

## What exactly is Buprenorphine?

Buprenorphine (BYOO-pre-NOR-feen) ('bu-pre-'nor-feen) is an opioid medication used to treat opioid addiction in the privacy of a physician's office.<sup>1</sup> Buprenorphine can be dispensed for take home use, by prescription.<sup>1</sup> This in addition to buprenorphine's pharmacological and safety profile makes it an attractive treatment for patients addicted to opioids.<sup>2</sup>

Buprenorphine is different from other opioids in that it is a partial opioid agonist<sup>3</sup>. This property of buprenorphine may allow for;

- less euphoria and physical dependence\*<sup>3</sup>
- lower potential for misuse\*<sup>3</sup>
- a ceiling on opioid effects\*<sup>3</sup>
- relatively mild withdrawal profile\*<sup>3</sup>

At the appropriate dose buprenorphine treatment may:

- Suppress symptoms of opioid withdrawal<sup>2</sup>
- Decrease cravings for opioids<sup>2</sup>
- Reduce illicit opioid use<sup>2</sup>
- Block the effects of other opioids<sup>2</sup>
- Help patients stay in treatment<sup>2</sup>

\* When compared with full opioid agonists (such as oxycodone and heroin)<sup>3</sup>

Buprenorphine ('bu-pre-'nôr-feen) (C<sub>29</sub>H<sub>41</sub>NO<sub>4</sub>) is a semi-synthetic opioid derived from thebaine, an alkaloid of the poppy *Papaver somniferum*. Buprenorphine is an opioid partial agonist. This means that, although Buprenorphine is an opioid, and thus can produce typical opioid effects and side effects such as euphoria and respiratory depression, its maximal effects are less than those of full agonists like heroin and methadone. At low doses Buprenorphine produces sufficient agonist effect to enable opioid-addicted individuals to discontinue the misuse of opioids without experiencing withdrawal symptoms. The agonist effects of Buprenorphine increase linearly with increasing doses of the drug until it reaches a plateau and no longer continues to increase with further increases in dosage. This is called the "ceiling effect." Thus, Buprenorphine carries a lower risk of abuse, addiction, and side effects compared to full opioid agonists. In fact, Buprenorphine can actually block the effects of full opioid agonists and can precipitate withdrawal symptoms if administered to an opioid-addicted individual while a full agonist is in the bloodstream. This is the result of the high affinity Buprenorphine has to the opioid receptors. The affinity refers to the strength of attraction and likelihood of a substance to bind with the opioid receptors. Buprenorphine has a higher affinity than other opioids and as such will compete for the receptor and win. It will "knock off" other opioids and occupy that receptor blocking other opioids from attaching to it. If there is enough Buprenorphine to knock the opioids off the receptors but not enough to occupy and satisfy the receptors, withdrawal symptoms can occur; in which case the treatment is more Buprenorphine until withdrawal symptoms disappear.

In October 2002, the Food and Drug Administration (FDA) approved Subutex® (buprenorphine hydrochloride) and Suboxone® tablets (buprenorphine hydrochloride and naloxone hydrochloride) for the treatment of opiate dependence (addiction). On October 9, 2009 the FDA approved a generic version of Subutex. In 2011 Subutex (brand name) was discontinued, in 2012 Suboxone (brand name) tablets were discontinued and replaced with Suboxone Film. In February 25, 2013 the FDA approved Suboxone generics. On July 6, 2013 Zubsolv (BupNx) sublingual tablets were FDA approved and became available in September 2013. In November 2014 Bunavail (bup/nx) buccal film became the latest brand name bup/nx product to hit the market.

Suboxone, contains both buprenorphine and the opiate antagonist naloxone. Naloxone has been added to Suboxone to guard against intravenous abuse of buprenorphine by individuals physically dependent on other opiates. If misused by injection, the naloxone (along with the buprenorphine itself) will help cause immediate withdrawal in physically dependent people, however when taken sublingually, as indicated, the naloxone is not significantly absorbed and is considered clinically insignificant.