



# GENITOURINARY SYSTEM

SUBJECT : pharmacology

LEC NO. : L5

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وَقُلْ رَبِّ زِدْنِي عِلْمًا

Genitourinary System Module

Pharmacology

# **Contraception**

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# Contraception

- Contraception is the act of preventing pregnancy.
- Birth control methods are designed to prevent conception (fertilization of ovum with spermatozoa) or interrupt implantation and growth.
- Conception can be prevented by hormonally disrupting the menstrual cycle (Oral contraceptive pills), by physically blocking the passageway (barrier methods or sterilization), or less successfully, by abstinence during fertile periods or withdrawal method. Implantation is impaired via the use of a foreign body (intrauterine device {IUD})

## Contraceptives types: Permanent (but sometimes, they could be reversed)

- Permanent (Sterilization) include:
- Tubal occlusion: the surgeon puts clips on the fallopian tubes to block the sperm and egg from meeting
- Vasectomy: male vasa deference are cut and tied or sealed so no sperm reaches the semen.

## Contraceptives types: Reversible

### 1. Behavioural methods:

- Coitus interruptus ( Withdrawal): prevents the sperm from entering the woman's vagina
- Fertility awareness-based (FAB) methods: identifying the fertile days of the menstrual cycle, whether by observing fertility signs such as cervical secretions and basal body temperature (Symptomsbased )or or calender-based by monitoring cycle days, FAB methods can be used in combination with abstinence or barrier methods during the fertile time.

### 2. Barrier methods using

Male condoms, Female condoms , Spermicides, Diaphragm with spermicide or cervical cap

