Sex hormone and drug

- Progesterone
  - Effect
    - As contraceptive 💊
      - -ve feedback
        - 🚫 ovulation
        - Endometrial gland atrophy
      - more viscous Cervical mucus
    - Impaired glucose tolerance
      - Hyperglycemia 🙁
    - Dyslipidemia 🙁👎
      - ⬇️HDL
      - ⬆️LDL
    - Thermogenic +0.5 C BBT
  - Usage
    - DUB
      - Dysfunctional uterine bleeding
    - Habitual abortion
    - Endometriosis
    - Combine E @HRT for PMS
    - Dysmenorrhea
    - ⬇️ endometrial CA risk
  - Natural
    - Inactive orally
    - Micronized table for oral
    - IM, topical @vagina
  - SE 🙁
    - Androgenic
  - Synthetic
    - 17- OH-prohesterone
      - MPA tablet
      - DMPA (depot) IM
      - CPA : Cyproterone acetate
        - Weak progesterational
        - Potent antiandrogenic
          - Compete inhibit T & DHT
          - 🚫 synthesis @gonadotropin
          - 💊prostate gland
          - Might 💊 acne
        - Oral contraceptive
    - More androgenic than
    - 19- Nortestosterone
      - 1st gen
        - Norethisterone
      - 2nd gen
        - Norgestimate
        - Levonorgestrel
      - 3rd gen
        - Gestodene
        - Desogestrel
      - Less CHO,androgenic, lipoprotein effect
      - More specific to pregesterone
    - Drospirenone
      - Antiandrogenic
      - Analogue of spironolactone
        - Anti-mineralocorticoid
          - K ⬆️
      - Tablet
  - Metabolite
    - Sodium pregnanediol-20-gluroonide
      - Assess function of corpus luteum
  - Anti progesterone drug
    - Mifepristone
      - 💊termination of pragnancy
      - Competitive inhibitor
    - Gemeprost
      - PGE
      - 48 hr after Mifrpristone
      - Intervaginal
    - Misoprostol
      - PGE2 analogue
      - 💊 NSAID induced PU
- Androgen
  - Synthesis
    - From DHEA , Androstenedione
    - T+ 5a-reductase
  - Metabolite
    - KS :ketosteroid
      - Assess testis function
  - Natural form
    - Topical, Transdermna
    - Replacement
      - Hypogonadism💊
  - Synthetic
    - Ester
      - T. xxx
      - Stimulate growth @proper dose👦
    - Fluoxymestrone
    - Danazol
      - 💊endometriosis 🚺
      - Block GnRH LH Surge
    - Methylation
      - Methytestosterone
        - Oral
        - Hepatotoxic
          - Cholestasis jaundice 🙁👎
    - Transdermal
      - Avoid 1st pass metab
    - Anabolic androgenic Steroid
      - Nandrolone
        - 💊anemia
      - Oxandrolone
      - Abuse : Doping agent @body builder
  - Effect
    - Anabolic effect
      - ⬆️⬆️
      - Muscle
      - RBC
        - Polycythemia 🙁
      - bone
        - 💊severe osteoporosis
      - Appetite
    - Male 2' characteristics
    - Metabolic effect
      - ⬇️HDL-c 🙁
      - Water salk retention
        - Edema🙁
  - Usage
    - 💊 fibrocystic @🚺
  - SE 🙁🙁
    - ‼androgen excess
      - 🚹
        - Azospeemia
        - Testicular atrophy
        - Gynecomastia
      - 🚺
        - Virilization
          - Ance
          - Facial , body hirsutism
          - Mense irreg
        - Teratogenic : female pseudohermaproditism
    - High dose
      - Premature epiphyses closure
        - Short status
  - Anti androgen drug
    - Finasteride
      - 🚫5a- reductase
      - 💊BPH
      - 💊Male pattern baldness (MPB)🙂
    - Flutamide
      - 🚫androgen receptor
      - 💊prostate cancer
    - ❌ synthesis
      - Cimetidine
      - Ketoconazole
    - CPA
      - competitive inhibitor
    - Estrogen >50 ug
- Aromatase
  - 💊aromatase Inhibitor : AI
    - Selective of AI : SIAR
      - Formestane
    - Non selective inhibitor of AI : NSIAR
