New Zealand Data Sheet

MESTINON

Pyridostigmine bromide

Presentation

60mg tablet: round, flat-faced, white tablets engraved "Mestinon 60" on outer perimeter and "V" in the centre, with a quadrisection score on the reverse.

Uses

Actions

Mestinon is an antagonist to cholinesterase, the enzyme that normally destroys acetylcholine. MESTINON can briefly be described, therefore, as the potentiation of naturally occurring acetylcholine. MESTINON has a more prolonged action than neostigmine although it is somewhat slower to take effect (generally taking 30-60 minutes). Because it has a weaker 'muscarinic' action than neostigmine, it is usually much better tolerated by myasthenic patients in whom the longer action is also an advantage.

Pharmacokinetics

Oral pyridostigmine is poorly absorbed. Maximum plasma concentrations occur at 1 to 2 hours and it is eliminated by the kidney largely unchanged with a half-life of 3 to 4 hours.

Indications

Myasthenia gravis; paralytic ileus; postoperative urinary retention.

Dosage and Administration

MESTINON tablets are for oral administration. MESTINON has a gradual onset of effect (generally 30-60 minutes).

Myasthenia Gravis

Adults: Doses of 30 to 120 mg by mouth are given at intervals throughout the day when maximum strength is needed (for example on rising and before meal times). The usual duration of action of a dose is three to four hours in
the daytime but a longer effect (six hours) is often obtained with a dose taken on retiring for bed. The total daily dose is usually in the range of 5-20 tablets but some patients may require doses higher than these.

_Newborn Infants:_ Neostigmine has generally been preferred in the treatment of neonatal myasthenia. However MESTINON can be given, particularly if neostigmine proves unsuitable on account of pronounced cholinergic effects. The dosage requirements of MESTINON range from 5-10 mg orally every four hours, given 30-60 minutes before feeding. Treatment is not usually required beyond eight weeks of age except in the rare conditions of congenital and familial infantile myasthenia.

_Older Children:_ Children under 6 years old should receive an initial dose of half a tablet (30 mg) of MESTINON, children 6-12 years old should receive one tablet (60 mg). Dosage should be increased gradually, in increments of 15-30 mg daily, until maximum improvement is obtained. Total daily requirements are usually in the range of 30-360 mg by mouth.

_General:_ The requirement of MESTINON is usually markedly decreased after thymectomy or when additional therapy (steroids, immunosuppressant medicines) is given. When relatively large doses of MESTINON are taken by myasthenic patients it may be necessary to give atropine or other anticholinergic medicines to counteract the muscarinic effects. It should be noted that the slower gastrointestinal motility caused by these medicines may affect the absorption of oral MESTINON. In all patients the possibility of 'cholinergic crisis' due to overdosage of MESTINON, and differentiation from 'myasthenic crisis' due to increased severity of the disease, must be borne in mind. Both types of crisis are manifested by creased muscle weakness, but whereas myasthenic crisis may require ore intensive anticholinesterase treatment, cholinergic crisis calls for immediate discontinuation of this treatment and institution of appropriate supportive measure, including respiratory assistance.

_Other indications_

_Adults:_ The usual dose is 1 to 4 tablets by mouth.

_Children:_ The dosage is 15 to 60 mg by mouth. The frequency of these doses may be varied according to the needs of the patient.

_Elderly:_ There are no specific dosage recommendations for MESTINON in elderly patients.

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**Contraindications**

MESTINON is contraindicated in patients with known hypersensitivity to the medicine or to any of its excipients and to bromides.
MESTINON should not be given to patients with mechanical gastrointestinal or urinary obstruction.

MESTINON should not be used in conjunction with depolarising muscle relaxants such as suxamethonium as neuromuscular blockade may be potentiated and prolonged apnoea may result.

Uses in Pregnancy and Lactation:

The safety of MESTINON during pregnancy or lactation has not been established. Although the possible hazards to mother and child must be weighed against the potential benefits in every case, experience with MESTINON in pregnant patients with myasthenia gravis has revealed no untoward effect of the medicine on the course of pregnancy.

As the severity of myasthenia gravis often fluctuates considerably, particular care is required to avoid cholinergic crises due to overdosage of the medicine, but otherwise management is no different from that in non-pregnant patients.

Observations indicated that only negligible amounts of MESTINON are secreted in breast milk; nevertheless, due regard should be paid to possible effects on the breast-feeding infant.

Warnings and Precautions

Hypersensitivity reactions may occur in susceptible individuals.

Extreme caution is required when administering MESTINON to patients with bronchial asthma.

Care should be taken in patients with bradycardia, recent coronary occlusion, hypotension, vagotonia, epilepsy or Parkinsonism.

There is no evidence to suggest that MESTINON has any special effects in the elderly. However, elderly patients may be more susceptible to dysrhythmias than the younger adult.

MESTINON is mainly excreted unchanged by the kidney, therefore lower doses may be required in patients with renal disease and treatment should be based on titration of drug dosage to effect.

MESTINON should not be given during cyclopropane or halothane anaesthesia; however, it may be used after withdrawal of these agents.

Adverse Effects

These may include nausea and vomiting, increased salivation, diarrhoea and abdominal cramps.
Interactions

Antimuscarinics: Atropine and hyoscine antagonise the muscarinic effects of pyridostigmine bromide.

Muscle relaxants: Pyridostigmine antagonises the effect of non-depolarising muscle relaxants (e.g. pancuronium and vecuronium). Pyridostigmine may prolong the effect of depolarising muscle relaxants (e.g. suxamethonium).

Overdosage

Signs of overdosage due to muscarinic effects may include abdominal cramps, increased peristalsis, diarrhoea, nausea and vomiting, increased bronchial secretions, salivation, diaphoresis and miosis. nicotinic effects consist of muscular cramps, fasciculations and general weakness. Bradycardia and hypotension may also occur.

Artificial ventilation should be instituted if respiration is severely depressed. Atropine sulphate 1 to 2 mg intravenously is an antidote to the muscarinic effects.

Pharmaceutical Precautions

Storage: The recommended maximum storage temperature for MESTINON tablets is 25°C. MESTINON tablets should be protected from light.

MESTINON tablets should be protected from moisture.

Medicine Classification

Prescription Medicine.

Package Quantities

Tablet 60 mg 100s.

Further Information

Excipients: MESTINON tablets contain lactose, colloidal silicon dioxide and stearic acid.

MESTINON is a trademark.
Name and Address

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