LORACORT®

Betamethasone

Description

Loracort® is a corticosteroid with anti-inflammatory activity and immunosuppresive effects.

Clinical pharmacology

Loracort® as a corticosteroid diffuse across cell membranes and complex with specific cytoplasmic receptors. These complexes then enter the cell nucleus, bind to DNA, and stimulate transcription of messenger RNA (mRNA) and subsequent protein synthesis of various enzymes thought to be ultimately responsible for two categories of effects of systemic corticosteroids. However, these agents may suppress transcription of RNA in some cells.

Anti-inflammatory: This drug decrease or prevent tissue responses, to inflammatory processes, thereby reducing development of symptoms of inflammation without affecting the underlying cause. It inhibits accumulation of inflammatory cells, including macrophages and leukocytes, at sites of inflammation. They also inhibit phagocytosis, lysosomal enzyme release, and synthesis or release of several chemical mediators of inflammation.

Immunosuppressant: It reduce the concentration of thymus-dependent lymphocytes (T-lymphocytes), monocytes, and eosinophils. They also decrease binding of immunoglobulin to cell surface receptors and inhibit the synthesis or release of interleukins, thereby decreasing T-lymphocyte blastogenesis and reducing expansion of the primary immune response. The drug also may decrease passage of immune complexes through basement membranes and decrease concentrations of complement components and immunoglobulins.

Pharmacokinetics

Absorption	Rapid
Biotransformation (primarily hepatic)	Rapid
Duration of action	It depends on the solubility of the dosage form and the specific site of administration
Elimination	Renal excretion of inactive metabolites

Indication

1- As an adjunct to adrenaline in life-threatening allergic reaction such as angioedema or anaphylaxis
2- Rheumatic disorders and collagen disease
3- Inflammatory gastrointestinal disorders
4- Respiratory disorders, such as asthma and allergic states
5- Dermatologic disease
6- Hematologic disease and neoplastic diseases

Contraindication

Loracort® is contraindicated in systemic fungal infections.

Precaution

- Persons who receive Loracort® with high doses should avoid exposure to chikenpox or measles. If they are exposed medical advice should be sought without delay.
- The medication should be used cautiously in patients with ocular herpes simplex because of possible corneal perforation.
- The lowest possible dose of the drug should be used during treatment and when reduction in dosage is possible, it should be gradual.
- The drug should be used with caution in nonspecific ulcerative colitis.
- Growth and development of infants and children on prolonged corticosteroid therapy should be carefully observed.
- This drug should be caution in receiving vaccinations or other immunizations or coming in contact with persons receiving oral poliovirus vaccine.
- Osteoporosis, diabetes mellitus, acute psychosis, myasthenia gravis may be exacerbated in patients receiving Loracort®.

Pregnancy

Pregnancy category C.
Since Loracort® crosses the placenta and also adequate human reproduction studies have not been done for using the medication during pregnancy, the possible benefits of administration must be weighed against the potential hazards to the mother and fetus.

Breast feeding

The drug is distributed into breast-milk so breast feeding during the usage of high doses is not recommended.
Note: Infants born of mothers, who have received substantial doses of this medication during pregnancy, should be carefully observed for signs of hypoadrenalism.

Dosage

Usual adult and adolescent dose

- Corticosteroid

Intra-articular, Intralesional, or soft-tissue injection, up to 9 mg (base), repeated as needed.
Intramuscular or intravenous, up to 9 mg a day.

Usual pediatric dose

- Adrenocortical insufficiency

Intramuscular, 0.018 mg (base) per kg of body weight or 0.5 mg per square meter of body surface area a day (in three divided doses) every third day, or 0.0058 to 0.0088 mg per kg of body weight or 0.17 to 0.25 mg per square meter of body surface area once a day.

- Other indications

Intramuscular, 0.021 to 0.13 mg per kg of body weight or 0.63 to 3.75 mg per square meter of body surface area every twelve to twenty-four hours.

Administration

- Loracort® is administered intramuscularly, intra-articularly, intralesionaly, in soft tissue and intravenously.
- Dosages for local injection are given as ranges only. The actual dosage depends upon the size of the joint or lesion and the severity of the condition being treated.
- Administration of a local anesthetic concurrently with intra-articular or soft tissue injection of a Loracort® may reduce the pain of injection and provide immediate relief of symptoms.
- Following intra-articular injection, the injected joint should not be over used, even if pain is relieved, because of the increased risk of joint damages or deterioration. It is recommended that weight-bearing joints be rested for 24 to 48 hours postinjection.
- Do not inject repeatedly into the same site.

