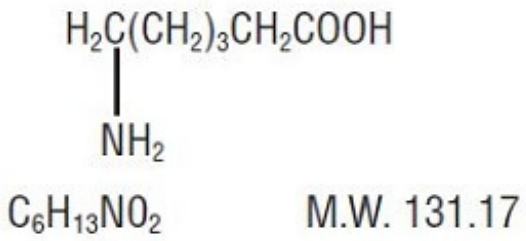
AMINOCAPROIC ACID- aminocaproic acid tablet
American Health Packaging

Aminocaproic Acid Tablets USP
8473925/0223F
Rx only

DESCRIPTION

Aminocaproic Acid Tablets (aminocaproic acid) is 6-aminohexanoic acid, which acts as an inhibitor of fibrinolysis. Its chemical structure is:



$C_6H_{13}NO_2$ M.W. 131.17

Aminocaproic acid is soluble in water, acid, and alkaline solutions; it is sparingly soluble in methanol and practically insoluble in chloroform.

Each Aminocaproic Acid Tablets, for oral administration contains 500 mg or 1000 mg of aminocaproic acid and the following inactive ingredients: povidone, crospovidone, stearic acid, and magnesium stearate.

CLINICAL PHARMACOLOGY

The fibrinolysis-inhibitory effects of Aminocaproic Acid Tablets appear to be exerted principally via inhibition of plasminogen activators and to a lesser degree through antiplasmin activity.

In adults, oral absorption appears to be a zero-order process with an absorption rate of 5.2 g/hr. The mean lag time in absorption is 10 minutes. After a single oral dose of 5 g, absorption was complete (F=1). Mean ± SD peak plasma concentrations (164 ± 28 mcg/mL) were reached within 1.2 ± 0.45 hours.

After oral administration, the apparent volume of distribution was estimated to be 23.1 ± 6.6 L (mean ± SD). Correspondingly, the volume of distribution after intravenous administration has been reported to be 30.0 ± 8.2 L. After prolonged administration, Aminocaproic Acid Tablets has been found to distribute throughout extravascular and intravascular compartments of the body, penetrating human red blood cells as well as other tissue cells.

Renal excretion is the primary route of elimination. Sixty-five percent of the dose is recovered in the urine as unchanged drug and 11 % of the dose appears as the metabolite adipic acid. Renal clearance (116 mL/min) approximates endogenous

creatinine clearance. The total body clearance is 169 mL/min. The terminal elimination half-life for Aminocaproic Acid Tablets is approximately 2 hours.

INDICATIONS AND USAGE

Aminocaproic Acid Tablets is useful in enhancing hemostasis when fibrinolysis contributes to bleeding. In life-threatening situations, transfusion of appropriate blood products and other emergency measures may be required.

Fibrinolytic bleeding may frequently be associated with surgical complications following heart surgery (with or without cardiac bypass procedures) and portacaval shunt; hematological disorders such as amegakaryocytic thrombocytopenia (accompanying aplastic anemia); acute and life-threatening abruptio placentae; hepatic cirrhosis; and neoplastic disease such as carcinoma of the prostate, lung, stomach, and cervix.

Urinary fibrinolysis, usually a normal physiological phenomenon, may contribute to excessive urinary tract fibrinolytic bleeding associated with surgical hematuria (following prostatectomy and nephrectomy) or nonsurgical hematuria (accompanying polycystic or neoplastic diseases of the genitourinary system). (See **WARNINGS**.)

CONTRAINDICATIONS

Aminocaproic Acid Tablets should not be used when there is evidence of an active intravascular clotting process.

When there is uncertainty as to whether the cause of bleeding is primary fibrinolysis or disseminated intravascular coagulation (DIC), this distinction must be made before administering Aminocaproic Acid Tablets.

The following tests can be applied to differentiate the two conditions:

- Platelet count is usually decreased in DIC but normal in primary fibrinolysis.
- Protamine paracoagulation test is positive in DIC; a precipitate forms when protamine sulfate is dropped into citrated plasma. The test is negative in the presence of primary fibrinolysis.
- The euglobulin clot lysis test is abnormal in primary fibrinolysis but normal in DIC.

