

## Medical Drugs: Diamox

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### Drug information

Generic name	Diamox
common name	Acetazolamide sodium
European common name	Acetazolamide Sodium
Formulation name	Acetazolamide sodium injection
Medicinal effect classification name	Carbonic anhydrase inhibitor
Medicinal effect classification number	2134
ATC code	S01EC01
KEGG DRUG	<a href="#">D01196 Acetazolamide Sodium Product List</a> <a href="#">US Product</a> <a href="#">Interaction Information</a>
KEGG DGROUP	<a href="#">DG01134 Acetazolamide Product List</a>
JAPIC	<a href="#">Package insert (PDF)</a>

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### Package insert information

December 2011 revision (Revision of precautions for use) (7th edition)

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### Product information [Composition / properties](#)

Brand name	European trademark name	Manufacturing company	YJ code	Regulation classification
<a href="#">Diamox injection 500mg</a>	DIAMOX	Sanwa Kagaku Kenkyusho	2134400D1039	Prescription drugs

### Contraindications

Do not administer to the following patients

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Patients with a history of hypersensitivity to the ingredients of this drug or sulfonamides

Patients with advanced liver disease such as cirrhosis or severe hepatic dysfunction [May increase blood ammonia concentration and induce hepatic coma. ]

Patients with anuria and acute renal failure [Delayed excretion of this drug may cause strong side effects. ]

Patients with hyperchloremic acidosis, apparently decreased sodium / potassium in body fluids, patients with adrenal insufficiency / Addison's disease [Electrolyte abnormalities may be exacerbated. ]

Do not administer to the following patients for a long time

Patients with chronic angle-closure glaucoma [The exacerbation of glaucoma may be subclinical. ]

### Efficacy / effect and usage / dose

#### Efficacy / effect

Improvement of respiratory acidosis in [glaucoma](#) , epilepsy (added when other antiepileptic drugs are inadequately effective), [emphysema](#) , [Meniere's disease](#) and [Meniere's syndrome](#)

#### Usage / dose

##### Glaucoma

As acetazolamide, 250 mg to 1 g daily for adults is usually injected intravenously or intramuscularly in divided doses.

##### Epilepsy (added when other antiepileptic drugs are inadequate)

Acetazolamide is usually injected intravenously or intramuscularly in 250-750 mg daily for adults.

##### Improvement of respiratory acidosis in emphysema

As acetazolamide, 250-500 mg is usually injected intravenously or intramuscularly once daily for adults.

#### Meniere's disease and Meniere's syndrome

As acetazolamide, 250-750 mg is usually injected intravenously or intramuscularly once daily for adults.

In either case, the dose may be adjusted according to the patient's age and symptoms.

#### **Precautions related to usage / dose**

Do this only if oral administration is difficult or urgent, or if oral administration is considered inadequate.  
If oral administration is possible and the effect is judged to be sufficient, switch to oral administration immediately.

### Precautions for use

#### Careful administration

Patients with severe coronary sclerosis or cerebral arteriosclerosis [If rapid diuresis occurs, rapid plasma volume decrease and blood concentration may occur, leading to thromboembolism. ]

Patients with severe renal impairment [Delayed excretion of this drug may cause strong side effects. ]

Patients with hepatic disease / dysfunction [May increase blood ammonia concentration and induce hepatic coma. ]

Patients with diabetes or impaired glucose tolerance [Abnormal fluctuations in blood glucose levels have been reported. ]

Patients with severe hypercapnia requiring a respirator, etc. [Acidosis may progress. ]

Patients receiving digitalis, sugar corticosteroids or ACTH [see "Interactions"]

Patients on low-salt therapy [May cause hyponatremia. ]

Elderly [Refer to "Administration to the Elderly"]

Infants [Refer to "Administration to children"]

#### Important basic notes

If used continuously, electrolyte abnormalities may occur, so perform regular inspections.

Dizziness and light-headedness may occur due to the antihypertensive effect, so be careful when operating dangerous machinery such as working at heights or driving a car.

#### Interaction

##### Caution for combined use

<u>Antihypertensive</u>	May enhance the action of antihypertensive agents.	The mechanism is not clear, but it is said to enhance the action of antihypertensive agents.
<u>Digitalis preparation</u> <u>Digoxin</u> <u>Digitoxin</u>	Since these effects on the heart may be enhanced, blood potassium level should be monitored and potassium supplementation should be considered when used in combination.	It is considered that the action of digitalis is enhanced by the decrease of serum potassium by this drug.
<u>Carbamazepine</u>	Since carbamazepine addiction symptoms may occur, when used in combination, pay attention to the development of carbamazepine addiction symptoms, measure the serum concentration, and consider the dose reduction.	Although the mechanism is not clear, it has been reported that the combined use increases the serum concentration of carbamazepine.
<u>Glycocorticosteroids</u> <u>ACTH</u>	May cause excessive potassium release.	Since both drugs promote potassium excretion, it is considered that the combined use increases potassium excretion.
<u>Ammonium chloride</u>	The effect of this drug is inhibited.	The mechanism is unknown.
<u>High dose of vitamin C</u>	Renal and urethral stones are more likely to occur.	After taking a large amount of vitamin C, urinary excretion of its biotransform, oxalic acid, is thought to increase, promoting calcium precipitation and increasing renal and urinary tract stones.
<u>Phenobarbital</u> <u>Phenytoin, etc.</u>	There are reports of rickets and osteomalacia. If such symptoms occur, reduce the dose or discontinue administration.	Although it is not clear, it is considered that the metabolic acidosis caused by this drug promotes the excretion of calcium and phosphate, and the bone metabolism disorder caused by antiepileptic drugs is exacerbated.
<u>High dose of aspirin</u>	It has been reported that the side effects of this drug are enhanced. If any abnormalities are observed, the dose should be reduced or administration should be discontinued.	It is possible that the excretion of this drug is delayed due to competitive binding in plasma proteins and competition for renal excretion.

#### Side effects

Overview of side effect occurrence status

This drug has not been investigated, such as a drug use-results survey, to clarify the frequency of adverse drug reactions.

Serious side effects and side effect termsSerious side effectsMetabolic acidosis, electrolyte abnormalities

Electrolyte abnormalities such as metabolic acidosis, hypokalemia, and hyponatremia may occur. If any abnormalities are observed, appropriate measures such as discontinuation of administration should be taken.

Shock, anaphylaxis-like symptoms

Since shock and anaphylaxis-like symptoms may occur, careful observation was performed, and abnormalities such as discomfort, abnormal mouth, wheezing, dizziness, stool, tinnitus, sweating, decreased blood pressure, dyspnea, and urticaria were observed. If so, discontinue administration and take appropriate measures.

Aplastic anemia, hemolytic anemia, agranulocytosis, thrombocytopenic purpura

Serious blood disorders such as aplastic anemia, hemolytic anemia, agranulocytosis (precursor symptoms such as fever, sore throat, and influenza-like symptoms), as well as decreased bone marrow function, leukopenia, and thrombocytopenia. , Thrombocytopenic purpura, etc. may occur, so observe carefully such as by conducting regular examinations, and if any abnormalities are observed, take appropriate measures such as discontinuing administration.

Mucocutaneous ocular syndrome (Stevens-Johnson syndrome), toxic epidermal necrolysis (Lyell syndrome)

Mucocutaneous ocular syndrome (Stevens-Johnson syndrome) and toxic epidermal necrolysis (Lyell syndrome) may occur, so observe carefully and if fever, erythema, pruritus, ocular hyperemia, stomatitis, etc. appear. To do this, discontinue administration and take appropriate measures.

Acute renal failure, renal / urethral stones

Acute renal failure and renal / urinary tract stones may occur. Patients should be carefully monitored, and if hematuria, crystalluria, oliguria, etc. occur, administration should be discontinued. <sup>1) 2)</sup>

Confusion, convulsions

Central nervous system symptoms such as confusion and convulsions may occur, so observe carefully. If such symptoms occur, take appropriate measures such as discontinuing administration.

Liver dysfunction, jaundice

Liver dysfunction and jaundice accompanied by elevation of AST (GOT), ALT (GPT), Al-P, etc. may occur, so observe carefully and discontinue administration if any abnormalities are observed. To carry out Do treatment.

Other side effects

	Frequency unknown
Metabolic disorders Note 1)	Hyperuricemia, elevated blood sugar, decreased blood sugar
Skin	Photosensitivity
Hypersensitivity Note 2)	Fever, rash
Digestive organ	Loss of appetite, nausea, vomiting, diarrhea, abdominal pain, constipation, dysgeusia
Psycho-nervous system	Paresthesia (numbness, etc.), paralysis, dizziness, headache, agitation, irritability, depression, somnolence, disorientation, tremor
Sensory organs	Transient myopia, hearing impairment
Renal / urethral system	Polyuria, urine sugar
Other	Malaise, flushing

Note 1) Carefully observe and take appropriate measures such as dose reduction or drug suspension. Note 2) Discontinue administration.

Administration to the elderly

Pay attention to the following points, start administration from a low dose, and carefully observe the patient's condition.

In elderly people, when rapid diuresis appears, rapid plasma volume decrease and blood concentration may occur, leading to thromboembolism and the like.

In elderly people with impaired renal function, hyponatremia and hypokalemia may occur due to metabolic acidosis.

Administration to pregnant women, pregnant women, lactating women, etc.

It should not be given to women in early pregnancy or who may be pregnant. [In experiments in which subcutaneous administration was performed during the organogenesis period of pregnant mice, an increase in dead foets and osteogenesis imperfecta were observed. ]

Avoid administration to lactating women, and stop lactation if it is unavoidable. [Transfer into human breast milk has been reported. ]

Administration to children, etc.

Safety for children has not been established.

Growth retardation has been reported with long-term administration to children. [It is believed to be due to chronic metabolic acidosis. ]

OverdoseSigns, symptoms

May cause electrolyte abnormalities (especially hypokalemia), acidosis and central nervous system disorders.

#### treatment

The specific antidote for this drug is unknown. In case of overdose, monitor electrolyte (especially potassium) and blood pH, supplement electrolyte if necessary, and administer sodium bicarbonate. Since this drug is excreted by the kidneys and is removed by hemodialysis, the indication for hemodialysis should be considered, especially in patients with renal impairment if the condition worsens due to overdose.

#### Precautions for application

##### Route of administration

In principle, the route of administration should be intravenous injection, and avoid mixed injection with other drugs.

##### During intramuscular injection

When injecting intramuscularly, pay attention to the following points to avoid affecting tissues and nerves. Intramuscular injection may also cause pain at the injection site.

Intramuscular administration should be performed to the minimum necessary only when it is unavoidable. In particular, do not repeat injections at the same site.

Also, pay special attention to newborns, low birth weight infants, infants and children.

Be careful to avoid nerve tract areas.

If you complain of severe pain or see blood reflux when you insert the injection needle, immediately remove the needle and inject at a different site.

##### At the time of intravenous injection

Intravenous injections may cause vascular pain, so injections should be given as slowly as possible.

#### Other notes

Although it is not indicated, when this drug was intravenously administered to patients with cerebral infarction, moyamoya disease, etc. for the purpose of testing cerebral circulatory reserve, exacerbation or recurrence of symptoms such as cerebral infarction and acute heart failure were observed. There is a report.

For patients who specifically need night rest, administration in the morning is recommended to avoid night urination.

