CYKLOKAPRON

Table of Content

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1. NAME OF THE MEDICINAL PRODUCT

CYKLOKAPRON TABLETS
CYKLOKAPRON INJECTION

2. QUALITATIVE AND QUANTITATIVE COMPOSITION

Active ingredient: tranexamic acid

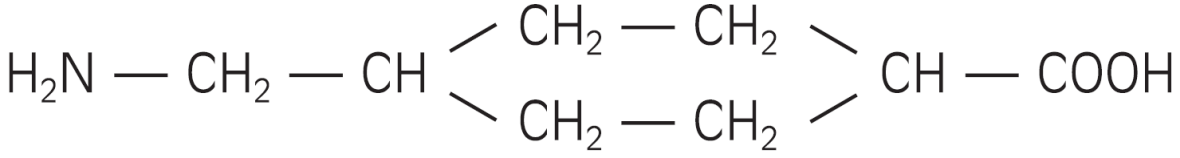
Dosage Form	**Strength**
Film-coated tablets	500 mg
Injection	100 mg/mL

3. PHARMACEUTICAL FORM

Film-coated tablets
Injection

Chemical name: trans-4-(aminomethyl) cyclohexanecarboxylic acid.

Structural formula:



Empirical formula: $C_8H_{15}NO_2$
Molecular weight: 157.2

Tranexamic acid is a white crystalline powder.

4. CLINICAL PARTICULARS

4.1. Therapeutic indications

- Haemorrhage or risk of haemorrhage in increased fibrinolysis or fibrinogenolysis.

  Local fibrinolysis may occur in the following conditions: Menorrhagia, prostatectomy and bladder surgery, haematuria, gastrointestinal haemorrhage, epistaxis, ulcerative colitis, conisation of the cervix, and dental extraction in patients with coagulopathies.

  General fibrinolysis may occur in the following conditions and situations: Prostatic and pancreatic cancer, after thoracic and other major surgery, in obstetrical complications such as ablatio placentae and postpartum haemorrhage, leukaemia, liver diseases, in connection with thrombolytic therapy with streptokinase.

- Hereditary angioneurotic oedema.

4.2. Posology and method of administration

TRANEXAMIC ACID MUST NOT BE USED FOR INTRATHECAL OR EPIDURAL ADMINISTRATION.

The recommended standard dose is 5 mL to 10 mL by slow intravenous injection at a rate of 1 mL/minute or 2 to 3 tablets of 0.5 g 2 to 3 times daily.

For the indications listed below, the following doses are recommended:

General fibrinolysis: 1.0 g (2 ampoules of 5 mL) by slow intravenous injection every 6 to 8 hours.

Prostatectomy: 0.5 g to 1.0 g (1 to 2 ampoules of 5 mL) by slow intravenous injection every 6 to 8 hours (the first injection being given during the operation) for the first 3 days after surgery, thereafter 1 g to 1.5 g orally (2 to 3 tablets) 2 to 3 times daily until macroscopic haematuria is no longer present.

Haematuria: 1 g to 1.5 g orally (2 to 3 tablets) 2 to 3 times daily until macroscopic haematuria is no longer present.

Epistaxis: 1.5 g orally (3 tablets) 3 times a day should be administered for 4 to 10 days. Cyklokapron solution for injection may be applied topically to the nasal mucosa of patients suffering from epistaxis. This can be done by soaking a gauze strip in the solution, and then packing the nasal cavity.

Menorrhagia: 1 g to 1.5 g orally (2 to 3 tablets) 3 to 4 times daily for 3 to 4 days. Cyklokapron therapy is initiated when bleeding has become profuse.

Conisation of the cervix: 1.5 g orally (3 tablets) 3 times a day for 12 to 14 days postoperatively.

Dental extraction in patients with coagulopathies: Immediately before surgery, Cyklokapron 10 mg/kg body weight should be given intravenously. After surgery, 25 mg/kg body weight is given orally 3 to 4 times daily for 6 to 8 days. It may be necessary to administer coagulation factor concentrate. This decision should be taken after consulting specialists on coagulation.

