NEXTSTEPS IN DERM

Tranexamic Acid Therapeutic Cheat Sheet

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TRADE NAMES

- United States
  - Lysteda (oral)[1]
  - Cyklokapron (IV)[2]

MECHANISM OF ACTION[3,4,5]

- Tranexamic acid (TXA) is a synthetic lysine analog that competitively inhibits the conversion of plasminogen to plasmin, thereby acting as an anti-fibrinolytic agent.
- Downstream Dermatologic Mechanisms
  - **Inhibits plasmin activity in keratinocytes**, reducing ultraviolet (UV)-induced activation of melanogenesis.
  - **Decreases arachidonic acid and prostaglandin production**, resulting in reduced melanocyte stimulation.
  - **Reduces α-melanocyte-stimulating hormone (α-MSH) activity**, limiting melanin synthesis.
  - **Suppresses tyrosinase activity indirectly**, leading to decreased melanin production.
  - **Inhibits vascular endothelial growth factor (VEGF)** and angiogenesis, which may contribute to improvement in pigmentation by reducing vascularity.
  - **May stabilize mast cells** and decrease inflammatory mediator release to modulate inflammatory pathways in conditions such as rosacea and urticaria.

FDA-APPROVED INDICATIONS[1,2]

- Treatment of cyclic heavy menstrual bleeding
- Reduction of perioperative and traumatic bleeding (There are currently no FDA-approved dermatologic indications for tranexamic acid, or FDA approvals for topical tranexamic acid)

OFF-LABEL DERMATOLOGIC USES[3,4,5]

- Melasma
- Post-inflammatory hyperpigmentation (PIH)
- Lichen planus pigmentosus

Limited/Emerging evidence:

- Nevus of ota/Hori nevus
- Rosacea (erythematotelangiectatic)
- Urticaria
- Angioedema
- PIH following laser treatment
- Acne-associated erythema

DOSING[3,6,7] (TYPICAL MELASMA DOSING)

- Oral TXA:
  - 250 mg orally twice daily
- Alternative regimens:
  - 500 mg once daily
  - 250 mg once daily (maintenance)
  - 500 mg twice daily (less commonly used)
- Clinical pearl:
  - In the US, TXA is commercially available in 650mg tablets. Dermatologists commonly either instruct patients to split 650mg tablets and take 325mg twice daily, or prescribe compounded 250mg capsules.
- Treatment duration
  - Initial improvement typically within 6-8 weeks, with maximum benefit at 3-6 months.
- Topical TXA:
  Available through compounding pharmacies and in cosmetic formulations
  - Typical concentrations: 2%, 3%, 5%
  - Dosing: once to twice daily
- *Intradermal TXA (Mesotherapy):[6-8]
  Similar efficacy to oral therapy in some studies, suitable for patients with limited or treatment-refractory conditions or for patients with contraindications to oral TXA.
  - Concentration: 4 mg/mL to 100 mg/mL (diluted from the injectable solution)
  - Injection depth: Superficial dermis, ~1cm between injection sites
  - Volume: 0.02–0.05 mL per injection point
  - Frequency: Every 1–4 weeks
  - Course: 3–6 sessions, depending on response

**protocols vary*

Tranexamic acid has also been utilized topically with microneedling for transdermal delivery, and by laser-assisted drug delivery.

CONTRAINDICATIONS & PRECAUTIONS[1,3]

TXA's mechanism of action as an antifibrinolytic raises concern for venous and arterial thromboembolic events. Careful patient selection is essential before initiating therapy.

- Absolute contraindications to oral TXA:
  - Active or history thromboembolic disease:
    - Deep vein thrombosis (DVT)
    - Pulmonary embolism (PE)
    - Stroke
    - Myocardial infarction (MI)
    - Retinal artery or vein occlusion
  - Known acquired or inherited thrombophilia (e.g. antiphospholipid syndrome)
  - Known hypersensitivity to TXA
- Use caution in patients with
  - Strong family history of thromboembolism
  - Obesity
  - Smoking history
  - Prolonged immobilization
  - Hormone therapy (estrogen-containing contraceptives/replacement)
  - Migraine with aura (particularly when combined with estrogen exposure)
  - Known cardiovascular risk factors (thrombogenic valvular disease or cardiac rhythm disease)
  - Hematuria (may prevent dissolution of clots→ obstructive uropathy)
  - Upcoming thoracic surgery
  - *Renal impairment (renally excreted)
- Pre-Treatment Screening Checklist
  Before prescribing oral TXA, consider:
  - Personal history of DVT/PE, stroke, MI, or retinal artery/vein occlusion
  - Family history of thrombosis at young age
  - Known clotting disorders
  - Current smoking status
  - Estrogen-containing contraceptive use or hormone therapy
  - Pregnancy status
  - Baseline cardiovascular risk factors (arrhythmias, valvular disease)
  - Current medications increasing thrombotic risk
  - *Personal history of renal disease
  - Personal history of retinal disease

Practical note: A systematic review of 22 RCTs found no increased risk of venous or arterial thrombosis in non-surgical patients receiving TXA, and the dermatologic dose (250–500 mg/day) is substantially lower than hemostatic dosing (3,900 mg/day). Nonetheless, the low absolute risk does not eliminate the need for careful pre-prescribing screening.[10]

*Dose adjustments recommended by the FDA in renal impairment still exceed typical dermatologic dosing of TXA.

SIDE EFFECTS[11-13]

- Oral TXA is generally well tolerated at dermatologic doses (250 mg twice daily). Common adverse effects:
  - Gastrointestinal symptoms (nausea, abdominal discomfort, diarrhea)
  - Headache
  - Menstrual changes (especially oligomenorrhea)
  - Back pain & fatigue (not reported in melasma trials)

Less common important adverse effects:

- Venous or arterial thromboembolism
  - In the largest melasma cohort study (561 patients), only 1 case of DVT occurred, and that patient was later diagnosed with familial protein S deficiency

PATIENT COUNSELING[1,10,13-14]

- Improvement is gradual; most patients notice changes after 8–12 weeks.
- TXA reduces melanogenesis but does not permanently eliminate melasma triggers.
- Strict photoprotection is essential:
  - Broad-spectrum SPF ≥50
  - Visible light protection (iron oxide-containing sunscreens are particularly helpful)
  - Hat use and sun avoidance
- Pigmentation commonly recurs after discontinuation
- Patients should be instructed to discontinue therapy and seek medical evaluation for:
  - Unilateral leg swelling or pain
  - Chest pain or shortness of breath
  - Sudden neurologic symptoms
  - New visual changes (concern for retinal artery or vein occlusion)

OTHER ADMINISTRATION CONSIDERATIONS[14]

- Oral TXA should generally be viewed as an adjunct, not monotherapy.
- Best outcomes occur when combined with:
  - Hydroquinone
  - Triple-combination cream
  - Retinoids
  - Azelaic acid
  - Chemical peels
  - In-office laser/light procedures

PREGNANCY AND LACTATION[1-2]

- Pregnancy
  - TXA crosses the placenta.
  - Although TXA has is used systemically in obstetric hemorrhage and available data have not demonstrated a clear teratogenic signal, there is insufficient evidence to recommend oral TXA for cosmetic dermatologic indications during pregnancy.
- Lactation
  - TXA is excreted into breast milk in small amounts.
  - Available data suggest low infant exposure, but data is limited
  - For elective treatment, many clinicians defer systemic TXA until breastfeeding is complete.

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