

Gestagens

Gestagens (progestins) are a group of female sex hormones with antiestrogenic and antigonadotropic effects. The most important is **progesterone**.

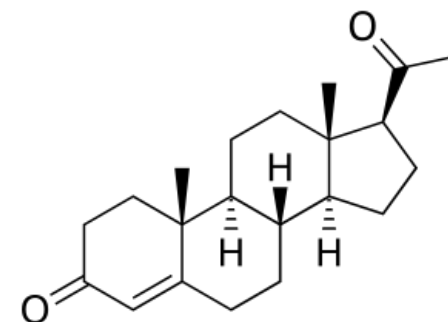
Progesterone

It is formed in the corpus luteum of ovaries and placenta (after 6-8 weeks of pregnancy; 30-40 times more), as an intermediate product in the synthesis of androgens and estrogens also in the adrenal cortex and in small amounts in the testes.

It is synthesized from cholesterol via the intermediate product pregnenolone, from which it differs in the A-ring arrangement.

It binds to the protein carrier in plasma. It is rapidly metabolized in the liver – it has a very low biological availability and a short half-time. Progesterone is p.o. ineffective due to active metabolism in the liver.

After conjugation with glucuronic acid (inactivation) in the liver, it is excreted in the urine as pregnanediol.



Progesterone

Effects

Progestins lead to:

- **development of secretory tissues in the mammary glands** (acini) – lactation is blocked and begins only after delivery (sharp drop in progesterone levels), maintained by the hormone prolactin
- **endometrial maturation** in the second half of the menstrual cycle – transition from proliferative to secretory phase (increase in the volume and size of glandular secretion and increase of glycogen amount) -> **preparation of the uterine lining for egg acceptance** + throat narrowing and cervical mucus compaction.
- **reducing the effects of estrogens** on the vaginal wall
- **affecting peripheral blood flow** – reduce heat loss, **increase body temperature** (on average by 0,5 °C during the luteal phase of the cycle – **ovulation indicator**)

Compared to estrogens they have a minimal effect on the composition of plasma proteins (they do not affect plasma fibrinogen levels). They significantly affect sugar metabolism and stimulate fat storage.

Gestagens and estrogens act synergistically - estrogens initiate the formation of progesterone receptors.

Clinical use

The main indication is application as an *anticonception*. Long-term applications can also be used for long-term ovarian suppression, for example in the case of endometriosis. They have no effect on inducing abortion. *The toxicity of progestins* is low, although they may cause an increase in blood pressure and a decrease in HDL.

Synthetic steroids are also used as oral contraceptives – 17alpha-hydroxyprogesterone and 17alpha-alkyl-substituted derivatives of 19-nortestosterone, medroxyprogesterone acetate (Provera), etc. The inhibitory effect on cell growth is used to treat differentiated endometrial cancer.

Sources

Related articles

- Anticonception
- Estrogens
- Psychophysiology of human sexuality
- Hormonal and biological treatment

References

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