

NEOSTIGMINE:

Class: Acetylcholinesterase Inhibitor

Indications: Reversal of the effects of nondepolarizing neuromuscular-blocking agents after surgery; treatment of myasthenia gravis; prevention and treatment of postoperative bladder distention and urinary retention after mechanical obstruction has been excluded

Available dosage form in the hospital: AMP(12.5MG/5ML, 2.5MG/5ML, 2.5MG/ML)

Trade Names:

Dosage:

-Myasthenia gravis: Diagnosis (unlabeled use): I.M.: 0.02 mg/kg as a single dose

-Myasthenia gravis: Treatment:

-Manufacturer's labeling:

-Oral: Usual dose: 150 mg administered over a 24-hour period; interval between doses is of paramount importance and therapy is frequently required day and night. Dosage range: 15-375 mg daily in divided doses.

-I.M, SubQ: 0.5 mg; subsequent dosing based on individual patient response.

-Alternative recommendations (unlabeled dosing):

-Oral: Initial: 15 mg every 8 hours; may increase every 1-2 days up to 375 mg daily maximum; interval between doses must be individualized to maximal response

-I.M., I.V., SubQ: 0.5-2.5 mg every 1-3 hours as needed up to 10 mg/24 hours maximum.

-Reversal of nondepolarizing neuromuscular blockade after surgery (Bloxiverz): I.V.: **Note:** An anticholinergic agent (atropine or glycopyrrolate) should be given prior to or in conjunction with neostigmine. Peripheral nerve stimulation delivering train-of-four (TOF) stimulus must also be used to determine time of neostigmine initiation and need for additional doses.

-Usual dose: 0.03-0.07 mg/kg generally achieves a TOF twitch ratio of 90% within 10-20 minutes of administration; maximum total dose: 0.07 mg/kg or 5 mg (whichever is less)

-Dose selection guide:

- The 0.03 mg/kg dose is recommended for reversal of NMBAs with shorter half-lives (eg, rocuronium); **or** when the first twitch response to the TOF stimulus is substantially >10% of baseline or when a second twitch is present.
- The 0.07 mg/kg dose is recommended for NMBAs with longer half-lives (eg, vecuronium, pancuronium); **or** when the first twitch response is relatively weak (ie, not substantially >10% of baseline); or rapid recovery is needed.

-Postoperative urinary retention: I.M., SubQ:

-Prevention: 0.25 mg as soon as possible after operation; repeats every 4-6 hours for 2-3 days

-Treatment: 0.5 mg; if urination does not occur within an hour, patient should be catheterized. After the bladder has emptied or patient has voided, continue 0.5 mg every 3 hours for at least 5 doses.

-Postoperative bladder distention: I.M., SubQ:

-Prevention: 0.25 mg as soon as possible after operation; repeats every 4-6 hours for 2-3 days

-Treatment: 0.5 mg as needed

Renal Impairment:

No dosage adjustment provided in manufacturer's labeling; however, the following adjustments have been recommended (Aronoff, 2007): Adults: Oral

-Cl_{cr} >50 mL/minute: No dosage adjustment necessary

-Cl_{cr} 10-50 mL/minute: Administer 50% of normal dose.

-Cl_{cr} <10 mL/minute: Administer 25% of normal dose.

-Hemodialysis: No dosage adjustment necessary

-Peritoneal dialysis: No dosage adjustment necessary

-Continuous renal replacement therapy (CRRT): Administer 50% of normal dose

Hepatic Impairment:

No dosage adjustment provided in manufacturer's labeling.

Common side effect:

Cardiovascular: Arrhythmias (especially bradycardia), AV block, cardiac arrest, flushing, hypotension, nodal rhythm, nonspecific ECG changes, syncope, tachycardia

Central nervous system: Convulsions, dizziness, drowsiness, dysarthria, dysphonia, headache, loss of consciousness

Dermatologic: Skin rash, thrombophlebitis (I.V.), urticaria

Gastrointestinal: Diarrhea, dysphagia, flatulence, hyperperistalsis, nausea, salivation, stomach cramps, vomiting

Genitourinary: Urinary urgency

Neuromuscular & skeletal: Arthralgias, fasciculations, muscle cramps, spasms, weakness

Ocular: Lacrimation, small pupils

Respiratory: Bronchiolar constriction, bronchospasm, dyspnea, increased bronchial secretions, laryngospasm, respiratory arrest, respiratory depression, respiratory muscle paralysis

Miscellaneous: Allergic reactions, anaphylaxis, diaphoresis increased

Pregnancy Risk Factor C