### 3. Hormonal contraceptives: including oral, patch, rings, implants, injectable and progestin IUD

### 4. Intrauterine devices (IUDs) : copper IUD and progestin IUD

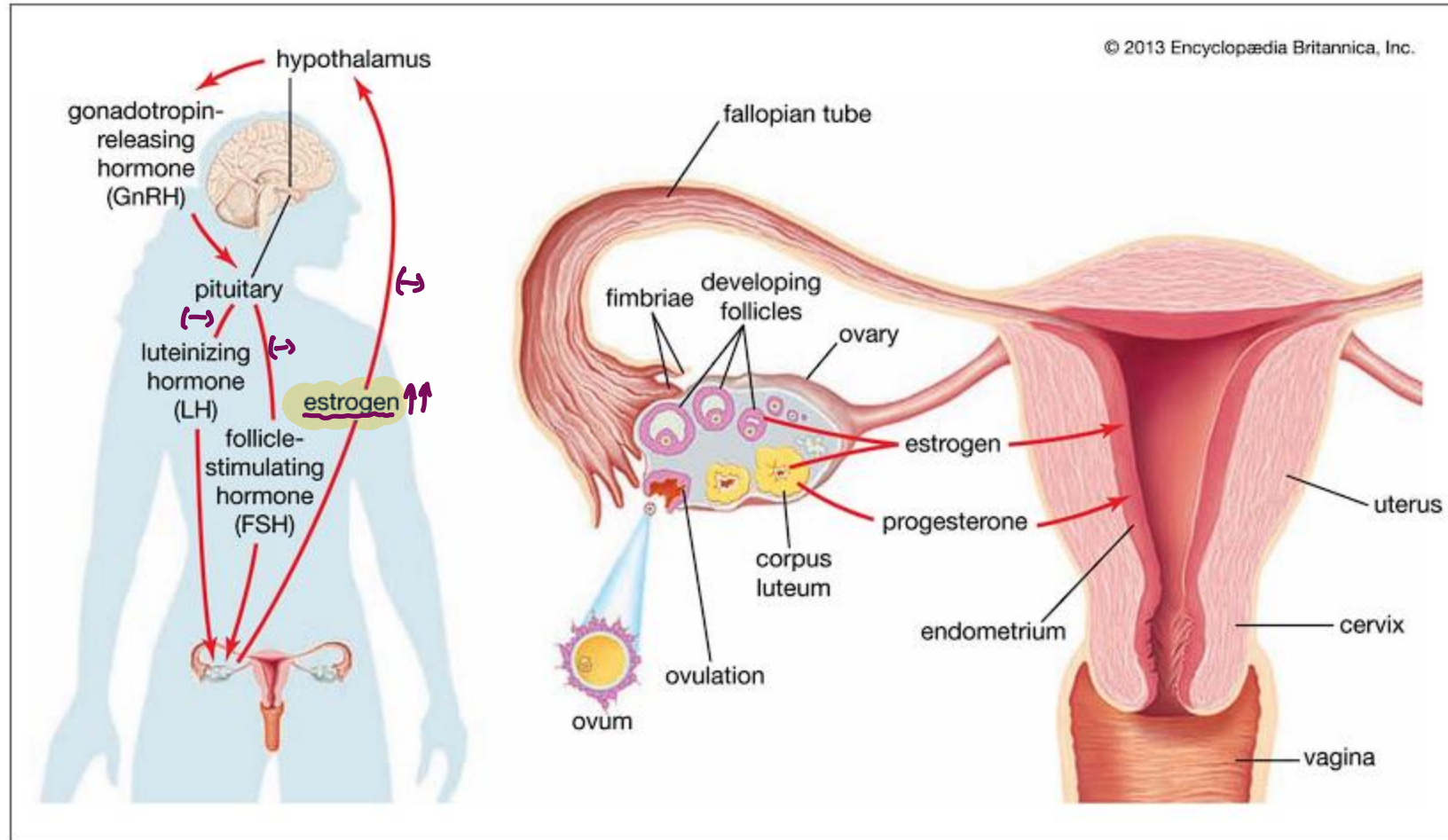
## Hormonal contraceptives

- A) Combined hormonal contraceptives:
  1. contraceptives pills.
  2. transdermal patch.
  3. contraceptives ring
  
- B) Progestin-only:
  1. Progestin-only pills
  2. Injectable progestin
  3. Progestin implants
  4. Progestin intrauterine device
  
- C) Postcoital contraception / **Emergency contraception**

\*Women ovulations & menstrual cycle are regulated by hypothalamus that releases (GnRH)=> Anterior pituitary=>LH&FSH=> stimulate development of follicles & ovulation.

\*ES&P used as contraceptive because when they increase,will decrease release FSH,LH&GnRH (negative feedback )

# The Hormonal Regulation of Ovulation



## Combined hormonal contraceptives: contraceptives pills

- Products containing a combination of an estrogen and a progestin are the most common type of oral contraceptives.
- Estrogens that are commonly present in the combination pills are ethinyl estradiol. The most common progestins are norethindrone, norethindrone acetate, levonorgestrel, desogestrel, norgestimate, and drospirenone.

→ Has more bioavailability/stable



# Combined hormonal contraceptives: contraceptives pills

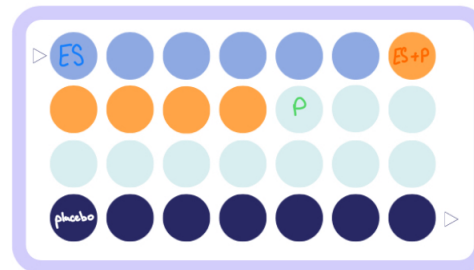
## Mechanism of action:

- Progesterone is primarily responsible for preventing pregnancy.
- The main mechanism of action is the prevention of ovulation; they inhibit follicular development and prevent ovulation. Progestogen negative feedback works at the hypothalamus to decrease the pulse frequency of the gonadotropin-releasing hormone. This, in turn, will reduce the secretion of follicle-stimulating hormone (FSH) and luteinizing hormone (LH). If the follicle isn't developing, there is no increase in the estradiol levels (the follicle makes estradiol). The progestogen negative feedback and lack of estrogen positive feedback on LH secretion **stop the mid-cycle LH surge**. With no follicle developed and no LH surge to release the follicle, ovulation is prevented. progesterone's ability to inhibit sperm from penetrating through the cervix and upper genital tract by making the cervical mucous unfriendly (barrier)
- Estrogen has some effect with inhibiting follicular development because of its negative feedback on the anterior pituitary with slowed FSH secretion.

