PRESCRIPTION MONOGRAPH

Compounded Active Ingredients: Naltrexone Hydrochloride
Form: Oral Capsule

Drug Class: Opioid receptor antagonist

Mechanism of Action[1,2]:
Naltrexone Hydrochloride is intended to:

- Temporarily block opioid receptors: Short-lived antagonism leads to a rebound increase in endogenous endorphins and enkephalins.
- Enhance natural opioid activity: Upregulated endorphins may improve pain modulation, mood, and immune balance.
- Modulate immune function: Reduces production of pro-inflammatory cytokines and microglial activation which may lower chronic inflammation and neuroinflammation.
- Support nervous system resilience: By modulating glial activity, LDN may decrease central sensitization in chronic pain conditions.

Indications Commonly Prescribed for:

- Chronic pain syndromes: Fibromyalgia, complex regional pain syndrome (CRPS), neuropathic pain.
- Autoimmune conditions: Multiple sclerosis, Crohn's disease, Hashimoto's thyroiditis, rheumatoid arthritis, lupus.
- Cancer supportive care: Studied for potential immune-enhancing and anti-proliferative effects.

Before Use: Let your health care provider know if you have any medication allergies before you take this compounded preparation. Let your health care provider know if you have any liver or kidney problems. Let your healthcare provider know of all supplements you are currently taking.

Contraindications:

- Concurrent opioid use or dependence: Even low doses can blunt analgesia or precipitate withdrawal.
- Hypersensitivity to naltrexone.

Cautions: Let your Healthcare provider know if you experience any adverse side effects.

How to Use: This compounded preparation is in the form of an oral capsule. Swallow the capsule whole with a glass of water. Do not chew or crush the capsule. If you miss a dose, take as soon as you remember, but not at the time for the next dose. Desired results may take up to several weeks.

Warnings and Precautions:

- Patients should carry a medical alert noting naltrexone use.
- Liver function: monitor in patients with significant hepatic disease.

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Adverse Reactions:

- Common
  - Vivid dreams, insomnia
  - Headache
  - Mild GI upset
- Serious, but Rare:
  - Mood changes
  - Elevated LFTs
  - Fatigue, Restlessness

Interactions:

- Opioid analgesics/agonists: Contraindicated (LDN blocks effect, may trigger withdrawal).
- Minimal CYP-mediated interactions otherwise; metabolized hepatically, excreted renally.

Use in Specific Populations:

- Pregnancy/Lactation: Insufficient data — avoid unless benefits outweigh risks.
- Pediatrics: Restricted to investigational settings.
- Hepatic impairment: Use caution; baseline and follow-up LFTs recommended.
- Older adults: Sometimes used for chronic pain or autoimmune disease; start low, titrate carefully.

Storage:

- Store in original container at room temperature (up to 30°C or 86°F)
- Store in a cool dry place away from heat, sunlight, and moisture

Monitoring Parameters:

- Symptom tracking: Pain scales, fatigue scores, autoimmune activity indices.
- Liver function tests (LFTs): Baseline, periodic as clinically indicated.
- Mental health: Monitor for mood or sleep changes.
- Opioid status: Confirm patient is opioid-free before initiation.

Citations:

1. Leiber K K, Parker R W (March 24, 2025) Therapeutic Uses and Efficacy of Low-Dose Naltrexone: A Scoping Review. Cureus 17(3): e81086. doi:10.7759/cureus.81086
2. Toljan K, Vrooman B. Low-Dose Naltrexone (LDN)—Review of Therapeutic Utilization. *Medical Sciences*. 2018; 6(4):82. https://doi.org/10.3390/medsci6040082