THE UNIVERSITY OF WESTERN AUSTRALIA

DENT 3005:Introduction to Pharmacology

Drugs for pain relief

Dr Thuy Linh Truong
thuy.truong@uwa.edu.au

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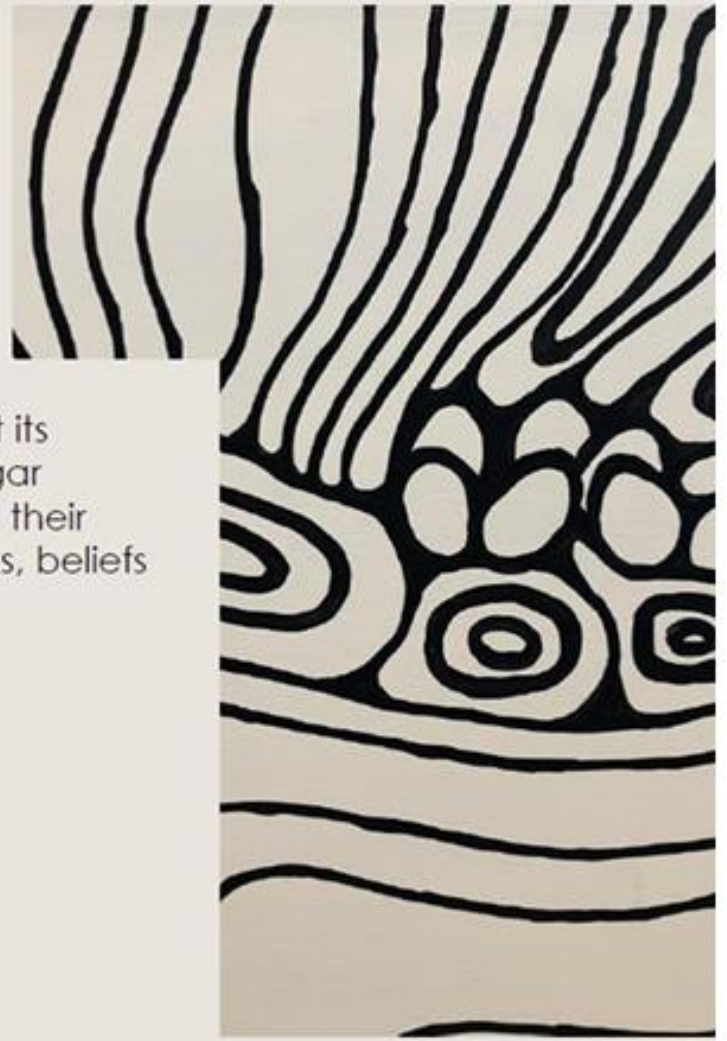
Acknowledgement of country

The University of Western Australia acknowledges that its campus is situated on Noongar land, and that Noongar people remain the spiritual and cultural custodians of their land, and continue to practise their values, languages, beliefs and knowledge.

