

Buprenorphine

General:

Buprenorphine is a semi-synthetic opiate with partial agonist and antagonist actions. It is a thebaine derivative with powerful analgesic properties approximately twenty-five to forty times as potent as morphine, and its analgesic effect is due to partial agonist activity at μ -opioid receptors, i.e. when the molecule binds to a receptor, it is less likely to transduce a response in contrast to a full agonist such as morphine.

Buprenorphine also has very high binding affinity for the μ receptor such that opioid receptor antagonists (e.g. naloxone) only partially reverse its effects. These two properties must be carefully considered by the practitioner, as an overdose cannot be easily reversed, and use in persons physically dependent on full-agonist opioids may trigger opioid withdrawal that also cannot be reversed easily and can last over twenty-four hours, as the drug's mean half-life is thirty-seven hours.

It is not administered orally, due to very high first-pass metabolism. Buprenorphine is metabolized by the liver, via the CYP3A4 isozyme of the cytochrome P450 enzyme system, into norbuprenorphine (by Ndealkylation), glucuronidation and other metabolites. The metabolites are further conjugated with glucuronic acid and eliminated mainly through excretion into the bile.

The elimination half-life of buprenorphine is 20–73 hours (mean 37). Due to the mainly hepatic elimination there is no risk of accumulation in patients with renal impairment and in the elderly. Transdermal buprenorphine has a half-life of approximately thirty hours, and a bioavailability of approximately 50%, which is comparable to sublingual buprenorphine.

The following tests are available:

- **Buprenorphine in serum**

Indication: Therapy monitoring, intoxication

Material: 1 ml serum

TAT: 7-10 days*

Method: LCMS

Units: $\mu\text{g/l}$

Ref.- range: 0.5 - 5.0

For complete list of laboratory test offered at Freiburg Medical Laboratory, please visit <http://www.fml-dubai.com/parameter-listings/>