**Generic Name**  
Leuprolelin Acetate  

**Trade Name(s)**  
Luphere depot  

**Manufacturer**  
Daewoong Pharmaceutical Co., Ltd.  

**Source(s) of supply**  
Daewoong Pharma Phils., Inc.  

**Pharmacological Classification**  
Antineoplastic  

**Mechanism of Action**  
Leuprolide acts as an agonist at pituitary GnRH receptors. By interrupting the normal pulsatile stimulation and the desensitization of the GnRH receptors; it indirectly down regulates the secretion of gonadotropins luteinizing hormone (LH) and follicle-stimulating hormone (FSH) leading to hypogonadism and thus a dramatic reduction in estradiol and testosterone levels in both sexes.  

**Therapeutic indication**  
Luphere Depot (Leuprolelin Acetate) is indicated to the following:  
1. Prostatic cancer  
2. Endometriosis  
3. Premenopausal breast cancer  
4. Uterine leiomyomata (Fibroids)  
5. Central precocious puberty  

**Dosage Forms**  
Lyophilized Powder for Injection (I.M./S.C)  

**Bioavailability and Pharmacokinetics**  
Leuprolerin acetate is not active when given orally but is well absorbed on subcutaneous or intramuscular injection. After a parenteral dose it has an elimination half-life of about 3 hours.  

**Dose Range**  
Luphere Depot must be administered under the supervision of a physician,  
1. Prostatic cancer, premenopausal breast cancer: Usual recommended dose is 3.75mg administered as a single subcutaneous injection every month  
2. Endometriosis: Usual recommended dose is 3.75mg administered as a single subcutaneous injection every month. Treatment should be initiated during the first 5 days of menstrual cycle.  
3. Uterine Leiomyomata (Fibroids): Usual recommended dose is 1.88mg administered as a single subcutaneous injection every month. However, in case of the adult patients who have heavy weight or a serious metraux, single dose of 3.75 is recommended. Treatment should be initiated during the first 5 days of the menstrual period.  
4. Central precocious puberty. Usual recommended dose is 30ug/kg as a single subcutaneous injection. The dosage can be increased up to 90ug according to symptoms.  

The vial of Luphere Depot powder should be reconstituted in a 2mL of the attached suspension solution immediately prior to administration. Shake vial gently to produce a uniform cloudy suspension, taking
**Known adverse effects and toxicities**

1. **Serious adverse effects**
   1) **Interstitial pneumonia**: Since interstitial pneumonia may rarely occur, close to the supervision to the patient’s condition is necessary.
   2) **Anaphylaxis symptoms**: Since anaphylaxis may rarely occur, careful attention should be taken before and after administration.
   2. **Since the depression may occur as a type of menopause disorder due to the reduced estrogen, carefully observe the patient’s condition**
   3. **Others**
      1) **Low estrogen symptoms**: Facial burning, heat, vertigo, a pain in shoulder, headache, insomnia, dizziness, perspiration, etc might occur. Occasionally decline of sexual desire, frigidity, paropsis, depression, hypothyphmia, etc might occur.
      2) **Female genital organ system**: Occasionally irregular hemorrhage, vagina desiccation, dispareunia, vaginitis, hysterohrrhea, hypervarianism, irritation, breast pain, turgor, atrophy, etc might occur.
      3) **Musculoskeletal system**: Arthralgia might occur. Occasionally articular rigidity, lumbago, decrease of bone mass, increase of serum phosphate, hypercalcemia may appear.
      4) **Skin**: Occasionally, acne, dry skin, alopecia, crinosity, abnormal nail, etc might occur
      5) **Psycho-nervous system**: Occasionally, anxiety, memory disorder, distraction, paresthesia might be caused
      6) **Hypersensitiveness**: Occasionally Eruption, pruritis might occur
      7) **Liver**: Since LDH, GOT, GPT, GTP, AL-P or bilirubin might be occasionally increased, observe the patient’s condition carefully.
      8. **Digestive system**: Occasionally nausea, vomiting, anorexia, stomachache, abdominal inflation sense, diarrhea, constipation, stomatitis, thirst, etc might occur.
      9) **Circulatory system**: Occasionally, palpitation, an increase of blood pressure, etc might occur
     10) **Blood**: Occasionally, poliglobulism, hypoleukocytosis, thrombocytopenia, partial thromboplastin extension might occur.
     11) **Urinary system**: Occasionally, oliguria, dysuria might occur
     12) **Injection region**: Occasionally ache, indurations or flare might occur
    13) **Others**: Occasionally, fatigue, malaise, papukla, limb numbness, anxiety, tinnitus, deafness, disesthesia, edema, weight gain, leg ache, dyspnea, pyrexia, increase of total cholesterol, IDL cholesterol and triglyceride, hypercalcemia, etc. might occur
For other known adverse effects and toxicities, please refer to product insert.

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<tr>
<th>Special Precautions</th>
<th>Please refer to product insert</th>
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<tr>
<td><strong>Contraindications</strong></td>
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<td>1) Patients who have demonstrated hypersensitivity to this drug or to any of the ingredients or to synthetic LH-RH or LH-RH derivatives.</td>
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<td>2) Women who are or may become pregnant or who are breast-feeding while receiving this drug. Leuprolide acetate injection may cause fetal harm when administered to a pregnant woman. Therefore, the possibility exists that spontaneous abortion may occur if the drug is administered during pregnancy. If this drug is administered during pregnancy or if the patient becomes pregnant while taking any formulation of Luphere Depot (leuprolide acetate injection), the patient should be apprised of the potential hazard to the fetus.</td>
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| **Drug Interactions**     | No pharmacokinetic-based drug-drug interaction studies have been conducted with Leuprolide acetate. However, because Leuprolide acetate is a peptide that is primarily degraded by peptidase and not by cytochrome P-450 enzymes as noted in specific studies, and the drug is only about 46% bound to plasma proteins, drug interactions would not be expected to occur. |