

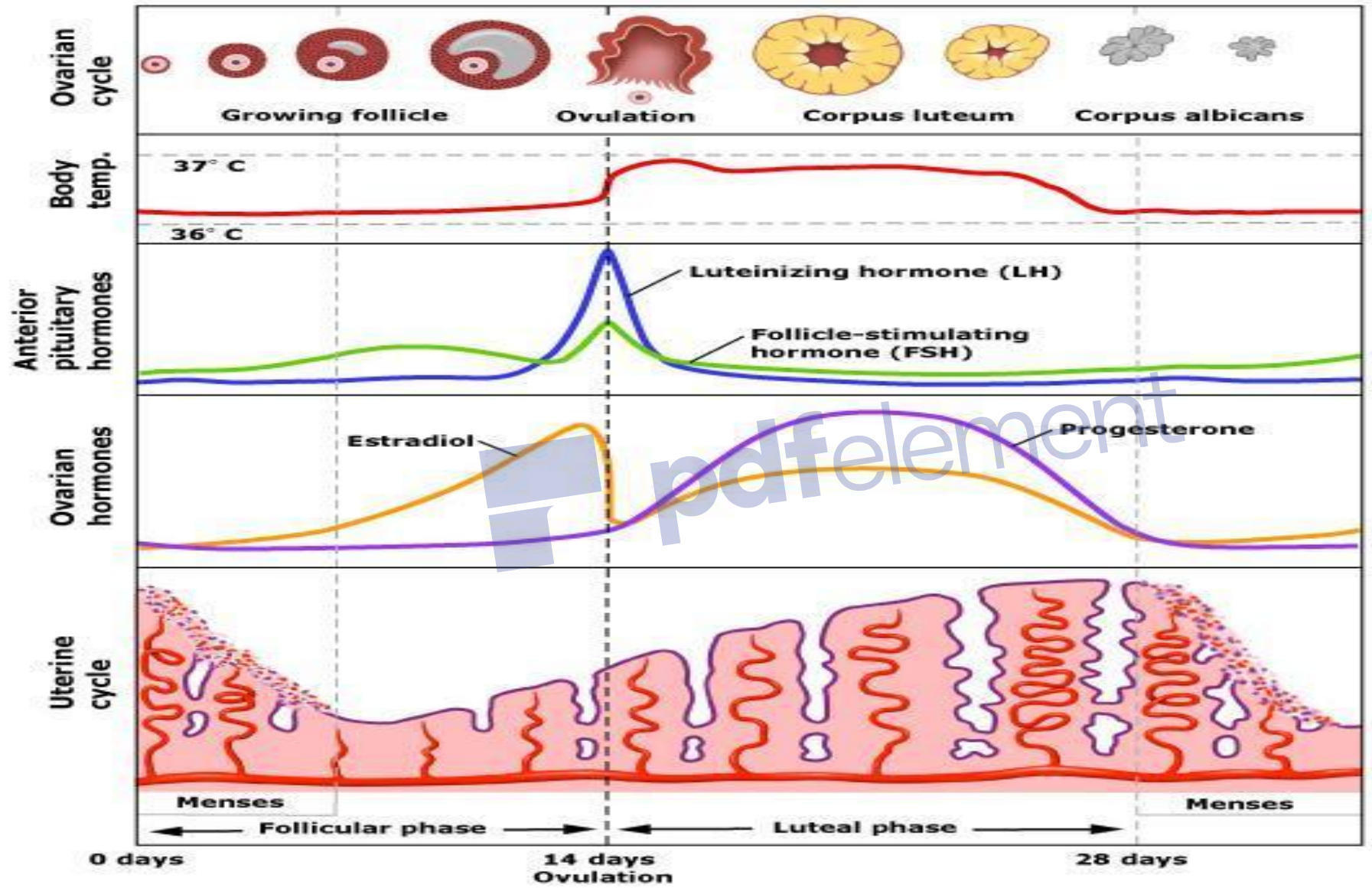
# Contraceptives

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# Female hormones

- Estrogen
  - Development of reproductive organs - puberty hormone
- Progesterone
  - Maintenance of pregnancy – inducer of secretory changes in endometrium





# Hormonal contraceptives

## 1. Combination preparation

- Estrogen (0.05 mg) and progestin(0.03 or 0.07mg)

Monophasic

Biphasic

Triphasic

## 2. Progestin only pills

# Combination

- Monophasic
  - Constant dose throughout menstrual cycle
- Biphasic and triphasic
  - Progestin or estrogen dose or both change during cycle
- Postcoital ( emergency ) contraceptive
  - Effective if given within 72 hrs postcoital

# Preparations

- Oral contraceptive pills
- Injections
- Transdermal patch
- Vaginal rings
- Intrauterine devices

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# Mechanism of action of combination preparation

- Inhibition of ovulation
- Changes in cervical mucus glands, uterine tubes, endometrium
  - Impede fertilization
  - Implantation

# Mechanism of action of hormonal contraceptives

- **Combination contraceptives**
- **The pituitary is the most important site of action**
  - Selectively inhibit pituitary function to inhibit FSH and LH release and block ovulation
- Blocks the positive LH surge, responsible for ovulation
- Used together they act synergistically to suppress ovulation.



