

5-Fluorouracil Therapeutic Cheat Sheet

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TRADE NAME

- › Efudex (5% cream, 2% solution, 5% solution) ** (most widely studied formulation)
- › Fluoroplex (1% cream, 1% solution)
- › Carac (0.5% cream)
- › Tolak (4% cream)

MECHANISM OF ACTION

- › Disrupts DNA synthesis: structural analog of uracil that irreversibly inhibits thymidylate synthetase (which normally converts deoxyuridine → thymidine)
- › Interferes with RNA synthesis: metabolites are misincorporated into ribonucleic acid.²
- › In one study, application of radiolabeled 5-FU to diseased or ulcerated skin resulted in a 15 to 75 times greater degree of absorption compared to application on healthy skin, which explains the selective effect of 5-FU for AKs compared to normal skin.³

FDA APPROVED FOR

- › Actinic keratosis
- › Superficial basal cell carcinoma (Efudex)

OFF-LABEL USES

- › Squamous cell carcinoma in situ
- › Keratoacanthoma
- › Actinic cheilitis
- › Verruca Vulgaris
- › Porokeratosis
- › Keloid

DOSING

- › Expected response: erythema → vesiculation → desquamation → erosion → reepithelialization.
- › Actinic keratosis:
 - › Efudex: Apply cream or solution twice daily in an amount sufficient to cover the lesions until inflammatory response reaches erosion stage (generally between 2-4 weeks).⁴
 - › Carac: Apply once a day for up to 4 weeks as tolerated.⁵
 - › Fluoroplex: Apply twice daily for 2-6 weeks as tolerated.⁶
 - › Tolak: Apply daily for 4 weeks as tolerated.⁷
- › Superficial BCC:
 - › Efudex: Twice daily for 3-6 weeks.⁴

ADVERSE EFFECTS

- › Generally localized to areas of treatment: erythema, irritation, burning, pain, pruritus, hypopigmentation, hyperpigmentation. Allergic contact dermatitis has also been reported.

CONTRAINDICATIONS

- › Patients who have a deficiency in dihydropyrimidine dehydrogenase (DPD), the enzyme that metabolizes 5-FU, can develop neurotoxicity in addition to severe GI and hematologic toxicity.^{8,9}
- › Of note, studies indicate that the amount of systemic absorption of topical 5-FU is far less than that of typical absorption when it is delivered intravenously, as in the case of cancer chemotherapy. There have been reports of life-threatening toxicity of topical 5-FU in patients found to have a deficiency in DPD.⁹

PREGNANCY

- › Teratogenic, pregnancy category X