## Combined hormonal contraceptives: contraceptives pills

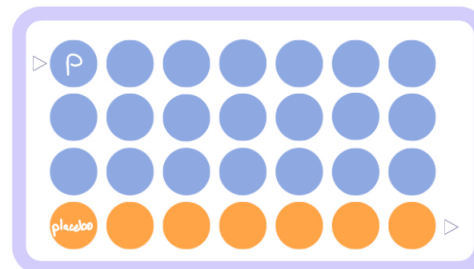
- **Monophasic** combination pills contain a constant dose of estrogen and progestin.
- **Multiphasic** oral contraceptive products attempt to mimic the natural female cycle and contain a constant dose of estrogen with increasing doses of progestin.

### Multiphasic (triphasic)



Day 1 - 6: Dose one  
Day 7 - 11: Dose two  
Day 12 - 21: Dose three  
Day 22 - 26: Hormone free interval  
(withdrawal bleed)

### Monophasic



Day 1 - 21: Pill with hormone  
Day 22 - 26: Hormone free interval  
(withdrawal bleed)

## Contraceptives pills: formulation

Depending on withdrawal bleeding desired by the patient and clinically recommended, it can be prescribed as a cyclic (monthly bleeding), extended cyclic (every three months bleeding), or continuous dosing(no bleeding).

- **Cyclic formulations:** The cyclic formulations have active hormone pills for 21-24 days, followed by 4-7 days of hormone-free pills (withdrawal bleeding occurs)
- **Extended cycle formulations:** extended cycle formulations have active hormone pills every day for three months, followed by a placebo week.
- **Continuous use formulation:** using the only active pills for one year period, which will functionally stop all menstrual bleeding. The most common complication from the extended cycle is break-through bleeding (spotting or bleeding between periods). Recommended for patients who experience period-related mood changes, headaches, painful cramping, heavy menstruation, or other estrogen-related changes

→ Recommend for women with heavy period that maybe cause anemia.....

## Combined hormonal contraceptives: transdermal patch:

- Containing ethinyl estradiol and the progestin norelgestromin.
- One contraceptive patch is applied each week for 3 weeks to the abdomen, upper torso, or buttock.
- *Placebo* Week 4 is patch-free, and withdrawal bleeding occurs.
- Less effective in women weighing greater than 90 kilograms.
- Total estrogen exposure with the transdermal patch greater than estrogen oral contraceptive, which increase the risk of adverse events such as thromboembolism



## Combined hormonal contraceptives: vaginal ring

- Vaginal ring containing ethinyl estradiol and etonogestrel.
- The ring is inserted into the vagina and is left in place for 3 weeks.
- Week 4 is ring-free, and withdrawal bleeding occurs.
- Vaginal ring may occasionally slip or be expelled accidentally → so, the patient must be careful



↪ they related with ES

## Adverse effects of combined hormonal contraceptives

- Breast fullness / Breast tenderness
- Depression
- Fluid retention
- Headache,
- Thromboembolism
- Thrombophlebitis (blood clot in the veins causing inflammation); by increasing plasma fibrinogen and the activity of coagulation factors
- High blood pressure: if occurred pill should immediately be stopped, switch to progestin-only contraceptive
- Increased incidence of myocardial infarction, and stroke.

## Contraindications of combined hormonal contraceptives

- In patients over the age of 35 who are smokers (more than 15 cigarettes per day): risk of venous thromboembolism
- In the presence of cerebrovascular and thromboembolic disease
- Women with thrombogenic mutations like prothrombin mutation, factor V Leiden, protein C, protein S, and antithrombin deficiencies
- Breast or endometrial cancer,
- Liver disease,
- Migraine With aura

## Progestin-only hormonal contraceptives

- The progestin also inhibits LH release (prevent ovulation) and thickens the cervical mucus, thus hampering the transport of sperm.
- Contain low dose of norethindrone.
- They are taken daily on a continuous schedule.
- These preparations are less effective than the combination pill (and they may produce irregular menstrual cycles more frequently than the combination product).
- The progestin-only pill may be used for patients who are breast-feeding (unlike estrogen, progestins do not have an effect on milk production), are intolerant to estrogen, or are smokers or have other contraindications to estrogen-containing products.

