28.1 nM after one week's treatment and to 7.1 nM after 4 weeks respectively[4].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: [2]Gestrinone is dissolved in DMSO and diluted in cell culture media. The final concentration of DMSO in the culture media is 0.5%. The cells are cultured in 96-well plates and treated with DMSO or graded concentrations of gestrinone (0.1, 0.5, 1.0, 5.0, 10, 50 or 100 μM) for 20, 40 and 60 h. The absorbance (OD) at 450 nm is read to determine the cell viability in each well[2].

References:

[1]. Tamaya T, et al. Gestrinone (R2323) binding to steroid receptors in human uterine endometrial cytosol. Acta Obstet Gynecol Scand. 1986;65(5):439-41.

[2]. Zhu Y, et al. Gestrinone inhibits growth of human uterine leiomyoma may relate to activity regulation of ERα, Src and P38 MAPK. Biomed Pharmacother. 2012 Dec;66(8):569-77.

[3]. Snyder BW, et al. Studies on the mechanism of action of danazol and gestrinone (R2323) in the rat: evidence for a masked estrogen component. Fertil Steril. 1989 Apr;51(4):705-10.

[4]. Dowsett M, et al. A comparison of the effects of danazol and gestrinone on testosterone binding to sex hormone binding globulin in vitro and in vivo. Clin Endocrinol (Oxf). 1986 May;24(5):555-63.

CAIndexNames:

18,19-Dinorpregna-4,9,11-trien-20-yn-3-one, 13-ethyl-17-hydroxy-, (17α)-

SMILES:

C#C[C@]1(O)CC[C@@]2([H])[C@]3([H])CCC4=CC(CCC4=C3C=C[C@]12CC)=O

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

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