

Methylnaltrexone Information Sheet

12 mg/0.6 mL solution for injection

Practice points

- ▶ Methylnaltrexone is a subsidised option for **opioid-induced constipation** in palliative care when response to laxative therapy has not been sufficient.
- ▶ It is not effective for constipation caused by other medications or by other mechanisms.
- ▶ It treats opioid-induced constipation without affecting opioid analgesia.
- ▶ The subcutaneous injection can be prescribed, fully subsidised with **Special Authority approval**, to patients who are receiving palliative care.
- ▶ Half of patients will have a bowel movement within four hours of administration, typically within the first 30 to 60 minutes.
- ▶ Contradicted in known or suspected mechanical gastrointestinal obstruction or acute surgical abdomen.

Mechanism of action

- ▶ Methylnaltrexone is a competitive antagonist of opioid receptor.
- ▶ It functions as a peripherally acting mu-opioid antagonist in tissues such as the gastrointestinal tract.
- ▶ It does not cross the blood brain barrier so does not impact opioid-mediated analgesic effects on the central nervous system.
- ▶ Methylnaltrexone is minimally metabolised in humans and is eliminated mainly as the unchanged drug in urine.
- ▶ Half-life is approx. 8 hours.

Dosing

Patient weight (kg)	Injection volume	Dose
38 to less than 62	0.4 mL	8 mg
62 to 114	0.6 mL	12 mg
Less than 38 or more than 114	0.0075 mL/kg (rounded to nearest 0.1 mL)	0.15 mg/kg (rounded to nearest 2 mg)

- ▶ In patients with severe renal impairment (creatinine clearance less than 30 mL/min) reduce the dose by one-half.
- ▶ No dose adjustment is required in patients with mild or moderate renal impairment.
- ▶ There is no data available from patients on dialysis so is not recommended.

Prescribing

- ▶ Methylnaltrexone is for subcutaneous injection only.
- ▶ Prescribed as a stat dose or as a single dose on alternate days.
- ▶ Doses may also be given with longer intervals, as needed.
- ▶ It can also be given as a single stat dose and repeated at a later date if needed.
- ▶ If there has been no bowel movement within 24 hours of the last dose, an additional dose may be given.
- ▶ Ongoing use in the community can be inconvenient so maintaining control using other treatments is recommended.
- ▶ Patients can continue taking other treatments for constipation, such as oral laxatives.

Administration

- ▶ Inject subcutaneously in the upper arm, abdomen or thigh.
- ▶ Move to a different site each time an injection is given.
- ▶ Avoid repeated injections at the exact same spot previously used.
- ▶ Do not inject into areas where the skin is tender, bruised, red, or hard.
- ▶ Avoid areas with scars or stretch marks.
- ▶ Each vial is for single use in **one patient only** and any residue must be discarded.

Contraindications

- ▶ Methyl naltrexone is contradicted in known or suspected mechanical gastrointestinal obstruction or acute surgical abdomen.
- ▶ It is not recommended for use in post-operative ileus, including patients who have undergone gastrointestinal resection.

Adverse reactions

- ▶ Abdominal cramping or abdominal pain are common following treatment.
- ▶ Patients who develop severe or persistent abdominal pain that is not relieved by passing a bowel motion should discontinue treatment.
- ▶ Main side effects are abdominal pain, flatulence, nausea, dizziness, and diarrhoea.

Special Authority requirements

The patient is receiving palliative care **AND**:

- ▶ Oral or rectal treatments for opioid induced constipation are **ineffective**,
- OR**
- ▶ Oral or rectal treatments for opioid induced constipation are **unable to be tolerated**.

<https://schedule.pharmac.govt.nz/latest/SA1691.pdf>

Advice and support

Within working hours contact the Christchurch Hospital Palliative Care Service on **(03) 364 1473**, or the Nurse Maude Hospice on **(03) 375 4274**.

After hours contact the Christchurch Hospital operator on **(03) 364 0640** and ask for the palliative care clinician on call.