

**LOPROX<sup>®</sup> Lotion**  
**(ciclopirox)**  
**0.77%**

**FOR DERMATOLOGIC USE ONLY.**  
**NOT FOR USE IN EYES.**

**Rx Only**

**DESCRIPTION**

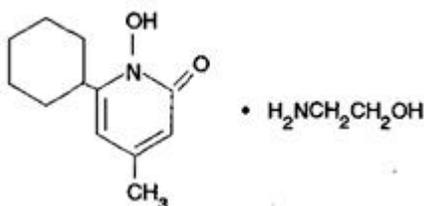
LOPROX<sup>®</sup> Lotion (ciclopirox) 0.77% is for topical use.

Each gram of LOPROX<sup>®</sup> Lotion contains 7.70 mg of ciclopirox (as ciclopirox olamine) in a water miscible lotion base consisting of Purified Water USP, Cocamide DEA, Octyldodecanol NF, Mineral Oil USP, Stearyl Alcohol NF, Cetyl Alcohol NF, Polysorbate 60 NF, Myristyl Alcohol NF, Sorbitan Monostearate NF, Lactic Acid USP, and Benzyl Alcohol NF (1%) as preservative.

LOPROX<sup>®</sup> Lotion contains a synthetic, broad-spectrum, antifungal agent ciclopirox (as ciclopirox olamine). The chemical name is 6-cyclohexyl-1-hydroxy-4-methyl-2(1*H*)-pyridone, 2-aminoethanol salt.

The CAS Registry Number is 41621-49-2.

The chemical structure is:



LOPROX<sup>®</sup> Lotion has a pH of 7.

**CLINICAL PHARMACOLOGY**

Ciclopirox is a broad-spectrum, antifungal agent that inhibits the growth of pathogenic dermatophytes, yeasts, and *Malassezia furfur*. Ciclopirox exhibits fungicidal activity *in vitro* against isolates of *Trichophyton rubrum*, *Trichophyton mentagrophytes*, *Epidermophyton floccosum*, *Microsporum canis*, and *Candida albicans*.

Pharmacokinetic studies in men with radiolabeled ciclopirox solution in polyethylene glycol 400 showed an average of 1.3% absorption of the

dose when it was applied topically to 750 cm<sup>2</sup> on the back followed by occlusion for 6 hours. The biological half-life was 1.7 hours and excretion occurred via the kidney. Two days after application only 0.01% of the dose applied could be found in the urine. Fecal excretion was negligible. Autoradiographic studies with human cadaver skin showed that ciclopirox penetrates into the hair and through the epidermis and hair follicles into the sebaceous glands and dermis, while a portion of the drug remains in the stratum corneum.

*In vitro* penetration studies in frozen or fresh excised human cadaver and pig skin indicated that the penetration of LOPROX<sup>®</sup> Lotion is equivalent to that of Loprox<sup>®</sup> Cream (ciclopirox olamine) 0.77%. Therapeutic equivalence of cream and lotion formulations also was indicated by studies of experimentally induced guinea pig and human trichophytosis.

### **INDICATIONS AND USAGE**

LOPROX<sup>®</sup> Lotion is indicated for the topical treatment of the following dermal infections: tinea pedis, tinea cruris and tinea corporis due to *Trichophyton rubrum*, *Trichophyton mentagrophytes*, *Epidermophyton floccosum*, and *Microsporum canis*; cutaneous candidiasis (moniliasis) due to *Candida albicans*; and tinea (pityriasis) versicolor due to *Malassezia furfur*.

### **CONTRAINDICATIONS**

LOPROX<sup>®</sup> Lotion is contraindicated in individuals who have shown hypersensitivity to any of its components.

### **WARNINGS**

#### **General**

LOPROX<sup>®</sup> Lotion is not for ophthalmic use.

**Keep out of reach of children.**

### **PRECAUTIONS**

If a reaction suggesting sensitivity or chemical irritation should occur with the use of LOPROX<sup>®</sup> Lotion, treatment should be discontinued and appropriate therapy instituted.

### **Information for Patients**

The patient should be told to:

- 1 . Use the medication for the full treatment time even though signs/symptoms may have improved and notify the physician if there is no improvement after four weeks.

2. Inform the physician if the area of application shows signs of increased irritation (redness, itching, burning, blistering, swelling, oozing) indicative of possible sensitization.
3. Avoid the use of occlusive wrappings or dressings.

