Gestrinone

Cat. No.: HY-101405

CAS No.: 16320-04-0

Molecular Formula: $C_{21}H_{24}O_2$

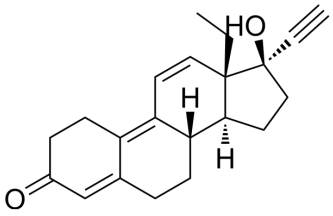
Molecular Weight: 308.41

Target: Estrogen Receptor/ERR

Pathway: Vitamin D Related/Nuclear Receptor

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (162.12 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2424 mL	16.2122 mL	32.4244 mL
5 mM	0.6485 mL	3.2424 mL	6.4849 mL
10 mM	0.3242 mL	1.6212 mL	3.2424 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.11 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Gestrinone (R2323) is a synthetic steroid hormone used to treat endometriosis. It inhibits leiomyoma cells with an IC_{50} of 43.67 μM. Gestrinone is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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