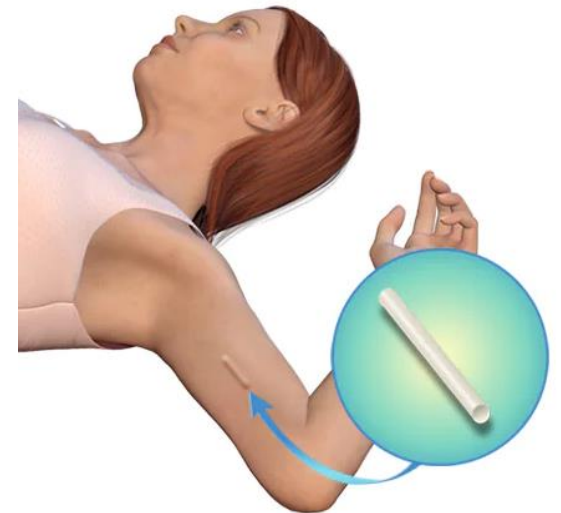


## Injectable progestin (Depo-Provera ):

- Medroxyprogesterone acetate IM or SC injection every 3 months
- Return to fertility may be delayed for several months after discontinuation.
- Contribute to bone loss and predispose patients to osteoporosis. ↪ It's reversible after 1 year.
- Shouldn't be used for more than 2 years.

## Progestin implants ( Implanon):

- Subdermal implant containing etonogestrel offers contraception up to 3 years.
- Is placed subcutaneously in the upper arm.
- The effect is totally reversible when surgically removed
- Principal drawbacks of the implants: might be ineffective in obese women and can cause irregular menstrual bleeding.



## Progestin intrauterine device ( e.g., Mirena)

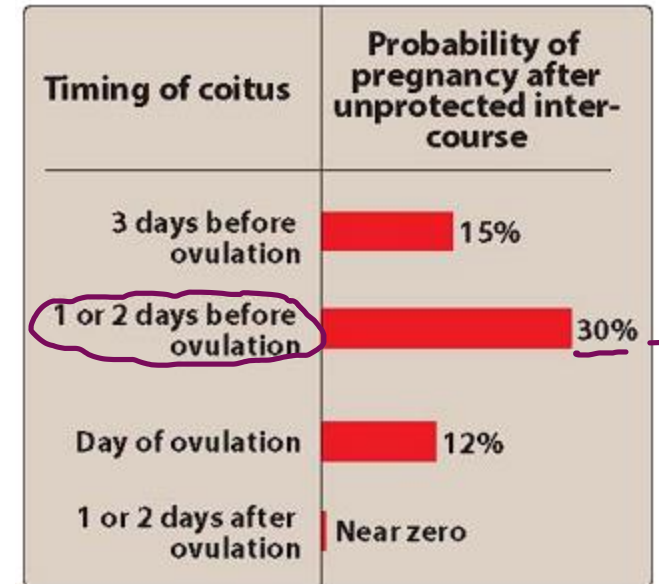
- A levonorgestrel-releasing intrauterine system offers a highly effective method of long-term contraception.
- This intrauterine device provides contraception for 3- 5 years.
- It is a suitable method of contraception for women who already have at least one child and do not have a history of pelvic inflammatory disease or ectopic pregnancy.
- Also used to treat dysfunctional uterine bleeding

## Adverse effects of Progestin-only hormonal contraceptives

- Headache
- Depression
- Weight gain
- Change in libido
- Some progestins, such as : norethindrone, norethindrone acetate, norgestrel, levonorgestrel, have androgenic activity and can cause acne and hirsutism. Less androgenic progestins, such as norgestimate and drospirenone, may be preferred in women with acne.

## Postcoital contraception

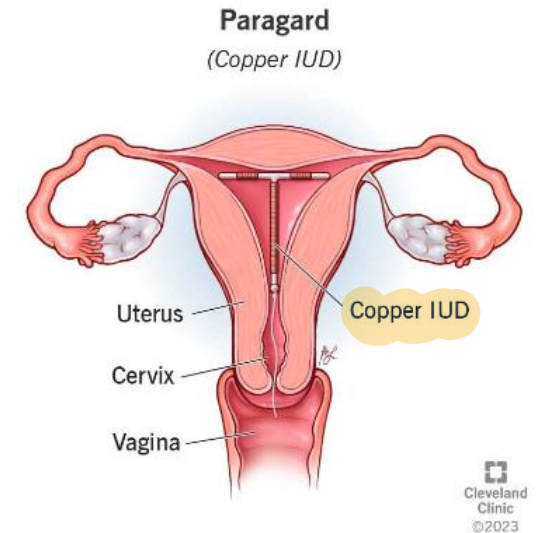
- Postcoital or emergency contraception reduces the probability of pregnancy after an episode of intercourse without effective contraception to between 0.2 and 3 percent.
- For maximum effectiveness, emergency contraception should be taken within 72 hours of unprotected intercourse.
- Most common method of emergency contraception uses is high dose of Levonorgestrel (progestine-only method).