Hereditary angioneurotic oedema: 1 g to 1.5 g orally (2 to 3 tablets) 2 to 3 times daily as intermittent or continuous treatment, depending on whether the patient has prodromal symptoms or not.

For patients with moderate to severe impaired renal function, the following dosages are recommended:

Serum Creatinine (μmol/L)	**Oral Dose**	**Intravenous Dose**
120-249	15 mg/kg body weight twice daily	10 mg/kg body weight twice daily
250-500	15 mg/kg body weight daily	10 mg/kg body weight daily

Serum Creatinine (μmol/L)	Oral Dose	Intravenous Dose
>500	7.5 mg/kg body weight daily	5 mg/kg body weight daily

4.3. Contraindications

Intrathecal and epidural administration of tranexamic acid is contraindicated.

Active thromboembolic disease, such as deep vein thrombosis, pulmonary embolism and cerebral thrombosis.

Subarachnoid haemorrhage. The limited clinical experience shows that a reduced risk for re-bleeding is offset by an increase in the rate of cerebral ischaemia.

Hypersensitivity to tranexamic acid or any of the ingredients.

4.4. Special warnings and precautions for use

Patients with irregular menstrual bleeding should not use Cyklokapron until the cause of the irregularity has been established. If menstrual bleeding is not adequately reduced by Cyklokapron, an alternative treatment should be considered.

Patients with a high risk for thrombosis (a previous thromboembolic event and a family history of thromboembolic disease) should use Cyklokapron only if there is a strong medical indication and under strict medical supervision. The risk for thromboembolic events may be increased in patients using hormonal contraceptives. If Cyklokapron has to be used in these patients, advise them to use an effective alternative (nonhormonal) contraceptive method.

Patients with disseminated intravascular coagulation (DIC), who require treatment with Cyklokapron, must be under the strict supervision of a physician experienced in treating this disorder.

The blood levels are increased in patients with renal insufficiency. Therefore, a dose reduction is recommended (see section 4.2 Posology and method of administration).

In haematuria from the upper urinary tract, blood clots can, in a few cases, lead to ureteric obstruction.

Clinical experience with Cyklokapron in menorrhagic children under 15 years of age is not available.

Convulsions have been reported in association with tranexamic acid treatment. Serious events including death were reported in patients erroneously treated with tranexamic acid via intrathecal or epidural injection (see section 4.3 Contraindications).

4.5. Interaction with other medicinal products and other forms of interaction

No interaction studies between Cyklokapron and other drugs have been conducted. Because of the absence of interaction studies, simultaneous treatment with anticoagulants must take place under the strict supervision of a physician experienced in this field.

4.6. Fertility, pregnancy and lactation

Pregnancy

Available data from published studies, case series and case reports with tranexamic acid use in pregnant women in the second and third trimester and at the time of delivery have not clarified whether there is a drug-associated risk of miscarriage or adverse maternal or foetal outcomes. There are cases of foetal structural abnormalities that resulted in death of the newborn following administration of tranexamic acid to the mother during conception or the first trimester of pregnancy; however, due to other confounding factors the risk of major birth defects with use of tranexamic acid during pregnancy is not clear.

Animal studies do not indicate direct or indirect harmful effects with respect to reproductive toxicity (see section 5.3 Preclinical safety data).

The estimated background risk for major birth defects and miscarriage for the indicated human population is unknown. All pregnancies have a background risk of birth defect, loss, or other adverse outcomes.

It is not known whether tranexamic acid use in pregnant women may cause a drug-associated risk of miscarriage or adverse maternal or foetal outcomes. For decisions regarding the use of tranexamic acid during pregnancy, the potential risk of tranexamic acid administration on the foetus should always be considered along with the mother's clinical need for tranexamic acid; an accurate risk-benefit evaluation should drive the treating physician's decision.

Tranexamic acid passes through the placenta. The concentration in cord blood after an intravenous injection of 10 mg/kg to pregnant women is about 30 mg/L, as high as in the maternal blood.

