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Accurate Education

Buprenorphine Taken Concurrently with Other Opioids

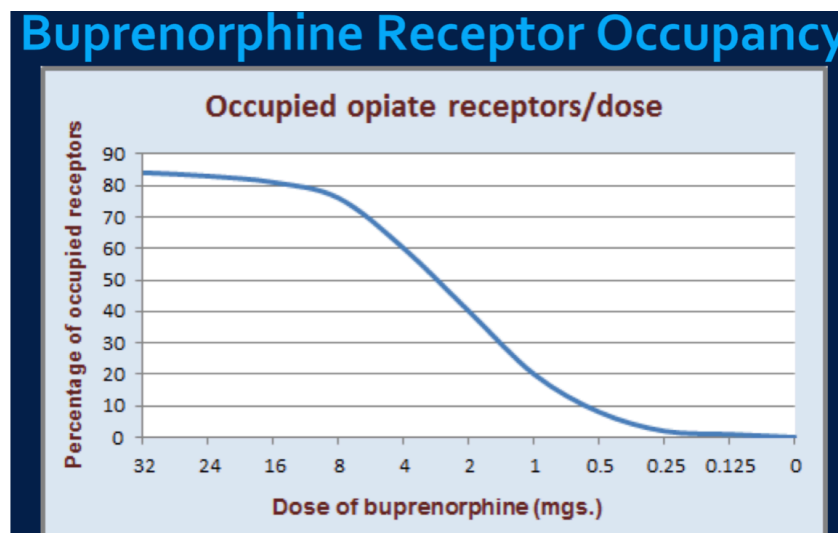
As a pain management specialist who frequently prescribes buprenorphine for pain, often in combination with other opioids, I often encounter reluctance on the part of a pharmacist to dispense the two together. This is based on an incomplete understanding of the pharmacodynamics of buprenorphine. It can be quite safe and appropriate for buprenorphine to be taken concurrently with full agonist opioids.

While most clinicians understand that buprenorphine has a strong binding affinity to mu opioid receptors that allows it to displace other opioids. Under some circumstances, this displacement may trigger withdrawal symptoms in someone with physical dependence on their opioids or it may simply block the other opioid's effectiveness by blocking its ability to bind to the opioid receptor.

That being said, the outcome of the concurrent use of these two opioids is completely dependent on their relative dosing, which is predicted by the relative receptor occupancy of the two compounds. When buprenorphine is taken at the usual doses used for the treatment of opioid use disorder (16-32 mg), up to 80-90% of the opioid receptors will be engaged by the buprenorphine. It is this situation that creates incompatibility when taking buprenorphine concurrently with other opioids.

However, when buprenorphine is taken at microgram doses, as found in transdermal buprenorphine patches (Butrans) and buccal buprenorphine strips (Belbuca), the buprenorphine will occupy less than 20-40% of the opioid receptors. Under these circumstances, there will be no incompatibility and no undue displacement of another opioid from its receptor. This makes it completely safe to take the two together.

See the graphic representation below that displays relative opioid receptor occupancy established by the use of buprenorphine at different doses:



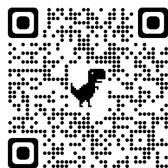
In fact, not only is it safe and effective, buprenorphine has many properties that are advantageous compared with traditional opioids and these benefits can be available when buprenorphine is taken solely or concurrently with other opioids.

For example, buprenorphine is effective for both nociceptive and neuropathic pain. Some of the strongest clinical evidence of buprenorphine's efficacy is for chronic low back pain and peripheral neuropathies.

Buprenorphine's unique properties that make it a wise choice when managing chronic pain:

1. Longer half-life and slower dissociation from the mu-receptor compared to many other opioids
2. Safety advantages over other opioids, including a “ceiling response” to respiratory depressive effects, a benefit that makes buprenorphine potentially the safest opioid with respect to unintentional overdose
3. Buprenorphine offers greater analgesic benefits compared to many commonly prescribed opioids for certain types of pain, including: neuropathic pain, deep bone pain and certain cancer-related pains. This may at least in part be due to its agonist action at the ORL-1 receptor
4. Buprenorphine develops analgesic tolerance slowly and it stabilizes over time. It is often effective for patients who have developed analgesic tolerance to other opioids. There is strong mechanistic evidence that low dose buprenorphine (up to 2 mg/day) can reverse or mitigate the development of opioid-induced hyperalgesia and the analgesic tolerance of other opioids taken concurrently.
5. This same agonist action at the ORL-1 receptor may also be responsible for buprenorphine's reduced reward effects and likelihood for abuse.
6. When taken with other opioids, buprenorphine may reduce the reward and craving effects of the other opioids, reducing their potential for developing addiction due to its agonism at the ORL-1 receptor
7. Buprenorphine reduces depression, probably by its antagonism at the kappa-opioid receptor.
8. Buprenorphine does not reduce testosterone levels in men or women as may occur with other opioids
9. Buprenorphine does not appear to reduce the immune response that may occur with other opioids, a finding of still undetermined clinical significance.
10. In pancreatitis, buprenorphine is safer than other opioids due to less effect on the sphincter of Oddi.
11. Withdrawal symptoms with buprenorphine are less severe than many other opioids and are highly unlikely to occur with the micro-dosing of transdermal and buccal buprenorphine formulations
12. Buprenorphine is one of the safest opioids for use in renal failure and dialysis and doses do not have to be altered in mild to moderate liver impairment (Child–Pugh class A and B).
13. In the elderly, buprenorphine is better tolerated than most other opioids
14. Buprenorphine may reduce the process of central sensitization that magnifies pain, particularly in chronic neuropathic pain conditions such as diabetic peripheral neuropathy, and fibromyalgia.
15. Unlike many other opioids, buprenorphine has not been associated with serotonin syndrome.

Please contact our clinic if you have any questions or concerns



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Buprenorphine for Pain