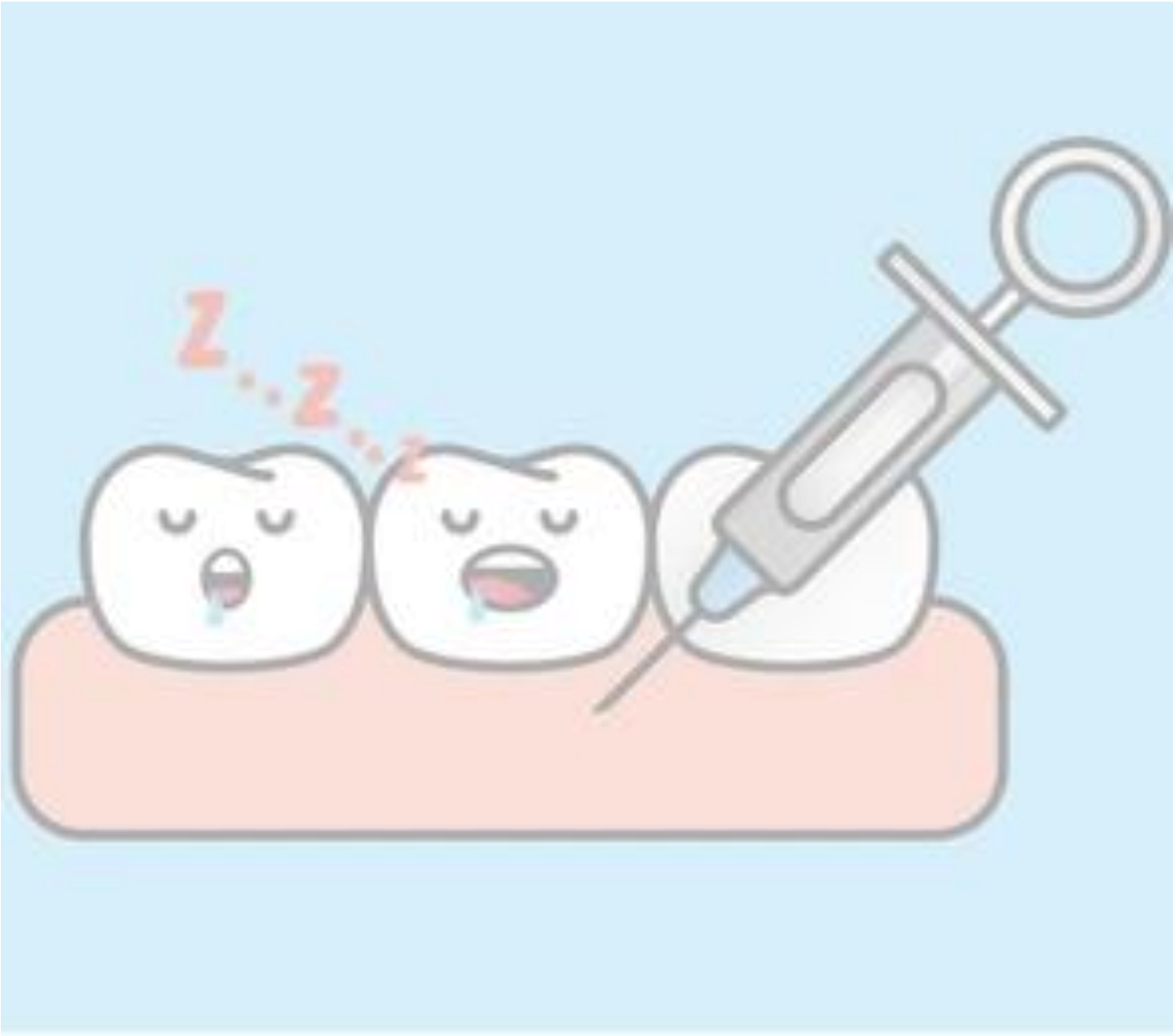


Artist: Dr Richard Barry Walley OAM

Learning Outcomes

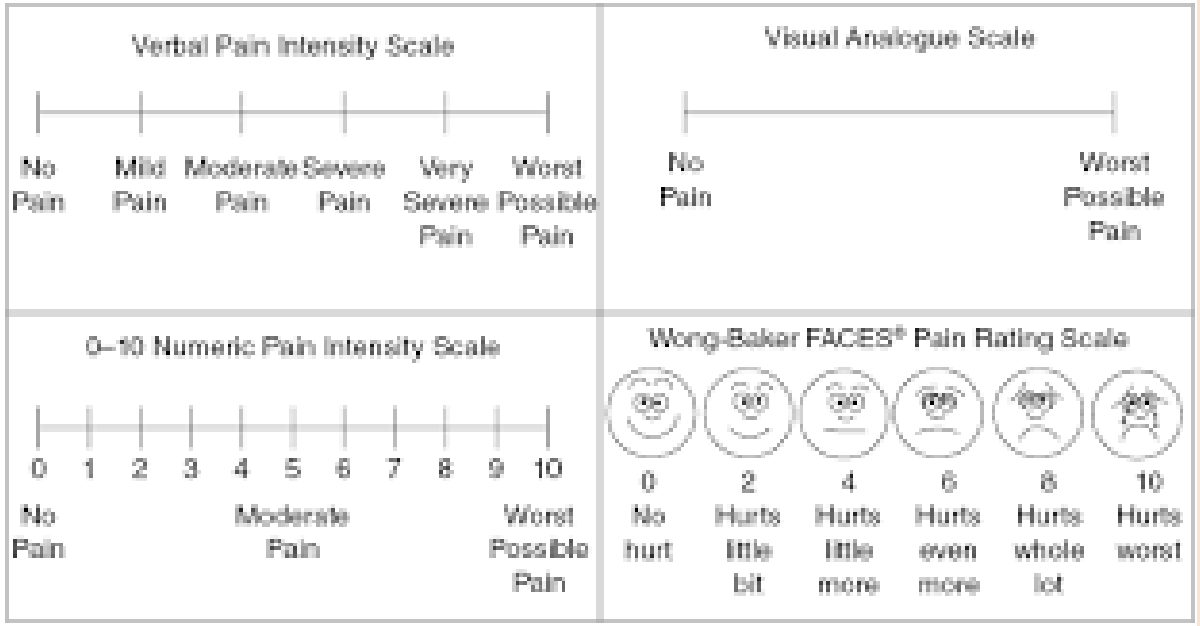
Learning objectives

1) Understand the different types of analgesics and their mechanism of action
2) Understand the different types of local anaesthetics and their mechanism of action
3) Understand indication, dosing direction and regimen for analgesics in the dental setting
4) Understand indication, dosing direction and common techniques for local anaesthetics in the dental setting
5) Recognise oral and dental side effects of these drugs
6) Understand drugs interactions with dental medications
7) Applied knowledge to clinical scenarios



Pain

- **Type**
  - Nociceptive
  - Neuropathic
  - Mixed
- **Severity**
  - Subjective
  - Assess behavior if patient unable to report pain
- **Pain Scales**
  - Numerical
  - Visual
  - Verbal
- **Duration**
  - Acute
  - Chronic



Acute Vs chronic

Acute

- Defined pattern of onset, site, character, duration
- Cause: identifiable
- **Rational for drug use**
  - Relieve suffering
  - Reduce/prevent harmful physiological & psychological effects
  - Reduce transition to chronic pain
  - Assist rehabilitation

Practice point

- Treat the disease!
- Patients on chronic opioid treatments

Chronic

- >3months
- Persist even after healing
- **Rational for drug use**
  - Relieve symptoms
  - Maintain/restore function
  - Improve quality of life

Practice point

- Agree on realistic goals
- Not (usually) possible to eliminate pain completely
- Titrate and review regularly

Pain

Start early

- May minimize → chronic pain

Route of administration

- Oral**
- Parenteral
- Other: transdermal, inhalation, epidural …

Additional considerations

- Non-drug treatments
  - CBT
  - Heat/cold
  - Massage etc…

The WHO analgesic ladder

- Step by step
- Patients receive medication in increasing doses based on pain severity
- Titrate dose against
- **Three steps**
  - Non-opioid analgesics
  - Weak opioids
  - Strong opioids

Additional considerations

- Adjuvants
- Maintained effective dose
- Starting at the bottom is not always necessary
- Pros and cons of each tx