There were 13 clinical studies that described foetal and/or neonatal functional issues such as low Apgar score, neonatal sepsis, cephalohematoma and 9 clinical studies that discussed alterations to growth including low birth weight and preterm birth at 22-36 weeks of gestation in foetuses and infants exposed to tranexamic acid *in utero*.

Lactation

Published literature reports the presence of tranexamic acid in human milk. There are no data on the effects of tranexamic acid on the breastfed child or the effects on milk production. The developmental and health benefits of breastfeeding should be considered along with the mother's clinical need for tranexamic acid and any potential adverse effects on the breastfed child from tranexamic acid or from the underlying maternal condition.

Fertility

There are no clinical data in humans supporting the impact of tranexamic acid on fertility.

4.7. Effects on ability to drive and use machines

Tranexamic acid may cause dizziness and therefore may influence the ability to drive or use machines.

4.8. Undesirable effects

Gastrointestinal disturbances occur in more than 30% of the patients at an oral administration of 6 g/day. The disturbances disappear when the dose is reduced.

Giddiness, nausea and hypotension occur when the intravenous injection is too fast.

Allergic skin reactions have been reported as an uncommon undesirable effect.

System Organ Class	**Common ≥1/100 to <1/10**	**Uncommon ≥1/1,000 to <1/100**	**Rare ≥1/10,000 to <1/1,000**	**Very Rare <1/10,000**	**Frequency Not Known (cannot be estimated from the available data)**
Immune system disorders		dermatitis allergic			
Gastrointestinal disorders	nausea, vomiting, diarrhoea				

Post-marketing Surveillance

The following adverse events have been reported in association with tranexamic acid therapy.

Immune System Disorders: Hypersensitivity including anaphylactic reaction
Nervous System Disorders: Seizure (convulsion), dizziness
Eye Disorders: Chromatopsia, visual impairment
Vascular Disorders: Embolism, hypotension (after fast injection)
Renal and Urinary Disorders: Renal cortical necrosis (e.g., after severe blood loss, such as after postpartum haemorrhage)

4.9. Overdose

Symptoms

Nausea, diarrhoea, dizziness, headache, and convulsions. Orthostatic symptoms and hypotension may occur.

Risk of thrombosis in predisposed individuals.

Treatment of Overdosage

If justified, initiate vomiting, then gastric lavage, charcoal therapy and symptomatic treatment. Maintain adequate diuresis.

Toxicity

37 g of tranexamic acid caused mild intoxication in a 17-year-old after gastric lavage.

5. PHARMACOLOGICAL PROPERTIES

5.1. Pharmacodynamic properties

Pharmacotherapeutic group: Antihaemorrhagics, Antifibrinolytics, amino acids. ATC code: B02AA02

Tranexamic acid is a competitive inhibitor of plasminogen activation, and at much higher concentrations, a noncompetitive inhibitor of plasmin, i.e., actions similar to aminocaproic acid. Tranexamic acid is about 10 times more potent *in vitro* than aminocaproic acid.

Tranexamic acid binds more strongly than aminocaproic acid to both the strong and weak receptor sites of the plasminogen molecule in a ratio corresponding to the difference in potency between the compounds. Tranexamic acid in a concentration of 1 mg/mL does not aggregate platelets *in vitro*.

Tranexamic acid in concentrations up to 10 mg/mL blood has no influence on the platelet count, the coagulation time or various coagulation factors in whole blood or citrated blood from normal subjects. However, tranexamic acid in concentrations as low as 1 mg/mL can prolong the thrombin time.

5.2. Pharmacokinetic properties

The plasma protein binding of tranexamic acid is about 3% at therapeutic plasma levels and seems to be fully accounted for by its binding to plasminogen. Tranexamic acid does not bind to serum albumin.