← لذلك الفترة لأخذ Emergency pill

## Copper IUD

- Copper IUDs are typically T-shaped devices that are anchored to the myometrium at the uterine fundus.
- The primary mechanism of action of the copper IUD is the prevention of fertilization through a cytotoxic inflammatory reaction that is spermicidal.
- Copper IUD also works by impairing implantation.
- The copper IUD does not affect ovulation or timing of the menstrual cycle, but it is associated with heavier menstrual bleeding and cramping. Usage risks include perforation and an increased risk of infection in the first 20 days after insertion.
- Copper IUDs are contraindicated for women with copper allergies, uterine infections, or uterine cancer

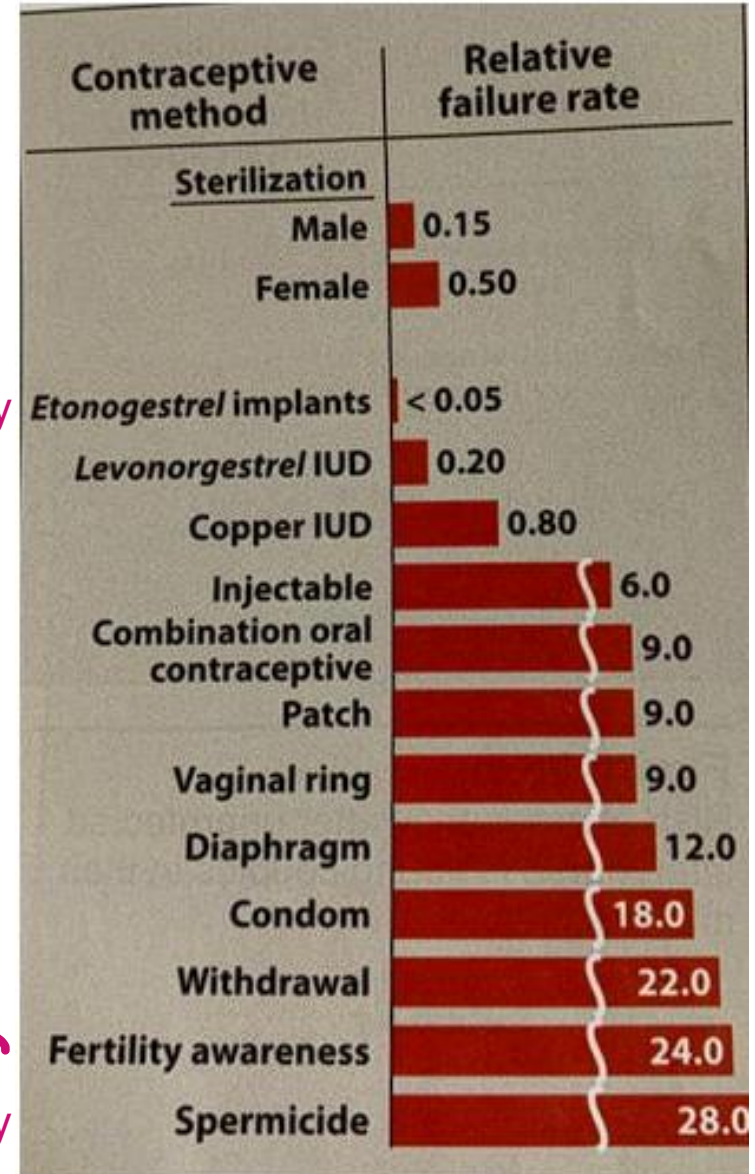


- Comparison of failure rate for various methods of contraception. Longer bars indicate a higher failure rate—that is, more pregnancies.

