Buprenorphine pharmacology

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UNDER THE STEWARDSHIP OF MARY AIKENHEAD MINISTRIES

Opioids – full, partial, antagonist

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- Full Agonists - bind completely and strongly to receptors creating maximum effect. *These include oxycodone, heroin, fentanyl*
- Partial Agonists – are similar to full agonists at low doses, however cause less receptor activation, and at high doses analgesic effects plateau. *These include buprenorphine and tramadol*
- Antagonists – Bind and **block** receptors and therefore biological response. *This includes naloxone and naltrexone*

Opioids – full, partial, antagonist

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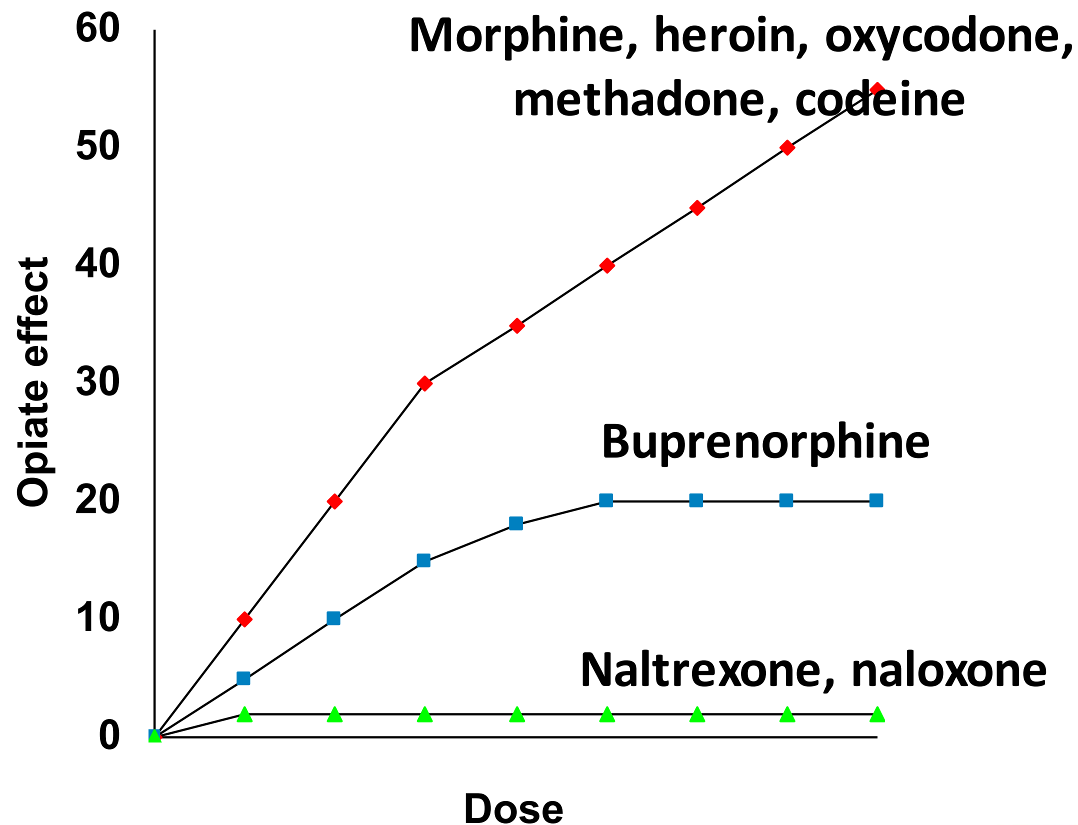

Buprenorphine

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- Has high affinity for the opioid receptor
- Competes with other opioids for the receptors
- Binds to receptors *in preference* to full opioid agonists
- Has limited opioid effect, stopping withdrawal but not causing euphoria
- At 24 -72 hours, begins to dissipate from receptors

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Buprenorphine - onset of action, duration

Sublingual film

- Onset is between 30 to 60 minutes
- Peak effect occurs between 1 to 4 hours
- Effect on controlling craving can be from 24 to 72 hours as buprenorphine dissipates from the receptors

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Side effects of buprenorphine

Most dissipate after medication is taken for awhile

- Uncommon

Sometimes:

- Agitation / feeling 'wired'/ 'buzzing' (lessened sedative effect)
- Flu like symptoms / body aches / headaches
- Dizziness
- QT prolongation
- Constipation
- Sweating
- Sleep problems

Nb. Cases of hypersensitivity to buprenorphine have been reported (rare)

Formulations – opioid maintenance tx

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Must have permit for OST

Subutex

Nb no takeaways

Suboxone

Nb TA's allowed

Formulations – opioid maintenance tx

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- Buprenorphine is being increasingly used

  > Safety profile

- Individuals should wait until withdrawal symptoms occur before starting Buprenorphine as it can precipitate withdrawal for people who are currently dependent on another opiate

Naloxone in Suboxone

Naloxone in Suboxone is intended to reduce its abuse liability (c.f. Subutex):

- Very low bioavailability: <2% absorbed
- Meant to block the effect of buprenorphine if it is injected
- Only precipitates withdrawal if injected and opioid on board

Precipitated withdrawal

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- Precipitated withdrawal is a rapid *intense* onset withdrawal symptoms

  Hence start dose is 4mg

  Or can do ‘test’ dose of 2mg - within 1 hr will know

- Occurs when Buprenorphine is given to an individual who is physically dependent on full agonist opioids:
- The partial agonist “kicks off” the already existing opioid agonist, causing a sudden loss of opioid receptor activation

Precipitated withdrawal - mx

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Administer 2-4mg buprenorphine doses hourly

until symptoms settle

Methadone to buprenorphine transfer

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- Methadone 30-40mg: can transfer to buprenorphine in community

    (Higher methadone doses need inpatient admission)

- Wait 36-48 hours after last dose of methadone (the longer the better) to avoid precipitated withdrawal

- Start buprenorphine at 4mg BD then can increase dose quickly
- Daily review

Formulations - pain

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Temgesic

Injections – IM or slow IV (eg. 300-600 mcg *qid* or *tds*)

Sublingual tablets (eg. 200 mcg; 1-2 tab *qid* or *td*s)

Norspan

Patches – 5mcg/hr, 10 mcg/hr, 20 mcg/hr

Maximum 2 concurrent patches at a time

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Buprenorphine - overlap dependence & pain

- Suboxone and Subutex: off label for pain management

  Nb. Pain specialist review needed - still need an OST permit

- Analgesic effects gained through action at Mu receptors throughout the central nervous system

- Has a ceiling on analgesic effect because it is a partial agonist

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Mx of acute pain while on Suboxone

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Questions and discussion