Title of the teaching unit: Sex hormones

Importance of the teaching unit:

Gaining knowledge on how to pharmacologically interact with the gonadotropic hypothalamo-pituitary axis, which pharmacotherapeutic goals can be achieved and what side effects or drug interactions can be expected.

Pros, cons, indications, contraindications and risks of hormonal contraception and substitution therapy.

Significant terms:

gonadoliberin analogs

- gose**reline**
- tripto**reline**

analogs of gonadotropic pituitary hormones (FSH and LH)

- foli**tropin**
- lut**ropin**

antagonists of gonadotropic pituitary hormones

- danazol

analogues and antagonists of peripheral sex hormones

- intracelullar receptors
- estrogen-dependent tissues, progesterone-dependent tissues

transport of steroid hormones, albumin, SHBG

estrogens

- natural estrogens
  - estradiol, estrone, estriol
- synthetic estrogens
  - ethinylestradiol
- esters of estradiol
  - estradiol valerate, estradiol benzoate

estrogen antagonists – antiestrogens

- estrogen receptor antagonists
  - clomiphene
  - tamoxifen
  - fulvestrant
- tissue selective dualists
  - raloxifene
- peripheral aromatase inhibitors
  - anastrozole
  - letrozole
  - exemestane

gestagens

- natural gestagens
  - progesterone
- synthetic gestagens
  - classification according to the residual androgenic activity:
    - gestagens with androgenic effect
      - levonorgestrel
      - norethisterone acetate
    - gestagens with neutral androgenic effect
      - desogestrel
      - gestoden
      - norgestimat
    - gestagens with antiandrogenic effect
      - cyproterone acetate
      - dienogest
      - chlormadinone acetate
    - gestagens with antiandrogenic and antimineralocorticoid effects
      - drospirenon

gestagen antagonists – antigestagens

- mifepriston

androgens

- natural testosterone
- testosterone esters

androgen antagonists – antiandrogens

- androgen receptor antagonists
  - cyproterone acetate (steroid structure)
  - f**lutamide** (nonsteroid structure)
  - bica**lutamide** (nonsteroid structure)

- 5-α-reductase inhibitors
  - finasteride

endometriosis, hirsutism, assisted reproduction

hormonal contraception

- postcoital – emergency
  - levonorgestrel
  - ulipristal
- long-term use
  - combined – per oral and parenteral dosage forms
    - Phasicity
  - progestin (gestagen) – per oral and parenteral dosage forms

APC resistance

- venous thromboembolism

hormone replacement therapy (HRT)

- menopause, climacteric syndrome, estrogen-deficient syndrome, osteoporosis
- estrogen replacement therapy
  - dosing regimens
    - cyclic
    - continuous

- dosage forms
  - peroral
  - transdermal
  - intramuscular
- combined replacement (substitution) therapy
  - combination of estrogens and gestagens
    - continuous gestagen administration
    - sequential gestagen administration
  - combination of estrogens and androgens
- STEARS therapy (Selective Tissue Estrogenic Activity Regulators)
  - tibolone
- SERM therapy (Selective Estrogen Receptor Modulators)
  - raloxifene

drug interactions

Outcomes:

Student describes the way in which the gonadotropic hypothalamo-pituitary axis can be pharmacologically influenced.

Student lists the individual drug classes and their basic pharmacological profile (mode of action, unwanted effects, indications and contraindications).

Student defines what hormonal contraception is, how it is divided according to usage (postcoital, long-term use), according to the number of components in the product (combined, gestagen ones), according to the dosage form (per oral, parenteral).

Student knows other factors that distinguish the combined oral contraceptives (estrogen dose, gestagen type, phasicity, cyclicity…), knows the mechanism of action, pros, cons, side effects and risks of use.

Student names some examples of significant drug interactions, knows what the hormone replacement therapy is, the advantages and disadvantages etc.

Study materials

Rang & Dale's Pharmacology, 8th edition, 2016, 35, pp. 425-435

Study materials for courses aVLFA0721p and aVLFA0721c.

Exam questions

Special pharmacology: 10. Sex hormones - contraception and HRT, 11. Drugs targeting H-P axis and their indications (except contraception and HRT)

Essential drugs: 28. ethinylestradiol, 29. cyproterone, 30. tibolone, 31. tamoxifen, 34. levonorgestrel