



## Methylnaltrexone (Relistor)

### Drug type

- A peripheral-acting narcotic antagonist, opioid reversing agent

### Indications

- Treatment of constipation caused by narcotic (opiate-type) medication for patients with advanced illness who have had poor responses to conventional laxative regimens.

### Mechanism of action

- Peripheral mu-opioid receptor antagonist
- Limited ability to cross the blood-brain barrier (BBB)
- Methylnaltrexone reduces opioid-induced constipation without abolishing opioid-induced analgesia.

### Dosage and administration

- Subcutaneous injectable solution
  - 12 mg/0.6 mL vial
- Opioid-induced constipation (after failure of laxatives)
  - Weight-based dosing:
    - 38–62 kg: 8 mg subcutaneously every other day
    - 62–114 kg: 12 mg subcutaneously every other day
    - <38 kg or >114 kg: 0.15 mg/kg subcutaneously every other day
  - Not to exceed one dose/24 h
- Renal impairment, severe (creatinine clearance [CrCl] <30 mL/min)
  - Give half-dose
  - Has not been studied in end stage renal impairment (dialysis dependent)

### Pregnancy and lactation

- Pregnancy Category: B
- Lactation: not known if distributed in breast milk
  - Use caution

### Cautions

- Severe renal impairment
- Discontinue if severe/persistent diarrhea develops
- Rare cases of GI perforation have been reported
  - With advanced illness in conditions that may be associated with localized or diffuse reduction of structural integrity in GI tract wall (ie, cancer, peptic ulcer, Ogilvie syndrome)

### Adverse effects

- More than 10% of patients
  - Abdominal pain (28.5%)
  - Flatulence (13.5%)
  - Nausea (11.5%)
- 1% to 10% of patients
  - Dizziness (7.3%)
  - Diarrhea (5.5%)
- Frequency not defined
  - Hyperhidrosis
  - Gastrointestinal perforation

### Drug interactions

- The effect of methylnaltrexone may be decreased by peginterferon alfa-2b.

### What to tell your patient

- Methylnaltrexone belongs to a class of medications called narcotic antagonists.
- This medication is used to treat constipation caused by opiate-type narcotics.

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- It blocks the effect of the narcotics on the gut without blocking the effect of the narcotic on pain.

### How to use methylnaltrexone

- Read the Patient Information leaflet provided by your pharmacist before you start using methylnaltrexone and each time you get a refill.
- If you have any questions, consult your doctor or pharmacist.
- Inject this medication under the skin in the upper arm, abdomen, or thigh, usually every other day as needed for constipation or as directed by your doctor.
  - Do not use more than once in 24 hours
  - Do not inject into a muscle or vein
  - If you are giving this medication to yourself at home, learn all preparation and usage instructions from your health care professional
  - Before using, check this product visually for particles or discoloration. If you see particles or discoloration, do not use the liquid.
  - Follow safety instructions for storing and discarding medical supplies
- Clean the injection site with rubbing alcohol before injecting each dose.
- Change the location of the injection site with each dose to avoid problem areas under the skin.
- Dosage is based on your weight, medical condition, and response to treatment.
- Carefully check that you have drawn the correct dose into the syringe. The markings on the syringe measure the amount of liquid (milliliters/mL), not the amount of medication (milligrams/mg).
  - If your doctor or nurse directed you to use 8 mg (milligrams) of medication, draw the liquid up to the 0.4-mL (milliliter) mark on the syringe.
  - A 12-mg dose is 0.6 mL
- Ask your doctor or pharmacist if you have any questions or if you are not sure how to measure your dose.
- This medication may be used with other laxatives.
- Ask your nurse or doctor if you should continue using your usual medications for constipation.
- This medication is used only for constipation caused by narcotics.
  - Stop using methylnaltrexone when you stop taking narcotic pain medication unless otherwise directed by your doctor.
- This medication works quickly. Most people have a bowel movement within a few minutes to a few hours after administering this medication.
- Methylnaltrexone may make you dizzy. Do not drive, use machinery, or do any activity that requires alertness until you are sure you can perform such activities safely.
  - Limit alcoholic beverages
  - To lower your risk of dizziness and lightheadedness, get up slowly from a sitting or lying position.
- If you have severe or persistent diarrhea, stop using this medication and tell your nurse or doctor promptly.
- Remember that your doctor has prescribed this medication because he or she has judged that the benefit to you is greater than the risk of side effects. Many people using this medication do not have serious side effects.
  - If any of these effects persist or worsen, tell your doctor or pharmacist immediately:
    - Stomach/abdominal pain, nausea, gas, dizziness, fever, or diarrhea
    - Pain, redness, or swelling at the injection site
  - Stop using methylnaltrexone and tell your nurse or doctor right away if any of these rare but very serious effects occur:
    - severe, persistent or worsening stomach/abdominal pain, fever.
  - A very serious allergic reaction to this drug is rare. However, get medical help right away if you notice any of the following symptoms of a serious allergic reaction:
    - rash, itching/swelling (especially of the face, tongue, or throat), severe dizziness, trouble breathing
- Receiving each scheduled dose of this medication as directed is important to achieve the best possible benefit. If you miss a dose, contact your nurse, doctor, or pharmacist immediately to establish a new dosing schedule. ■

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