Aminocaproic Acid Tablets must not be used in the presence of DIC without concomitant heparin.

WARNINGS

In patients with upper urinary tract bleeding, Aminocaproic Acid Tablets administration have been known to cause intrarenal obstruction in the form of glomerular capillary thrombosis or clots in the renal pelvis and ureters. For this reason, Aminocaproic Acid Tablets should not be used in hematuria of upper urinary tract origin, unless the possible benefits outweigh the risk.

Subendocardial hemorrhages have been observed in dogs given intravenous infusions of 0.2 times the maximum human therapeutic dose of Aminocaproic Acid Tablets and in monkeys given 8 times the maximum human therapeutic dose of Aminocaproic Acid Tablets.

Fatty degeneration of the myocardium has been reported in dogs given intravenous doses of Aminocaproic Acid Tablets at 0.8 to 3.3 times the maximum human therapeutic dose and in monkeys given intravenous doses of Aminocaproic Acid Tablets at 6 times the maximum human therapeutic dose.

Rarely, skeletal muscle weakness with necrosis of muscle fibers has been reported following prolonged administration. Clinical presentation may range from mild myalgias with weakness and fatigue to a severe proximal myopathy with rhabdomyolysis, myoglobinuria, and acute renal failure. Muscle enzymes, especially creatine phosphokinase (CPK) are elevated. CPK levels should be monitored in patients on long-term therapy. Aminocaproic Acid Tablets administration should be stopped if a rise in CPK is noted. Resolution follows discontinuation of Aminocaproic Acid Tablets; however, the syndrome may recur if Aminocaproic Acid Tablets is restarted.

The possibility of cardiac muscle damage should also be considered when skeletal myopathy occurs. One case of cardiac and hepatic lesions observed in man has been reported. The patient received 2 g of aminocaproic acid every 6 hours for a total dose of 26 g. Death was due to continued cerebrovascular hemorrhage. Necrotic changes in the heart and liver were noted at autopsy.

PRECAUTIONS

General

Aminocaproic Acid Tablets inhibits both the action of plasminogen activators and to a lesser degree, plasmin activity. The drug should NOT be administered without a definite diagnosis and/or laboratory finding indicative of hyperfibrinolysis (hyperplasminemia) [1].

Inhibition of fibrinolysis by aminocaproic acid may theoretically result in clotting or thrombosis. However, there is no definite evidence that administration of aminocaproic acid has been responsible for the few reported cases of intravascular clotting which followed this treatment. Rather, it appears that such intravascular clotting was most likely due to the patient's preexisting clinical condition, e.g., the presence of DIC. It has been postulated that extravascular clots formed in vivo may not undergo spontaneous lysis as do normal clots.

Reports have appeared in the literature of an increased incidence of certain neurological deficits such as hydrocephalus, cerebral ischemia, or cerebral vasospasm associated with the use of antifibrinolytic agents in the treatment of subarachnoid hemorrhage (SAH). All of these events have also been described as part of the natural course of SAH, or as a consequence of diagnostic procedures such as angiography. Drug relatedness remains unclear.

Aminocaproic acid should not be administered with Factor IX Complex concentrates or Anti-Inhibitor Coagulant concentrates, as the risk of thrombosis may be increased.

Laboratory Tests

The use of Aminocaproic Acid Tablets should be accompanied by tests designed to determine the amount of fibrinolysis present. There are presently available: (a) general tests such as those for the determination of the lysis of a clot of blood or plasma; and (b) more specific tests for the study of various phases of the fibrinolytic mechanisms.

These latter tests include both semiquantitative and quantitative techniques for the determination of profibrinolysin, fibrinolysin, and antifibrinolysin.

