

Name: Estradiol (Estrace)

Class: Estrogen

Mech.: Diffuses across cell membranes and binds to cytoplasmic receptor proteins. Complex enters nucleus and interacts w/DNA to initiate RNA synthesis. Stimulates development of the endometrial lining, maintains normal structure of skin and blood vessels in E, antagonizes PTH effects on bone, reduces motility of the bowel, enhances coagulability of blood, increases HDL, decreases LDL.

Absorption:

Dist.: **Metab.:** **Excretion, t_{1/2}:**

Toxicity/S.E.s: May increase risks of breast and endometrial cancer. Nausea/vomiting.

Utility: Postmenopausal estrogen replacement therapy to treat hot flashes, atrophic vaginitis, and urethral changes, and to prevent osteoporosis and cardiovascular disease.

Special Features: Usu. combined with a progestin to reduce the risk of endometrial carcinoma. Only useful prophylactically for osteoporosis,.

Name: Conjugated estrogens (Premarin)

Class: Estrogen

Mech.: Diffuses across cell membranes and binds to cytoplasmic receptor proteins. Complex enters nucleus and interacts w/DNA to initiate RNA synthesis. Stimulates development of the endometrial lining, maintains normal structure of skin and blood vessels in E, antagonizes PTH effects on bone, reduces motility of the bowel, enhances coagulability of blood, increases HDL, decreases LDL.

Absorption:

Dist.: **Metab.:** **Excretion, t_{1/2}:**

Toxicity/S.E.s: Increased risk of breast and endometrial cancer.

Utility: Postmenopausal estrogen replacement therapy to treat hot flashes, atrophic vaginitis, and urethral changes, and to prevent osteoporosis and cardiovascular disease.

Special Features: Usu. combined with a progestin to reduce the risk of endometrial carcinoma. Only useful prophylactically for osteoporosis. Less first-pass metab. than estradiol → more effective oral administration.

Name: Norethindrone (Norlutine)

Class: Oral Contraceptive (Progestin-Only) (Mini-Pill)

Mech.: Doesn't always inhibit ovulation. Produces changes in cervical mucus → block of sperm penetration.

Absorption: Oral

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s: High incidence of abnormal bleeding. Headache, depression.

Utility: Contraception, esp. in patients c/i for estrogen therapy.

Special Features: Less effective than estrogen-progestin combination pills. None of the cardiovascular toxicity of the combination pill.

Name: Levonorgestrel (Norplant)

Class: Long-Acting Contraceptive (Progestin-Only)

Mech.: Doesn't always inhibit ovulation. Produces changes in cervical mucus → block of sperm penetration.

Absorption: Subcutaneous implant

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s: Irregular menstrual bleeding and headaches.

Utility: Contraception, esp. in patients c/i for estrogen therapy.

Special Features: Cheaper than oral contraceptives, completely reversible, and good for 5 years. Probably the most effective reversible method of contraception available. None of the cardiovascular toxicity of the combination pill.

Name: Norgestrel (Ovrette)**Class:** Oral Contraceptive (Mini-Pill) (Low-Dose Progestin)**Mech.:** Doesn't always inhibit ovulation. Produces changes in cervical mucus → block of sperm penetration.**Absorption:** Oral**Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** High incidence of abnormal bleeding. Headaches, depression.**Utility:** Contraception, esp. in patients c/i for estrogen therapy.**Special Features:** Less effective than estrogen-progestin combination pills. None of the cardiovascular toxicity of the combination pill.**Name: The Pill (Various)****Class:** Oral Contraceptive (Estrogen/Progestin Combination)**Mech.:** Blocks ovulation, suppresses endometrial growth, produces changes in cervical mucus → block of sperm penetration.**Absorption:** Oral.**Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Breakthrough bleeding, amenorrhea, water retention, headache, breast fullness, depression, dizziness, edema, headache, n/v, weight gain, acne, cardiovascular disease (esp. in smokers over age 35). **Absolute****C/Is**—thromboembolic disorder, CVA, CAD, ↓ liver fxn, hepatic adenoma, estrogen-dependent cancer (e.g., breast, endometrium), pregnancy, undiagnosed vaginal bleeding, tobacco use over age 35. **Relative****C/Is**—migraine headaches, hypertension, leiomyomata, diabetes mellitus or prev. gestational diabetes, elective surgery, seizures or anticonvulsant use, obstructive jaundice in pregnancy, sickle cell disease, gall bladder disease.**Utility:** Contraception, dysmenorrhea, prophylaxis against endometriosis.**Special Features:** Decreases the risks of endometrial and ovarian cancer and benign breast disease.**Name: Morning-After Pill (Ovral, The Pill, etc.)****Class:** Oral Contraceptive (Estrogen/Progestin Combination)**Mech.:** Blocks nidation by producing an unfavorable endometrium.**Absorption:****Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Breast fullness, depression, dizziness, edema, headache, n/v, cardiovascular disease (esp. in smokers over age 35). C/i in presence of cerebrovascular and thromboembolic disease, estrogen-dependent neoplasms, liver disease, and migraine headache.**Utility:** Post-coital contraceptive. Usu. ~99% effective if admin. w/in 72 hours.**Special Features:****Name: Medroxyprogesterone (Depo-Provera)****Class:** Long-Acting Contraceptive (Progestin-Only)**Mech.:** Doesn't always inhibit ovulation. Produces changes in cervical mucus → block of sperm penetration.**Absorption:** IM**Dist.:****Metab.:****Excretion, t_{1/2}:****Toxicity/S.E.s:** Irregular menstrual bleeding, headaches, depression. Drug cannot be removed to alleviate side effects.**Utility:** Contraception, esp. in patients c/i for estrogen therapy.**Special Features:** None of the cardiovascular toxicity of the combination pill.

Name: Thalidomide

Class: Teratogen With Immediate Developmental Effects

Mech.:

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s: Embryonic exposure between weeks 4-7 of gestation → ↑↑ risk of birth defects, esp. phocomelia. Paternal use of thalidomide can also result in serious birth defects. Risk only in first trimester.

Utility: Currently used in treatment of leprosy and AIDS.

Special Features: Previously widely used in Europe as a sedative-hypnotic with the result of ~8,000 babies with phocomelia.

Name: Isotretinoin (Accutane)

Class: Teratogen With Immediate Developmental Effects

Mech.:

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s: Extremely high risk of congenital anomalies. Problem for pimply pregnant people. Risk present in all trimesters.

Utility: Used to treat severe, intractable acne.

Special Features:

Name: Diethylstilbestrol (DES)

Class: Teratogen With Delayed Developmental Defects

Mech.:

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s: Female fetuses exposed to diethylstilbestrol are at increased risk for vaginal adenosis and clear cell vaginal adenocarcinoma after puberty. Risk present in all trimesters.

Utility:

Special Features: Previously commonly used to treat pregnant women who were threatening spontaneous abortion.

Name: Ethanol

Class: Teratogen With Immediate and Delayed Developmental Effects

Mech.:

Absorption:

Dist.:

Metab.:

Excretion, t_{1/2}:

Toxicity/S.E.s: High risk of fetal alcohol syndrome. Risk present in all trimesters.

Utility:

Special Features: