

Revised: July 2009 (8th version)

Standard Commodity Classification No. of Japan
871339

- For relief vertigo and equilibrium disturbances -  
 - Betahistine mesilate tablets, JP -

**Merislon<sup>®</sup> Tablets 6mg**

**Merislon<sup>®</sup> Tablets 12mg**

Prescription drug

Storage
MERISLON should be stored at room temperature. It should be protected from moisture after opening the bottle package.

Expiration date
MERISLON should be used before the expiration date indicated on the package or label.

	6 mg	12 mg
Approval No.	21300AMZ00492000	15300AMZ00229000
Date of listing in the NHI reimbursement price	Sep 2001	Apr 1978
Date of initial marketing in Japan	Jan 1969	Apr 1978

Caution : Use only as directed by a physician.

## DESCRIPTION

### 1. Composition

#### Tablets 6 mg:

Each white plain tablet contains 6 mg of betahistine mesilate.

It also contains hydrated silicon dioxide, microcrystalline cellulose, calcium stearate, talc, low substituted hydroxypropylcellulose and hydroxypropylcellulose as inactive ingredients.

#### Tablets 12 mg:

Each white plain tablet contains 12 mg of betahistine mesilate.

It also contains hydrated silicon dioxide, microcrystalline cellulose, calcium stearate, talc, low substituted hydroxypropylcellulose and hydroxypropylcellulose as inactive ingredients.

### 2. Product description

Brand name	Dosage form and identification code	Appearance			Description
		Face	Reverse	Lateral	
MERISLON Tablets 6 mg	Plain tablets				White
	€ 150	Diameter (mm) 6.1	Weight (mg) 84	Thickness (mm) 2.4	
MERISLON Tablets 12mg	Plain tablets				White, scored
	€ 151	Diameter (mm) 7.1	Weight (mg) 120	Thickness (mm) 2.7	

## INDICATIONS

Dizziness and feeling of dizziness resulting from the following diseases:

Meniere's disease, Meniere's syndrome and vertigo

## DOSAGE AND ADMINISTRATION

### MERISLON Tablets 6 mg:

The usual adult dosage for oral use is 1 - 2 tablets (6 - 12 mg of betahistine mesilate), three times daily after meals.

The dosage may be adjusted depending on the patient's age and symptoms.

### MERISLON Tablets 12 mg:

The usual adult dosage for oral use is 1 tablet (12 mg of betahistine mesilate), three times daily after meals. Single dose of betahistine mesilate is 6 - 12 mg.

## PRECAUTIONS

### 1. Careful Administration (MERISLON should be administered with care in the following patients.)

- (1) Patients with a history of peptic ulcer or with an active peptic ulcer  
[Since MERISLON has a histamine-like action, it may enhance gastric acid secretion through the intervention of H<sub>2</sub>-receptors.]
- (2) Patients with bronchial asthma  
[Since MERISLON has a histamine-like action, it may cause respiratory tract contraction through the intervention of H<sub>1</sub>-receptors.]
- (3) Patients with pheochromocytoma  
[Since MERISLON has a histamine-like action, it may cause an increase in blood pressure due to adrenaline hypersecretion.]

### 2. Adverse Reactions

Adverse reactions were reported in 26 of 2,254 patients (1.15 %). (Post marketing clinical investigation)

	5% > ≥0.1%
Gastrointestinal	Nausea/vomiting
Hypersensitivity <sup>note)</sup>	Rash

Note) In the event of such symptoms, treatment should be discontinued and appropriate measures taken.

**3. Use in the Elderly**

Since the elderly often have a physiological hypofunction, it is advisable to take measures, such as reduction in dosage under careful supervision.

**4. Use during Pregnancy, Delivery or Lactation**

MERISLON should only be used in pregnant women or women suspected of being pregnant, if the expected therapeutic benefits are evaluated to outweigh the possible risk of treatment.

[The safety of MERISLON in pregnant women has not been established.]

**5. Pediatric Use**

The safety in children has not been established (insufficient clinical experience).

