

Traxacid

(Tranexamic Acid J.P.)

ٹراکس ایسڈ
(ٹرانیکزامک ایسڈ جے پی)

COMPOSITION

Each **Traxacid** 250mg capsule contains 250 mg of Tranexamic Acid.
Each **Traxacid** 500mg capsule contains 500 mg of Tranexamic Acid.
Each **Traxacid** 250mg/5ml injection contains 250mg of Tranexamic Acid.
Each **Traxacid** 500mg/5ml injection contains 500mg of Tranexamic Acid.

MECHANISM OF ACTION

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 per mL does not aggregate platelets in vitro. Tranexamic Acid in concentrations up to 10 mg per 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. On the other hand, Tranexamic Acid in concentrations of 10 mg and 1 mg per mL blood prolongs the thrombin time.

PHARMACOKINETICS

Absorption: Absorption of Tranexamic Acid after oral administration in humans represents approximately 30 to 50% of the ingested dose and bioavailability is not affected by food intake. The plasma peak level after 1 g orally is 8 mg per L and after 2 g, 15 mg per L, and both obtained three hours after dosing. 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.

Distribution: 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. An antifibrinolytic concentration of Tranexamic Acid remains in different tissues for about 17 hours, and in the serum, up to seven or eight hours. Tranexamic Acid passes through the placenta. Tranexamic Acid diffuses rapidly into 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 three hours.

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

Metabolism: Only a small fraction of the drug is metabolized (less than 5%).

Elimination: Urinary excretion is the main route of elimination. More than 95% of the dose is excreted in the urine as the unchanged drug.

PHARMACODYNAMIC PROPERTIES

Tranexamic Acid is an antifibrinolytic agent, which competitively inhibits the activation of plasminogen to plasmin.

INDICATIONS

Tranexamic Acid is used in the treatment and prophylaxis of haemorrhage associated with excessive fibrinolysis.

- Menorrhagia
- Conisation of the cervix
- Epistaxis
- Prostatectomy and bladder surgery
- Prevention of bleeding after surgery
- Traumatic haemorrhage
- Hemorrhoid
- Traumatic hyphaema
- Management of dental extraction in haemophiliacs
- Hemorrhagic complications in association with thrombolytic therapy
- Hemorrhage associated with disseminated intravascular coagulation with predominant activation of the fibrinolytic system
- It is also used in the prophylaxis of hereditary angioneurotic oedema

DOSAGE AND ADMINISTRATION

Tranexamic Acid is given by mouth and by slow intravenous injection or continuous infusion. Administration by injection is usually changed to oral administration after a few days. Alternatively, an initial intravenous injection may be followed by continuous injection.

Oral doses are 1 to 1.5 g (or 15 to 25 mg/kg body-weight) 2 to 4 times daily.

When given by slow intravenous injection doses are 0.5 to 1 g (or 10 to 15 mg/kg) 3 times daily.

Tranexamic Acid is administered by continuous infusion at a rate of 25 to 50 mg /kg daily.

These doses are used in the short term for haemorrhage.

A standard dosage regimen after dental procedures in haemophiliacs is intravenous administration of Tranexamic Acid 10 mg/kg body weight given preoperatively with factor VIII or factor IX. Tranexamic Acid is continued postoperatively in a dose of 25 mg/kg 3 or 4 times daily by mouth for up to 8 days. Tranexamic Acid is used for prolonged periods in hereditary angioedema in doses of 1 to 1.5 g by mouth 2 or 3 times a day. Children may be given doses of 25 mg/kg by mouth or 10mg/kg intravenously usually administered 2 or 3 times daily. Reduced doses are suggested for patient with renal impairment

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

<i>Serum Creatinine (nmol/ml)</i>	Tranexamic Acid Dosage	
	<i>Intravenous</i>	<i>Oral</i>
120 to 250	10 mg/kg twice daily	25 mg/kg twice daily
250 to 500	10 mg/kg once daily	25 mg/kg once daily
>500	5 mg/kg once daily	12.5 mg/kg once daily

UNDESIRABLE EFFECTS

Gastrointestinal disorders (nausea, vomiting, diarrhoea) may occur but disappear when the dosage is reduced. Rare instances of colour vision disturbances have been reported. Patients who experience disturbance of colour vision should be withdrawn from treatment. Rare cases of thromboembolic events have

been reported. Rare cases of allergic skin reactions have also been reported. Rapid intravenous injection may cause dizziness and/or hypotension.

