

# Opioid Antagonist Therapy

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# Opiate vs. Opioid

*Opiates* are drugs derived from opium such as morphine.

*Opioids* referred to synthetic or semi-synthetic opiates and includes heroin, oxycodone, buprenorphine and methadone.



# Opiate Antagonists

- Drugs that compete for the opiate receptors in the brain with a higher affinity than opiate agonists
- Blocks the opiate receptors
- Do not activate the opiate receptors
- Naloxone (Narcan)
- Naltrexone



# Naltrexone

- Tablets
- Extended release injectable form - Vivitrol



# Naltrexone tablets

- FDA approved to treat Alcohol Dependence and Opioid Dependence *after* detoxification
- Approved for the blockade of opioid receptors
- Daily dosing (usually)
- Compliance issues



# ER injectable naltrexone

- FDA approved in 2006 to treat alcohol dependence
- FDA approved in October 2010 to prevent relapse in opioid dependence following opioid detoxification
- Monthly injections – gluteal muscle
- Superior to the naltrexone tablets
- “Supersensitivity” of opioid receptors?
- Risk of attempting to “override” the opioid blockade



# Naltrexone

- No diversion No drug reward
- Not a controlled drug
- Not addictive No withdrawal
- DEA waiver is not required
- Any pharmacy can fill the prescription
- Patient self-selection
- Psychosocial support is important



# Naltrexone - Indications

- Young adults
- Those highly motivated to abstain from all opioids
- Those who failed agonist therapy
- Those using opioids irregularly
- Short history of opioid abuse
- Those wanting to discontinue agonist therapy and are concerned about relapsing
- Those in professions where agonist therapy may be controversial such as pilots or healthcare professionals
- Pre-/post-release inmates from correctional facilities





# ER injectable naltrexone

- Blocking the reinforcing effects of heroin can lead to gradual extinction of drug seeking and decreased cravings to use opioids
- Relapse prevention!



# Contraindications

- Pregnancy Category C
- Post-Partum/Breast feeding
- Acute Hepatitis
- Severe Liver Disease/Liver Failure
- Patients requiring opioids for pain management?
- Upcoming surgeries.
- Allergy
- Chronic Hepatitis C is not a contraindication!



# Opiate Antagonist Therapy

- Risk vs. reward
- Patient selection