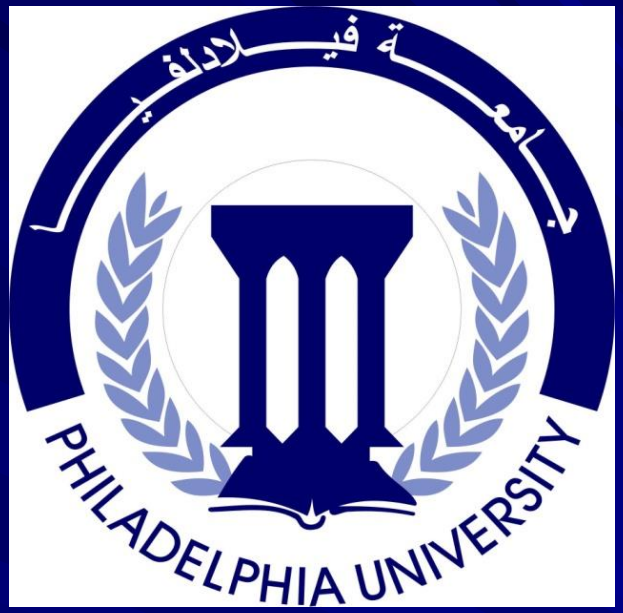

Hormonal Contraception

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Contraceptives

Drugs that decrease fertility by a number of different mechanisms, such as

1. Preventing ovulation (the most common)
2. Impairing gametogenesis or gamete maturation
3. Interfering with gestation.

Major Classes of Contraceptives

Combination Oral Contraceptives

Products containing a combination of an estrogen and a progestin are the most common type of oral contraceptives.

- Monophasic combination pills contain a constant dose of estrogen and progestin given over 21 days.
- Triphasic oral contraceptive products attempt to mimic the natural female cycle and contain a constant dose of estrogen with increasing doses of progestin given over three successive 7-day periods.
- With either type of combination oral contraceptive, active pills are taken for 21 days followed by 7 days of placebo.

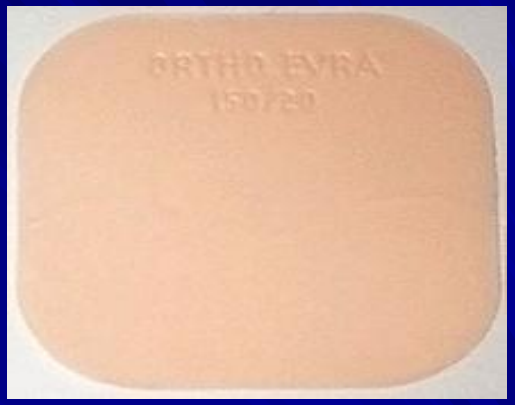
- Withdrawal bleeding occurs during the hormone-free interval.
- Estrogens that are commonly present in the combination pills are ethinyl estradiol and mestranol.
- The most common progestins are norethindrone, norethindrone acetate, norgestrel, levonorgestrel and desogestrel

- Use of extended-cycle contraception (84 active pills followed by 7 days of placebo) results in less frequent withdrawal bleeding.
- A continuous oral contraceptive product (active pills taken 365 days of the year) is also available.

Transdermal Patch

- An alternative to combination oral contraceptive pills
- Transdermal contraceptive patch containing ethinyl estradiol and the progestin norelgestromin.
- The contraceptive patch is applied each week for 3 weeks to the abdomen, upper torso, or buttock. Week 4 is patch-free, and withdrawal bleeding occurs.
- The transdermal patch has efficacy similar to oral contraceptives
- It isless effective in women weighing greater than 90 kilograms.

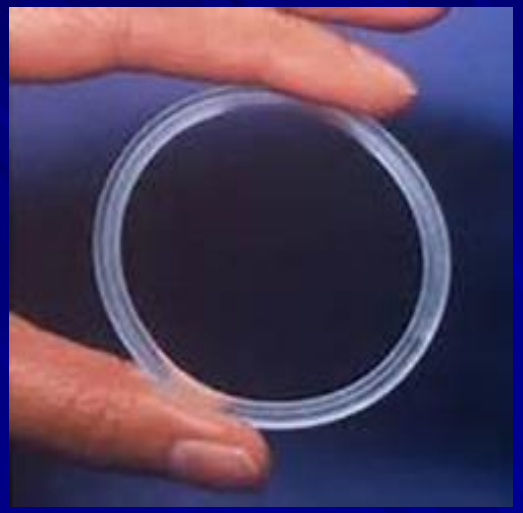
Contraindications and Adverse Effects of Transdermal Patch



- Similar to those of oral contraceptives
- Recent data have indicated that total estrogen exposure with the transdermal patch is up to 60 percent greater than that seen with a 35 µg estrogen oral contraceptive.
- Increased exposure to estrogen may increase the risk of adverse events such as Thromboembolism.

Vaginal Ring

Containing ethinyl estradiol and etonogestrel.



- The ring is inserted into the vagina and is left in place for 3 weeks, the week 4 is ring-free, and withdrawal bleeding occurs.
- The contraceptive vaginal ring has efficacy, contraindications, and adverse effects similar to those of oral contraceptives.
- The vaginal ring may occasionally slip or be expelled accidentally.

