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Standard Commodity Classification No. of Japan

871249

- ANTISPASMODIC DRUG/AGENT FOR PROTECTION OF ABORTION OR PREMATURE DELIVERY -

DACTIRAN Tablets 50 mg

< Piperidolate hydrochloride tablets >

Powerful drug

Storage
This product should be stored at room temperature.
Expiration date
This product should be used before the expiration date indicated on the outer cases.

Approval No.	21900AMX01733000
Date of listing in the NHI reimbursement price	December 2007
Date of the initial marketing in Japan	September 1967
Date of the latest reevaluation	July 1979

CONTRAINDICATIONS (This product is contraindicated in the following patients.)

- (1) Patients with glaucoma
[Administration of this product may increase intraocular pressure.]
- (2) Patients with dysuria due to prostatic hyperplasia
[Symptoms may be exacerbated.]
- (3) Patients with serious cardiac disease
[Symptoms may be exacerbated.]
- (4) Patients with paralytic ileus
[Symptoms may be exacerbated.]
- (5) Patients with a history of hypersensitivity to any of the ingredients of this product.

DOSAGE AND ADMINISTRATION

The usual adult dosage of this product for oral use is 150 to 200 mg (3 or 4 tablets) of Piperidolate hydrochloride daily in 3 or 4 divided doses.

The dosage may be adjusted according to the patients' ages and symptoms.

PRECAUTIONS**1. Careful Administration (This product should be administered with care in the following patients.)**

- (1) Patients with prostatic hyperplasia
[This product may induce dysuria.]
- (2) Patients with congestive heart failure
[Symptoms may be exacerbated.]
- (3) Patients with arrhythmia
[Symptoms may be exacerbated.]
- (4) Patients with ulcerative colitis
[Toxic megacolon may occur.]
- (5) Patients with hyperthyroidism
[Symptoms may be exacerbated.]
- (6) Patients in a high-temperature environment
[Body temperature may increase due to decreased sweating.]

2. Important Precautions

Since administration of this product may induce mydriasis, dizziness and others, patients should be cautioned against engaging in potentially hazardous activities requiring alertness, such as operating machines or driving cars.

DESCRIPTION**Product description**

Ingredient/content per tablet	Piperidolate hydrochloride 50 mg		
Inactive ingredients	Lactose hydrate, crystalline cellulose, corn starch, povidone, magnesium stearate, hypromellose, macrogol 6000, titanium oxide, silicone resin		
Dosage form	Film-coated		
Color	White		
Appearance			
	8.2 mm in diameter	3.9 mm in thickness	About 200 mg in weight
Identification code	KP-123		

INDICATIONS

Convulsive pain in the following diseases:

Gastroduodenal ulcer, gastritis, enteritis, cholelithiasis, cholecystitis, and biliary dyskinesia.

Improvement in various symptoms in threatened abortion or premature delivery

3. Drug Interactions

(1) **Precautions for coadministration (This product should be administered with care when coadministered with the following drugs.)**

Drugs	Signs, Symptoms and Treatment	Mechanism and Risk Factors
Tricyclic antidepressants: Imipramine, etc. Phenothiazine preparations: Chlorpromazine, etc. Monoamine oxidase inhibitors Antihistamines: Diphenhydramine, etc.	Adverse reactions associated with anticholinergic action may occur.	These drugs may enhance the effect of this product.

4. Adverse Reactions

This product has not been investigated (Drug-use results surveys, etc.) to determine the incidence of adverse reactions.

(1) Significant adverse reactions

Hepatic dysfunction and jaundice (incidence unknown)

Since administration of this product may induce hepatic dysfunction and jaundice with marked increases in AST (GOT) and ALT (GPT), patients should be carefully monitored. If such an abnormality is observed, administration should be discontinued with appropriate measures taken.

(2) Other adverse reactions

	Incidence unknown
Ophthalmic	Mydriasis
Gastrointestinal	Thirst, nausea/vomiting, anorexia, abdominal enlarged feeling, constipation, etc.
Hepatic	Increases in AST (GOT), ALT (GPT), γ -GTP and total bilirubin
Urologic	Dysuria
Psychoneurologic	Dizziness
Cardiovascular	Palpitation
Hypersensitivity ^(Note)	Rash
Others	Malaise, weakness

Note) When any of adverse reactions is observed, administration should be discontinued.

5. Use in the Elderly

Since elderly patients often have reduced physiological functions, careful supervision and measures such as a reduction in the dose are recommended.

6. Precautions Concerning Use

Precautions regarding dispensing: For the drug that is dispensed in a press-through package (PTP), patients should be instructed to remove the drug from the PTP sheet prior to use.

[It has been reported that if the PTP sheet is swallowed, its sharp corners may puncture the esophageal mucosa, resulting in serious complications such as mediastinitis.]

PHARMACOLOGY

1. Anticholinergic Effect¹⁾

Piperidolate hydrochloride inhibits intestinal cramp induced by acetylcholine (rats and dogs).

2. Inhibitory Effects on Contraction of Oddi's Sphincter, Duodenum and Renal Tubules²⁾

Piperidolate hydrochloride has more potent inhibitory effects on contraction of Oddi's sphincter, duodenum and renal tubules induced by an intravenous injection of neostigmine than papaverine (dogs).

3. Inhibitory Effect on Ileum³⁾

Piperidolate hydrochloride at 2.5×10^{-6} (the final concentration) induces depressions in tension and motor of isolated ileum (rabbits) suspended in Tyrode solution.

4. Local Anesthetic Effect⁴⁾

Piperidolate hydrochloride has local anesthetic action similar to that of cocaine in the conjunctiva of rabbits.

5. Inhibitory Effect on Contraction of Uterine Smooth Muscle⁵⁾

Piperidolate hydrochloride inhibits contraction of the uterine smooth muscle induced by acetylcholine, Valium, or oxytocin during the late phase of pregnancy (rats).

PHYSICOCHEMISTRY

Nonproprietary name:

Piperidolate hydrochloride (JAN)

Chemical name:

N-Ethyl-3-piperidyl-diphenylacetate hydrochloride

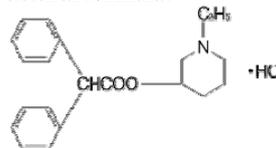
Molecular formula:

$C_{21}H_{25}NO_2 \cdot HCl$

Molecular weight:

359.89

Structural formula:



Melting point:

194 to 198 °C

Description:

Piperidolate hydrochloride occurs as a white crystalline powder with no odor. It is freely soluble in acetic acid (100) and chloroform, soluble in ethanol (95), sparingly soluble in water, slightly soluble in acetic anhydride, and practically insoluble in diethylether.

Partition coefficient:

Piperidolate hydrochloride was not distributed to the water layer in chloroform-water system at pH values of 7 to 11 at 24 °C.

PACKAGING

DACTIRAN Tablets 50 mg

PTP: 500 tablets (10 tablets x 50)

REFERENCES

- 1) Long J.P. et al., *J. Amer. Pharm. Ass.*, **43**, 616 (1954).
- 2) Chen J.Y.P et al., *J. Pharm. Exp. Ther.*, **104**, 269 (1952)
- 3) Nomori S. et al., *Med. Consult. New Remedies*, **5**, 1241 (1968)

- 4) Pomeranze J. et al., *New York J. Med.*, **55**, 233 (1955)
5) Ozawa H. et al., *Folia Pharmacol. Jpn.*, **70**, 659 (1974)

**REQUEST FOR LITERATURE SHOULD BE
MADE TO:**

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