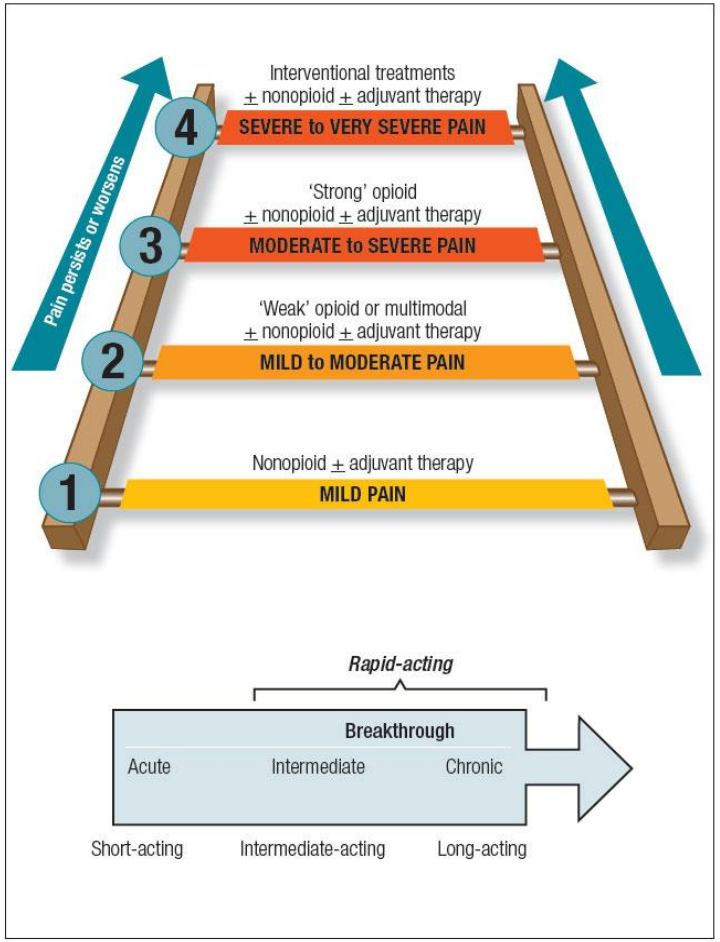


Figure 3. An updated version of the 1986 WHO pain ladder. Persistent and chronic pain syndromes should be treated with long-acting opioids; rapid-onset opioids are appropriate for breakthrough pain. A fourth step has been added for "very severe" pain that can be treated with peripheral nerve blockade.

Pain types and analgesia

Drugs	Nociceptive pain	Neuropathic pain
Paracetamol	Effective, regular and maximum dosing, nil anti-inflammatory effect	Less effective
Opioids	Effective	May be effective
NSAIDS	Effective (inflammatory pain)	Less effective
Antidepressants, antiepileptics, LAs	Rarely used	May be effective (TCAs & antiepileptics tx of choice)
Pain may be mixed		

Orofacial pain

- Many causes
  - Only some are dental
  - Refer for non-dental origin
- Patient assessment crucial
  - Diagnosis
  - Treatment planning
- Acute dental pain
  - Pulpitis, post-exo pain
- Chronic dental pain
  - TMD, BMS → refer
- Analgesics: adjunct only
  - Does not replace TREATMENT

Non-opioid analgesics: Paracetamol

- **MOA:** Not fully determined
  - Maybe: inhibition of central prostaglandin synthesis
  - Negligible anti-inflammatory effect
- **Drug interactions**
  - Very few clinically significant drug interactions
  - Potentiation of hepatotoxicity in overdosage
- **ADR [common]**
  - Hepatotoxicity (overdose)
  - Hypersensitivity reactions (rare)

Generic name	Brand Name
Paracetamol	Panadol

Route	Absorption	Time to peak	Time to effect
Oral	Rapid	10-60 minutes	15-30 minutes
IV	Rapid	15 minutes	5-10 minutes
Rectal	Slow, erratic	Slow, erratic	Slow, erratic

Dosage

Adult, child >12yo

- 0.5-1g every 4-6 hours, max 4g per 24hours
- CR 665mg: 2 tabs every 6-8 hours, max 6 tabs per day

Child >1month

- 15mg/kg every 4-6 hours

Non-opioid analgesics: NSAIDs

Nonselective NSAIDs (COX1&2-Inh)	
Generic name	**Brand Name**
Aspirin	Solprin
Diclofenac	Voltaren
Ibuprofen	Nurofen
Indomethacin	Arthrexin, Indocid
Ketorolac	Toradol
Mefenamic Acid	Inza, Naprosyn
Naproxen	Feldene-D, Mobilis
Piroxicam	

