

Tranexamic acid: Drug information Lexicomp®

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(For additional information [see "Tranexamic acid: Patient drug information"](#) and [see "Tranexamic acid: Pediatric drug information"](#))

For abbreviations and symbols that may be used in Lexicomp ([show table](#))

Brand Names: U.S. Cyklokapron; Lysteda

Brand Names: Canada Cyklokapron; Tranexamic Acid Injection BP

Pharmacologic Category Antifibrinolytic Agent; Antihemophilic Agent; Hemostatic Agent; Lysine Analog

Dosing: Adult

Elective cesarean section, blood loss reduction (off-label use): IV: 1000 mg over 5 minutes at least 10 minutes prior to skin incision (Gungorduk, 2011)

Hereditary angioedema (HAE) (off-label use):

Long-term prophylaxis: Oral: 1000-1500 mg 2-3 times daily; reduce to 500 mg/dose once or twice daily when frequency of attacks reduces (Gompels, 2005; Levy, 2010) **or** 25 mg/kg/dose administered 2-3 times daily (Bowen, 2004)

Short-term prophylaxis (eg, for dental work): Oral: 75 mg/kg/day divided 2-3 times daily for 5 days before and 2 days after the event (Bowen, 2004) **or** 1000 mg 4 times daily for 48 hours before and after procedure (Gompels, 2005)

Treatment of acute HAE attack: Oral, IV: 25 mg/kg/dose (maximum single dose: 1000 mg) every 3-4 hours (maximum: 75 mg/kg/day) (Bowen, 2004) **or** 1000 mg 4 times daily for 48 hours (Gompels, 2005)

Hip fracture surgery, blood conservation (off-label use): 15 mg/kg administered at the time of skin incision followed by a second dose (15 mg/kg) 3 hours later (Zufferey, 2010). Additional data may be necessary to further define the role of tranexamic acid in this setting.

Menorrhagia: Oral: 1300 mg 3 times daily (3900 mg daily) for up to 5 days during monthly menstruation

Orthognathic surgery, blood loss reduction (off-label use): IV: 20 mg/kg over 15 minutes prior to incision (Choi, 2009)

Prevention of dental procedure bleeding in patients on oral anticoagulant therapy (off-label use): Oral rinse: 4.8% solution: Hold 10 mL in mouth and rinse for 2 minutes then spit out. Repeat 4 times daily for 2 days after procedure. **Note:** Patient should not eat or drink for 1 hour after using oral rinse (Carter, 2003).

Prevention of perioperative bleeding associated with cardiac surgery (off-label use): IV: Loading dose of 30 mg/kg over 30 minutes (total loading dose includes a test dose administered over the first 10 minutes followed by the remainder of dose) prior to incision, followed by 16 mg/kg/hour until sternal closure; add an additional 2 mg/kg to cardiopulmonary bypass circuit (Fergusson, 2008)

or

Loading dose of 10 mg/kg over 20 minutes prior to incision followed by 2 mg/kg/hour continued for 2 hours

after transfer to ICU; add a prime dose of 50 mg for a 2.5 L cardiopulmonary bypass circuit; maintenance infusion adjusted for renal insufficiency (Nuttall, 2008)

or

Loading dose of 10-15 mg/kg over 10-15 minutes, followed by 1-1.5 mg/kg/hour. The authors suggest adding 2–2.5 mg/kg to cardiopulmonary bypass circuit; however, amounts have varied widely in clinical trials (Gravlee, 2008).

Prevention of perioperative bleeding associated with spinal surgery (eg, spinal fusion) (off-label use): IV: 2000 mg over 20 minutes prior to incision followed by 100 mg/hour during surgery and for 5 hours postoperatively (Elwatidy, 2008) or 10 mg/kg prior to incision followed by 1 mg/kg/hour for the remainder of the surgery; discontinue at time of wound closure (Wong, 2008)

Tooth extraction in patients with hemophilia (in combination with appropriate factor replacement therapy): IV: 10 mg/kg immediately before surgery, then 10 mg/kg/dose 3-4 times daily; may be used for 2-8 days

Total hip replacement surgery, blood conservation (off-label use): 10 to 15 mg/kg (or 1000 mg) administered over 5-10 minutes immediately before the operation or 15 minutes before skin incision; the preoperative dose may be followed by 10 mg/kg administered 3 to 12 hours after the operation. Postoperative doses ranged from a 10 mg/kg IV bolus (or 1000 mg) to a 1 mg/kg/hour infusion over 10 hours (Gandhi, 2013; Oremus, 2014).