high efficacy

لأنها تعتمد على المريض

less efficacy



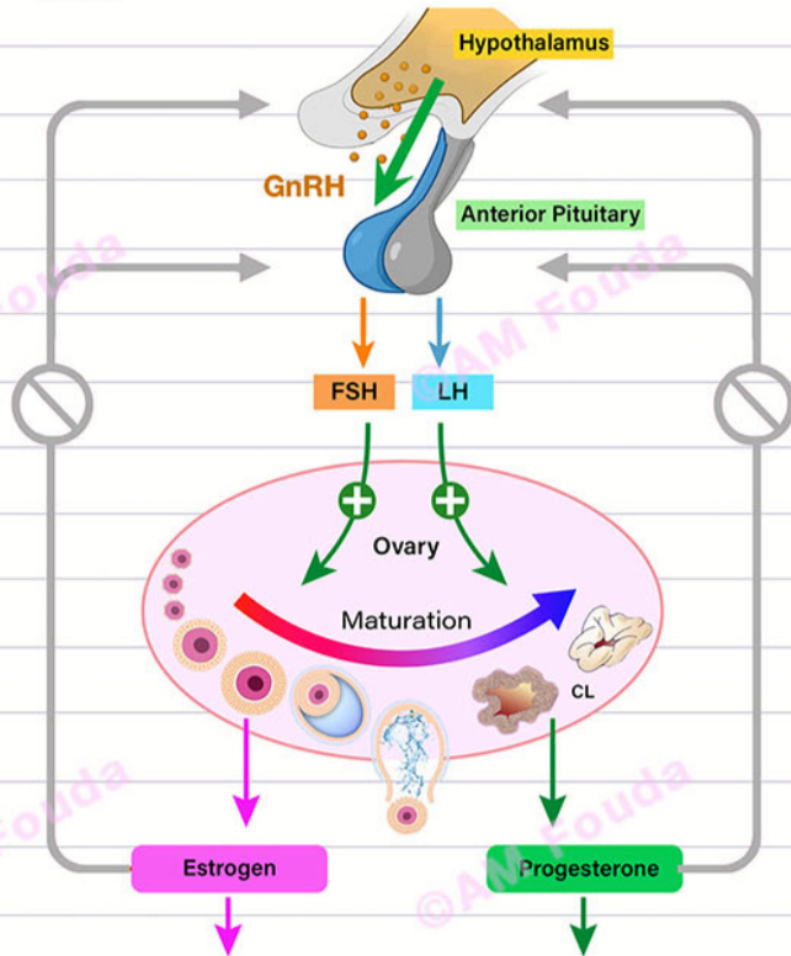


# 3. HORMONAL CONTRACEPTIVES

## MECHANISM OF ACTION

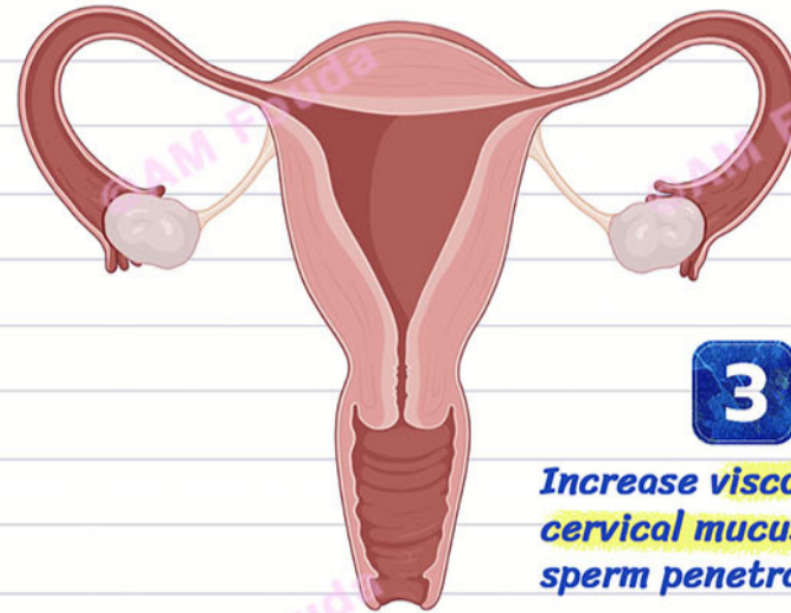
1

Negative feedback inhibition of pituitary gonadotropins



2

Estrogen and progesterone produce endometrial changes and interfere with coordinated contraction of the cervix, uterus, and fallopian tubes



