

Mifepristone, Ulipristal (Antiprogestins)

These are competitive inhibitors of progestins at progesterone receptors used primarily for termination of pregnancy and emergency contraception.



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Mechanism of Action

Progesterone Receptor Antagonist

Ant-Togas-Receptor And Pregnant-Jester

Competitively binds to the intracellular progesterone receptor, blocking the effects of progesterone.

Indications

Mifepristone

Miffed-priest

Antiprogestin used for the medical termination of pregnancy.

Progesterone is fundamental for pregnancy, it is secreted by the corpus luteum until the tenth week of gestation and by the syncytiotrophoblast through the remainder of the pregnancy. Its main function is to optimize endometrial implantation of the zygote and it helps maintain the pregnancy by preventing endometrial sloughing (menstruation), closing the cervix, and inhibiting uterine contractions. Mifepristone antagonizes these effects and its administrations lead to endometrial sloughing, contraction, the opening of the cervix and pregnancy loss.

Pregnancy Termination With Misoprostol

Aborting-Fetus And Miso-Rasta Soup

The progestin antagonist mifepristone is used as an abortifacient (with prostaglandins such as misoprostol). Medical induction of abortion can be induced using oral mifepristone and oral misoprostol (Cytotec; prostaglandin E1). Use is limited to the first 63 days of amenorrhea or absence of menstruation.

Ulipristal

Ulysses-priest-toll

Ulipristal is an antiprogestin used for emergency contraception. It is most effective when used within 72 hours of sexual intercourse. Its mechanism of action consists of the inhibition or delay of ovulation by inhibiting the progesterone receptor preventing fertilization of the ovum. If taken after ovulation, it also prevents implantation by blocking progesterone receptors in the uterus and causing alterations to the endometrium that prevent implantation. The earlier it's taken the lower the likelihood of pregnancy. It is considered the most effective oral method but requires a prescription in United States.



Emergency Contraception

Emergency-OCPs

Ulipristal is indicated for prevention of pregnancy following unprotected intercourse or a known or suspected contraceptive failure. Ulipristal is not intended for routine use as a contraceptive. At a dose of 30 mg, Ulipristal can be used up to 120 hours (ie, five days) after unprotected intercourse. It works mainly by preventing or delaying ovulation; it also casuses endometrial changes which may prevent implantation.

Side effects

Abdominal Pain

Abdominal Pain-Bolt

The adverse effects of the medications include vomiting, diarrhea, and abdominal or pelvic pain. As many as 5% of patients have vaginal bleeding requiring intervention.

Vomiting

Vomit

The adverse effects of the medications include vomiting, diarrhea, and abdominal or pelvic pain. As many as 5% of patients have vaginal bleeding requiring intervention.

Diarrhea

Toilet

The adverse effects of the medications include vomiting, diarrhea, and abdominal or pelvic pain. As many as 5% of patients have vaginal bleeding requiring intervention.

other mechanisms of action

At High Doses: Cortisol Antagonist

Up-Arrow Ant-Toga Court-Of-Sol

High doses of mifepristone exert antiglucocorticoid activity by blocking the glucocorticoid receptor. Therefore it has been used at high doses for the treatment of hyperglycemia in patients with Cushing's syndrome, since it blocks the effect of cortisol at the glucocorticoid receptor (antagonizes the effects of cortisol on glucose metabolism) while at the same time increasing circulating cortisol concentrations.