Note: Multiple regimens have been evaluated in varying degrees of evidence quality. The regimen listed here reflects the more commonly used dosing based on a number of prospective randomized controlled trials (Johansson 2005; McConnell 2011; Niskanen, 2005; Oremus, 2014). Metaanalyses have also been conducted demonstrating significant reduction in blood loss perioperatively without an increased risk of thromboembolic events (Gandhi, 2013; Sukeik, 2011; Zhou, 2013). The use of *intra-articular* tranexamic acid (ie, 1000 mg/50 mL of NaCl 0.9% sprayed into the wound at the end of the procedure) has also been evaluated demonstrating effectiveness (Alshryda, 2014a; Alshryda, 2014b).

Total knee replacement surgery, blood loss reduction (off-label use):

Bilateral total knee replacement:

Simultaneous: 10 mg/kg over 10 minutes approximately 10 minutes before deflation of the first tourniquet with a second dose (10 mg/kg) 3 hours after the first dose (Dhillon, 2011)

Staged (3 days apart): For each total knee replacement, 1000 mg administered 15 minutes before skin incision and 1000 mg upon deflation of the tourniquet (Kelley, 2014)

Unilateral total knee replacement: 10 mg/kg administered either 10-30 minutes before inflation of tourniquet or 30 minutes before deflation of the tourniquet with a second dose (10 mg/kg) administered either 3 hours after the first dose or immediately after tourniquet release (Alvarez, 2008; Camarasa, 2006; Lozano, 2008). Instead of the second dose, may also administer an infusion of 1 mg/kg/hour beginning at the end of the operation and continuing for 6 hours postoperatively (Alvarez, 2008).

Transurethral prostatectomy, blood loss reduction (off-label use): Oral: 2000 mg 3 times daily on the operative and first postoperative day (Rannikko, 2004)

Trauma-associated hemorrhage (off-label use): IV: Loading dose: 1000 mg over 10 minutes, followed by 1000 mg over the next 8 hours. **Note:** Clinical trial included patients with significant hemorrhage (SBP <90 mm Hg, heart rate >110 bpm, or both) or those at risk of significant hemorrhage. Treatment began within 8 hours of injury (CRASH-2 Trial Collaborators, 2010).

Traumatic hyphema (off-label use): Oral: 25 mg/kg administered 3 times daily for 5-7 days (Rahmani, 1999;

Vangsted, 1983; Varnek, 1980). **Note:** This same regimen may also be used for secondary hemorrhage after an initial traumatic hyphema event.

Dosing: Pediatric

(For additional information [see "Tranexamic acid: Pediatric drug information"](#))

Menorrhagia: Children ≥ 12 years and Adolescents: Oral: 1300 mg 3 times daily (3900 mg daily) for up to 5 days during monthly menstruation

Hereditary angioedema (HAE) (off-label use): Oral:

Long-term prophylaxis: 20-40 mg/kg/day in 2-3 divided doses (maximum dose: 3000 mg daily) (Farkas, 2007) **or** 50 mg/kg/day (or 1000-2000 mg daily; depending on age and size of patient); may consider alternate-day regimen or twice-weekly regimen when frequency of attacks reduces; diarrhea may be a dose-limiting side effect (Gompels, 2005)

Short-term prophylaxis: 20-40 mg/kg/day in 2-3 divided doses (maximum dose: 3000 mg daily) (Farkas, 2007) **or** 500 mg 4 times daily (Gompels, 2005). **Note:** For short-term prophylaxis (eg, dental work), initiate 2-5 days before and continue for 2 days after the procedure (Bowen, 2004; Gompels, 2005).

Prevention of perioperative bleeding associated with cardiac surgery (off-label use): IV: 10 mg/kg given over 30 minutes prior to incision, 10 mg/kg while on cardiopulmonary bypass, and 10 mg/kg administered after protamine reversal (Chauhan, 2004; Chauhan, 2004)

or

Loading dose of 100 mg/kg over 15 minutes prior to incision, followed by 10 mg/kg/hour infusion (continued until ICU transport); add 100 mg/kg to pump reservoir when cardiopulmonary bypass initiated (Reid, 1997)

Prevention of perioperative bleeding associated with craniostomosis surgery (off-label use): IV:

Loading dose of 50 mg/kg over 15 minutes prior to incision, followed by 5 mg/kg/hour (Goobie, 2011) **or** 15 mg/kg over 15 minutes prior to incision, followed by 10 mg/kg/hour until skin closure (Dadure, 2011)

Prevention of perioperative bleeding associated with spinal surgery (eg, spinal fusion) (off-label use):

Children and Adolescents: IV: 10 mg/kg given over 15 minutes prior to incision followed by 1 mg/kg/hour for the remainder of the surgery; discontinue at time of wound closure (Neilipovitz, 2001; Verma, 2010)

or

100 mg/kg over 15 minutes prior to incision followed by 10 mg/kg/hour until skin closure (Sethna, 2005)

or

30 mg/kg over 20 minutes prior to incision followed by 1 mg/kg/hour during surgery and for 5 hours postoperatively (Elwatidy, 2008)

Tooth extraction in patients with hemophilia (in combination with appropriate factor replacement therapy): Children and Adolescents: IV: Refer to adult dosing.

Traumatic hyphema (off-label use): Oral: Refer to adult dosing.

Dosing: Geriatric Refer to adult dosing.

Dosing: Renal Impairment

IV formulation:

Tooth extraction in patients with hemophilia:

Serum creatinine 1.36-2.83 mg/dL: Maintenance dose of 10 mg/kg/dose twice daily

Serum creatinine 2.83-5.66 mg/dL: Maintenance dose of 10 mg/kg/dose once daily

Serum creatinine >5.66 mg/dL: Maintenance dose of 10 mg/kg/dose every 48 hours **or** 5 mg/kg/dose once daily

Cardiac surgery (the following dose adjustments have been recommended [Nuttall, 2008]):

Serum creatinine 1.6-3.3 mg/dL: Reduce maintenance infusion to 1.5 mg/kg/hour (based on a 25% reduction from 2 mg/kg/hour)

Serum creatinine 3.3-6.6 mg/dL: Reduce maintenance infusion to 1 mg/kg/hour (based on a 50% reduction from 2 mg/kg/hour)

Serum creatinine >6.6 mg/dL: Reduce maintenance infusion to 0.5 mg/kg/hour (based on a 75% reduction from 2 mg/kg/hour)

Oral formulation: *Cyclic heavy menstrual bleeding:*

Serum creatinine >1.4-2.8 mg/dL: 1300 mg twice daily (2600 mg daily) for up to 5 days

Serum creatinine 2.9-5.7 mg/dL: 1300 mg once daily for up to 5 days

Serum creatinine >5.7 mg/dL: 650 mg once daily for up to 5 days

Dosing: Hepatic Impairment No dosage adjustment is necessary.

Dosage Forms: U.S. Excipient information presented when available (limited, particularly for generics); consult specific product labeling.

Solution, Intravenous:

Cyklokapron: 100 mg/mL (10 mL)

Generic: 100 mg/mL (10 mL)

Solution, Intravenous [preservative free]:

Generic: 100 mg/mL (10 mL)

Tablet, Oral:

Lysteda: 650 mg

Generic: 650 mg

Generic Equivalent Available: U.S. Yes

Administration

Injection: May be administered by direct IV injection at a maximum rate of 100 mg/minute; use plastic syringe only for IV push

In general, tranexamic acid loading doses are diluted in 50-250 mL and are administered over 5-30 minutes.

Oral: Administer without regard to meals. Swallow tablet whole; do not break, chew, or crush.

Compatibility Compatible with dextrose, saline, electrolyte, amino acid, or dextran solutions, heparin; **incompatible** with solutions containing penicillin.

Use

Injection: Short-term use (2-8 days) in hemophilia patients to reduce or prevent hemorrhage and reduce need for replacement therapy during and following tooth extraction

Oral: Treatment of cyclic heavy menstrual bleeding

Use: Off-Label

Bleeding associated with hip fracture surgery (prevention); Bleeding associated with total knee replacement surgery (prevention); Perioperative blood loss in total hip arthroplasty; Bleeding associated with dental procedures in patients on oral anticoagulant therapy (topical mouth rinse); Hereditary angioedema (long-term prophylaxis); Prevention of bleeding associated with cardiac surgery, craniostomosis surgery, extracorporeal membrane oxygenation (ECMO), orthognathic surgery, spinal surgery (eg, spinal fusion), or transurethral prostatectomy; Reduction of blood loss associated with cesarean delivery; Trauma-associated hemorrhage; Traumatic hyphema