DRUG INTERACTIONS

The solution for injection may be mixed with most solutions for infusion such as electrolyte solutions, carbohydrate solutions, amino acid solutions and dextran solutions. The mixture should be prepared the same day the solution is to be used. **Traxacid** solution for injection may be mixed with Heparin. **Traxacid** Injection should NOT be mixed with blood. The drug is a synthetic amino acid, and should NOT be mixed with solutions containing penicillin.

WARNINGS

Visual abnormalities, often poorly characterized, represent the most frequently reported postmarketing adverse reaction in Sweden. For patients who are to be treated continually for longer than several days, an ophthalmological examination, including visual acuity, color vision, eye-ground and visual fields, is advised, before commencing and at regular intervals during the course of treatment. Tranexamic Acid should be discontinued if changes in examination results are found.

PRECAUTIONS

General

- The dose of **Traxacid** capsules and injection should be reduced in patients with renal insufficiency because of the risk of accumulation.
- Urethral obstruction due to clot formation in patients with upper urinary tract bleeding has been reported in patients treated with **Traxacid**.
- Venous and arterial thrombosis or thromboembolism has been reported in patients treated with **Traxacid**. In addition, cases of central retinal artery and central retinal vein obstruction have been reported.
- Patients with a previous history of thromboembolic disease may be at increased risk for venous or arterial thrombosis.
- **Traxacid** should not be administered concomitantly with Factor IX Complex concentrates or Anti-inhibitor Coagulant concentrates, as the risk of thrombosis may be increased.
- Patients with disseminated intravascular coagulation (DIC), who require treatment with **Traxacid**, must be under strict supervision of a physician experienced in treating this disorder.

PREGNANCY (Category B)

There are no adequate and well-controlled studies in pregnant women. However, Tranexamic Acid is known to pass the placenta and appears in cord blood at concentrations approximately equal to maternal concentration. Because animal reproduction studies are not always predictive of human response, this drug should be used during pregnancy only if clearly needed.

NURSING MOTHERS

Tranexamic Acid is present in the mother's milk at a concentration of about a hundredth of the corresponding serum levels. Caution should be exercised when **Traxacid** is administered to a nursing woman.

PEDIATRIC USE

The drug has had limited use in pediatric patients, principally in connection with tooth extraction. The limited data suggest that dosing instructions for adults can be used for pediatric patients needing **Traxacid** therapy.

GERIATRIC USE

In general, dose selection for an elderly patient should be cautious, usually starting at the low end of the dosing range, reflecting the greater frequency of decreased hepatic, renal, or cardiac function, and of concomitant disease or other drug therapy and it may be useful to monitor renal.

OVERDOSE

Symptoms of overdosage may be nausea, vomiting, orthostatic symptoms and/or hypotension.

CONTRAINDICATIONS

Traxacid capsule and injection are contraindicated:

- In patients with acquired defective color vision, since this prohibits measuring one endpoint that should be followed as a measure of toxicity.
- In patients with subarachnoid hemorrhage. Anecdotal experience indicates that cerebral edema and cerebral infarction may be caused by **Traxacid** in such patients.
- In patients with active intravascular clotting.
- Severe renal failure because of risk of accumulation.
- Hypersensitivity to Tranexamic Acid or any of the other ingredients.

PRESENTATION

Traxacid 250 mg capsule : 2x10's/pack.

Traxacid 500 mg capsule : 2x10's/pack.

Traxacid 250mg/5ml Injection : 2 x 5's/pack.

Traxacid 500mg/5ml Injection : 2 x 5's/pack.

DOSAGE

As directed by the physician.

INSTRUCTIONS

Store below 30°C.

Protect from sunlight & moisture.

Keep out of reach of children.

Detailed prescribing information is available on request.

Manufactured by:
AsianContinental (Pvt.) Ltd.
D-32, S.I.T.E. II, Super Highway,
Karachi-Pakistan.



An ISO Certified Company