

PYRIDOSTIGMINE (MESTINON[®])



Answers to questions you
may have about Mestinon[®]
or pyridostigmine

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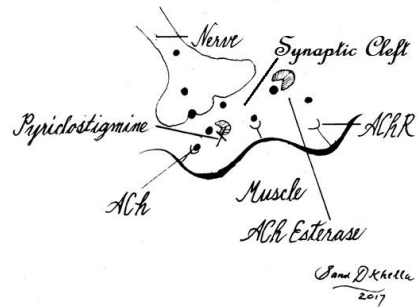
What is pyridostigmine?

Pyridostigmine is a medicine used to treat the muscle weakness caused by myasthenia gravis (MG). Myasthenic weakness includes double vision, droopy eyelids, shortness of breath, trouble swallowing and arm or leg weakness. In the United States, pyridostigmine is produced as follows:

- 60 milligram tablet – Name Brand: Mestinon® also available in generic form
- 60 milligram/5 milliliter raspberry-flavored syrup – Brand Name: Mestinon® Syrup
- 180 milligram sustained release (long-acting) tan-colored tablet – Name Brand: Mestinon Timespan®.
- Pyridostigmine injection 5 milligrams/ milliliter – Brand Name: Regonol Solution for Injection®.

How is pyridostigmine used in the treatment of myasthenia gravis?

There are two pill forms of pyridostigmine, regular release and the sustained release, aka Timespan. When taken by mouth, regular release pyridostigmine works within a few minutes to improve the muscle weakness described above. Its effects last for 3-4 hours so it must be taken repeatedly throughout the day. The timespan form of pyridostigmine has a slower onset and longer duration of action. Timespan can be used at bedtime to enable a person to sleep longer without need of medication.



Abbreviations: Ach = acetylcholine; AChR = acetylcholine receptor; AChEsterase = acetylcholine esterase

How does pyridostigmine work?

When you try to move a muscle, the nerve connected to that muscle fiber at the neuromuscular junction fires an electrical impulse that causes the release of a chemical called acetylcholine (ACh) from that nerve (see Figure). ACh travels across a small space called the synaptic cleft and binds to the ACh receptor (AChR). The binding of ACh to the AChR triggers a local current that, if large enough, induces the muscle fiber to contract. In autoimmune MG, AChR antibodies lead to loss of AChRs. Too few AChRs causes the AChR current to be too small to trigger muscle contraction. The size of the AChR current depends upon the number of AChRs and the amount of ACh in the synaptic cleft. The loss of AChRs can be compensated by raising the concentration of ACh in the synaptic cleft. A protein in the synaptic cleft, acetylcholine esterase AChE (see figure), breaks down ACh. Pyridostigmine inhibits AChE, which raises the concentration of ACh in the synaptic cleft compensating for the loss of AChRs (see figure). The muscle contracts when enough of the receptor sites have been activated by the acetylcholine. Pyridostigmine allows more acetylcholine to remain at the neuromuscular junction longer than usual so that more receptor sites can be activated. More acetylcholine in the neuromuscular junction results in stronger muscle contractions and less weakness. Pyridostigmine does not cure myasthenia gravis but does help to improve the symptoms.

What are some important things to consider when taking pyridostigmine?

It is important for you to take pyridostigmine on time and exactly as it has been prescribed. If one dose is missed within an hour of the prescribed dose, take the missed dose and continue with the other doses as scheduled. If the dose is missed by more than one hour, take the dose immediately and then wait the required 3 to 4 hours before taking the next dose. Take subsequent doses with the prescribed intervals as well. For example, if a dose of pyridostigmine is missed at noon and is taken at 2 p.m., the next dose would be taken at 5 or 6 p.m. or as directed by the physician. Never take a double dose to make up for a missed one.

What safety measures should I follow when taking pyridostigmine?

- Watch for possible side effects and report them to your MG physician.
- Carefully follow the prescribed dose regimen. To help your physician individualize the amount of medication you need, record the response after each dose when you first start taking pyridostigmine.
- Recognize that choosing an optimal dose of pyridostigmine for you can be difficult because the symptoms of overdose and under dose can be somewhat similar.
- Seek immediate medical attention if breathing and swallowing become difficult for you.



- Refill prescriptions early, in case there is difficulty in obtaining a supply of pyridostigmine.
- Store pyridostigmine in a dry place, never in a moist climate like the bathroom or refrigerator. Keep the original silicon drying agent stored with your medication.
- Carry medications on your person when traveling, not in your luggage.



What are some possible adverse reactions of pyridostigmine?

- Stomach upset, nausea, vomiting
- Abdominal cramps and diarrhea
- Increased salivation (can lead to choking when salivation is severe) ; drooling, and tearing
- Increased bronchial secretions (can compromise breathing when severe)
- Increased sweating
- Muscle cramps
- Muscle twitching
- Muscle weakness
- Headache

All adverse reactions should be reported to your MG-treating physician. Many of the adverse effects can be relieved by a change in the dose of pyridostigmine. If changing the dose does not solve the problem, the physician may add another medication to help control the adverse reactions.

Are all pyridostigmine or Mestinon® preparations equal?

Your Notes

Answer: No!

- Regular pyridostigmine or Mestinon® comes in two forms: Mestinon® 60 mg. tablets and Mestinon Syrup® 60 mg/5 ml. The greatest effect usually occurs in 60 to 90 minutes and lasts for 3 to 4 hours.
- Mestinon Timespan® is an extended release form of pyridostigmine bromide that slowly releases its active ingredients over an approximately 12-hour period. When prescribed, Mestinon Timespan® is usually given as a bedtime dose so that the patient does not need to wake up every 3 or 4 hours to take a dose of regular Mestinon®.
- Mestinon Timespan® should never be substituted for regular Mestinon® or generic pyridostigmine.
- Mestinon Timespan® should never be crushed.
- The possible adverse effects of Mestinon Timespan® are the same as those for regular Mestinon® or generic pyridostigmine, but are possibly more likely to occur.
- The absorption and effect of Mestinon Timespan® are sometimes erratic. Some physicians and patients prefer to schedule nighttime doses of regular Mestinon® or generic pyridostigmine.
- Regonol Solution for Injection® 5 mg/ ml. is sometimes required when patients cannot take anything by mouth. The physician will prescribe 1/30th of the usual oral dose by IM injection or very slow IV administration.
- No single fixed dose schedule will suit all patients with MG, whose medication requirements vary from time to time, day to day, and in response to stress or infection.
- Different muscles respond differently to a given dose of Mestinon® or generic pyridostigmine. The physician will select a dose that produces the best response in the most vitally affected muscles.



Myasthenia Gravis Foundation of America

Our Vision: A World Without MG

Our Mission: Create Connections, Enhance Lives,
Improve Care, Cure MG

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