Medication Safety Issues

Sound-alike/look-alike issues:

Cyklokapron may be confused with cycloSPORINE

Adverse Reactions Significant

Injection: Frequency not defined:

Cardiovascular: Hypotension (with rapid IV injection)

Central nervous system: Giddiness

Dermatologic: Allergic dermatitis

Endocrine & metabolic: Unusual menstrual discomfort

Gastrointestinal: Diarrhea, nausea, vomiting

Ocular: Blurred vision

Oral:

>10%:

Central nervous system: Headache (50%)

Gastrointestinal: Abdominal pain (20%)

Neuromuscular & skeletal: Back pain (21%), muscle pain (11%)

Respiratory: Nasal/sinus symptoms (25%)

1% to 10%:

Central nervous system: Fatigue (5%)

Hematologic: Anemia (6%)

Neuromuscular & skeletal: Arthralgia (7%), muscle cramps/spasms (7%)

All formulations: <1% (Limited to important or life-threatening): Allergic skin reaction, anaphylactic shock, anaphylactoid reactions, cerebral thrombosis, deep vein thrombosis (DVT), diarrhea, dizziness, nausea, pulmonary embolism, renal cortical necrosis, retinal artery/vein obstruction, seizure, ureteral obstruction, visual disturbances (including impaired color vision and loss), vomiting

Contraindications

Injection: Hypersensitivity to tranexamic acid or any component of the formulation; acquired defective color vision; active intravascular clotting; subarachnoid hemorrhage

Oral: Hypersensitivity to tranexamic acid or any component of the formulation; active thromboembolic disease (eg, cerebral thrombosis, DVT, or PE); history of thrombosis or thromboembolism, including retinal vein or retinal artery occlusion; intrinsic risk of thrombosis or thromboembolism (eg, hypercoagulopathy, thrombogenic cardiac rhythm disease, thrombogenic valvular disease); concurrent use of combination hormonal contraception

Warnings/Precautions

Concerns related to adverse effects:

- Hypersensitivity reactions: Severe hypersensitivity reactions have rarely been reported. A case of anaphylactic shock has also been reported in a patient who received an IV bolus of tranexamic acid.
- Ocular effects: Visual defects (eg, color vision change, visual loss) and retinal venous and arterial occlusions have been reported; discontinue treatment if ocular changes occur; prompt ophthalmic examination should be performed by an ophthalmologist. Use of the injection is contraindicated in patients with acquired defective color vision since this would prohibit monitoring one endpoint as a measure of ophthalmic toxicity. Ligneous conjunctivitis has been reported with the oral formulation, but resolved upon discontinuation of therapy.
- Seizure: Seizures have been reported with use; most often with intraoperative use (eg, open chamber cardiac surgery) and in older patients (Murkin, 2010). The mechanism by which tranexamic acid use results in seizures may be secondary to neuronal gamma aminobutyric acid (GABA) inhibition.
- Thrombotic events: Venous and arterial thrombosis or thromboembolism, including central retinal artery/vein obstruction, has been reported. Use the injection with caution in patients with thromboembolic disease; oral formulation is contraindicated in these patients. Concomitant use with certain procoagulant agents (eg, anti-inhibitor coagulant complex/factor IX complex concentrates, oral tretinoin, hormonal contraceptives) may further increase the risk of thrombosis; concurrent use with either the oral or injectable formulation may be contraindicated, not recommended, or to be used with caution.
- Ureteral obstruction: Use the injection with caution in patients with upper urinary tract bleeding, ureteral obstruction due to clot formation has been reported.

Disease-related concerns:

- Disseminated intravascular coagulation (DIC): Use with extreme caution in patients with DIC requiring antifibrinolytic therapy; patients should be under strict supervision of a physician experienced in treating this disorder.

- Renal impairment: Use with caution in patients with renal impairment; dosage modification may be necessary.
- Subarachnoid hemorrhage: Use oral formulation with caution in patients with subarachnoid hemorrhage; cerebral edema and infarction may occur.
- Vascular disease: Use with caution in patients with uncorrected cardiovascular or cerebrovascular disease due to the complications of thrombosis.

Concurrent drug therapy issues:

- Drug-drug interactions: Potentially significant interactions may exist, requiring dose or frequency adjustment, additional monitoring, and/or selection of alternative therapy. Consult drug interactions database for more detailed information.

Metabolism/Transport Effects None known.