### **Carcinogenesis, Mutagenesis, Impairment of Fertility**

A carcinogenicity study in female mice dosed cutaneously twice per week for 50 weeks followed by a 6-month drug-free observation period prior to necropsy revealed no evidence of tumors at the application site. The following *in vitro* and *in vivo* genotoxicity tests have been conducted with ciclopirox olamine: studies to evaluate gene mutation in the Ames *Salmonella*/Mammalian Microsome Assay (negative) and Yeast *Saccharomyces Cerevisiae* Assay (negative) and studies to evaluate chromosome aberrations *in vivo* in the Mouse Dominant Lethal Assay and in the Mouse Micronucleus Assay at 500 mg/kg (negative). The following battery of *in vitro* genotoxicity tests were conducted with ciclopirox: a chromosome aberration assay in V79 Chinese Hamster Cells, with and without metabolic activation (positive); a gene mutation assay in the HGPRT - test with V79 Chinese Hamster Cells (negative); and a primary DNA damage assay (i.e., unscheduled DNA Synthesis Assay in A549 Human Cells (negative)). An *in vitro* Cell Transformation Assay in BALB/C3T3 Cells was negative for cell transformation. In an *in vivo* Chinese Hamster Bone Marrow Cytogenetic Assay, ciclopirox was negative for chromosome aberrations at 5000 mg/kg.

### **Pregnancy Category B**

Reproduction studies have been performed in the mouse, rat, rabbit, and monkey, via various routes of administration, at doses 10 times or more the topical human dose and have revealed no significant evidence of impaired fertility or harm to the fetus due to ciclopirox. There are, however, no adequate or well-controlled studies in pregnant women. Because animal reproduction studies are not always predictive of human response, this drug should be used during pregnancy only if clearly needed.

### **Nursing Mothers**

It is not known whether this drug is excreted in human milk. Caution should be exercised when LOPROX<sup>®</sup> Lotion is administered to a nursing woman.

### **Pediatric Use**

Safety and effectiveness in pediatric patients below the age of 10 years have not been established.

## **ADVERSE REACTIONS**

In the controlled clinical trial with 89 patients using LOPROX<sup>®</sup> Lotion and 89 patients using the vehicle, the incidence of adverse reactions was low. Those considered possibly related to treatment or occurring in more than one patient were pruritus, which occurred in two patients using ciclopirox lotion and one patient using the lotion vehicle, and burning, which occurred in one patient using ciclopirox lotion.

## **DOSAGE AND ADMINISTRATION**

Gently massage LOPROX<sup>®</sup> Lotion into the affected and surrounding skin areas twice daily, in the morning and evening. Clinical improvement with relief of pruritus and other symptoms usually occurs within the first week of treatment. If a patient shows no clinical improvement after four weeks of treatment with LOPROX<sup>®</sup> Lotion the diagnosis should be redetermined. Patients with tinea versicolor usually exhibit clinical and mycological clearing after two weeks of treatment.

## **HOW SUPPLIED**

Loprox<sup>®</sup> Lotion (ciclopirox) 0.77% is supplied in 30 ml bottles (NDC 99207-008-30), 60 ml bottles (NDC 99207-008-60).

**Bottle space provided to allow for vigorous shaking before each use.**

Store between 5° - 25°C (41° - 77°F).

Covered by US Patent 3,883,545

Prescribing Information as of September 2001

Manufactured for:  
MEDICIS, The Dermatology Company<sup>®</sup>  
Scottsdale, AZ 85258  
by: West Pharmaceutical Services Lakewood, Inc.  
Lakewood, NJ 08701

REG TM THE AVENTIS GROUP

00830-08D





055642



Manufactured for:  
MEDCIS, The Dermatology Company  
Scottsdale, AZ 85238  
by: West Pharmaceutical Services Lohmwood, Inc.  
Lohmwood, NJ 08701  
REG. TM: THE AVENTIS GROUP  
US Patent 3,983,545.



00830-050

Rx Only  
30 ml

**FOR DERMATOLOGIC USE ONLY  
NOT FOR USE IN EYES.**  
Keep out of reach of children.  
Bottle caps provided to allow for  
vigorous shaking before each use.  
Usual Dosage: Gently massage into the  
affected and surrounding skin areas two  
daily, in the morning and evening. See  
package insert for full prescribing information.

