

History of Opioid Use

1522- Laudanum- earliest reference to a known morphine type substance

Earlier reports to pre-Christ time

1804- actual Morphine metabolite discovered from poppy plant

Used in the civil war- 400,000 soldiers reported chemical dependency issues

How do opioids work?

Naturally occurring OPIOID receptors present through out the body

Receptor structure:

Opioid receptor types

Pharmacology

Binding of opioid to receptor causes:

Hyperpolarization of neuron inhibiting neurotransmitter release

This prevents neurotransmission

Binding characteristics vary:

Full- complete bind to receptor

Partial- Only somewhat bound

Affinity- Strength of bond

Example:

Buprenorphine- Partial agonist with STRONG affinity

Receptor binding characteristics

Action at receptor:

Agonist- a drug that evokes the receptor's action

Antagonist- drug occupies receptor but produces NO action

Binding affinity

Full- binds completely with receptor

Partial- binds somewhat with receptor (but might have a strong bond)

Example: morphine is a full/pure MU agonist

Example: buprenorphine is a partial mu agonist

Side Effects

Dealing with Side Effects

Options include:

Discontinuing opioid

Administering low dose of reversal (butorphanol, naloxone)

Administer full reversal

Administer adjunctive agent (ketamine, dexmedetomidine) on top of opioid

Potency vs. Efficacy

Ceiling effect

Ceiling effect

No more pronounced analgesia (OR adverse effects) at higher doses

Higher doses may exhibit kappa activity AND displace endogenous opioids off of receptors = BAD

Morphine

Hydromorphone

Fentanyl

Methadone

Buprenorphine

Butorphanol

Naloxone

Completely reverses u opioids

Duration – 20-40 minutes

Opioid effects can reoccur

Anxiety/Pain/Discomfort can occur after administration

Give small and incremental doses if needed

Using opioids

Acute severe pain

Surgical pain (pre-peri-post operatively)

Chronic pain (capturing patient)

Epidural/Regional

Sustained release (Fentanyl patches)

Buprenorphine SR

- Lipid encapsulated

- 72 hour release

- Anecdotal reports of excessive sedation

Simbadol

- 24 hr buprenorphine

- SID dosing

Fentanyl patches

- Applied to skin and slow release transdermal absorption

- Highly variable plasma levels depending on location, temperature, Body condition, etc

- Anecdotal reports of varying efficacy

Recap

- Opioids are the cornerstone of pain management in small animals

- Used in a variety of situations and cases

- Se's are numerous but rare

- Highly cv safe

- Multiple options and formulations