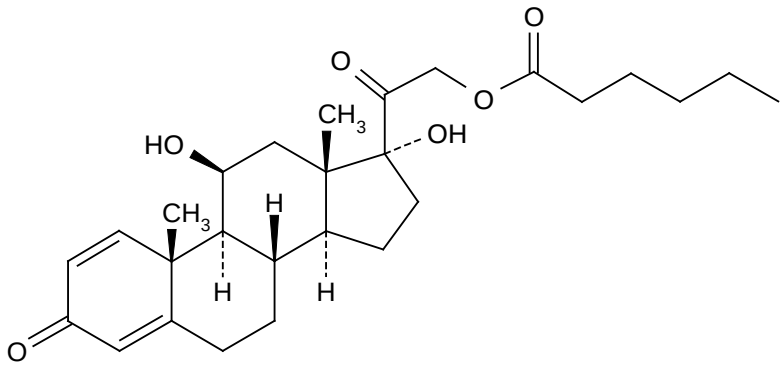
PRODUCT INFORMATION

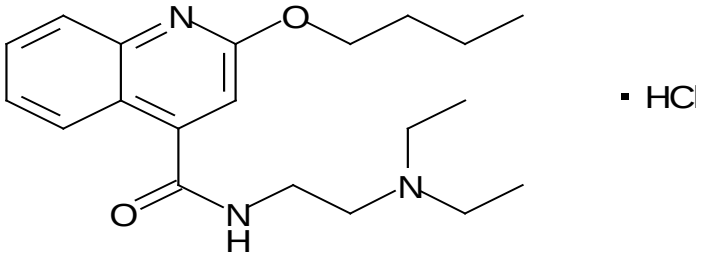
SCHERIPROCT® Ointment and Suppositories

NAME OF THE MEDICINE

Chemical Names/ Structural Formulae:



prednisolone-21-hexanoate



Cinchocaine hydrochloride

Chemical Characteristics

Prednisolone-21-Hexanoate

Chemical name: 11β, 17, 21-trihydroxypregna-1,4-diene-3,20-dione 21-hexanoate
Molecular formula: $C_{27}H_{38}O_6$
Molecular weight: 458.6

Description: An odourless, white or almost white, crystalline, hygroscopic powder. M.P 230° Very slightly soluble in water, soluble 1 in 27 of dehydrated alcohol, 1 in 30 of alcohol.
CAS number: 69164-69-8

Cinchocaine Hydrochloride

Chemical name: 2-Butoxy-N-[2-(diethylamino)ethyl] quinoline-4-carboxamide hydrochloride
Molecular formula: $C_{20}H_{29}N_3O_2.HCl$
Molecular weight: 379.9
Description: Fine, colourless or white; odourless or almost odourless hygroscopic crystals or white to off-white crystalline powder. M.P 96°-100° Soluble in 1 in 0.5 of water; freely soluble in alcohol and acetone; soluble in chloroform.
CAS number: 61-12-1

DESCRIPTION

1g ointment contains 1.9 mg prednisolone hexanoate and 5 mg cinchocaine hydrochloride in an ointment base consisting of castor oil for injection, octyldodecanol, hydrogenated castor oil, PEG-8 ricinoleate and chypre perfume oil.

1 suppository contains 1.3 mg prednisolone hexanoate and 1mg cinchocaine hydrochloride in a hard fat base.

PHARMACOLOGY

Pharmacological Actions

Prednisolone exerts an antiinflammatory, antiallergic and antipruritic effect. Capillary dilatation, intercellular oedema and tissue infiltration regress; capillary proliferation is suppressed.

As a local anaesthetic, cinchocaine eases the pain.

The Scheriproct® haemorrhoidals are topical preparations, which display their anti-inflammatory and analgesic effects at the site of application.

Pharmacokinetics

Absorption
The active ingredients diffuse out of the preparations into the inflamed tissue, are partly absorbed, distributed by the circulatory system, metabolised and finally excreted. In order to obtain a local therapeutic effect, pharmacologically effective plasma levels are not required.

Cinchocaine exerts its analgesic effect locally. Since no absorption studies are available, risk assessment was performed under the assumption of a complete absorption. If complete absorption takes place the plasma concentration is too low to elicit adverse effects.

Distribution
The active ingredients diffuse out of the preparations into the inflamed tissue, are partly absorbed and distributed by the circulatory system.

Metabolism
Following absorption cinchocaine is biotransformed into a number of metabolites. Of special importance here are the oxidative de-ethylation of the di-ethylamino function, hydroxylation and oxidative degradation of the butyloxy-chain and the additional formation of unidentified polar metabolites.

Excretion
The active ingredients diffuse out of the preparations into the inflamed tissue, are partly absorbed, distributed by the circulatory system, metabolised and finally excreted.

INDICATIONS

Symptomatic relief of pain and irritation associated with haemorrhoids, superficial anal fissures and proctitis.

CONTRAINDICATIONS

- Tuberculous or syphilitic processes in the area to be treated
- Virus diseases (e.g. vaccinia, chickenpox)
- Hypersensitivity to individual components
- Traumatised skin
- Local infections where concomitant therapy is not in place (see PRECAUTIONS).

PRECAUTIONS

- Additional specific therapy is required in fungal infections.
- Inadvertent contact of the preparation with the eyes should be avoided.
- Careful hand washing after use is recommended.
- Prolonged use leads to atrophy.
- Systemic absorption may be increased when there is local trauma or prolonged use.

