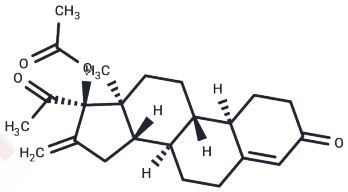
Data Sheet (Cat.No.T5174)

TargetMol

Nestoron

Chemical Properties



CAS No. : 7759-35-5

Formula: C23H30O4

Molecular Weight: 370.48

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Nestoron (Segesterone Acetate), a synthetic progestin, is a high-affinity agonist of the progesterone receptor (EC50: 10.3 nM).
Targets(IC50)	Estrogen/progestogen Receptor,Progesterone Receptor
In vitro	Nestorone (ST-1435) showed significant binding (ED50 = 56 nM) compared to the binding of dexamethasone (ED50 = 21 nM) to calf thymus GR. Progesterone and Nestorone showed no binding to SHBG [1]. Chronic ST-1435 and E2 alone or in combination increased neurogenesis by a comparable magnitude, with minimum to no antagonistic or additive effects between ST-1435 and E2. In addition, chronic exposure of ST-1435 or ST-1435 + E2 stimulated oligodendrocyte generation [2].
In vivo	The potency of Nestorone was over 100-fold higher upon s.c. administration than via the oral route. Nestorone showed no androgenic or anabolic activity. Nestorone did not bind to sex hormone binding globulin (SHBG). In contrast to estradiol and levonorgestrel, Nestorone showed no uterotropic activity in ovariectomized rats. Despite significant binding to glucocorticoid receptors (GR), Nestorone showed no glucocorticoid activity in vivo [1].
Animal Research	Immature female rats were ovariectomized under methoxylflurane anesthesia, randomly distributed into treatment groups (n 5 6 per group), and used 5 to 7 days later. Levonorgestrel or Nestorone (1 or 5 mg) was injected s.c. daily for 5 days. Vaginal lavages were examined microscopically to detect vaginal cornification. The animals were killed on day 6, and the uteri were removed, cleaned, blotted dry, and weighed. The antiestrogenic effects of levonorgestrel and Nestorone were determined in rats receiving 1.0 mg E2. A positive control group received E2 alone. Negative controls received the vehicle [1].

Solubility Information

Solubility	DMSO: 55 mg/mL (148.46 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.4 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one.*

In vivo Formulation	*Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6992 mL	13.496 mL	26.992 mL
5 mM	0.5398 mL	2.6992 mL	5.3984 mL
10 mM	0.2699 mL	1.3496 mL	2.6992 mL
50 mM	0.054 mL	0.2699 mL	0.5398 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Kumar N, et al. Nestorone: a progestin with a unique pharmacological profile. Steroids. 2000 Oct-Nov;65(10-11): 629-36.

Chen S, et al. Therapeutic progestin segesterone acetate promotes neurogenesis: implications for sustaining regeneration in female brain. Menopause. 2018 Oct;25(10):1138-1151.