Drug Laboratory Test Interactions

Prolongation of the template bleeding time has been reported during continuous intravenous infusion of Aminocaproic Acid Tablets at dosages exceeding 24 g/day. Platelet function studies in these patients have not demonstrated any significant platelet dysfunction. However, in vitro studies have shown that at high concentrations (7.4 mMol/L or 0.97 mg/mL and greater) aminocaproic acid inhibits ADP and collagen-induced platelet aggregation, the release of ATP and serotonin, and the binding of fibrinogen to the platelets in a concentration-response manner. Following a 10 g bolus of Aminocaproic Acid Tablets, transient peak plasma concentrations of 4.6 mMol/L or 0.60 mg/mL have been obtained. The concentration of Aminocaproic Acid Tablets necessary to maintain inhibition of fibrinolysis is 0.99 mMol/L or 0.13 mg/mL. Administration of a 5 g bolus followed by 1 to 1.25 g/hr should achieve and sustain plasma levels of 0.13 mg/mL. Thus, concentrations which have been obtained in vivo clinically in patients with normal renal function are considerably lower than the in vitro concentrations found to induce abnormalities in platelet function tests. However, higher plasma concentrations of Aminocaproic Acid Tablets may occur in patients with severe renal failure.

Carcinogenesis, Mutagenesis, Impairment of Fertility

Long-term studies in animals to evaluate the carcinogenic potential of Aminocaproic Acid Tablets and studies to evaluate its mutagenic potential have not been conducted. Dietary administration of an equivalent of the maximum human therapeutic dose of Aminocaproic Acid Tablets to rats of both sexes impaired fertility as evidenced by decreased implantations, litter sizes and number of pups born.

Pregnancy

Pregnancy Category C. Animal reproduction studies have not been conducted with Aminocaproic Acid Tablets. It is also not known whether Aminocaproic Acid Tablets can cause fetal harm when administered to a pregnant woman or can affect reproduction capacity. Aminocaproic Acid Tablets should be given to a pregnant woman only if clearly needed

Nursing Mothers

It is not known whether this drug is excreted in human milk. Because many drugs are excreted in human milk, caution should be exercised when Aminocaproic Acid Tablets is administered to a nursing woman.

Pediatric Use

Safety and effectiveness in pediatric patients have not been established.

ADVERSE REACTIONS

Aminocaproic Acid Tablets is generally well tolerated. The following adverse experiences have been reported:

General: Edema, headache, malaise.

Hypersensitivity Reactions: Allergic and anaphylactoid reactions, anaphylaxis.

Cardiovascular: Bradycardia, hypotension, peripheral ischemia, thrombosis.

Gastrointestinal: Abdominal pain, diarrhea, nausea, vomiting.

Hematologic: Agranulocytosis, coagulation disorder, leukopenia, thrombocytopenia.

Musculoskeletal: CPK increased, muscle weakness, myalgia, myopathy (see WARNINGS), myositis, rhabdomyolysis.

Neurologic: Confusion, convulsions, delirium, dizziness, hallucinations, intracranial hypertension, stroke, syncope.

Respiratory: Dyspnea, nasal congestion, pulmonary embolism.

Skin: Pruritis, rash.

Special Senses: Tinnitus, vision decreased, watery eyes.

Urogenital: BUN increased, renal failure. There have been some reports of dry ejaculation during the period of Aminocaproic Acid Tablets treatment. These have been reported to date only in hemophilia patients who received the drug after undergoing dental surgical procedures. However, this symptom resolved in all patients within 24 to 48 hours of completion of therapy.

OVERDOSAGE

A few cases of acute overdosage with Aminocaproic Acid Tablets administered intravenously have been reported. The effects have ranged from no reaction to transient hypotension to severe acute renal failure leading to death. One patient with a history of brain tumor and seizures experienced seizures after receiving an 8 gram bolus injection of Aminocaproic Acid Tablets. The single dose of Aminocaproic Acid Tablets causing symptoms of overdosage or considered to be life-threatening is unknown. Patients have tolerated doses as high as 100 grams while acute renal failure has been reported following a dose of 12 grams.

The intravenous and oral LD50 of Aminocaproic Acid Tablets were 3.0 and 12.0 g/kg, respectively, in the mouse and 3.2 and 16.4 g/kg, respectively, in the rat. An intravenous infusion dose of 2.3 g/kg was lethal in the dog. On intravenous administration, tonic-clonic convulsions were observed in dogs and mice.