After an intravenous dose of 1 g, the plasma concentration time curve shows a triexponential decay with a half-life of about 2 hours for the terminal elimination phase. The initial volume of distribution is about 9 L to 12 L. Urinary excretion via glomerular filtration is the main route of elimination. Overall renal clearance is equal to overall plasma clearance (110 mL/min to 116 mL/min) and more than 95% of the dose is excreted in the urine as the unchanged drug. Excretion of tranexamic acid is about 90% at 24 hours after intravenous administration of 10 mg/kg body weight.

An antifibrinolytic concentration of tranexamic acid remains in different tissues for about 17 hours, and in the serum, up to 7 or 8 hours.

Tranexamic acid passes through the placenta. The concentration in cord blood after an intravenous injection of 10 mg/kg to pregnant women is about 30 mg/L, as high as in the maternal blood. Tranexamic acid diffuses rapidly into the joint fluid and the synovial membrane. In the joint fluid the same concentration is obtained as in the serum. The biological half-life of tranexamic acid in the joint fluid is about 3 hours.

The concentration of tranexamic acid in a number of other tissues is lower than in blood. In breast milk the concentration of tranexamic acid is about one-hundredth of the serum peak concentration. The concentration of tranexamic acid in cerebrospinal fluid is about one-tenth of that of the plasma. The drug passes into the aqueous humour, the concentration being about one-tenth of the plasma concentration.

Tranexamic acid has been detected in semen where it inhibits fibrinolytic activity but does not influence sperm migration.

5.3. Preclinical safety data

Carcinogenesis, Mutagenesis, Impairment of Fertility

No evidence of carcinogenicity or mutagenicity was observed in conventional studies of tranexamic acid. In a fertility and early embryonic development study, tranexamic acid had no effect on fertility or reproductive function of male or female rats at clinically relevant doses.

Reproductive Toxicity

In reproductive toxicity studies, tranexamic acid had no adverse effect on reproductive parameters of mice, rats and rabbits at clinically relevant doses (see section 4.6 Fertility, pregnancy and lactation).

Animal Toxicology and/or Pharmacology

Nonclinical studies have shown a retinal toxicity associated with tranexamic acid. Toxicity is characterised by retinal atrophy commencing with changes to the retinal pigmented epithelium and progressing to retinal detachment in cats. The toxicity appears to be dose related, and changes are partially reversible at lower doses. Effects (some fully reversible) are seen in cats at clinically relevant doses, effects in dogs are only observed at multiples of the clinical dose. Studies suggest that the underlying mechanism may be related to a transient retinal ischaemia at higher dose exposures, linked to the known sympathomimetic effect of high plasma levels of tranexamic acid. The clinical relevance of these findings is unknown.

Epileptogenic activity has been observed in animals with intrathecal use of tranexamic acid.

6. PHARMACEUTICAL PARTICULARS

6.1. List of excipients

Cyklokapron Tablets

Tablet core: Microcrystalline cellulose, low-substituted hydroxypropylcellulose (L-HPC), talc, magnesium stearate, colloidal silicone dioxide, povidone (K=25), purified water

Film-coating: Eudragit E 100, titanium dioxide, talc, magnesium stearate, polyethylene glycol 8000, vanillin, ethanol, acetone, purified water

Cyklokapron Injection

One 5 mL ampoule contains 500 mg tranexamic acid in water for injections.

6.2. Incompatibilities

For intravenous infusion, Cyklokapron for injection may be mixed with most solutions for infusion such as electrolyte solutions, carbohydrate solutions, amino acid solutions and dextran solutions. The solution should be prepared the same day the solution is to be used.

Cyklokapron injection may be mixed with heparin.

Cyklokapron injection should NOT be added to blood for transfusion or to injections containing penicillin.

Cyklokapron solution for injection has pH 6.5-8.

6.3. Shelf life

Please refer to carton for shelf life.

6.4. Special precautions for storage

Tablets: Do not store above 25°C.
Injection: Do not store above 25°C. Protect from freezing.

6.5. Nature and contents of container

Cyklokapron Tablets

Pack sizes of 20, 50 or 100 tablets in plastic bottles.