      - Aminoglutethimide
      - For Breast CA + postmenopausal + ER/HER +ve
    - Steroid AI
      - Exemestane
      - Irreversible
      - 🙂⬇️ risk endomet CA, DVT
    - Non steroid AI
      - Letrozole
      - Anastrozole
      - Reversible
      - Reach steady state plasma level in 2-6 weeks
    - @extra-adrenal tissue
      - Fat
      - Muscle
      - Skin
      - Breast cell including CA cell
    - ⚠️❌ premenopausal
      - Activate GnRH
        - ⬆️Estrogen @ ovary
- Estrogen
  - Synthesis
    - Precursor = Pregnenolone
    - Secrete
      - Bile
        - Enterogepatic cir
          - ❤️ normal flora
      - Urine
  - Mech of action
    - G-protein coupling Intracellular receptor
    - Reg Transcription
      - Hormone response
  - Effect
    - Endometrium proliferative phase
      - Endometrial hyperplasia 🙁
    - Bone mineral density
    - HDL-c
      - ❌atherosclerosis
    - Impaired glucose tolerance
      - Hyperglycemia🙁
    - Factor II, VII, IX, X, XII
      - Thrombosis🙁
    - Renin
      - 👎 Salt, water retention
        - HTN 🙁
    - ❌normal flora @enterohepatic cir
  - ⚔️ drug intereaction
    - 🚫anticoagulant
    - 🚫 by antibiotic
      - Ampicillin
      - Amoxicillin
      - Tetracycline
    - 🚫 elimination of TCA
    - 🚫 by enzyme inducer
      - Phenytoin
      - Rifampin
    - ➕ tobacco = thrombosis (as long exposure to estrogen
  - Estrogen drug💊
    - Natural
      - Pill
        - Micronized tablet
      - Hormone replacement therapy
        - Topical route
          - Estrogel, estroderm
          - Vaginal cream
            - Estriol
        - Postmenopausal syndrome
          - Atrophic vaginitis
          - Severe vasomotor symptome
        - 1' hypogonadism
      - Dysfunctional uterine bleeding💊
      - 3rd line for dysmenorrhea💊
      - IM, SC
      - Oral / topical
    - Synthetic
      - E. xxxx : esterification
      - premalin
        - Conjugated estrone sulfate
      - DES diethylstilbestrol
        - 💊Suppress Post partum lactation
        - 👎🙁 Adenocarcinoma of vagina
          - In fetus if use in pregnancy
    - Steroid
      - Ethinyl estradiol : EE
        - Highest potency
      - Mestranol :EEME
      - Oral contraceptive
  - S/E
    - High dose >5 yr
      - Thrombosis, embolism, ischemic stroke
      - Breast / Endometrial CA
    - Mingrain
    - Melasma
    - N/V
    - Dose / duration dependent
  - SERM💊
    - Tamoxifen
      - ➖ Ant @ breast
        - 💊breast CA w/ ER+ve
          - 🚫recurrent
          - After surgery 🤰
          - Metastatic breast CA in both pre-post menopasual
      - ➕Ago @ bone,lipid < Raloxifen
        - ⬆️ LDL only
      - ➕ Ago @ endometrium
        - Endometrial CA 🙁
    - Raloxifene
      - ➖Ant @ breast, uterus
        - 💊 Breast CA w/ ER+ve
          - Prophylaxis ⛑️🛡️⚔️
      - ➕ Ago @ bone , lipid < Estrogen
        - 💊 osteoporosis
    - Toremifene
      - ➖ Ant @ breast
    - Clomiphene citrate
      - ➖ ant @ pituitary gland
        - ❌ -nv feedback of HPO axis
          - Induced ovulation
      - ➕ ago @ ovary (weak)
        - SE🙁
          - Ovarian enlarge
          - Twin / multiple pregnancy
    - Selective estrogen receptor modulator
      - Agonist + antagonist
    - "Add back therapy "
  - SERD 💊
    - Selective estrogen receptor down regulator
    - Fulvestrant
      - Pure Anti- estrogen
      - 🚫 ER-alpha
      - ➕ ER-beta (protect)
      - IM monthy
      - @Tamoxifen resistant
      - Metastatic breast CA in both pre-post menopasual

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