3

Increase viscosity of cervical mucus impedes sperm penetration

في آخر ثلاث سلايدات إضافة من دكتور فودة

من 46:46 لآخر الفيديو

<https://youtu.be/5i2ZwhHg5Tk?si=NsmR1zYDQYmyjs7o>

في رابط الفيديو



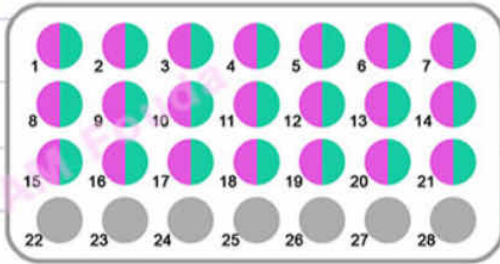
# 3. HORMONAL CONTRACEPTIVES

## TYPES & ADMINISTRATION

### 1. COMBINED PILLS

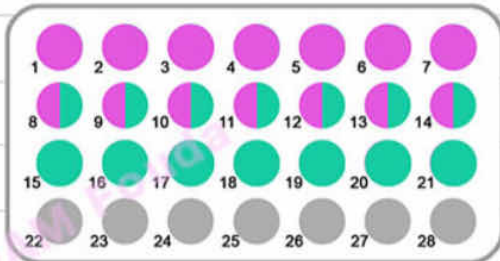
contain both estrogen and progestin. One tablet is taken every day for 21 days followed by a 7-day break (placebo) to induce withdrawal bleeding.

**Monophasic pills:** the pills contain constant dose of both estrogen and progestin



في

**Multiphasic pills:** the pills contain a constant dose of estrogen with increasing doses of progestin to mimic the natural female cycle



### 2. TRANSDERMAL PATCHES

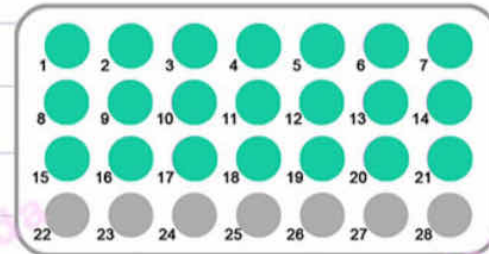
contain estrogen and progestin. During the 28-day cycle, one patch is applied each week for 3 weeks to the abdomen. No patch is worn during the fourth week, and withdrawal bleeding occurs.



### 3. PROGESTIN-ONLY PILLS

**Progestin-only pills:** contain progestin alone and given once daily for 21 days. They are less effective than combined pills

من امراء الحمل في اديها  
ممنوع لذلك ما رح  
تعطيم ES مع ات ES+P  
كتارة امك من P لثالة



**Long-acting injectable progestin:** contain medroxyprogesterone acetate to be injected IM or SC every 3 months.

**Progestin implants:** offer contraception for up to 3 years



**Emergency pills (postcoital; morning-after)** one tablet contains 1.5 levonorgestrel is administered within 72 h after unprotected intercourse.



من سمها عالجه  
تفنع الاباضة



# 3. HORMONAL CONTRACEPTIVES

## ADVERSE EFFECTS

### CVS effects:

- Hypertension and fluid retention.

Thrombotic complications: Estrogen produces:

- (a) increase formation of clotting factors.
- (b) endothelial cell proliferation.
- (c) chronic venous stasis.

### CNS effects:

- Migraine headache:

The hormonal fluctuations caused by contraceptive pills can dysregulate the blood flow to the brain causing headache and migraine.

- Mood changes and mental depression.

### Cancer:

Estrogen increases the risk of endometrial and breast cancers especially in women who have a family history of the disease.

### GIT effects:

- Nausea, vomiting, and breast tenderness.

- Cholestatic jaundice and gallstones:

because estrogen increases the biliary concentrations of cholesterol, resulting in decreased cholesterol solubility and stone formation.

### Endocrinal effects:

- Impaired glucose tolerance.

- Weight gain and edema due to fluid retention.

- Menstrual irregularities: e.g. breakthrough bleeding and prolonged amenorrhea.

- Progesterone induces androgenic effects: e.g. acne, hirsutism, and increased skin pigmentation especially in dark-skinned women.

خاتمة انا  
صحة واهلي  
من 36 سنة