Cyklokapron Injection

Each box of Cyklokapron Injection contains ten ampoules.

Not all presentations may be available locally.

6.6. Instructions for use/handling

Not applicable.

7. PRODUCT OWNER

Pfizer Inc.
New York
United States

CYK-SIN-0126/0
Date of last revision: January 2026

Package leaflet: Information for the patient

Cyklokapron Tablets
Cyklokapron Injection
Tranexamic Acid

Read all of this leaflet carefully before you start taking this medicine because it contains important information for you.
- Keep this leaflet. You may need to read it again.
- If you have any further questions, ask your doctor, pharmacist or nurse.
- This medicine has been prescribed for you only. Do not pass it on to others. It may harm them, even if their signs of illness are the same as yours.
- If you get any side effects, talk to your doctor, pharmacist or nurse. This includes any possible side effects not listed in this leaflet. See section 4.

What is in this leaflet

1. What Cyklokapron is and what it is used for

What Cyklokapron is and how it works

Cyklokapron contains tranexamic acid which belongs to a group of medicines called antihaemorrhagics; antifibrinolytics, amino acids.

What Cyklokapron is used for

- Cyklokapron is used for the prevention and treatment of bleeding due to a process that inhibits blood clotting called fibrinolysis or fibrinogenolysis.

  Local fibrinolysis may occur in the following conditions:
  - Heavy periods in women.
  - Prostate surgery.
  - Bladder surgery.
  - Blood in the urine.
  - Gastrointestinal bleeding.
  - Nosebleed.
  - Inflammation of the large intestine causing ulceration and bleeding.
  - Surgical procedure that removes a cone-shaped piece of tissue from the cervix.
  - Dental extraction in patients with blood clotting problems.

  General fibrinolysis may occur in the following conditions and situations:
  - Prostatic and pancreatic cancer.

- After thoracic and other major surgery.
- In obstetrical complications such as placental abruption and postpartum haemorrhage.
- Leukaemia.
- Liver disease.
- Bleeding after you have been treated with another medicine e.g., streptokinase to break down blood clots.

- Hereditary angioneurotic oedema (rare genetic disorder characterised by recurrent episodes of swelling in the skin, mucous membranes, and gastrointestinal tract).

2. What you need to know before you take Cyklokapron

Do not take Cyklokapron

- If you are allergic to tranexamic acid or any of the other ingredients of this medicine (listed in section 6).
- If you have currently a disease leading to blood clots.
- Bleeding in the space between the brain and the thin tissues that cover and protect it (the meninges).

Cyklokapron Injection must not be given into the spine or epidurally (around the spinal cord).

If you think any of these apply to you, or if you are in any doubt at all, tell your doctor before taking Cyklokapron.

Warnings and precautions

Tell your doctor if any of these apply to you to help him/her decide if Cyklokapron is suitable for you:
- Irregular periods and the reason is not known.
- You, or someone in your family, has ever suffered from blood clots. The risk for blood clotting events may be increased in patients using contraceptives containing hormones.
- You have excessive clotting or bleeding throughout your body (disseminated intravascular coagulation).
- Kidney disease with or without blood in the urine. If you have had blood in your urine, it may lead to urinary tract obstruction.
- You have or have ever suffered from convulsion, fits or seizures.

Use in children and adolescents

Clinical experience with Cyklokapron in menorrhagic children under 15 years of age is not available.

Other medicines and Cyklokapron

Tell your doctor about any other medicines you are taking including medicines that you buy without a prescription, in a pharmacy, supermarket or health food shop.

Some medicines may interfere with Cyklokapron. These include:

- Other medicines used to prevent bleeding.
- Medicines used to thin the blood.

These medicines may affect the way Cyklokapron works.

Pregnancy

Tranexamic acid passes through the placenta. If you are pregnant, think you may be pregnant or are planning to have a baby, ask your doctor or pharmacist for advice before taking this medicine.

Breast-feeding

Tranexamic acid is excreted in human milk. If you are breast-feeding or plan to breast-feed, ask your doctor or pharmacist for advice before taking this medicine.

