Naltrexone is a pure antagonist at the Mu opiate receptors. Naltrexone competes for the Mu receptor with synthetic opioid medications as well as endogenous endorphins. In combination with other opiates naltrexone is approved for use in abuse deterrent pain medication formulations. Alone, naltrexone is approved by the FDA to treat alcohol and opiate dependence. Standard dosages for these approved treatments range from 12.5 – 50mg.

In 1985, Bernard Bihari, MD, a physician with a clinical practice in New York City, discovered the effects of a much smaller dose of naltrexone (approximately 3 – 4.5mg once a day) on the body's immune system. Since then trials have shown that low-dose naltrexone is a promising treatment for many immune related diseases such as multiple sclerosis and Crohn's disease.

Low-dose naltrexone also has been tested for treatment of other idiopathic disease states such as fibromyalgia. The mechanism for the therapeutic effect of low-dose naltrexone is not completely understood. Researchers believe that when doses at bedtime the body responds with an up-regulation of endogenous endorphins and enkephalin leading to immune system modulation.

Caution should be taken when prescribing LDN to patients who are taking pain medications that affect the opiate receptors.
Objective: To evaluate the efficacy of 4.5mg nightly naltrexone on the quality of life of patients with multiple sclerosis.

Population: 80 patients with multiple sclerosis between the ages of 18 and 75 with clinically definite multiple sclerosis (International Panel criteria).

Intervention: This study was conducted as a double-masked, placebo-controlled, crossover study self-reported quality of life, to evaluate the efficacy of 8 weeks of treatment with 4.5mg nightly naltrexone.

Results: Low-dose naltrexone was associated with significant improvement on the 3.3-point improvement on the Mental Component Summary score of the Short Form-36 General Health Survey ($p < 0.04$), a 6-point improvement on the Mental Health Inventory ($p < 0.01$).

Conclusion: Multiple sclerosis patients receiving 4.5mg of naltrexone at night showed significantly improved mental health quality of life indices when compared to placebo.

Formulation Tips

What is the best formulation for delivering Low-Dose Naltrexone?

Gelatin Capsules
- Preservative Free
- Dye Free
- Vegetable Capsules Available
Objective: pilot clinical trial, to test the effectiveness of low-dose naltrexone in treating the symptoms of fibromyalgia.

Population: Ten women meeting criteria for moderate to severe fibromyalgia and not taking an opioid medication.

Intervention: This study was conducted as a placebo-controlled, single-blind, crossover to evaluate the efficacy of 8 weeks of treatment with 4.5mg of naltrexone (low-dose naltrexone) on daily, self-reported fibromyalgia symptom severity. Each patient acted as their own control and received a placebo for two weeks before treatment.

Results: During placebo, symptoms were reduced by 2.3% in the entire cohort from baseline. In the drug condition, symptoms were reduced by 32.5%. six out of ten patients treated with low-dose naltrexone were considered responders (30% or greater reduction of symptoms over placebo).

Conclusion: Low-dose naltrexone shows promise as being a well-tolerated and effective treatment for fibromyalgia in women.

Younger et al, Fibromyalgia Symptoms Are Reduced by Low-Dose Naltrexone: A Pilot Study. *Pain Medicine* 2009(10):663-72
Objective: Prospective pilot study for the safety and efficacy of using low-dose naltrexone in patients with active Crohn’s disease.

Population: 17 patients with histologically and endoscopically confirmed active Crohn’s Disease.

Intervention: Patients with Crohn’s disease activity index (CDAI) score of 220–450 were enrolled and treated with 4.5 mg naltrexone daily. Infliximab was not allowed for a minimum of 8 wk prior to study initiation. Other therapy for Crohn’s disease that was at a stable dose for 4 wk prior to enrollment was continued at the same doses.

Results: Seventeen patients with a mean CDAI score of 356 ± 27 were enrolled. CDAI scores decreased significantly (P = 0.01) with LDN, and remained lower than baseline 4 wk after completing therapy. Eighty-nine percent of patients exhibited a response to therapy and 67% achieved a remission (P < 0.001). Improvement was recorded in both quality of life surveys with LDN compared with baseline. No laboratory abnormalities were noted. The most common side effect was sleep disturbances, occurring in seven patients.

Conclusion: Low-dose naltrexone appears to be a safe and effective treatment for patients suffering from active Crohn’s disease.