New Zealand Datasheet

1 PRODUCT NAME
LOCERYL

2 QUALITATIVE AND QUANTITATIVE COMPOSITION
Amorolfine Nail Lacquer 5%

3 PHARMACEUTICAL FORM
LOCERYL nail lacquer is a clear colourless liquid.

4 CLINICAL PARTICULARS
4.1 Therapeutic indications
Onychomycosis caused by dermatophytes, yeasts and moulds.

4.2 Dosage and method of administration
The patient should apply the nail lacquer to affected finger or toenails once or twice weekly as follows:

1. Before the first application of LOCERYL nail lacquer, it is essential that the affected areas of nail (particularly the nail surfaces) should be filed down as thoroughly as possible using the nail file supplied. The surface of the nail should then be cleaned and degreased using a cleaning pad (as supplied). Before repeat application of LOCERYL nail lacquer, the affected nails should be filed down again as required, and in any case they must first be cleaned with a cleaning pad to remove any remaining lacquer.

   Caution: Nail files used for affected nail must not be used for healthy nails.

2. With the reusable spatula attached to the cap, apply the nail lacquer to the entire surface of the affected nails. For each nail to be treated, dip the spatula into the nail lacquer without wiping off any of the lacquer on the bottle neck. After use, clean the spatula as well as the neck of the bottle with the same cleaning pad used before for nail cleaning. Immediately after application, the bottle should be tightly closed. Allow the nails to dry.

3. When working with organic solvents (thinners, white spirit, etc) wear impermeable gloves in order to protect the LOCERYL lacquer on the nails.

Treatment should be continued without interruption until the nail is regenerated and the affected areas are finally cured. The required duration of treatment depends essentially on intensity and localisation of the infection. In general, it is six months for fingernails. Longer periods are probably required for toenails.

Cosmetic lacquers, artificial nails, or occlusive dressings should not be used during treatment with LOCERYL nail lacquer.

Clinical efficacy has not been demonstrated in severe onychomycosis (involving the lunula) for amorolfine 5% nail lacquer when used alone.

4.3 Contraindications
LOCERYL nail lacquer must not be reused by patients who have shown hypersensitivity to the treatment.

Since there are no data on the use of LOCERYL in pregnant and lactating women, the use of LOCERYL nail lacquer should be avoided during pregnancy and lactation.

4.4 Special warnings and precautions for use

Occasionally, a slight transient burning sensation in the area of the nails was observed after application of nail lacquer. The application of lacquer to skin areas surrounding the nails should be avoided.

A systemic or local allergic reaction could possibly occur after use of this product. If this happens, the product should be stopped immediately and medical advice should be sought. Remove the product carefully in using a nail remover solution. The product should not be reapplied.

Use in Children

Owing to the lack of clinical experience available to date, children – particularly young children and infants – should not be treated with amorolfine. The product should be kept out of sight and reach of children.

4.5 Interaction with other medicines and other forms of interaction

There are no known interactions.

4.6 Fertility, Pregnancy and lactation

Use in Pregnancy

Category B3

Exposure of pregnant rats and rabbits to systemic amorolfine (≥ 10 mg/kg/day orally) resulted in increased resorptions (embryotoxicity). The significance of these findings to human embryotoxicity is not known. There are no data on the use of amorolfine in pregnant women.

Use in Lactation

In a peri- and postnatal study in rats, an increased mortality of newborn pups was observed at 10 mg/kg/day orally. There is no information on whether amorolfine passes into human breast milk. There are no data on the use of amorolfine in lactating women.

4.7 Effects on ability to drive and use machines

Loceryl has no influence on the ability to drive and use machines.

4.8 Undesirable effects

Of the 502 patients treated with amorolfine nail lacquer monotherapy, 3 (0.6%) experienced local adverse events such as itching and erythema. Of the 172 patients evaluated for safety in the combination group during the monotherapy period, 3 (1.8%) experienced the following adverse reactions: pruritis and vesicles (1), periungual scaling (1) and nail discolouration (1). In a sensitisation study involving 122 subjects, about one-tenth of patients experienced hypersensitivity (systemic allergic reaction).

Rare cases of nail disorder (nail discolouration, brittle nails (onychhorrexis) or broken nails) have been reported during treatment with LOCERYL nail lacquer. However, these reactions may also be linked to the onychomycosis itself.

Very Rarely (≤1/10000) burning sensation, urticaria and contact dermatitis.

Reporting of suspected adverse reactions
Reporting suspected adverse reactions after authorisation of the medicine is important. It allows continued monitoring of the benefit/risk balance of the medicine. Healthcare professionals are asked to report any suspected adverse reactions https://nzphvc.otago.ac.nz/reporting/.

4.9 Overdose
No information is available concerning overdosage in humans. In case of accidental oral ingestion, appropriate symptomatic measures should be taken if needed.