Driving and using machines

Cyklokapron may cause dizziness and therefore may influence the ability to drive or use machines.

3. How to use Cyklokapron

Always take this medicine exactly as your doctor has told you. You should check with your doctor, pharmacist or nurse if you are not sure.

Cyklokapron is available in tablets (taken orally) and injection (administered slowly into a vein).

Cyklokapron Injection must not be given into the spine or epidurally (around the spinal cord).

Your doctor will decide the correct dose for you and how long you should take it.

If you take or are given more Cyklokapron than the recommended dose

Symptoms from taking too much or being given too much Cyklokapron include:
- Nausea.
- Diarrhoea.
- Dizziness.
- Headache.
- Convulsions, fits or seizures.
- Low blood pressure.
- Formation of blood clots in the blood vessels.

Immediately telephone your doctor or go to Accident & Emergency at your nearest hospital if you think you or anyone else has taken too much Cyklokapron, even if there are no signs of discomfort or poisoning.

You may need urgent medical attention.

Have Cyklokapron or this leaflet available to give details if needed.

Keep telephone numbers for these places handy.

If you forget to take Cyklokapron Tablets

If you forget to take your Cyklokapron Tablets, take them as soon as you remember and then continue taking them as prescribed.

Do not take a double dose of your medication if you miss a dose. Just skip the missed dose and take your next dose as usual.

Do not take multiple doses of your medication at once to compensate for missed doses. This may increase the risk of experiencing side effects.

4. Possible side effects

Like all medicines, this medicine can cause side effects, although not everybody gets them.

The following side effects have been observed with Cyklokapron:

- Stomach and gut disturbances such as nausea, vomiting and diarrhoea.
- Giddiness, nausea and hypotension occur when the intravenous injection is too fast.
- Skin inflammation that is caused by an allergic reaction.
- Allergic reactions including a sudden, severe allergic reaction with breathing difficulty, swelling, light-headedness, fast heartbeat, sweating and loss of consciousness.
- Convulsions, fits or seizures.
- Dizziness.
- Changes in colour perception.
- Problems with the vision.
- Obstruction of a blood vessel by a clot.
- Kidney problems due to death of the tissue in the outer part of the kidney (renal cortical necrosis) e.g., after severe blood loss such as severe bleeding after childbirth.

Reporting of side effects

If you get any side effects, talk to your doctor, pharmacist or nurse. This includes any possible side effects not listed in this leaflet. By reporting side effects, you can help provide more information on the safety of this medicine.

5. How to store Cyklokapron

Keep this medicine out of the sight and reach of children.

Do not use this medicine after the expiry date which is stated on the carton.

Cyklokapron Tablets: Do not store above 25°C.
Cyklokapron Injection: Do not store above 25°C. Protect from freezing.

Do not use any pack that is damaged or shows signs of tampering.

Do not throw away any medicines via wastewater or household waste. Ask your pharmacist how to throw away medicines you no longer use. These measures will help to protect the environment.

6. Contents of the pack and other information

What Cyklokapron contains

Cyklokapron Tablets
- The active substance is tranexamic acid. Each tablet contains 500 mg tranexamic acid.
- The other ingredients are microcrystalline cellulose, low-substituted hydroxypropylcellulose (L-HPC), talc, magnesium stearate, colloidal silicone dioxide, povidone (K=25) and purified water. The film-coating contains Eudragit E 100, titanium dioxide, talc, magnesium stearate, polyethylene glycol 8000, vanillin, ethanol, acetone and purified water.

Cyklokapron Injection
- The active substance is tranexamic acid. One 5 mL ampoule contains 500 mg tranexamic acid.
- The other ingredient is water for injections.

Contents of the pack

Cyklokapron Tablets
Pack sizes of 20, 50 or 100 tablets in plastic bottles.

Cyklokapron Injection
Each box of Cyklokapron Injection contains ten ampoules.

Not all presentations may be available locally.

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