



The Possibilities of Naltrexone

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As a compounder, you're on the cutting edge of exploring various medications' values in multiple disease states, not just the indicated usage. Off-label use is the creative field of pharmacy where we can expand our collective ability to solve patient problems, and then share the solutions with one another.

Specifically, we have been exploring how one molecule's receptor activity can then be applied to various patient-specific problems. At the end of January, PCCA held a webinar on the use of low-dose naltrexone in current practice as well as novel uses that are being investigated (PCCA #45-2300). Here are some of the unique properties of naltrexone, which can lead you to countless compounding possibilities.

OPIOIDS

Naltrexone is indicated for the treatment of: alcohol dependence behavior modification, opioid dependence cessation programs, and rapid detoxification from opiate overdose. It is a competitive antagonist of opioid drugs at the four opioid receptors throughout the entire body. However, this receptor group didn't originally evolve for the opioid class of drugs, but for our natural endorphins and other molecules that would have both excitatory and inhibitory activities. The four opioid receptor types appear in many kinds of cells and have differing activity in each type. It has been suggested that many of these receptors were involved in behavior patterning activity in the central nervous system (CNS).

TLR-4

Where it becomes interesting is the ability of naltrexone to interact not only with the immune cells and the opioid receptors, but also the Toll-Like-Receptor 4 complex (TLR-4). This receptor complex is found throughout the body and, most interestingly, in the CNS. The TLR-4 interacts with multiple types of molecules in a receptor field, thus effecting not only genomic activity, but intracellular and membrane response.

Research into the interaction of naltrexone with TLR-4 has allowed for the novel use of naltrexone as adjunct therapy for depression, chronic neuropathic pain and gambling behavior modification. Additionally, it is now thought to be the mechanism of action to down-regulate inflammation in the brain, leading to use for patients with autism and patients with chronic neuropathic pain hypersensitivity.

The TLR-4 receptors are also found in immune cells throughout the peripheral tissue, allowing for immunomodulation, impacting multiple immune mediated disease states, including:

- HIV
- Cancer
- Multiple sclerosis (MS)
- All auto-immune diseases
- Fibromyalgia
- Ulcerative Colitis
- Irritable bowel syndrome (IBS)
- Itch

Because of these immunomodulatory effects, it is being looked at for arthritis sufferers. It also has a profound effect on both wound healing (positive) and scar formation (preventing hypertrophy). Finally, it has been found to have a positive impact on itch, as well as psoriasis and eczema.

FREQUENTLY ASKED QUESTIONS

This is where I found how innovative and creative our pharmacy community is. These are a few of the thoughts of our members:

- **Does it show promise for use by patients with shingles or herpes?**
Yes. Immuno-suppression has been reported to allow for the expression of the herpes/shingles virus.
- **Can it be used in compounding for the animal population?**
Naltrexone as an oral dose has been studied since 1990 for use in granulomas in canines and found to be safe and effective. Topical application would be a useful dosage form. However, this doesn't necessarily extend to use for all animals.
- **What about formulations for patients with warts?**
Two of our current therapies rely on upregulation of immune cell function to destroy and clear the virus from the host. This combination might prevent the replication of the virus, prevent the attachment to new cells, and up-regulate the immune cells to clear the virus: topically-applied naltrexone in a 1% concentration, along with cimetidine 15%, acyclovir 2-4%, EGCg 0.2% and salicylic acid 3%.

What else can we use it for? I'm excited to find out. Contact us in the Clinical Services department and let's find out together.

See below for selected naltrexone references. For a full list of references, go to the Members-Only Website > Products > Product Catalog > Search "30-5086" and select "Clinical References."

SELECTED REFERENCES

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