Drug Interactions

(For additional information: [Launch Lexi-Interact™ Drug Interactions Program](#)) **Lexicomp®**

Anti-inhibitor Coagulant Complex (Human): Antifibrinolytic Agents may enhance the thrombogenic effect of Anti-inhibitor Coagulant Complex (Human). *Risk X: Avoid combination*

Contraceptives (Estrogens): May enhance the thrombogenic effect of Tranexamic Acid. *Risk X: Avoid combination*

Contraceptives (Progestins): May enhance the thrombogenic effect of Tranexamic Acid. *Risk X: Avoid combination*

Fibrinogen Concentrate (Human): Antifibrinolytic Agents may enhance the adverse/toxic effect of Fibrinogen Concentrate (Human). Specifically, the risk for thrombosis may be increased. Fibrinogen Concentrate (Human) may enhance the adverse/toxic effect of Antifibrinolytic Agents. Specifically, the risk for thrombosis may be increased. *Risk C: Monitor therapy*

Tretinoin (Systemic): May enhance the thrombogenic effect of Antifibrinolytic Agents. *Risk C: Monitor therapy*

Pregnancy Risk Factor B ([show table](#))

Pregnancy Implications Adverse events were not observed in animal reproduction studies. Tranexamic acid crosses the placenta and concentrations within cord blood are similar to maternal concentrations. Tranexamic acid has been evaluated for the treatment of postpartum hemorrhage (Ducloy-Bouthors, 2011; Gungorduk, 2011). Lysteda is not indicated for use in pregnant women.

Lactation Enters breast milk/use caution

Breast-Feeding Considerations Small amounts of tranexamic acid are excreted in breast milk. The manufacturer recommends that caution be used if administered to a nursing woman.

Pricing: U.S.

Solution (Cyklokapron Intravenous)

100 mg/mL (10 mL): \$104.53

Solution (Tranexamic Acid Intravenous)

100 mg/mL (10 mL): \$56.25

Tablets (Lysteda Oral)

650 mg (30): \$174.00

Tablets (Tranexamic Acid Oral)

650 mg (30): \$156.60

Disclaimer: The pricing data provide a representative AWP and/or AAWP price from a single manufacturer of the brand and/or generic product, respectively. The pricing data should be used for benchmarking purposes only, and as such should not be used to set or adjudicate any prices for reimbursement or purchasing functions. Pricing data is updated monthly.

Monitoring Parameters Ophthalmic examination (visual acuity, color vision, eye-ground, and visual fields) at baseline and regular intervals during the course of therapy in patients being treated for longer than several days; signs/symptoms of hypersensitivity reactions, seizures, thrombotic events, and ureteral obstruction

International Brand Names Amchafibrin (ES); Azeptil (TR); Caprilon (FI); Ciclokapron (VE); Cyclokapron (IS); Cyklokapron (AE, AT, AU, BH, CH, CY, DE, DK, EE, EG, FI, GB, IE, IQ, IR, JO, KW, LB, LY, NL, NO, NZ, OM, QA, SA, SE, SG, SY, YE, ZA); Espencil (CL); Exacyl (AE, BE, CZ, FR, HN, LB, LU, PL); Fimoplas (PH); Hemoclot (PH); Hemostan (PH); Hemotrex (PH); Hexakapron (IL); Kalnex (ID); Lunex (ID); Nexa (ID); Qualixamin (HK); Ranexid (PH); Rikaparin (TW); Ronex (ID); Tiren (MY); Tramit (TH); Tranarest (IN); Tranex (IT); Tranexam (EC, RU, TW); Tranexic (TW); Tranexid (ID); Transamin (BR, CN, HK, JP, KP, MY, PE, PK, TH, TW); Transamina (UY); Transic (TH); Tranxa (ID); Trenaxin (PH)

Mechanism of Action Forms a reversible complex that displaces plasminogen from fibrin resulting in inhibition of fibrinolysis; it also inhibits the proteolytic activity of plasmin

With reduction in plasmin activity, tranexamic acid also reduces activation of complement and consumption of C1 esterase inhibitor (C1-INH), thereby decreasing inflammation associated with hereditary angioedema.

Pharmacodynamics and Pharmacokinetics

Distribution: V_d : 9-27 L

Protein binding: ~3%, primarily to plasminogen

Bioavailability: Oral: ~45%

Half-life elimination: ~2-11 hours

Time to peak: Oral: ~3 hours

Excretion: Urine (>95% as unchanged drug)

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