

Revised: December 2007 (7th version)

Standard Commodity Classification No. of Japan
871219

- Local anesthetic for the gastrointestinal mucosa -

Strocain[®] Tablets 5mg
Strocain[®] Granules 5%
 <Oxethazaine preparation>

Storage
1) STROCAIN should be stored at room temperature. 2) STROCAIN should be protected from moisture after opening package. (The content of tablets may be decreased by moisture. Granules may turn yellow and their content decreased by moisture.)

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

	Tablets 5mg	Granules 5%
Approval No.	21900AMX01227000	21900AMX01228000
Date of listing in the NHI reimbursement price	Dec 2007	Dec 2007
Date of initial marketing in Japan	Mar 1962	Mar 1962
Date of latest reevaluation	Feb 1979	

CONTRAINDICATIONS (STROCAIN is contraindicated in the following patients.)

Patients with a history of hypersensitivity to any ingredients of STROCAIN

DESCRIPTION**1. Composition****Tablets 5mg:**

Each slightly brownish white plain tablet contains 5 mg of oxethazaine.




It also contains hydrated silicon dioxide, microcrystalline cellulose, aluminum hydroxide, calcium stearate, talc, calcium carbonate, magnesium carbonate and corn starch as inactive ingredients.

Granules 5%:

Each gram of white granules contains 50 mg of oxethazaine.

It also contains silicon resin, aluminum hydroxide, calcium carbonate, magnesium carbonate, lactose hydrate, potato starch and povidone as inactive ingredients.

2. Product description

Brand name	Dosage form and identification code	Appearance			Description
		Face	Reverse	Lateral	
STROCAIN Tablets 5mg	Plain tablets				Slightly brownish white
	EISAI SR 005	Diameter (mm) 10.6	Weight (mg) 440	Thickness (mm) 4.0	
STROCAIN Granules 5%	Granules				White

INDICATIONS

Pain, hyperacidity symptoms, eructation, nausea, vomiting, stomach discomfort and defecation urgency resulting from the following diseases

Esophagitis, gastritis, gastric/duodenal ulcer and irritable colon

DOSAGE AND ADMINISTRATION**Tablets 5mg:**

The usual adult dosage for oral use is 3 to 8 tablets (15 to 40 mg of oxethazaine) daily divided into three to four doses. The dosage may be adjusted depending on the patient's age and symptoms.

Granules 5%:

The usual adult dosage for oral use is 0.3 to 0.8 g (15 to 40 mg of oxethazaine) daily divided into three to four doses. The dosage may be adjusted depending on the patient's age and symptoms.

PRECAUTIONS**1. Adverse Reactions**

Adverse reactions were reported in 38 of 951 patients (4.00%). (At the end of the reevaluation period)

	5% > ≥0.1%	Incidence unknown
Hypersensitivity <small>note 1)</small>		Rash
Gastrointestinal <small>note 2)</small>	Constipation, anorexia, thirst, nausea and diarrhea	
Psychoneurologic	Headache and vertigo	Sleepiness and weakness

Note 1) In the event of such symptoms, treatment should be discontinued.

2) Appropriate measures, such as reduction in dosage or discontinuation of the medication, should be taken when such symptoms are present continuously or become enhanced.

2. 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.

3. Use during Pregnancy, Delivery or Lactation

STROCAIN 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 STROCAIN in pregnant women has not been established.]

4. Pediatric Use

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

5. Precautions concerning Use

(1) Administration

Long-term, continuous treatment with STROCAIN should be avoided.

(2) Oral administration

1) Patients should be instructed that STROCAIN Tablets are to be swallowed whole, without being crushed or chewed, in order to avoid numbness of the oral cavity, etc.

2) Patients should be instructed that STROCAIN Granules are swallowed whole in order to avoid numbness of the oral cavity, etc.

(3) Caution in handing over drug (tablets)

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

A double-blind clinical trial has demonstrated that STROCAIN is useful for gastritis and peptic ulcers, and that STROCAIN significantly relieves symptoms such as heartburn, pyrosis, feeling of enlarged abdomen, heavy feeling of stomach and anorexia. ¹⁾

PHARMACOLOGY

1. Local anesthetic action

The potency of oxethazaine as a local anesthetic on the rabbit cornea is 4,000 times greater than that of procaine and 500 times greater than that of cocaine. Oxethazaine retains its activity even in strongly acidic solutions (pH 1.0 to 2.0). ^{2,3)}

2. Inhibition of gastrin release

Oral administration of oxethazaine inhibits acetylcholine-induced gastrin release from the pyloric area in dogs with a Heidenhain pouch. ⁴⁾

3. Inhibition of gastric acid secretion

Oral administration of oxethazaine also reduces pentagastrin-induced or the food-stimulated gastric acid secretion in dogs. ⁵⁾

When pH meters were used to measure gastric pH in patients with peptic ulcers whom oxethazaine was administered orally, the pH increased to over 3.0 within 1 hr in each case after the oral administration of oxethazaine. ⁶⁾

4. Suppression of gastrointestinal motility

In anesthetized dogs, oral administration of oxethazaine inhibits gastric motility. Oxethazaine also inhibits barium chloride-induced spasmodic contraction in the stomach and duodenum. ⁷⁾

PHYSICOCHEMISTRY

Nonproprietary name: Oxethazaine (JAN)
Oxetacaine (INN)

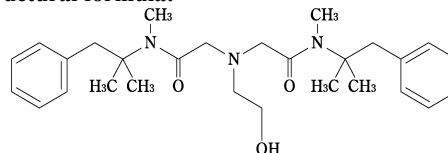
Chemical name:

2,2'-(2-Hydroxyethylimino) bis [*N*-(1,1-dimethyl-2-phenylethyl)-*N*-methylacetamide]

Molecular formula: C₂₈N₄N₃O₃

Molecular weight: 467.64

Structural formula:



Description:

Oxethazaine occurs as a white to pale yellowish white, crystalline powder.

It is very soluble in acetic acid (100), freely soluble in methanol and in ethanol (95), sparingly soluble in diethyl ether, and practically insoluble in water.

Melting point: 101 - 104°C

PACKAGING

STROCAIN Tablets 5mg:

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

STROCAIN Granules 5%: Cans of 100 g

REFERENCES

- 1) Shirakabe H. et al.: Jpn. J. Clin. Exp. Med., **52**, 3065, 1975.
- 2) Farrar G. E. et al.: Penn. Med. J., **65**, 1369, 1962.
- 3) Seiffer J. et al.: Proc. Soc. Exp. Biol. Med., **109**, 664, 1962.
- 4) Posey E. L. et al.: Am. J. Gastroenterol., **55**, 54, 1971.
- 5) Posey E. L. et al.: Am. J. Dig. Dis., **14**, 797, 1969.
- 6) Yamagata S. et al.: SHINRYO, **21**, 1035, 1969.
- 7) Namba R. et al.: Jpn. J. Gastroenterol., **63**, 271, 1966.

REQUEST FOR LITERATURE SHOULD BE MADE TO:

Safety Management Department

Fax: 03-3811-2710

Eisai Co., Ltd.

REQUEST FOR DRUG INFORMATION SHOULD BE MADE TO:

Customer Information Service

Free Dial: 0120-419-497

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