

ED BUPRENORPHINE/NALOXONE (BUP) BASICS PHARMACOLOGY

Summary: BUP is safe for ED treatment of OUD. Severe side effect to avoid is precipitated withdrawal.

What buprenorphine (BUP) properties are most relevant to ED care?

1) **Partial agonism:** does not fully activate opioid receptors.

Important because:

- Ceiling effect on opioid respiratory depression
- If it displaces a full opioid agonist (e.g., morphine), withdrawal symptoms occur
- Respiratory depression can occur if BUP is given in combination with other CNS depressants (e.g., benzo)

2) **High Affinity:** more tightly bound to receptor than most other opioids.

Important because:

- If the patient's on other opioids, BUP displaces it from the receptor (& causes precipitated withdrawal)
- If the patient's on BUP, blocks other opioids from the receptor, protecting from OD but also making acute pain control more difficult & long duration

3) **Rapid Onset** (30-60 min)/long duration (36+ hrs at therapeutic dose).

Important because:

- Works quickly for patients in moderate to severe withdrawal
- Withdrawal unlikely if patient stable on BUP and misses one QD dose

Why are BUP formulations a combination of buprenorphine and naloxone and why are they sublingual (SL)?

- Combination with naloxone deters use by injection or snorting (naloxone blocks BUP)
- SL because oral BUP cleared by first pass metabolism & because naloxone not absorbed SL
- 2mg BUP tabs used for initiation/titration, 8mg BUP tabs used for maintenance

Why is BUP now 1st line OAT (opioid agonist therapy), over methadone & other options?

- Safety profile: being on BUP has 6x lesser OD risk than being on methadone
- Ease of prescribing: because of safety, fewer restrictions on prescribing by non-specialists

What are common & major side effects of BUP to review with patients?

- Common (>10%): Headache, nausea, sweating, insomnia, constipation (typically mild)
- Major: BUP rapidly displaces full agonist opioid --> precipitated withdrawal (severe). Avoided when starting BUP if full agonists are already gone from receptors, as determined by:
 - Moderate to severe withdrawal by COWS score
 - > 24 hours since last opioid use (time depends on other opioid's duration)