# Mechanism of action

- Estrogen inhibits secretion of FSH via negative feedback on the anterior pituitary, and thus suppresses development of the ovarian follicle.
- Progestin inhibits secretion of LH and thus prevents ovulation; it also makes the cervical mucus less suitable for the passage of sperm.
- Estrogen and progestogen act by altering the endometrium in such a way as to discourage implantation.

# Mechanism of action of progestin Oral contraceptives

- Thickening of cervical mucus
- Inhibition of implantation
- Progestin create a thin, atrophic endometrium that is hostile to implantation of the blastocyst.
  - ✓ **Not effective once the implantation process has occurred**

# Progestin-only tablets( Minipills)

Used in patients for whom estrogen is undesirable.

- **Heavy smokers**
- Older women
- Estrogen is contraindicated



# Progestin-only tablets

- **The progestin-only pill (minipill)**
- The progestogen-only pill is taken continuously
- Contraceptive effect is less reliable and is mainly a result of the alteration of cervical mucus.
- Progestins also create a thin, atrophic endometrium that is **hostile to implantation.**

# *Beneficial effects of Minipills*

- Progestogen-only contraceptives offer a suitable alternative to the combined pill for some women in whom Estrogen is contraindicated....
- Suitable for woman whose blood pressure increases unacceptably during treatment with estrogen....
- Suitable for those couples who plan long term contraception

# *Harmful effects of progestin only pills*

- Not suitable for patients planning a pregnancy in near future. Prolonged time required for ovulatory function to resume.
- Irregular bleeding is common.
- Acne
- Hirsutism

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# Postcoital contraceptives

- Conjugated estrogens: 10 mg three times daily for 5 days
- Ethinyl estradiol: 2.5 mg twice daily for 5 days
- Diethylstilbestrol: 50 mg daily for 5 days
- Mifepristone: 600 mg with misoprostol 400 mcg once
- L-Norgestrel: 0.75 mg twice daily for 1 day
- Norgestrel, 0.5 mg, with ethinylestradiol, 0.05 mg. 2 tablets stat then 2 tablets after 12 hours
- Antiemetics are prescribed as 40% patients have nausea and vomiting.

# MOA of Postcoital contraceptives

- Inhibit ovulation and changes in mucus of cervix.
- Effective if given within 72 hours



# Adverse effects of Postcoital contraceptives

- usually causes nausea and vomiting that can be reduced by administration of an antiemetic agent (e.g., promethazine, domperidone).
- May cause headache, dizziness, leg cramps, and abdominal cramps.

# Uses of oral contraceptives

- Contraception
- In young women primary hypogonadism to prevent estrogen deficiency
- Acne
- Hirsutism
- Dysmenorrhea
- Endometriosis



# Adverse effects of oral contraceptives

## Mild adverse effects

- Nausea
- Mastalgia
- Depression
- Edema
- Breakthrough bleeding
- Headache
- Failure of withdrawal bleeding



# Moderate adverse effects

- **Breakthrough bleeding**  
(most common) 25% females....progestin alone
- The **biphasic** and **triphasic** oral contraceptives **decrease** breakthrough bleeding.
- **Increased skin pigmentation**
- **Weight gain**
- **Acne & hirsutism**
- **Amenorrhea**

# Severe adverse effects

## Vascular disorders

- **Venous thromboembolic disease**
- threefold higher risk

# Severe adverse effects

## Vascular disorders

- **Myocardial infarction.**
- More common in
- Obese women
- History of preeclampsia
- Hypertension
- Hyperlipoproteinemia
- Diabetes.
- **Cerebrovascular disease**

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# GT disorders

- Cholestatic jaundice
- Gallbladder disease
- Cholangitis



# Contraindications of oral Contraceptives

- Thrombophlebitis
- Cardiovascular disorders
- Cerebrovascular disorders
- Known or suspected tumor of breast
- Heart failure (careful use)
- Uterine fibroid



# Drug interactions

- Carbamazepine & Phenytoin x OCPs
- Increased metabolism due to enzyme induction...
- May lead to contraceptive failure.

# Drug Interactions

- **Antibiotics...**
- Penicillins
- Tetracyclines
- Oral contraceptives are effective in low dose because they undergo enterohepatic cycling
- Antibiotics which eradicate intestinal flora involved in enterohepatic cycling can result in failure of oral contraceptive effect

# Drug Interactions

## **Warfarin and oral contraceptives**

- Decreased effect of warfarin

Because

- Estrogen increases the synthesis of vitK dependent clotting factors so effect of warfarin is antagonised.