Patient consultation

- Make regular visits to physician to check progress during and following therapy.
- Check with physician before discontinuing the medication because gradual dosage reduction may be required.
- If symptoms are worsen when the dose is decreased or therapy is discontinued, check with physician.
- For patients on long-term therapy, possibly sodium restriction, potassium supplementation, calorie restriction, increased protein intake, ophthalmologic examinations and carrying medical identification card indicating use of corticosteroids is needed.
- If redness or swelling occurs and continues following local injection, check with physician.

Patient monitoring

Adrenal function assessment, serum electrolytes, stool occult blood, blood or urine glucose concentration and glucose tolerance test (for patients with diabetes mellitus), growth and development determination (in children and adolescent), opthalmologic examination (at periodic intervals) and prothrombin time (frequently) are recommended to be monitored in long-term therapy.

Warning

- Loracort® may mask some symptoms of old or/and new infections. If infection occurs during therapy, appropriate antimicrobial therapy should be instituted.
- While using the medication patients should not be vaccinated against smallpox. Also other immunization procedures should not be undertaken in these patients because of lack of antibody response and possible hazards of neurological complications.
- Latent or active tuberculosis may be exacerbated or reactivated in patients receiving Loracort®. So appropriate antitubercular chemotherapy or prophylaxis should be administered concurrently.

Interaction

- Concurrent use of Loracort® with chronic or high dose of Acetaminophen may increase the risk of hepatotoxicity.
- Concurrent use of alcohol or NSAIDs with the medication may increase the risk of gastrointestinal ulceration or hemorrhage.
- Using anabolic steroids or androgens with Loracort® may increase the risk of edema, also may develop the severe acne.
- Long term use of Atropine and related compounds concurrently may increase intraocular pressure.
- Tricyclic antidepressants should not be used for the treatment of corticosteroid induced mental disturbance as it may exacerbate.
- Dosage adjustment may be necessary during concurrent use of Loracort® and oral antidiabetic agents or Insulin (as the drug increase blood glucose concentration) and also with oral estrogen containing contraceptive.
- Potassium-depleting diuretics concurrently used with the drug may result in sever hypokalemia.

Laboratory value alteration

Administration of Loracort® may change the following physiology / laboratory test values: serum calcium, blood and urine glucose, hypothalamic-pituitary- adrenal axis function as assessed by adrenocorticotropic hormone (ACTH), blood cortisol or urine cortisol, lipid profile, platelet count, serum potassium, blood sodium, serum uric acid and white blood count.

Adverse reactions

Those indicating need for medical attention

- Incidence less frequent

Diabetes mellitus

- Incidence rare

Burning, numbness, pain or tingling or infection at or near injection site, congestive heart failure, generalized or local allergic reactions, psychic disturbance such as delirium, disorientation, euphoria, hallucination, manic-depressive episodes, mental depression or paranoia, sudden blindness.

Those occurring principally during long-term use indicating need for medical attention

Acne, adrenal suppression, vascular necrosis, cataracts, hirsutism, Cushing's syndrome, hypertension, menstrual irregularities, muscle weakness, cutaneous or subcutaneous tissue atrophy, fluid and sodium retention, glaucoma with possible damage to optic nerve, growth suppression, hypokalemic syndrome, impaired wound healing, osteoporosis or bone fractures, pancreatitis, peptic ulceration or intestinal perforation, tendon rupture

Those indicating need for medical attention only they continue or are bothersome

- Incidence more frequent

Gastrointestinal irritation, increased appetite, nervousness, trouble in sleeping, weight gain

- Incidence less frequent

Change in skin color, dizziness, flushing of face, headache, increased joint pain

Those occurring after medication is discontinued "Withdrawal syndrome"

Abdominal or back pain, dizziness, fainting, frequent or continuing unexplained headache, low-grade fever, muscle or joint pain, nausea, prolonged loss of appetite, rapid weight loss, shortness of breath, vomiting
Note: Too rapid withdrawal of therpay, specially after prolonged use may cause possibly acute life-threatening, adrenal insufficiency.

Over dose

Patients in whom overdose is known or suspected, should be referred to the physician immediately.

Storage and stability condition

- Store below 30°C.
- Protect from light and freezing.
- Keep out of the reach of children.

Packaging

- Ampoules of 1 mL containing:

Betamethasone (as disodium phosphate) 4 mg

- Boxes of 10 ampoules.

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