In the results of 199 placebo-controlled clinical trials on epilepsy, psychiatric disorders, etc. in multiple antiepileptic drugs conducted overseas, the risk of suicidal ideation and suicide attempts was found in the antiepileptic drug group. It was about twice as high as the placebo group (antiepileptic drug group: 0.43%, placebo group: 0.24%), and it was calculated that the antiepileptic drug group had 1.9 more people per 1000 than the placebo group (95% confidence interval: 0.6-3.9). The subgroup of epilepsy patients is calculated to be 2.4 more per 1000 than the placebo group.

## Pharmacokinetics

When acetazolamide was intravenously administered to two pediatric hydrocephalus patients at 14 mg / kg and 18 mg / kg, respectively, the blood concentration reached 70 µg / mL and 80 µg / mL or more, respectively, and the half-life was 90 to 100 minutes. There is (US). <sup>3)</sup>

## Medicinal pharmacology

Carbonic anhydrase is an enzyme that exists in the renal epithelium, erythrocytes, brain, hairy epithelium, etc., and participates in a reversible reaction ( $\text{CO}_2 + \text{H}_2\text{O} \rightarrow \leftarrow \text{H}_2\text{CO}_3$ ) that produces carbon dioxide from carbon dioxide and water in vivo. Acetazolamide specifically suppresses this enzyme and exerts the following actions.

#### Intraocular pressure decrease <sup>4)</sup>

Acetazolamide is said to reduce the production of aqueous humor and reduce intraocular pressure by suppressing the action of carbonic anhydrase present in the ciliary epithelium.

#### Suppression of epileptic seizures <sup>5)</sup>

Acetazolamide is thought to suppress carbonic anhydrase present in the central nervous system and locally increase the CO<sub>2</sub> concentration in the brain, thereby suppressing abnormal excitement in the brain and relieving various symptoms of the neuropsychiatric system. Ing.

#### Improvement of respiratory acidosis <sup>6)</sup>

Acetazolamide increases urinary excretion of HCO<sub>3</sub><sup>-</sup> in the alveoli by suppressing carbonic anhydrase, and on the other hand causes metabolic acidosis and increases H<sup>+</sup>. Increased H<sup>+</sup> stimulates the respiratory center, increasing ventilation and improving hypoxic and carbon dioxide ventilatory response. This increase in ventilation increases blood O<sub>2</sub>, reduces CO<sub>2</sub>, and improves respiratory acidosis.

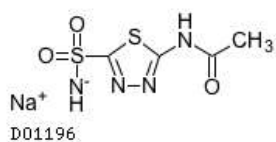
#### Improvement of Meniere's syndrome <sup>7)</sup>

The effect of acetazolamide on Meniere's syndrome is said to be due to the local lymphatic secretion inhibitory effect of the inner ear, the removal of inner ear edema by diuresis, the inhibitory effect on the central nervous system, and the like.

## RIKEN knowledge about active ingredients

common name	Acetazolamide sodium
Common name (European name)	Acetazolamide Sodium
Chemical name	N- (5-Sulfamoyl-1,3,4-thiadiazol-2-yl)-acetamide monosodium salt
Molecular formula	$\text{C}_4 \text{H}_5 \text{N}_4 \text{NaO}_3 \text{S}_2$
Molecular weight	244.23
Properties	Acetazolamide sodium is a white crystalline powder or mass.

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## Packaging

Diamox injection 500mg

1 vial, 10 vials

## Main literature

1. Yasuo Sato et al., Pediatrics, 42 (5), 625, (1979)
2. Higenbottam T, et al, Postgr Med J, 54 (628), 127, (1978) »PubMed » DOI
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4. Toru Mine et al., Clinical Ophthalmology, 20 (2), 241, (1966)
5. Taiki Kobayashi et al., Pediatrics, 20 (9), 790, (1957)
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7. Switching Ichiro et al., Treatment, 43 (3), 521, (1961)

## Work information

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