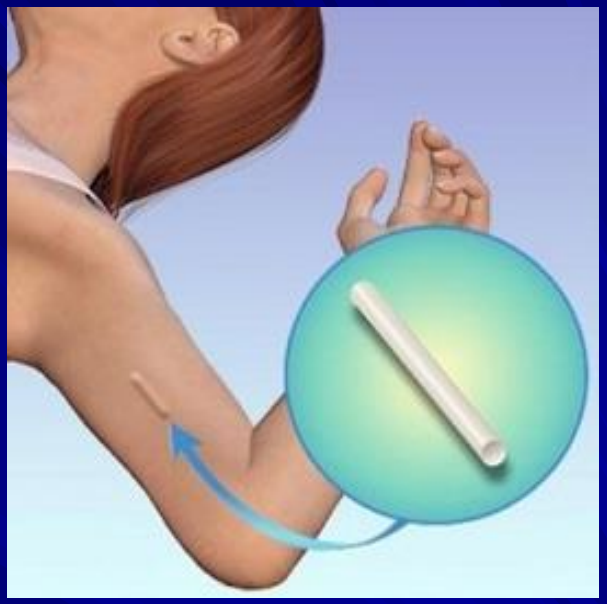
Progestin-only Pills

- Products containing a progestin only, usually norethindrone or norgestrel are taken daily on a continuous schedule.
- Progestin-only pills deliver a low, continuous dosage of drug.
- These preparations are less effective than the combination pill
- They may produce irregular menstrual cycles more frequently than the combination product.
- Increased possibility of pregnancy and the frequent occurrence of menstrual irregularities.

Indication:

- Used for patients who are breast-feeding (unlike estrogen, progestins not effect on milk production)
- Intolerant to estrogen
- Smokers
- Have other contraindications to estrogen-containing products.

Progestin Implants



- A subdermal implant containing etonogestrel offers long-term contraception.
- One 4-cm capsule is placed subcutaneously in the upper arm and provides contraception for approximately 3 years.
- This method have low failure rate

Side Effects of Progestin Implants

- Irregular menstrual bleeding
- Headaches.

Progestin Intrauterine Device

- long-term contraception.
- A levonorgestrel-releasing intrauterine system highly effective method
- This intrauterine device provides contraception for up to 5 years.
- It is a suitable method of contraception for women who already have at least one child and do not have a history of pelvic inflammatory disease or ectopic pregnancy.

Postcoital Contraception

- Method used to prevent pregnancy after unprotected sexual intercourse,
- Postcoital or emergency contraception reduces the probability of pregnancy to between 0.2 and 3 percent.
- For maximum effectiveness, emergency contraception should be taken as soon as possible after unprotected intercourse

- Emergency contraception uses high doses of progestin (for example, 0.75 mg of levonorgestrel) or high doses of estrogen (100 μg of ethinyl estradiol) plus progestin (0.5 mg of levonorgestrel) administered within 72 hours of unprotected intercourse
- A second dose of emergency contraception should be taken 12 hours after the first dose.
- The progestin-only emergency contraceptive regimens are generally better tolerated than the estrogen-progestin combination regimens.

Mechanism of Action of Hormonal Contraception

- The estrogen provides a negative feedback on the release of LH and follicle-stimulating hormone (FSH) by the pituitary gland, thus preventing ovulation. The progestin also inhibits LH release and thickens the cervical mucus(interfere with the transport of sperm).
- The combination of estrogen and progestin administered over an approximately 3-week period inhibits ovulation
- Withdrawal of the progestin stimulates menstrual bleeding during the placebo week.

Adverse Effects of Hormonal Contraception

- Most adverse effects are due to the estrogen component
- Cardiovascular effects reflect the action of both estrogen and progestin.

Adverse Effects of Hormonal Contraception

1. **The major adverse effects**

   breast fullness, depression, fluid retention, headache, nausea and vomiting.

2. **Cardiovascular**

   (rare but most serious adverse effect of oral contraceptives)

   Thromboembolism, thrombophlebitis, hypertension, increased incidence of myocardial infarction, and cerebral and coronary thrombosis.

(more common among women who smoke and who are older than 35 years)

3. Carcinogenicity

- Oral contraceptives have been shown to decrease the incidence of endometrial and ovarian cancer. Their ability to induce other neoplasms is controversial. The production of benign tumors of the liver that may rupture and hemorrhage is rare.

4. Metabolic

- Abnormal glucose tolerance (similar to the changes seen in pregnancy) is sometimes associated with oral contraceptives.
- Weight gain is common in women who are taking the nortestosterone derivatives.

5. Serum Lipids:

- The combination pill causes a change in the serum lipoprotein profile: Estrogen causes an increase in HDL and a decrease in LDL (a desirable occurrence), whereas progestins may negate some of the beneficial effects of estrogen.

Note: The potent progestin norgestrel causes the greatest increase in the LDL:HDL ratio. Therefore, estrogen-dominant preparations are best for individuals with elevated serum cholesterol.

Contraindications

- Oral contraceptives are contraindicated in the presence of cerebrovascular and thromboembolic disease, estrogen-dependent neoplasms, liver disease and pregnancy.
- Combination oral contraceptives should not be used in patients over the age of 35 who are heavy smokers.