No treatment for overdosage is known, although evidence exists that Aminocaproic Acid Tablets is removed by hemodialysis and may be removed by peritoneal dialysis. Pharmacokinetic studies have shown that total body clearance of Aminocaproic Acid Tablets is markedly decreased in patients with severe renal failure.

DOSAGE AND ADMINISTRATION

An identical dosage regimen may be followed by administering Aminocaproic Acid Tablets as follows:

For the treatment of acute bleeding syndromes due to elevated fibrinolytic activity, it is

suggested that 5 Aminocaproic Acid Tablets, 1000 mg or 10 Aminocaproic Acid Tablets, 500 mg (5 g) be administered during the first hour of treatment, followed by a continuing rate of 1 Aminocaproic Acid Tablets, 1000 mg or 2 Aminocaproic Acid Tablets, 500 mg (1 g) per hour. This method of treatment would ordinarily be continued for about 8 hours or until the bleeding situation has been controlled.

HOW SUPPLIED

Aminocaproic Acid Tablets, USP 500 mg
Each round, white to off-white tablet, bisect debossed with logo "010" on top and bottom of the scored line on one side and the other side is blank, contains 500 mg of aminocaproic acid.
Unit dose packages of 30 (5 x 6) NDC 60687-739-25

Store at 20° to 25°C (68° to 77°F) [see USP Controlled Room Temperature]

FOR YOUR PROTECTION: Do not use if blister is torn or broken.

REFERENCE

1. Stefanini M, Dameshek W: *The Hemorrhagic Disorders,* Ed. 2, New York, Grune and Stratton; 1962:510-51

To request medical information or to report SUSPECTED ADVERSE REACTIONS, contact Vitruvias Therapeutics at 1-844-451-5944 or FDA at 1-800-FDA-1088 or www.fda.gov/medwatch.

For more information about the packaging or labeling, call American Health Packaging at 1-800-707-4621.