Selective NSAIDs (COX2-Inh)	
Generic name	**Brand Name**
Celecoxib	Celebrex
Etoricoxib	Arcoxia
Meloxicam	Melobic, Mobic
Parecoxib	Dynastat inj

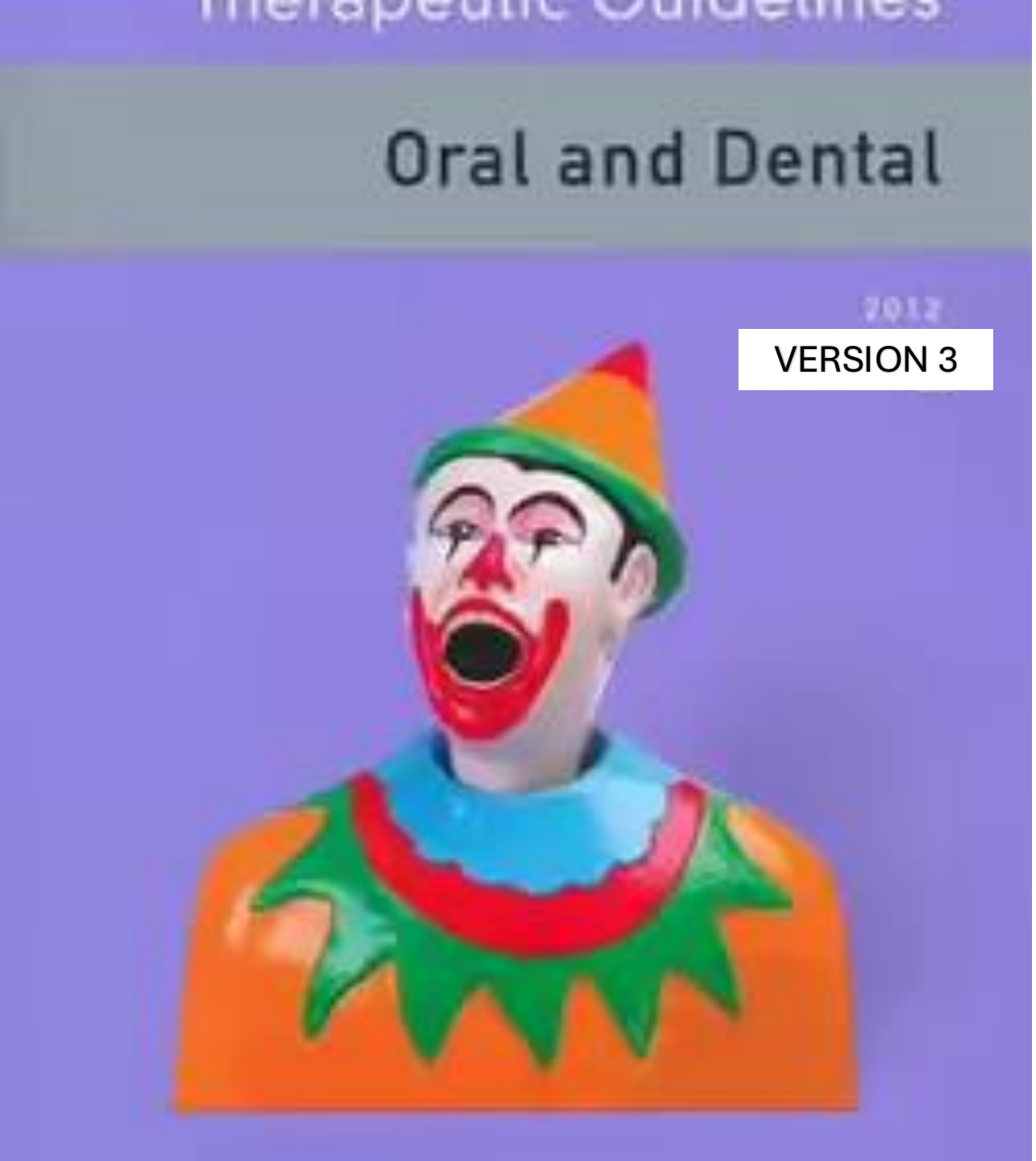
Opioid analgesics

- **MOA:** mimic endogenous opioids, activate opioid receptors
- **Drug interactions**
  - CNS depressants
  - Drugs lowering BP
  - Drugs causing bradycardia
  - SSRIs: Serotonin toxicity
- **ADR [common]**
  - Drowsiness, dizziness, headache, orthostatic hypotension, itch, dry mouth, constipation
  - Dose related respiratory depression