For advice on the management of overdose please contact the National Poisons Centre on 0800 POISON (0800 764766).

5 PHARMACOLOGICAL PROPERTIES
5.1 Pharmacodynamic properties
Pharmacotherapeutic Group: Other antifungals for topical use ATC code: D01AE16

Amorolfine is a topical antimycotic. Amorolfine belongs to a new chemical class. Its fungistatic or fungicidal effect is based on an alteration of the fungal cell membrane targeted primarily on sterol biosynthesis. The ergosterol content is reduced, and at the same time unusual, sterically nonplanar sterols accumulate.

Site and Mode of Action: Amorolfine has a broad spectrum of action. It is effective against:

Yeasts: Candida, Cryptococcus

Dermatophytes: Trichophyton, Microsporum, Epidermophyton

Moulds: Alternaria, Hendersonula, Scopulariopsis

Dematiacea: Cladosporium, Fonsecaea, Wangiella

Dimorphic Fungi: Coccidioides, Histoplasma, Sporothrix

With the exception of some Actinomyces, bacteria are not sensitive to amorolfine. Propionibacterium acnes is only slightly sensitive.

In rats, progressive cataract formation was seen after high oral doses (40 and 60 mg/kg/day in 26- and 13- week studies, respectively). Females were more affected than males. In both sexes, further deterioration occurred during the recovery period. Cataract formation also became apparent after 26 weeks in dogs treated orally with 40 mg/kg/day. The mechanism of cataract formation is unknown.

Additional data from a study in pigmented rats with dermal application of 0.25% amorolfine cream indicated neither a direct cataractogenic nor a co-cataractogenic potential. The systemic exposure of the rats during this study resulted in plasma concentrations 7 to 10 fold greater than expected in humans.

Clinical Trials
Clinical efficacy of amorolfine has been demonstrated in three main multicentre studies in around 700 patients. The percentage of clinical responders (cure / improvement) ranged from 70% to 80% in all three studies.

In an open, comparative, randomised clinical study conducted in 340 patients with severe infections involving mainly toenails, clinical efficacy has been demonstrated when amorolfine 5% nail lacquer was applied twice weekly in conjunction with griseofulvin 500mg twice daily for the first 2 months of a 12 month treatment course. The reduction in treatment with griseofulvin decreased the risk of intolerance to griseofulvin. Clinical efficacy has not been demonstrated in severe onychomycosis (involving the lunula) for amorolfine 5% nail lacquer when used alone.

5.2 Pharmacokinetic properties

Amorolfine from nail lacquer penetrates and diffuses through the nail plate.

In one clinical study, patients being treated for a large number of infected nails tended to have measurable levels of amorolfine between 0.1 and 0.5 ng/mL whereas other patients have levels below the level of quantification (0.1 ng/mL). In this study, nails were not filed before application of the lacquer. One patient consistently had greater than 0.5 ng/mL (maximum 1.05 ng/mL).

5.3 Preclinical safety data

Carcinogenicity and Genotoxicity

No animal carcinogenicity studies have been conducted on amorolfine. Amorolfine was not shown to be genotoxic in a standard battery of assays for gene mutations and chromosomal changes.

6 PHARMACEUTICAL PARTICULARS

6.1 List of excipients

Ammonio methacrylate copolymer A
Triacetin
Butyl acetate
Ethyl acetate
Ethanol

6.2 Incompatibilities

Not applicable

6.3 Shelf life

36 months.

6.4 Special precautions for storage

Keep in a cool dry place. Store below 30°C.

Keep out of reach of children.

6.5 Nature and contents of container

Nail lacquer (5%) 2.5 ml, 5 mL.

The package contains in addition: 30 cleaning pads impregnated with 70% isopropyl alcohol in foil packets, 1 spatula fixed to the bottle cap and 30 nail files.

6.6 Special precautions for disposal

Not applicable.

7 MEDICINE SCHEDULE
Pharmacy Medicine.

8 SPONSOR
Sponsor and distributor in New Zealand
Healthcare Logistics
58 Richard Pearse Drive
Airport Oaks
Auckland
New Zealand
Ph (09) 918 5100
Fax (09) 918 5101

For:
Galderma Australia Pty Ltd
Suite 4, 13B Narabang Way,
Belrose NSW 2085
Australia

9 DATE OF FIRST APPROVAL
30 April 1992

10 DATE OF REVISION OF THE TEXT
30 May 2019

SUMMARY TABLE OF CHANGES

Section changed	Summary of new information
4.4	Warning of systemic or local allergic reactions added.
4.8	“Hypersensitivity” has been updated from “delayed hypersensitivity” and “urticaria” has been added.
4.9	Overdose statement expanded to include oral ingestion.