

# Naltrexone Therapeutic Cheat Sheet

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## TRADE NAME

- › Revia
- › Depade
- › Trexan

## MECHANISM OF ACTION

- › Naltrexone is a long-acting opioid receptor antagonist that competitively binds to  $\mu$ ,  $\kappa$ ,  $\delta$  opioid receptors. In high doses (50mg daily), naltrexone is continuously bound to receptors. However, with low dose naltrexone (LDN) (1.5-5mg daily), receptors are bound intermittently at 2-6 hour intervals leading to a compensatory increase in endorphins, opioid growth factors and expression of  $\mu$  receptors and  $\delta$  receptors<sup>1</sup>
- › It is believed to exert antipruritic effects by binding directly to opioid receptors in the skin and binding antagonistically to spinal cord dorsal horn neurons responsible for the perception of itch. This interaction blocks mast cells, basophils and IgE mediated histamine release<sup>2</sup>
- › While high dose naltrexone is pro-inflammatory, LDN has anti-inflammatory effects by acting as a toll-like receptor 4 antagonist, which in turn leads to decreased TNF- $\alpha$ , interleukin-6 and nitric oxide<sup>1</sup>

## FDA APPROVED USES

- › Opioid use disorder
- › Alcohol use disorder

## OFF-LABEL USES IN DERMATOLOGY

- › Hailey-Hailey (LDN)
- › Atopic dermatitis
- › Lichen planopilaris (LDN)
- › Guttate psoriasis (LDN)
- › Scleroderma (LDN)
- › Cholestatic pruritus
- › Aquagenic pruritus
- › Burn injury-associated pruritus
- › Uremic pruritus

## DERMATOLOGIC DOSING

- › Pruritus associated with systemic disease, including uremic pruritus and cholestatic pruritus: 50mg daily<sup>3-7</sup>
- › Pruritus associated with atopic dermatitis: 25-50mg daily<sup>8,9</sup> or naltrexone 1% cream at least twice daily<sup>10</sup>
- › Pruritus associated with burn injuries: 50-100mg daily
- › Hailey-Hailey: 1.5-4.5mg daily<sup>11,12</sup>
- › Lichen planopilaris: 3mg daily<sup>13</sup>
- › Aquagenic pruritus: 25mg daily for 3 months, then every other day indefinitely<sup>14</sup>
- › Scleroderma: 2-4.5mg at bedtime<sup>15</sup>
- › Guttate psoriasis: 4.5mg daily<sup>16</sup>

## SIDE EFFECTS

- › Abdominal cramps
- › Nausea
- › Arthralgias/myalgias
- › Headache
- › Sleep disturbances
- › Fatigue
- › Anxiety
- › Hepatotoxicity has been reported in patients treated for alcohol use disorder, however this risk is very low<sup>1</sup>
- › Dizziness
- › Hair loss
- › Paradoxical exacerbation of pruritus after long-term treatment with naltrexone has been reported when used for cutaneous mycosis fungoides, xerosis cutis and prurigo nodularis<sup>4</sup>

## CONTRAINDICATIONS

- › Concomitant opioid use

## LAB MONITORING

- › Although the risk of the risk of hepatotoxicity is very low, baseline liver function tests with repeat testing at 8-12 weeks should be considered

## PREGNANCY

- › Category C