Hormonal Oral Contraceptives: An Overview
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A variety of methods of contraception are currently available, giving men and women plenty of options in choosing a method suitable to his or her circumstances. Some of these options include condoms, diaphragms, intrauterine devices (IUDs), male and female surgeries, as well as an assortment of hormonal methods (1). Effective hormonal methods are currently only available for women and include Depo-Provera (injections of progesterone), “The Patch”, “The Ring” and oral contraceptives or “The Pill” (2). There are currently over 40 brands of the birth control pill available in Canada and U.S. and approximately 80% of women opting for a hormonal method of contraception will choose the birth control pill (1). Considering its widespread use and popularity as a method of contraception, it is important to understand the underlying mechanisms that make the birth control pill an effective form of contraception. In addition, understanding these mechanisms is useful in understanding the broader use of oral contraceptives as treatment for many disorders and hormone related problems (2, 8).

There are two different types of oral contraceptives: progestin-only pills and combination oral contraceptives (4).

Progestin-only pills as the name suggests, do not contain estrogen and are appropriate forms of birth control in women with a history of breast cancer, smokers over age 35, and women who are breastfeeding (1, 4, 9). These pills eliminate the effects of estrogen, which is particularly important to breastfeeding women because estrogen reduces milk production (8). Progestin-only pills come in 28-day packs and every pill contains hormones. Therefore, in order to be most effective, it is important that these pills be taken every day including days when menstruation occurs (4, 9).
Combination oral contraceptives or COCs contain doses of both estrogen and progesterone. The estrogen component is usually in the form of ethinyl estradiol and the progesterone component is provided by progestin, a synthetic form of the hormone. COCs come in 21 and 28-day packs with each individual pack of including 21 ‘active’ pills. The 28-day pack includes an additional 7 pills that serve as a reminder but contain no hormonal components. It is usually during this week of pills that menstruation will occur. There are subtypes of COCs depending on the dosage of hormones throughout the pack. Monophasic pills contain the same amount of estrogen and progestin throughout the entire cycle of active pills. Biphasic contraceptives are less common than the other subtypes and have a constant level of estrogen throughout but 2 differing doses of progestin. Triphasic also have the same dose of estrogen throughout however there are 3 different levels of progestin for each week of active pills (1, 4). The multiphasic alternatives (biphasic and triphasic) are designed to reduce the side effects of the drugs because the woman is receiving a lower overall dose of hormones and they more closely mimic the natural hormonal changes during the cycle.

In order to appreciate the changes that occur in the body of a female taking oral contraceptives, it is necessary to describe the normal changes that happen in a typical pre-menopausal cycle. This paper focuses on the menstrual cycle as it occurs in humans, though the basic mechanism is similar in all the great apes, including chimpanzees and macaques (6, 11, 14). Other animals undergo an estrus cycle that occurs through a somewhat similar process but does not include menstruation in most cases (11). The menstrual cycle begins with an increase in follicle stimulating hormone (FSH) from the pituitary gland initiating the recruitment of follicles. After a luteinizing hormone (LH)
surge, recruited follicles release estrogen that in turn inhibits FSH secretion. Higher estrogen levels also cause the thickening of the endometrium. Once these estrogen levels peak, this initiates a second release of LH (usually around Day 12-14) which then causes one of the ovaries to release an egg (ovulation). Following ovulation, progesterone levels start to increase which further prepares the lining of the uterus for potential pregnancy. At this point, if the egg is fertilized, it will implant in the endometrium. This maintains hormone levels that help to sustain the pregnancy. If the egg is not fertilized, progesterone levels will drop, initiating the shedding of the endometrium, termed menstruation (8, 14). Menstruation is the beginning of the next cycle, where the woman’s body will again being to prepare itself for a potential pregnancy.

In the body of woman taking combination oral contraceptives, levels of estrogen and progesterone remain constant throughout the cycle (8). A lack of fluctuation in hormone levels basically serves the purpose of fooling the body into thinking that it is already pregnant. There will be no ovulation because there is no estrogen peak to initiate the ovaries to release an egg. In addition, the endometrium is not well prepared for pregnancy (and presumably implantation) due to a lack of peaking progesterone levels. These constant hormone levels also serve to thicken the cervical mucus making sperm entry into the uterus (9-10). Therefore the basic mechanism of action for combination oral contraceptives is to inhibit ovulation as well as prevent fertilization through the thickening of the cervical mucus and inadequate preparation of the endometrium (1, 5, 9, 10). Progestin-only pills work slightly differently in that their main mechanism of action is the thickening of the cervical mucus (1) and are not reliable in preventing ovulation (9).
As with all pharmaceuticals there are advantages and disadvantages to oral contraceptives. As a method of birth control, oral contraceptives are convenient and are the most effective (98% when used correctly) form of reversible birth control (2, 5). Perhaps one of the greatest benefits is that combination oral contraceptives can be used for more than just contraception. They serve as protection against osteoporosis, acne, ovarian and endometrial cancer (9), as well as being a potential treatment for irregular or absent menstruation, abnormal hair growth, and endometriosis where the uterine lining grows outside the uterus (2). COCs have also shown to be an effective treatment of premenstrual symptoms including headaches, pelvic pain, swelling and breast tenderness (13). It is valuable to make note of the potential disadvantages to birth control pills as well. A variety of side effects and prospective health risks are associated with oral contraceptives including nausea, blood clots, high blood pressure and cardiovascular disease (3, 9). In recent years, research has suggested that long-term use of oral contraceptives may be related to depression in women (7, 12). One study suggests that oral contraceptives may affect the expression of genes that code for enzymes and proteins involved in the termination of action of serotonin, a neurotransmitter implicated in depression (12). A low dose form of the birth control pill has recently been developed to minimize the side effects associated with high levels of estrogen. These pills generally contain around 20mcg of estrogen, compared to normal and high dose pills containing approximately 35mcg and 50 mcg respectively (1). It is important to note that high dose pills are very rarely prescribed as the much higher dose of estrogen would likely induce more severe side effects. Every individual considering taking oral contraceptives should
take the advantages and disadvantages into account no matter what her reasons, as the side effects will depend on each woman’s body.

Birth control pills alter a woman’s natural menstrual cycle by introducing hormones into the body that prevent ovulation and thicken the cervical mucus to prevent fertilization. While the general mechanism of action is the same, there are a variety of different types of birth control pills that may be suitable to different individual needs. These options may differ in hormone content and hormone dose and therefore each will have their own benefits and side effects. In addition, oral contraceptives are relevant in the treatment of many other hormone related problems. Understanding contraception is just one of the many ways that we can examine the complicated physiology that occurs within the body of humans and animals alike.
References:


