LEVONORGESTREL TABLET B.P.
LEVO-72

Composition:
Each film coated tablet contains:
Levonorgestrel B.P. **0.75 mg.**
Excipient **q.s.**
Indications : Main article: birth control
Oral contraceptives : At low doses, levonorgestrel is used in monophasic and triphasic formulations of combined oral contraceptive pills, with available monophasic doses ranging from 100-250 µg, and triphasic doses of 50 µg/75 µg/125 µg.
At very low daily dose of 30 µg, levonorgestrel is used in some progestogen only pill formulations.
Energency contraception : Levonorgestrel is used in emergency contraception pills, both in a combined regimen which includes estrogen, and as a levonorgestrel-only method. For the latter, a single dose of 1500 ug within 3 days is almost 100% effectve. There are many names for levonorgestrel-only emergency contraception products, including Plan B, Levonelle One Step, and Postinor-2.
Mechanism of Action : The mechanism of action of the Levonorgestrel intrauterine system is similar to that of Levonorgestrel implants or Levonorgestrel containing mini-pills. As with these methods, thickening the cervical mucous and inhibiting sperm motility and function are considered to be the primary means of preventing pregnancy. A weak foreign-body effect is also noted. Although endometrial concentrations of Levonorgestrel are initially high, systemic concentrations are uniformly low. Ovulation is rarely suppressed after the first year, and even women who experience absence or bleeding using the Levonorgestrel have the same incidence of ovulation as those who continue menstruating.
Pharmacokinetics and Metabolism :
Absorption : No specific investigation of the absolute bioavailability of Alesse in humans has been conducted. However, literature indicates that levonorgestrel is rapidly and completely absorbed after oral administration (bioavailability about 100%) and is not subject to first-pass metabolism. Ethinyl estradiol is rapidly and almost completely absorbed from the gastrointestinal tract but, due to first-pass metabolism in gut mucosa and liver, the bioavailability of ethinyl estradiol is between 38% and 48%. .
After a single dose of levonorgestrel to 22 women under fasting conditions, maximum serum concentrations of levonorgestrel are 2.8-0.9 ng/mL (mean -SD) at 1.6-0.9 hours. At steady state, attained from day 19 onwards, maximum levonorgestrel concentrations of 6.0-2.7 ng/mL are reached at 1.5-0.5 hours after the daily dose. The minimum serum levels of levonorgestrel at steady state are 1.9-1.0 ng/mL. Observed levonorgestrel concentrations increased from day 1 (single dose) to days 6 and 21 (multiple doses) by 34% and 96%, respectively (Figure 1). Unbound levonorgestrel concentrations increased from day 1 to days 6 and 21 by 25% and 83%, respectively. The kinetics of total levonorgestrel are non-linear due to an increase in binding of levonorgestrel to sex hormone binding globulin (SHBG), which is attributed to increased SHBG levels that are induced by the daily administration of ethinyl estradiol.
Following a single dose, maximum serum concentrations of ethinyl estradiol of 62-21 pg/mL are reached at 1.5-0.5 hours. At steady state, attained from at least day 6 onwards, maximum concentrations of ethinyl estradiol were 77-30 pg/mL and were reached at 1.3-0.7 hours after the daily dose. The minimum serum levels of ethinyl estradiol at steady state are 10.5-5.1 pg/mL. Ethinyl estradiol concentrations did not increase from days 1 to 6, but did increase by 19% from days 1 to 21 (Figure 1).
Distribution : Levonorgestrel in serum is primarily bound to SHBG. Ethinyl estradiol is about 97-bound to plasma albumin. Ethinyl estradiol does not bind to SHBG, but induces SHBG synthesis.
Metabolism
Levonorgestrel: The most important metabolic pathway occurs in the reduction of the -4- 3-oxo group and hydroxylation at positions 2-, 1, and 16, followed by conjugation. Most of the metabolites that circulate in the blood are sulfates of 3-,5-tetrahydro-levonorgestrel, while excretion occurs predominantly in the form of glucuronides. Some of the parent levonorgestrel also circulates as 17-sulfate. Metabolic clearance rates may differ among individuals by several-fold, and this may account in part for the wide variation observed in levonorgestrel concentrations among users.
Ethinyl estradiol: Cytochrome P450 enzymes (CYP3A4) in the liver are responsible for the 2-hydroxylation that is the major oxidative reaction. The 2-hydroxy metabolite is further transformed by methylation and glucuronidation prior to urinary and fecal excretion. Levels of Cytochrome P450 (CYP3A) vary widely among individuals and can explain the variation in rates of ethinyl estradiol 2-hydroxylation. Ethinyl estradiol is excreted in the urine and feces as glucuronide and sulfate conjugates, and undergoes enterohepatic circulation.
Excretion : The elimination half-life for levonorgestrel is approximately 36 ± 13 hours at steady state. Levonorgestrel and its metabolites are primarily excreted in the urine (40% to 68%) and about 16% to 48% are excreted in feces. The elimination half-life of ethinyl estradiol is 18 ± 4.7 hours at steady state.
Adverse Reactions : An allergic reaction (difficulty breathing; closing of the throat; swelling of the lips, tongue, or face; or hives); Possible blood clot in the lung (shortness of breath or pain in the chest);Possible blood clot in an arm or leg (pain, redness, swelling, or numbness of an arm or leg); Headaches with a change in pattern, severity, or length, or that are unending in nature or accompanied by changes in vision; High blood pressure (severe headache, flushing, blurred vision); or liver damage (yellowing of the skin or eyes, nausea, abdominal pain or discomfort, unusual bleeding or bruising, severe fatigue). Infection at the insertion site; pain, numbness, or tingling in the arm with the implanted capsules; or movement of the capsules under the skin.
Warnings : This medicine is not recommended for use in children. If you are sick within 3 hours of taking the first tablet, take the second tablet straight away, then consult your doctor, pharmacist or family planning clinic to obtain another tablet. If you are sick within 3 hours of taking the second tablet you will also need to obtain another tablet as soon as possible.
The use of emergency contraception does not protect against sexually transmitted infections.
The preparation of levonorgestrel that is available to buy from pharmacies cannot be sold to girls under 16 years of age except in exceptional circumstances. Girls under 16 who need emergency contraception are advised to consult a doctor, family planning clinic or casualty department.
If your next menstrual period is more than 5 days late or is abnormal in any way consult your doctor for a pregnancy test.
The morning after pill does not provide continued contraceptive cover. You should use a barrier method of contraception such as a condom, even if you are taking the pill, until your next period comes.
This medicine will not always prevent a pregnancy. If you do become pregnant after taking this medicine there is a possibility that the pregnancy will be ectopic (ie occuring in the fallopian tubes rather than the womb).
This medicine is not recommended for women with severely decreased liver function
Precautions : Oral: Will not end a pregnancy. Not for regular use to prevent pregnancy.
Do not use in pregnancy. Missed menstrual cycles are not an indicator of pregnancy. Tell healthcare provider if you are breast-feeding.
Drug interactions : You need to inform your doctor of all prescription and nonprescription medication you may use especially other birth control medications (e.g., oral contraceptives, implants), anti- seizure medications (e.g., carbamazepine, phenobarbital, phenytoin or rifampin). Do not start or stop any medicine without doctor or pharmacist approval.
Contraindications: The ideal candidate for the LNG IUS use in a woman who has had at last one child, has no history of pelvic inflammatory disease (PID), and is in a stable, mutually monogamous relationship.
Presentation : 2 Tablets in Blister
Storage : Store in a dry place at a temperature below 30°C

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