Opioid analgesics

- Buprenorphine
- Codeine
- Aspirin with codeine
- Ibuprofen with codeine
- Paracetamol with codeine
- Fentanyl
- Hydromorphone
- Methadone
- Morphine
- Oxycodone
- Oxycodone with naloxone
- Pethidine
- Tapentadol
- Tramadol
- Tramadol with paracetamol

Drugs	Indications	Practice points
Oxycodone IR (S8) 5mg every 4-6 hours prn, max 3 days	Preferred in mod-severe acute dental pain	Always IR formulations as CR delayed onset not ideal S8 prescription rules
Tramadol (S4) 50-100mg every 4-6 hours prn, max 400mg per 24hours	Mild-mod pain • Useful if either NSAID's or opioids are inappropriate or contraindicated • Useful if excessive respiratory depression, constipation, sedation or hypoxemia with other opioids • Useful to try to reduce overall opioid consumption	• Beware – LOTS of potential drug interactions • "Serotonin syndrome" • Caution if patient is on antidepressants • Caution if patient has epilepsy • Caution if patient on ketamine
Tapentadol (S8) 50mg bd, max 500mg per 24hours	Mod-severe pain Alternative if patient can't tolerate opioids	Contraindication: patients starting on irreversible non-selective MOAIs Again IR>CR S8 prescription rules
Codeine (combination with paracetamol/ibuprofen) (S8) 30-60mg every 4 hours prn, max 240mg per 24hours	Mild-mod pain Cough suppressant	Commonly combination w/ paracetamol Combinations w/ ibuprofen: subtherapeutic dose <30mg Genetic polymorphisms: lack of CYP2D6 Not for <12!!!
Buprenorphine, dextropropoxyphene, fentanyl, hydromorphone, methadone, morphine, pethidine • Very strong opioids • Patches or CR: mainly for chronic pain not acute dental pain • Opioid depended program • Adjunct in GA procedures		



Therapeutic guide analgesic regimen

- **Mild – moderate**
- Ibuprofen 400mg every 6-8 hours (≤5days) + paracetamol 1000mg q4-6h (4g/24hrs)
  - *Celecoxib 100mg bd (≤5days)*
  - Unless NSAIDs contraindicated
- **Severe acute**
- Ibuprofen 400mg every 6-8 hours (≤5days) *OR celecoxib*
- + paracetamol 1000mg every 4-6 hours (4g/24hrs)
- + Oxycodone 5mg every 4-6 hours prn (≤3days)
- Unless NSAIDs contraindicated

Local Anesthetics

Introduction

- A drug that causes reversible loss of sensation
- Used to numb specific areas of the body
- Allows pain-free dental procedures
- Blocks nerve conduction temporarily
- Does not affect consciousness
- Used both topically and via injection

History

- Cocaine was the first local anaesthetic
- Introduced in dental use in the late 1800s
- Safer synthetic alternatives developed later
- Procaine (Novocain) was an early alternative
- Lidocaine introduced in the 1940s

General Vs Local Anesthesia

General

- **Effect**: Affects your entire body
- **Consciousness**: You are **completely unconscious** (asleep) and unaware of what's happening
- **Use**: For major surgeries (e.g., heart surgery, brain surgery, or operations on internal organs)
- **How it's given**: Through a mask (gas) or an IV injection
- **Risks/Side Effects**: Drowsiness, nausea, confusion after waking up; requires careful monitoring of heart, breathing, etc.

