

Product Data Sheet

Product Name: Ulipristal
Cat. No.: GC17305

Chemical Properties

Cas. No. 159811-51-5

Chemical Name (8S,11R,13S,14S,17R)-17-acetyl-11-(4-(dimethylamino)phenyl)-17-hydroxy-13-methyl-6,7,8,11,12,13,14,15,16,17-decahydro-1H-cyclopenta[a]phenanthren-3(2H)-one

SMILES CC([C@@]1(O)CC[C@@]2([H])[C@]3([H])CCC4=CC(CCC4=C3[C@](C5=CC=C(N(C)C)C=C5)([H])C[C@@]21C)=O)=O

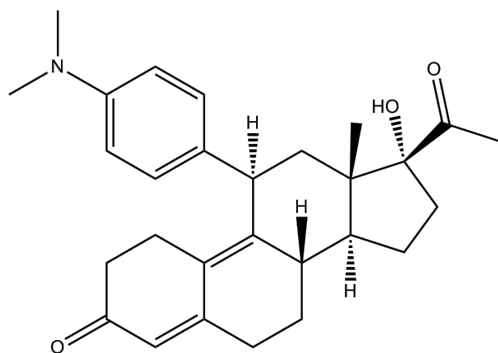
Formula $C_{28}H_{35}NO_3$ M.Wt 433.58

Solubility $\geq 21.7\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines Spermatozoa

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Preparation method The solubility of this compound in DMSO is > 21.7 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.

Reacting condition 0.04, 0.4, 4 and 40 µM

Applications In progesterone-induced spermatozoa, Ulipristal (≥ 0.4 µM) dose-dependently decreased acrosome reaction and hyperactivation. At the dose of 0.4 µM, Ulipristal reduced the percentages of progesterone-induced acrosome-reacted and hyperactivated spermatozoa by $33.1 \pm 7.3\%$ and $55.3 \pm 16.2\%$.

References:

[1]. Ko J K Y, Huang V W, Li R H W, et al. An in vitro study of the effect of mifepristone and ulipristal acetate on human sperm functions[J]. Andrology, 2014, 2(6): 868-874.

Background

Ulipristal is a novel and selective progesterone receptor modulator (SPRM) for emergency contraception after an unprotected intercourse or contraceptive failure. Ulipristal can be used for the treatment of benign gynecological conditions such as uterine myoma [1]. SPRMs is a new class of agent which can act on the progesterone receptor. SPRMs have been involved in exerting clinically relevant tissue-selective progesterone agonist, antagonist, or mixed agonist/antagonist effects on progesterone target tissues [2].

In vitro: Ulipristal acetate dose dependently suppressed progesterone-induced acrosome reaction and hyperactivation in human spermatozoa. Ulipristal acetate modulated human sperm functions by acting as progesterone antagonists [3].

In vivo: In the terminal deoxynucleotide transferase-mediated dUTP nick-end labeling assay, UPA-

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treated myomas increased cell death when compared with untreated myomas [4].

Clinical trials: UPA seemed to be a reasonably tolerable and effective method of emergency contraception (EC) when used within 120 hours of intercourse. UPA is at least as effective as LNG when used in the first 72 hours after unprotected intercourse [1].

References:

- [1]. Richardson A R, Maltz F N. Ulipristal acetate: review of the efficacy and safety of a newly approved agent for emergency contraception[J]. Clinical therapeutics, 2012, 34(1): 24-36.
- [2]. Chwalisz K, Perez M C, DeManno D, et al. Selective progesterone receptor modulator development and use in the treatment of leiomyomata and endometriosis[J]. Endocrine reviews, 2005, 26(3): 423-438.
- [3]. Ko J K Y, Huang V W, Li R H W, et al. An in vitro study of the effect of mifepristone and ulipristal acetate on human sperm functions[J]. Andrology, 2014, 2(6): 868-874.
- [4]. Courtoy G E, Donnez J, Marbaix E, et al. In vivo mechanisms of uterine myoma volume reduction with ulipristal acetate treatment[J]. Fertility and sterility, 2015, 104(2): 426-434. e1.

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