

Azelaic Acid Therapeutic Cheat Sheet

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TRADE NAME

- > FINACEA® Gel, 15%
- > FINACEA® Foam, 15%
- > AZELEX® Cream, 20%

GENERIC DOSAGE FORM

- > Azelaic acid 15% gel

MECHANISM OF ACTION

- > Azelaic acid works as an antimicrobial agent for both aerobic and anaerobic bacteria and is known to be bactericidal for *Cutibacterium acnes* (*C. acnes*) evidenced through in vitro and in vivo studies. Specifically, azelaic acid is thought to affect the pH of the bacterial cell membrane by inhibiting the cell's ability to maintain pH homeostasis resulting in a decrease of respiratory metabolism.
- > Azelaic acid also has anti-inflammatory properties through the inhibition of pro-inflammatory molecules and reactive oxygenated species. It disrupts the pro-inflammatory mitogen-activated protein kinase (MAPK) pathway leading to down regulation of nuclear factor kappa-light-chain (NF-κB). This downregulation causes a decrease in pro-inflammatory cytokines such as IL-6, TNF-α and IL-1β. In rosacea in particular, studies have shown that azelaic acid may inhibit kallikrein 5, which is a serine protease, leading to decreased gene expression of cathelicidin proteins. These molecules are thought to play a meaningful role in the pathophysiology of rosacea.
- > Azelaic acid has anti-keratinization properties which are less understood but thought to be due to reduction in stratum corneum thickness through protein synthesis disruption and DNA inhibition.
- > Chemically, azelaic acid is 1,7-heptanedicarboxylic acid, which is a naturally occurring byproduct of *Pityrosporum* species. Dicarboxylic acids competitively inhibit tyrosinase which suggests its utility in pigmentary disorders.

FDA-APPROVED USE

- > Inflammatory papules and pustules of mild to moderate rosacea.
- > Mild-moderate acne vulgaris.

OFF-LABEL USES

- > Acne
- > Post-inflammatory hyperpigmentation
- > Melasma
- > Solar lentiginos
- > Plaque Psoriasis
- > Periorificial dermatitis

DOSING

- > Topical application on clean, dry skin to affected areas twice a day. This dosing is for all forms of topical azelaic acid.

SIDE EFFECTS ASSOCIATED

- > Azelaic acid 15% gel:
 - > Burning/stinging/tingling (29%)
 - > Pruritus (11%)
 - > Scaling/dry skin/xerosis (8%)
 - > Erythema/irritation (4%)
- > Azelaic acid 15% foam:
 - > Pain (6.2%)
 - > Pruritus (2.5%)
 - > Dryness (0.7%)
 - > Erythema (0.7%)
- > Azelaic acid 20% cream:
 - > Pruritus/burning/stinging/tingling (1-5%)
 - > Erythema (<1%)
 - > Peeling (<1%)
 - > Dermatitis/contact dermatitis (<1%)

WARNINGS

- > Hypersensitivity reactions to azelaic acid gel has been reported during post marketing surveillance. This medication should be avoided in patients with a known hypersensitivity to azelaic acid or any component of the gel.
- > Burning, stinging or pruritus can occur during the first few weeks of treatment. If sensitivity or severe irritation persists, one should discontinue treatment.
- > Hypopigmentation may occur with use of this product and can be more noticeable in patients with darker complexions.
- > Avoid contact with mucosal sites.
- > The foam formulation is flammable.

CONTRAINDICATIONS

- > None

PREGNANCY

- > There are no well-controlled studies in pregnant women and dermal embryofetal developmental toxicology studies have not been performed.
- > Oral developmental studies have been performed in animals. Embryotoxicity was observed at 2500 mg/kg/day; however, no teratogenic effects observed. In rats in particular, some maternal toxicity was noted at an oral dose of 2500 mg/kg/day.
- > It is not known if azelaic acid is excreted in breast milk; however, based on in vitro studies, the uptake of azelaic acid into breast milk is not expected.

MONITORING

- > No recommended monitoring guidelines