Each gram contains 770 mg of  
Clobexolol (as Clobexolol Chloride) in a  
water miscible lotion. Does not contain  
Purified Water, Isopropyl Alcohol, Glycerin,  
Oxydodecyl NF, Polysorbate 80,  
Sorbitol, Alcohol NF, Cetyl Alcohol NF,  
Polyethylene Glycol 40 NF, Hydroxyethyl Cellulose,  
Sorbitol Monolaurate NF, Stearic Acid NF,  
and Benzyl Alcohol NF (1%) for preservative.  
Store at 5°-25°C (41°-77°F).

LOPROX LOTION  
Clobexolol 0.77% (w/v)

NDC 99207-008-30

LOPROX LOTION  
Clobexolol 0.77% (w/v)

30 ml



055642

© 1999, West Pharmaceutical Services, Inc.

Rx Only  
30 ml  
9 99207 00830 9

LOPROX LOTION  
Clobexolol 0.77% (w/v)

NDC 99207-008-30

279550

NDC 99207-008-60

**LOPROX LOTION**  
Ciclopirox 0.77% (w/w)

FOR DERMATOLOGIC USE ONLY.  
NOT FOR USE IN EYES.  
Keep out of reach of children.  
Bottle space provided to allow for  
vigorous shaking before each use.

60 ml  
Rx Only

0086010E

FRONT

BACK

Each gram contains: 7.70 mg of Ciclopirox  
(as Ciclopirox Oxamine) in a water miscible  
lotion base consisting of Purified Water USP,  
Cocamide DEA, Octyldodecanol NF, Mineral  
Oil USP, Stearyl Alcohol NF, Cetyl Alcohol NF,  
Polyorbate 60 NF, Myristyl Alcohol NF,  
Sorbitol Monostearate NF, Lactic Acid USP,  
and Benzyl Alcohol NF (1%) as preservative.

**Usual Dosage:** Gently massage into the  
affected and surrounding skin areas twice  
daily, in the morning and evening. See  
package insert for full prescribing information.  
Store at 5°-25°C (41°-77°F).

Manufactured for:  
MEDICIS, The Dermatology Company®  
Scottsdale, AZ 85258  
by: West Pharmaceutical Services Lakewood,  
Inc., Lakewood, NJ 08701  
REG TM THE AVENTIS GROUP

Lot:

00860-10F

Exp:

US Patent  
3,883,545.

Manufactured for:  
MEDICIS, The Dermatology Company  
Scottsdale, AZ 85258  
by: West Pharmaceutical Services Lakewood, Inc.  
Lakewood, NJ 08701

REG TM THE AVENTIS GROUP  
US Patent 3,883,545.

**MEDICIS**  
The Dermatology Company

00860-06C

60 ml  
Rx Only

NDC 99207-008-60

**LOPROX LOTION**  
(ciclopirox) 0.77% (w/w)

FOR DERMATOLOGIC USE ONLY.  
NOT FOR USE IN EYES.

Keep out of reach of children.

Bottle space provided to allow for  
vigorous shaking before each use.

Usual Dosage: Gently massage into the  
affected and surrounding skin areas twice  
daily, in the morning and evening. See package  
insert for full prescribing information.

Each gram contains 7.70 mg of  
Ciclopirox (as Ciclopirox Oxime) in a  
water-miscible lotion base consisting of  
Purified Water USP, Cocamid DEA,  
Oxybis(2-ethylhexyl) Sulfate USP, Stearyl  
Alcohol NF, Cetyl Alcohol NF, Polyethylene  
Glycol 400 NF, Methyl Alcohol NF, Sorbitan  
Monostearate NF, Lactic Acid USP, and  
Benzyl Alcohol NF (1% as a preservative).  
Store at 5°-25°C (41°-77°F).

60 ml

**LOPROX LOTION**  
(ciclopirox) 0.77% (w/w)



60 ml  
Rx Only



9920700860

NDC 99207-008-60

**LOPROX LOTION**  
(ciclopirox) 0.77% (w/w)



FOR DIAGNOSTIC USE ONLY  
NOT FOR USE IN STATE  
Keep out of reach of children.

NDC 99207-008-05

**LOPROLLOLON**  
Loprololeone Hydrochloride

PROFESSIONAL SAMPLE  
NOT FOR SALE

7ml  
Rx-Only

Manufactured for:  
PACIFIC WEST LABORATORY COMPANY  
10000 Wilshire Blvd., Suite 1000  
Beverly Hills, California 90210  
BY: THE AMGEN GROUP  
MILLIPOLE, MA 01901  
US Patent 3,883,242

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