NALTREXONE (ReVia, Vivitrol) Fact Sheet [G]

Bottom Line:

Naltrexone, an opioid antagonist, is the first-line medication for alcohol use disorder—though it is also approved for opioid use disorder (OUD). By reducing the endorphin-mediated euphoria of drinking, it helps people moderate, preventing that first drink from leading to several more. Avoid naltrexone in patients with hepatic impairment or those taking opioid-based pain medications. Methadone and buprenorphine are first-line treatments for OUD and are the best choices for most patients; however, injectable naltrexone may be an effective alternative for select patients, such as those who are highly motivated and are experiencing homelessness.

FDA Indications:

Alcohol use disorder; OUD (relapse prevention after medically managed withdrawal).

Off-Label Uses:

Self-injurious behavior.

Dosage Forms:

- **Tablets (ReVia, [G]):** 50 mg (scored).
- **Extended-release injection (Vivitrol):** 380 mg.

Dosage Guidance:

- OUD: Start 25 mg for one day; if no withdrawal signs, increase to and maintain 50 mg/day (with food); doses >50 mg may increase risk of hepatotoxicity.
- Alcohol use disorder: Start at 50 mg QD; can increase to 100 mg QD after 12 weeks if no response.
- Injection (for opioid or alcohol use disorder): 380 mg IM (gluteal) Q4 weeks. Do not initiate therapy until patient is opioid-free for at least seven to 10 days (by urinalysis).

Monitoring: LFTs if liver disease is suspected.

Cost: Tablet: $; injection: $$$$$

Side Effects:

- Most common: Headache, nausea, somnolence, vomiting.
- Serious but rare: Black box warning regarding dose-related hepatocellular injury; the difference between apparent safe and hepatotoxic doses appears to be five-fold or less (narrow therapeutic window). Discontinue if signs/symptoms of acute hepatitis develop.

Mechanism, Pharmacokinetics, and Drug Interactions:

- Opioid antagonist.
- Metabolized primarily through non-CYP450 pathway; t ½: 4 hours (5–10 days for IM).
- No significant interactions other than avoiding use with opioids (see below).

Clinical Pearls:

- May precipitate acute withdrawal (pain, hypertension, sweating, agitation, and irritability) in opioid-using patients; ensure patient is opioid-free for at least seven to 10 days prior to initiating.
- In naltrexone-treated patients requiring emergency pain management, consider alternatives to opioids (eg, regional analgesia, non-opioid analgesics, general anesthesia). If opioid therapy is required, patients should be under the direct care of a trained anesthesia provider.
- Efficacy of oral naltrexone in alcohol use disorder (craving and relapse) is more convincing than in OUD. In OUD, craving is not decreased but euphoric effects are blocked. Monthly IM naltrexone may be more effective than oral at maintaining abstinence in OUD, without concern for daily medication adherence.
- It is recommended that patients taking naltrexone wear a medical bracelet or carry a wallet card indicating to emergency providers that they are taking naltrexone and will likely be less responsive to opioid agonist medication.

Fun Fact:

Methylnaltrexone, a closely related drug, is marketed as Relistor for the treatment of opioid-induced constipation.