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Buprenorphine (Part 1)

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Buprenorphine is a semi-synthetic opiate derivative of Thebaine and is one of the most active morphine alkaloids. It is used in maintenance of the opiate addicted patient as a treatment modality that has some benefits over the mainstay medication of methadone. The drug buprenorphine was first marketed in 1980 as an analgesic (general pain relief), and was subsequently approved by the Food and Drug Administration (FDA) in 2002. This approval was for Subutex (buprenorphine) and Suboxone (buprenorphine with naloxone) for detoxification and long term drug treatment of opiate dependent patients. Both buprenorphine and methadone are used for opiate detoxification as well as for short and long term opiate replacement therapy. Studies show the effectiveness of methadone and buprenorphine are essentially equivalent. The main difference between the two medications is that buprenorphine has a longer half-life (time to metabolize 50% of the dose) and can be prescribed as a take-home medication. The take-home medication is formulated with naloxone, and is called Suboxone. This formulation prevents the misuse and abuse of the medication.

Dosage, Metabolism, and Elimination of Buprenorphine

The dosage for buprenorphine is in the range of 2-16 mg per day, with medication in tablet format at 2 and 8 mg per tablet. The dosage can be higher depending on the patient response and needs. Toxic symptoms include confusion, dizziness, pinpoint pupils, hallucinations, hypotension, respiratory difficulties, and in overdose situations, can lead to seizures and coma.

Blood or serum concentrations, as well as urine, are varied based on the patient's dose. It is typical to find a lot of patients with negative urine values who are on 2 mg tablet per day and the literature supports these results. Sublingual administration of 2 mg of buprenorphine to six healthy males resulted in peak plasma levels of 1.6 ng/mL (Everhart et al., 1997). A 4 mg sublingual dose given to six men gave plasma values of 3.3 and 0.31 ng/mL for buprenorphine and norbuprenorphine at 4 hours post dose (Kuhlman et al. 1996). Opiate dependent subjects treated with 8 mg per day sublingually during maintenance therapy had buprenorphine serum values in the 1 to 8 ng/mL range (Debrabandere et al., 1991). With the limited amount of data available, we at SDRL generally agree with this range for serum levels, and feel that the therapeutic range for maintaining the correct dose in the patient will be refined as more data is collected over time.

When a patient is administered the parent medication of buprenorphine, it is metabolized from buprenorphine (BUP) to norbuprenorphine (NBUP). It is further conjugated with glucuronide to give buprenorphine glucuronide (BUP-Gluc) and norbuprenorphine glucuronide (NBUP-Gluc). It is the summation of these 4 components that yield the metabolic profile found in serum and what is excreted in urine samples. The primary metabolite NBUP is more pharmacologically active than BUP. However it is unable to cross the blood-brain barrier, which greatly reduces its effectiveness. The compound BUP, BUP-Gluc, as well as NBUP-Gluc, all cross the blood brain barrier, and BUP-Gluc in quite a large percentage. This means that the pharmacological effect is the sum total of the concentration of the BUP, BUP-Gluc, NBUP and NBUP-Gluc.

??? Did You Know ???

Because recovery is a highly individualized process, recovery services and supports must be flexible to ensure cultural relevancy. What may work for adults in recovery may be very different for youth or older adults in recovery. For example, the promotion of resiliency in young people, and the nature of social supports, peer mentors, and recovery coaching for adolescents and transitional age youth are different than recovery support services for adults and older adults. Source: SAMHSA

Question of the Month

Question: I have a patient who is having trouble providing a urine sample for a drug test. How much fluid should I allow them to drink in order to help them produce a sample?

Answer: It takes a small amount of urine in order to be able to process a urine drug screen. Allowing a patient to consume roughly 8-12 ounces of fluid should help facilitate enough urine for the drug test. If this small amount is the only fluid ingested immediately before a urine drug test, then creatinine values should not be greatly impacted.