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# TOXICOLOGY TIMES

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## Naltrexone

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Methadone, Buprenorphine and Naltrexone are current drugs used in the treatment of the addiction to the opiate class of drugs. The main use of these medications is the effective treatment of heroin addiction However, with the advent of opioid medications available and used in the treatment for chronic pain, Medication Assisted Treatment (MAT) programs have been broadened to include the natural, as well as the synthetic, opiates. MAT programs, including Opioid Treatment Programs (OTPs), combines behavioral therapy and medications to treat substance use disorders. In previous issues of the Toxicology Times we have written about methadone and buprenorphine, and here we will show how naltrexone fits in with the other medications.

Naltrexone was first synthesized in 1965 and has a very similar structure to naloxone and oxymorphone. Naloxone is very quick acting and has a greater affinity at the binding sites than morphine. It is used in overdose situations to replace the opiate at the binding site and reverses the respiratory suppression. In these situations, naloxone will save the patient's life and restore normal breathing with minimal secondary effects. Oxymorphone is a powerful opiate that is used in pain treatment and is sought out by addicted prescription seekers and sold on the street to those seeking relief from their addiction. The minor chemical structural differences between naltrexone, naloxone and oxymorphone is a characteristic that allows the use of naltrexone as a treatment medication, naloxone as an emergency lifesaving treatment to restore breathing and oxymorphone as pain medication or as a drug of abuse.

Naltrexone works differently in the body compared to methadone and buprenorphine. There are three main opiate receptors in the human body. They each have a different function; however, each is either activated (agonist) or suppressed (antagonist) differently by each opiate. The receptors are noted by the Greek alphabetic symbol as either Mu, Kappa or Delta. Naltrexone works by completely blocking the three receptors and acts as an antagonist. Methadone is a full agonist at the Mu receptor and has negligible effect at the Kappa and Delta receptors. Buprenorphine is a partial agonist on the Mu receptor and an antagonist at the Kappa and Delta. The important difference with these three options for treatment is that naltrexone both binds and blocks the euphoric and sedative effects of heroin, morphine and codeine and is reported to reduce craving and there is no abuse or diversion potential.

Extended-release injectable naltrexone is approved for treatment of people with opioid use disorder.***** It can be prescribed by any healthcare provider who is licensed to prescribe medications and special training is not required. It is important that medical managed withdrawal (detoxification) from opioids be completed at least 7 to 10 days before extended-release injectable naltrexone is initiated or resumed. Research has shown that naltrexone decreases reactivity to drug-conditioned cues and decreases craving. Patients who have been treated with extended-release injectable naltrexone may have reduced tolerance to opioids and may be unaware of their potential sensitivity to the same, or lower, doses of opioids that they used to take. Extended-release naltrexone should be part of a comprehensive management program that includes psychosocial support.

We know that alcohol stimulates the release of endogenous opioids. When used as a treatment for alcohol dependency, naltrexone blocks the euphoric effects and feelings of intoxication. This allows people with alcohol addiction to reduce their drinking behaviors enough to remain motivated to stay in treatment and hopefully avoid relapses. Naltrexone is not addictive nor does it react adversely with alcohol. Long-term naltrexone therapy extending beyond three months is considered most effective by researchers, and therapy may also be used indefinitely.

*****Treatment modalities from SAMHSA