Effects on fertility

No animal studies have investigated the potential of prednisolone hexanoate or cinchocaine hydrochloride to impair fertility. However, a study in which rats were administered the related anaesthetic prilocaine hydrochloride or lignocaine hydrochloride at up to 30mg/kg/day SC for 8 months, showed no effects on reproduction.

Use in pregnancy - Category A

No animal studies have investigated the teratogenic potential of any of the active substances in Scheriproct®. However, teratology studies with prednisolone administered to mice on gestation days 11-14 showed dose related increases in cleft palate at SC doses of 3mg/kg/day and above, and at oral doses of 15mg/kg/day and above, typical of high exposures to other glucorticoids. Since epidemiological studies have as yet given no indications of teratogenicity due to systemic glucocorticoid therapy, no teratogenic affects are to be expected from the glucocorticoids in Scheriproct® under therapeutic conditions. However, taking animal-experimental results into consideration, particular care should be taken when prescribing Scheriproct® during pregnancy.

As a general rule, topical preparations containing corticoids should not be applied during the first trimester of pregnancy.

Use in lactation

The excretion of effective amounts of glucocorticoid with the breast milk is improbable.

Genotoxicity

In mouse lymphoma L5178Y cells, prednisolone induced DNA strand breaks, without metabolic activation, but was not mutagenic. In mutagenicity tests in Salmonella typhimurium strains TA98, 100,1535,1537 and 1538, prednisone was weakly mutagenic in strain TA 100 only, with metabolic activation, but was not mutagenic in Chinese hamster V79 cells.

Carcinogenicity

In male rats, administration of prednisolone in the drinking water at a daily dose of 0.4mg/kg for 2 years caused an increased incidence of hepatocellular tumours. Similar results were obtained with triamcinolone acetonide and budenoside, indicating a class effect of glucorticosteroids. However, mice given dietary prednisone at daily levels up to 5mg/kg for 18months showed no increases in tumour incidences, and some decreases, and an epidemiology study in rheumatoid arthritis patients showed a trend towards lower malignancy in patients treated with

prednisone. The carcinogenic potential of cinchocaine hydrochloride has not been investigated.

INTERACTIONS WITH OTHER MEDICINES

None so far known.

ADVERSE EFFECTS

If Scheriproct® is applied for long periods of time (more than 4 weeks) local concomitant symptoms, such as atrophy of the skin, cannot be excluded. Allergic skin reactions may occur in rare cases.

DOSAGE AND ADMINISTRATION

The anal region should be cleaned thoroughly before using Scheriproct®, which is best applied after defecation. There is usually a rapid improvement, but this should not mislead one into stopping treatment too soon. To avoid relapses, Scheriproct® should be continued for at least one week, though less frequently (ointment once a day or one suppository every other day), even when the symptoms have completely disappeared. However, duration of treatment should, as far as possible, not exceed 4 weeks.

Ointment

Unless otherwise prescribed by the doctor, generally, apply twice daily, on the first day, for faster symptomatic relief, up to four times.

Smear a little ointment (about the size of a pea) around the anus and in the anal ring with a finger and use the fingertip to overcome the resistance of the sphincter. Before applying within the rectum, the enclosed nozzle should be screwed on to the tube. However, for very inflamed and hence painful lesions, it is advisable initially to apply the ointment internally with the finger.

Protruding lumps should be thickly smeared and carefully pressed back with the finger.

Suppositories

In general, insert one suppository daily high into the rectum. If symptoms are severe, insert one suppository two to three times on the first day.

The consistency of suppositories that have become soft due to warmth should be restored by placing them in cold water before the covering is removed.

OVERDOSAGE

Symptoms

In the case of accidental oral intake of the preparation (e.g. by swallowing a few grams of the ointment or several suppositories) mainly systemic effects of the local anaesthetic cinchocaine hydrochloride are to be expected, which, according to the dose, may manifest themselves as severe cardiovascular (depression to cessation of cardiac function) and CNS symptoms (convulsions; inhibition to arrest of respiratory function).

For information on the management of overdose, contact the Poison Information Centre on 131 126(Australia)..

PRESENTATION AND STORAGE CONDITIONS

Ointment

Aluminium tubes containing 10 g and 30 g of ointment.
1g of ointment contains 1.9 mg prednisolone hexanoate and 5 mg cinchocaine hydrochloride.
AUST R number: 70062
Store below 30°C.

Suppositories

Boxes containing an aluminium laminated strip pack of 12 suppositories.
1 suppository contains 1.3 mg prednisolone hexanoate and 1mg cinchocaine hydrochloride.
AUST R Number: 70063
Store at 2°C to 8°C. Refrigerate. Do not freeze.

Store all drugs properly and keep them out of reach of children.

NAME AND ADDRESS OF THE SPONSOR

CSL Limited ABN 99 051 588 348
45 Poplar Road
Parkville, Victoria, 3052
Australia

POISON SCHEDULE OF THE MEDICINE

S4 – Prescription Only Medicine.

DATE OF FIRST INCLUSION IN THE AUSTRALIAN REGISTER OF THERAPEUTIC GOODS (THE ARTG)

2 May 2000

DATE OF MOST RECENT AMENDMENT

18 September 2012