**6. Precautions concerning Use**

Caution in handing over drug

For drugs that are dispensed in a press-through package (PTP), instruct the patient to remove the drug from the package prior to use. [It has been reported that, if the PTP sheet is swallowed, the sharp corners of the sheet may puncture the esophageal mucosa, causing perforation and resulting in serious complications such as mediastinitis.]

**CLINICAL STUDIES****Clinical efficacy**

In clinical trials on a total of 875 patients including double blind clinical trials it has been demonstrated that MERISLON is useful for relieving dizziness or feeling of dizziness associated with Meniere's disease, Meniere's syndrome or vertigo, etc. <sup>1-5)</sup>

**PHARMACOLOGY****1. Improvement of circulatory disturbances in the inner ear**

In the inner ear of the guinea pig with experimentally induced microcirculatory disturbances, the blood flow increases to 148% of the control level within 30 min after the intraperitoneal injection of betahistine mesilate. This effect is specific for pathological conditions. <sup>6)</sup>

**2. Increase of blood flow in the scala media of guinea pigs with endolymphatic hydrops**

When betahistine mesilate was administered to guinea pigs with endolymphatic hydrops, it produced a significant increase in blood flow in the scala media. The blood flow increased significantly from 5.5mL/min/100g to 8.1mL/min/100g. It is considered that the increase in blood flow is due to relaxation of smooth muscle of the cochlear radial artery. <sup>7)</sup>

**3. Improvement of intracerebral blood flow**

In the experiment in rhesus monkeys betahistine mesilate after intravenous administration increases blood flow in the cerebral and cerebellar tissues from 70.4 to 81.4 mL/100 g/min and 73.2 to 84.0 mL/100 g/min, respectively. <sup>8)</sup>

**PHYSICOCHEMISTRY**

**Nonproprietary name:** Betahistine Mesilate (JAN)

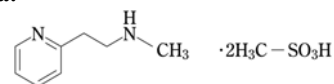
Betahistine (INN)

**Chemical name:**

*N*-Methyl-2-pyridin-2-ylethylamine dimethanesulfonate

**Molecular formula:** C<sub>8</sub>H<sub>12</sub>N<sub>2</sub> · 2CH<sub>4</sub>O<sub>3</sub>S

**Molecular weight:** 328.41

**Structural formula:****Description:**

Betahistine mesilate occurs as white crystals or crystalline powder. It is very soluble in water, freely soluble in acetic acid (100) and sparingly soluble in ethanol (99.5).

It is soluble in dilute hydrochloric acid.

It is hygroscopic.

**PACKAGING****Betahistine mesilate tablets, JP****Tablets 6 mg:**

Boxes of 100 and 1,000 in press-through packages, and bottles of 500

**Tablets 12 mg:**

Boxes of 100 and 1,000 in press-through packages, and bottles of 500

**REFERENCES**

- 1) Watanabe I. et al.: Otolaryngology. Head Neck Surg. **39**, 1237, 1967.
- 2) Okamoto K. et al.: Jpn. J. Nat. Med. Serv., **22**, 650, 1968.
- 3) Ishikawa K. et al.: J. New Remed. Clin., **32**, 631, 1983.
- 4) Sato M. et al.: Med. Treat., **13**, 257, 1980.
- 5) Nozue M. et al.: Jpn. Pharmacol. Ther., **6**, 139, 1978.
- 6) Saito S. et al.: Prac. Otol., **60**, 1112, 1967.
- 7) Kitano H.: Prac. Otol., **78**, 1615, 1985.
- 8) Tomita M. et al.: Stroke, **9**, 382, 1978.

**REQUEST FOR LITERATURE AND DRUG INFORMATION SHOULD BE MADE TO:**

Customer Information Service

Free Dial: 0120-419-497

Eisai Co., Ltd.

**Manufactured and marketed by:**

Eisai Co., Ltd.

6-10, Koishikawa 4-chome, Bunkyo-ku, Tokyo, 112-8088