**FINACEA®
PRODUCT INFORMATION**

**Description**

1g Finacea® contains 0.15g (15%) micronized azelaic acid in a gel base. It also contains 0.1% benzoic acid, propylene glycol, polysorbate 80, lecithin, polyacrylic acid, triglycerides (medium chain), sodium hydroxide, disodium edetate and purified water.

**Structure**

![Chemical structure of azelaic acid]

**Chemical characteristics**

**Chemical name**
1,7-heptanedicarboxylic acid

**Structural formula**
HOOC-(CH₂)₇-COOH

**Molecular formula**
C₉H₁₆O₄

**Molecular weight**
188.22

**Description**

Azelaic acid is a white crystalline powder. M.P 105°C-110°C
Azelaic acid is freely soluble in 96%v/v ethanol, methanol and boiling water

**Pharmacology**

**Pharmacodynamics**

Azelaic acid exerts an antibacterial effect on Propionibacterium acnes, which plays an important role in the pathogenesis of acne. Finacea® significantly reduces both the population density of Propionibacterium acnes and the amount of free fatty acids in the skin surface lipids.

Azelaic acid inhibits the proliferation of cultivated keratinocytes by inhibiting the DNA synthesis and accelerates the comedolysis of tetradecane-induced comedones in the rabbit ear model. Electron microscopic and immunohistochemical examinations of skin biopsies following treatment with Finacea® show ultrastructural changes, especially in the keratohyaline granules and in the filaggrin, which is an important factor in keratinization.

The mechanism by which azelaic acid interferes with the pathogenic events in rosacea is unknown. Two in vitro and ex vivo investigations indicate that azelaic acid may exert an anti-inflammatory effect by reducing the formation of pro-inflammatory, reactive oxygen species but the clinical relevance of these findings is not clear.
Pharmacokinetics
Following topical application, azelaic acid penetrates into all layers of the human skin. The penetration into damaged skin is more rapid than into intact skin. 3.6% of the administered dose was absorbed following application of 5g of an azelaic acid (20%) cream formulation to the face, upper back and chest with peak serum levels occurring 2-3 hours after dermal application. The application of 5g cream twice daily results in a systemic burden of 1-1.5mg/kg body weight.

43% of azelaic acid is bound to plasma proteins, with 78% bound to albumin. 60% of the systemically available azelaic acid is excreted unchanged in the urine within 12 hours, the remainder is metabolised through β-oxidation into short-chained dicarboxylic acids (C_7-, C_5-carboxylic acids) which are also excreted via the urine. Due to the low percutaneous absorption the amount of azelaic acid eliminated via the breast milk is approximately 0.01%, with a milk/plasma co-efficient of 0.7. This corresponds to a dose to the infant of less than 200μg day following twice daily administration of 5g azelaic acid cream (20%). The safety of this dose in infants is unknown.

Steady-state plasma levels of azelaic acid in rosacea patients after 8 weeks twice daily treatment with Finacea® were significantly higher than in patients treated with the vehicle control but were within the range also observed in volunteers and untreated acne patients on normal diets. This indicates that the extent of percutaneous absorption of azelaic acid following twice daily application of Finacea® does not significantly alter the systemic burden of azelaic acid derived from dietary and endogenous sources.

Indications
Papulo-pustular rosacea.
Mild-to-moderate acne vulgaris.

Finacea® has been especially formulated for use in acne patients with greasy skin conditions.

Contraindications
Hypersensitivity to any ingredient of Finacea®, in particular propylene glycol.

Precautions
In animal investigations (rabbit, monkey) Fiancea caused eye irritation. As a precaution care should be taken to avoid contact with the eyes. Should contact with the eyes occur, the eyes must be immediately rinsed with copious amounts of water. No data on concomitant use with other skin disorder therapies, cosmetics or sunscreens are available.

Use in pregnancy
Category B1: Reproductive studies with azelaic acid administered orally to rats, rabbits and monkeys (at doses up to 2.5, 0.5 and 0.05mg/kg/day, respectively) produced no evidence of foetal malformations. Adverse foetal effects (embryotoxicity) were reported at the highest doses tested (doses at which maternotoxicity tended to occur). The effect on the human foetus is unknown.
Use in lactation

The theoretical amount of azelaic acid received by an infant via the breast milk would be negligible and considering the low toxicity any risk resulting from use is not expected. The safety of Finacea® in infants is not however known.

Warnings

Finacea® is for external use only. Avoid contact with the eyes. If it does, the eyes should immediately be rinsed with copious amounts of water.

Adverse reactions

Local skin irritation (in descending order of frequency) e.g. burning, pruritis, stinging/tingling, erythema, irritation, dry skin, scaling and rash can occur usually at the start of treatment. When treating acne this usually regresses during the course of treatment. If the irritation is severe or related to a hypersensitivity reaction, treatment should be ceased. In other cases where marked irritation persists the frequency of use should be reduced to one application per day until the irritation disappears or alternatively the treatment may be temporarily interrupted. Discolouration of the skin or temporary skin depigmentation, especially in people with darker skin, has also been observed. Skin dryness has been observed with the gel.

The spectrum of undesirable cutaneous effects related to Finacea® is similar in acne and rosacea.

The incidence of adverse events was higher in rosacea patients, however this could be due to the more sensitive skin of rosacea patients.

Contact dermatitis, acne, skin disorder and folliculitis are uncommon with Finacea®.

In very rare cases, allergic skin reactions (e.g. rash) may occur.

Dosage and administration

Finacea® should be applied sparingly to the affected areas of the skin twice a day (morning and night). Finacea® should be massaged gently into the skin until vanishing. Approximately 0.5g = 2.5cm strip of gel is sufficient for the entire facial area.

Before Finacea® is applied the skin should be thoroughly cleaned with water, or if applicable, with a mild skin-cleansing agent.

In the event of intolerable irritation of the skin (see under Adverse Reactions), treatment should be stopped immediately. Once the irritation has ceased, treatment can recommence but the amount of gel used should be reduced or frequency of treatment reduced to once a day until skin tolerance occurs. If required, the treatment might have to be temporarily interrupted for a few days.

The duration of use of Finacea® varies with individual patients and the severity of the skin disorder. Improvement is usually detectable within 1-2 months of treatment.
Optimum duration of therapy depends on the severity of the skin disorder. Although most reported experiences to date have been for treatment periods that did not exceed 6 months, Finacea® has been used for up to a year or longer in appropriately selected cases for control of individual lesions, and repeat courses have been employed for recurrences. It is important that Finacea® is used regularly throughout the treatment period.

**Overdosage**

Finacea® is intended for external use only. Findings from animal experiments show that vomiting may occur after ingestion of large amounts. No organotoxic changes are likely, though no human data on overdosage are available. For further information, contact the Poisons Information centre on 13 11 26.

**Presentation**

Finacea® is available in 5g, 30g and 50g tubes. Not all pack sizes may be available.

Schedule 2 poison

**Sponsor**

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