

Information on Hormonal Contraceptives

1. Metabolism Characteristics of Hormonal Contraceptives

Hormone	Metabolism
Desogestrel	Rapidly and completely metabolized by hydroxylation in the intestinal mucosa and on first pass through the liver via CYP2C9 to etonogestrel, its biologically active metabolite.
Drospirenone	Extensively metabolized after oral administration, but CYP3A4 is involved only to a minor extent.
Ethinyl Estradiol	Extensively metabolized. Substrate of CYP3A4, 2C9, and UGT. Inhibitor of CYP2C19, CYP3A4 and CYP2B6. Induces UGT.
Etonogestrel	Substrate of CYP3A4.
Levonorgestrel	Substrate of CYP3A4. Undergoes glucuronidation to a minor extent.
Medroxyprogesterone acetate	Substrate of CYP3A4.
Norelgestromin	Metabolized to norgestrel which is a substrate of CYP3A4
Norethindrone	Extensively metabolized, substrate of CYP3A4
Norgestimate	Metabolized to norelgestromin
Norgestrel	Substrate of CYP3A4.

Legend: The information in this table is compiled from review articles summarizing available published literature.¹⁻⁴

2. Canadian Contraceptives Overview

1) Combined Oral Contraceptives

Low Dose EE	Ingredients
Alesse® Alysena® Aviane®	Ethinyl estradiol 20 µg/levonorgestrel 100 µg
Linessa®	Ethinyl estradiol 25 µg/desogestrel 100/125/150 µg
Lolo®	Ethinyl estradiol 10 µg/norethindrone acetate 1mg, ethinyl estradiol 10 µg
Minestrin®	Ethinyl estradiol 20 µg/norethindrone acetate 1mg
Tri-Cyclen Lo®	Ethinyl estradiol 25 µg/ norgestimate 180/215/250 µg
Yaz®	Ethinyl estradiol 20 µg/ drospirenone 3 mg
High Dose EE	
Cyclen®	Ethinyl estradiol 35 µg/norgestimate 250 µg
Demulen 30®	Ethinyl estradiol 30 µg/ethynodiol diacetate 2 mg
Brevicon 0.5/35® Ortho 0.5/35®	Ethinyl estradiol 35 µg/norethindrone 0.5mg
Brevicon 1/35® Ortho 1/35® Select 1/35®	Ethinyl estradiol 35 µg/norethindrone 1mg
Loestrin®	Ethinyl estradiol 30 µg/norethindrone 1.5 mg

Ortho 7/7/7®	Ethinyl estradiol 35 µg/norethindrone 0.05/0.75/1 mg
Ovral®	Ethinyl estradiol 50 µg/norgestrel 250 µg
Portia® Seasonale®	Ethinyl estradiol 30 µg/levonorgestrel 150 µg
Synphasic®	Ethinyl estradiol 35 µg/norethindrone 0.5/1/0.5mg
Tri-Cyclen®	Ethinyl estradiol 35 µg/norgestimate 180/215/250 µg
Third Generation Progesterones	
Apri®	Ethinyl Estradiol 30 µg/desogestrel 150 µg
Linessa®	Ethinyl estradiol 25 µg/desogestrel 100/125/150 µg
Marvelon®	Ethinyl Estradiol 30 µg/desogestrel 150 µg
Ortho-Cept®	Ethinyl Estradiol 30 µg/desogestrel 150 µg

2) Progesterone Only Oral Contraceptive

Name	Ingredients
Micronor®	norethindrone 0.35 mg

3) Emergency Contraception

Name	Ingredients
Plan B®	Levonorgestrel 0.75 mg (two tablets within 72 hours of unprotected sexual intercourse)

4) Transdermal Contraceptives

Name	Ingredients
Evra®	Ethinyl estradiol 35 µg/norelgestromin 200 µg once a week for 3 weeks out of 4

5) Implantable Contraceptives-not available in Canada

Name	Ingredients
Implanon®	Etonogestrel 68 µg
Jadelle®	Levonorgestrel 75 mg

6) Injectable Contraceptives

Name	Ingredients
Depo-Provera®	depo-medroxyprogesterone 150 µg IM every 3 months

7) Intrauterine Contraceptives

Name	Ingredients
Jaydess®	Intrauterine system/levonorgestrel 13.5 mg
Mirena®	Intrauterine system /levonorgestrel 52 mg
Nova-T®	Intrauterine copper

References

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2. Wang B, Sanchez RI, Franklin RB, et al. The involvement of CYP3A4 and CYP2C9 in the metabolism of 17 alpha-ethinylestradiol. Drug Metab Dispos 2004;32(11):1209-12.
3. Edelman AB, Cherala G, Stanczyk FZ. Metabolism and pharmacokinetics of contraceptive steroids in obese women: a review. Contraception 2010;82(4):314-23.
4. Kuhl H. Comparative pharmacology of newer progestogens. Drugs 1996;51(2):188-215.