Straight Tox

Buprenorphine Making Inroads in Opiate Addiction Therapy

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Buprenorphine is being heralded by the media as a great new weapon in the treatment of opiate addicts.[1] The popularity of buprenorphine as an alternative to conventional methadone treatment for opiate addiction is being driven by a number of factors, not the least of which is an astounding increase in the number or methadone related deaths being reported as methadone has found increased use as a pain management drug.

Data from MedWatch – the FDA's Safety Information and Adverse Event Reporting Program – indicate that, from 1970 through 2002, 1,114 cases of methadone-associated deaths were reported. Remarkably, a greater number of methadone-associated deaths were reported in 2001 alone than during the entire period from 1990 through 1999. This number doubled again in 2002. In North Carolina, the number of deaths associated with methadone increased five-fold from 1997 through May 2001.[2] It is quite possible that those using the drug for pain management as well as novice abusers, may be increasing their dosing much more rapidly than they are developing tolerance to the drug. This is exacerbated by a build-up of drug in their system due to methadone's long half-life, reported to be 15-55 hrs.[3]

Proponents of buprenorphine as an opiate replacement drug cite evidence of the low abuse potential of the drug. Buprenorphine is derived from thebaine as is oxycodone. Buprenorphine is a partial agonist and therefore can produce the euphoria, analgesia, and sedation associated with opiates. However, while buprenorphine stimulates the same brain receptors as full opiate agonists such as heroin and morphine, buprenorphine produces a lesser degree of sedation and respiratory depression than those drugs and causes no significant impairment of cognitive or motor skills. Buprenorphine is also reported to have a

"ceiling effect" whereby increased doses of the drug do not produce increased effects after a certain point, or ceiling. In fact, high doses of the drug can actually precipitate withdrawal symptoms in opiate addicted individuals. As a result, buprenorphine is not as effective as methadone in treating severely opiate-addicted individuals whom require larger doses of opiates in order to maintain treatment therapy.

There are further advantages of buprenorphine in opiate addiction therapy. Unlike methadone, it can be prescribed by a local doctor and obtained from a local pharmacy, providing patients easy access to treatment. Because patients can visit their local doctors, buprenorphine therapy is far more discreet, making it preferable for many patients who must deal with the stigma attached to making daily trips to a methadone clinic. This treatment option also is more convenient than methadone therapy for many abusers who would otherwise have to drive long distances each day to obtain methadone. Further, buprenorphine therapy can provide treatment in rural areas with inadequate access to treatment and in areas where methadone clinics have reached full capacity.[4]

Because buprenorphine use can produce euphoric effects it is not, however, without potential for abuse. Buprenorphine was first introduced to Ireland in 1980 and the first case of its abuse presented to the National Drug Advisory and Treatment Centre in February 1986. Buprenorphine is now established as a major drug of abuse among Dublin's opiate addicts and its abuse is becoming increasingly common. It should be noted, however, that buprenorphine is rarely the preferred drug of opiate abusers. It is often used to prevent withdrawal symptoms when heroin is unavailable.[5] Of course one may wish to view this last piece of information as a resounding endorsement of buprenorphine in addiction treatment. Addicts report a less intense euphoriant effect with buprenorphine as compared with heroin, however addicts are reportedly enhancing the euphoric effects by potentiating buprenorphine with cyclizine.[5]

As a deterrent for diversion and abuse, buprenorphine is available in a compounding known as Suboxone™. Suboxone contains both buprenorphine and naloxone, an opiate antagonist. Suboxone was designed specifically to meet FDA requirements for a more diversion-proof drug for use in opiate addiction therapy and is available only in the United States. The naloxone contained in Suboxone guards against abuse--if an abuser crushes and injects or snorts the Suboxone tablet, the naloxone in it precipitates withdrawal symptoms.[4] When used properly, that is sublingually, however, the naloxone in Suboxone is minimally absorbed compared to the buprenorphine and has little effect on the efficacy of the drug.

Buprenorphine appears to show a great deal of promise in the treatment of opiate addiction due to its increased availability over methadone, low abuse potential, and concomittant increase in safety, especially for the naloxone-containing formulation known as Suboxone. However, as forensic toxicologists, we have heard these promises before. Only time will tell if this drug will be

beneficial or simply become another abuse problem. On paper, however, buprenorphine seems to have a lot going for it.

References

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