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ESTROGEN PROGESTNS AND CONTRACEPTIVES:

Estrogen And Related Drugs:

Classification:

- Natural : Estradiol, estriol
- Synthetic : steroidal – Tibolone, Mestranol
  , nonsteroidal – Diethylstilbestrol, Dienestrol.

MOA of estrogen:

estrogen —(Bnd to specific ERs)→ Nucleus → Regulate protein synthesis → effects.

Types of Receptor → ERα, ERβ.

PIC → Estradiol → estrone → estriol.

Actions

- → Helps in growth And development of sex organ
- → Proliferative Phase of endometrium.
- → Promote Rhythmic contraction of fallopian tube And myometrium
- → Induce synthesis of Progestrone Receptor
- → facilitate the entry of sperm.

THERAPEUTIC USES:

1) Oral contraceptive. → often combined with progestin

2) Post menopausal Replacement therapy:

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sign And symptom in post menopaucl women are due to cessation of normal ovecian functio
- ie, sleep disturbance, genital Atrophy
osteoporosis.

- Short term estrogen therapy is used to Relieve the symptos.
- main objective is prevent → osteoporosis. And Atherosclerosis
- It Reduce the Risk of Alzheimer And CAD.

DisAd → thromboembolism, gallstone, bleeding

② Senile vaginitis → (Atropic vaginitis)
③ Dysmenorrhea → stopes the main - Anovulatory cycle.
④ Delayed pubuly in girls. → ie, Turner syndrome
⑤ Carcinoma of prostate → estrogen as palliative
They provide Relief by suppressing
Androgen production.

ADR:

- NVD, breast tenderness, gallstone,
thromboembolic complication.

ANTIESTROGEN:

- CLOMIPHENE CITRATE
nonsteroidal component.

Normally

ERα & ERB Receptor are present in Ant pit And Hypotha
→ when estrogen is circu it will negatively Inhibit by binding to these Receptors so FSH & LH level

MOA:

clomiphene citrate (pure Antagonist)
↓
Block estrogen Receptors (ERα, ERβ) in Ant pit And Hypo
↓
oppose negative feedback effect of estrogen
↓
Stimulate gonadotropin secretion
(↑FSH And ↑LH)
↓
Induces ovulation.

PK → orally, long plasma half life.
Accumulate in fatty tissue.

Uses:

① Infertility → due to Anovulation., used in a cyclic Manner.

50mg once daily for 5 days from 5th day of cycle

- No more than 6 t/t cycle should be tried
↳ Risk of cancer

2) ART And GIFT

3) Oligozoospermia → ↑ Gn secretion is seen as well promote spermatogenesis And testosterone.

-25mg daily.

ADR: multiple pregnancy,
hot flashes,
gastric upset
vertigo
↓
Risk of ovarian tumor