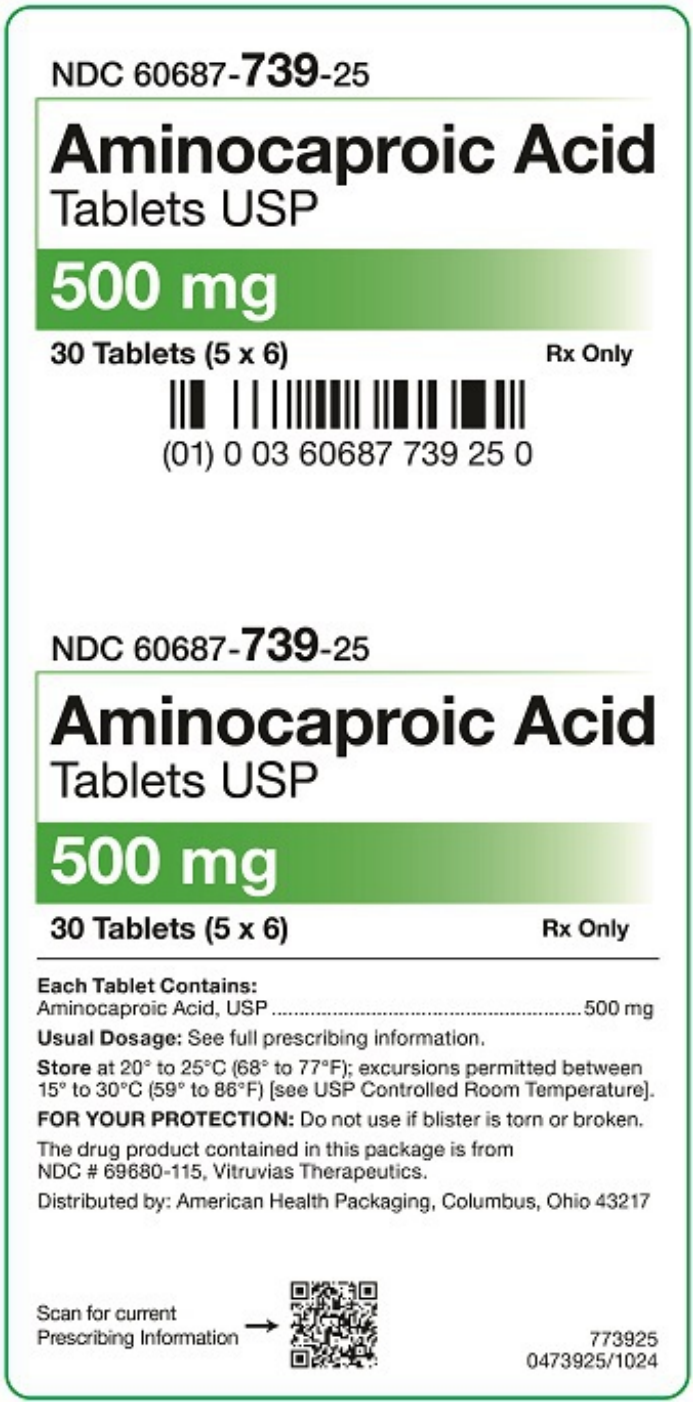
PACKAGING INFORMATION

American Health Packaging unit dose blisters contain drug product from Vitruvias Therapeutics as follows:
(500 mg / 30 UD) NDC 60687-739-25 packaged from NDC 69680-115

Distributed by:
American Health Packaging
Columbus, OH 43217

8473925/0223F

Package/Label Display Panel – Carton – 500 mg



NDC 60687- **739**-25

Aminocaproic Acid
Tablets USP

500 mg

30 Tablets (5 x 6) **Rx Only**

Each Tablet Contains:
Aminocaproic Acid, USP .....500 mg

Usual Dosage: See full prescribing information.

Store at 20° to 25°C (68° to 77°F); excursions permitted between 15° to 30°C (59° to 86°F) [see USP Controlled Room Temperature].

FOR YOUR PROTECTION: Do not use if blister is torn or broken.

The drug product contained in this package is from
NDC # 69680-115, Vitruvias Therapeutics.

Distributed by: American Health Packaging, Columbus, Ohio 43217

773925
0473925/1024

Package/Label Display Panel – Blister – 500 mg

Aminocaproic
Acid
Tablet, USP

500 mg

AMINOCAPROIC ACID

aminocaproic acid tablet

Product Information			
Product Type	HUMAN PRESCRIPTION DRUG	**Item Code (Source)**	NDC:60687-739(NDC:69680-115)
Route of Administration	ORAL		

Active Ingredient/Active Moiety		
Ingredient Name	**Basis of Strength**	**Strength**
AMINOCAPROIC ACID (UNII: U6F3787206) (AMINOCAPROIC ACID - UNII:U6F3787206)	AMINOCAPROIC ACID	500 mg

Inactive Ingredients

Ingredient Name	Strength
POVIDONE K30 (UNII: U725QWY32X)	
CROSPOVIDONE (UNII: 2S7830E561)	
STEARIC ACID (UNII: 4ELV7Z65AP)	
MAGNESIUM STEARATE (UNII: 70097M6I30)	

Product Characteristics

Color	white	**Score**	2 pieces
Shape	ROUND	**Size**	13mm
Flavor		**Imprint Code**	010;010
Contains			

Packaging

#	Item Code	Package Description	Marketing Start Date	Marketing End Date
1	NDC:60687-739-25	30 in 1 CARTON	03/10/2023	
1	NDC:60687-739-95	1 in 1 BLISTER PACK; Type 0: Not a Combination Product		

Marketing Information

Marketing Category	Application Number or Monograph Citation	Marketing Start Date	Marketing End Date
ANDA	ANDA209060	03/10/2023	

Labeler - American Health Packaging (929561009)

Establishment

Name	Address	ID/FEI	Business Operations
American Health Packaging		929561009	repack(60687-739)

Revised: 5/2026 American Health Packaging