Roflumilast Therapeutic Cheat Sheet

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TRADE NAME[1]

- Zoryve

GENERIC DOSAGE FORM[1]

- Roflumilast 0.3% cream

MECHANISM OF ACTION[1,4]

- Roflumilast is a phosphodiesterase-4 (PDE4) inhibitor.
- By blocking PDE4 activity, this leads to accumulation of cyclic adenosine monophosphate (cyclic AMP), an intracellular second messenger that controls a network of pro-inflammatory and anti-inflammatory mediators.
- The specific mechanism by which roflumilast exerts its therapeutic action is not well understood, although it is thought to modulate a wide variety of inflammatory mediators involved in psoriasis.

FDA-APPROVED USE[1]

- Approved for topical of plaque psoriasis, including intertriginous areas in patients 12 years of age or older.

OFF-LABEL USES

- Seborrheic dermatitis

DOSING[1]

- Apply roflumilast 0.3% cream to affected areas once daily and rub in completely. Wash hands after unless roflumilast is for treatment of the hands.
- Only apply the cream to your skin. Do not apply to your eyes, mouth, or vagina.

SIDE EFFECTS ASSOCIATED[5]

- In the DERMIS-1 AND DERMIS-2 multicenter, randomized, double blind, vehicle-controlled trials, adverse reactions were as below:
  - Diarrhea (3.1%)
  - Headache (2.4%)
  - Insomnia (1.4%)
  - Nausea (1.2%)
  - Application site pain (1.0%)
  - Upper respiratory tract infection (1.0%)
  - Urinary tract infection (1.0%)

WARNINGS[1]

- The coadministration of roflumilast with systemic CYP3A4 inhibitors or dual inhibitors that inhibit both CYP3A4 and CYP1A2 simultaneously (erythromycin, ketoconazole, fluvoxamine, enoxacin, cimetidine) may increase roflumilast exposure and may result in increased adverse reactions. The risk of such concurrent use should be weighed carefully against benefit.
- The coadministration of roflumilast with oral contraceptives containing gestodene, and ethinyl estradiol may increase roflumilast systemic exposure and may result in increased side effects.

CONTRAINDICATIONS[1]

- The use of roflumilast is contraindicated in the following condition:
  - Moderate to severe liver impairment (Child-Pugh B or C)

PREGNANCY[1]

- There are non-randomized clinical trials of oral or topical roflumilast in pregnant women. In reproduction studies, roflumilast administered orally to pregnant rats and rabbits during the period of organogenesis produced no fetal structural abnormalities at doses up to 9 and 8 times the maximum recommended human dose, respectively (MRHD).
- Roflumilast induced post-implantation loss in rats at oral doses greater than or equal to 3 times the MRHD.
- Roflumilast induced still birth and decreased pup viability in mice at oral doses 5 and 15 times the MRHD.
- Roflumilast has been shown to adversely affect pup post natal development when dams were treated with an oral dose 15 times the MRHD during pregnancy and lactation periods in mice.
- Roflumilast should not be used during labor and delivery as there are no human studies investigating the effects of roflumilast on preterm labor or labor at term. However, animal studies showed that oral roflumilast disrupted the labor and delivery process in mice.
- There is no information regarding the presence of roflumilast in human milk, or the effects on a breastfed infant, or on the effects of milk, production.

MONITORING

- No routine tests recommended.