Local

- **Effect**: Numbs a **small, specific area** of your body
- **Consciousness**: You stay **awake and alert**
- **Use**: Minor procedures (e.g., dental work, stitches, mole removal)
- **How it's given**: Usually injected directly into the area being treated
- **Risks/Side Effects**: Very few; may feel tingling or numbness for a while afterward

Local Anesthetics

MOA

- Blocks sodium channels in nerve membranes
- Prevents initiation of nerve impulses
- Stops pain signals from reaching the brain
- Works only on peripheral nerves
- Action is reversible and dose-dependent
- Onset and duration vary by agent

Types

- **Amides:** Lidocaine, Articaine, Mepivacaine
- **Esters:** Procaine, Benzocaine
- Amides metabolized in liver
- Esters metabolized in plasma
- Articaine has both amide & ester properties

Local Anesthetics

Indications

- Tooth extractions
- Root canal treatments
- Restorative procedures (fillings)
- Periodontal therapy
- Minor oral surgeries

Common techniques

- Infiltration anaesthesia
- Nerve block anaesthesia
- Intra-ligamentary injections
- Intra-pulpal injections
- Topical anaesthesia before injection
- Computer-controlled delivery systems

Local complications of local anaesthesia

- Neurological: paraesthesia, dysaesthesia, temporary facial nerve paralysis
- Prolonged anaesthesia usually resolves; permanent anaesthesia is rare
- Nerve injuries from trauma, bleeding, or neurotoxicity
- Increased risk with repeat injections or high concentrations
- Tissue trauma: haematoma, accidental intramuscular injection → trismus
- Rare equipment-related issues (e.g., cartridge explosions)

Systemic toxicity of local anaesthetics

- Causes: intravascular injection, overdose, rapid absorption
- Prevention: use lowest effective dose, aspirate before injection
- Early signs: anxiety, dizziness, tremors
- Severe signs: seizures, cardiovascular collapse, methemoglobinemia
- Actions: stop injection, support ABCs, consider lipid therapy

Local Anesthetics & vasoconstrictors

- Local anaesthetics can be combined with a vasoconstrictor to prolong effects
- Vasoconstrictors slow anaesthetic absorption and reduce bleeding
- Adrenaline (epinephrine) is commonly used in dental practice
- Avoid adrenaline in patients sensitive to sulfites
- Alternatives: Felypressin or adrenaline-free solutions
- Felypressin is safe for pregnant patients and has minimal cardiac effects

Local Anaesthetic	Comments
Short- to Intermediate-Acting Preparations	
Lidocaine	Shorter acting—use in dentistry may be limited
Lidocaine with Adrenaline (Epinephrine)	Intermediate acting, first line for routine dental procedures
Prilocaine	Shorter acting—use in dentistry may be limited
Prilocaine with Adrenaline (Epinephrine)	Intermediate acting
Prilocaine with Felypressin	Intermediate acting, first line for routine dental procedures when adrenaline (epinephrine) is contraindicated
Mepivacaine	Shorter acting—use in dentistry may be limited, do not use in children younger than 3 years
Mepivacaine with Adrenaline (Epinephrine)	Intermediate acting, do not use in children younger than 3 years
Articaine with Adrenaline (Epinephrine)	Risk of prolonged or permanent anaesthesia, for infiltration only—do not use for regional blocks (injection close to inferior alveolar, lingual and mental nerves), do not use in children younger than 4 years
Long-Acting Preparations	
Ropivacaine	Useful for situations in which prolonged analgesia (eg 12 to 18 hours) is required, postoperative pain, and refractory acute dental pain, concentrations up to 0.5% can be used in children
Bupivacaine	Similar indications to ropivacaine, more cardiotoxic than ropivacaine, cardiac toxicity may manifest before neurological toxicity, do not use in children younger than 12 years
Bupivacaine with Adrenaline (Epinephrine)	Similar indications to ropivacaine, more cardiotoxic than ropivacaine, cardiac toxicity may manifest before neurological toxicity, do not use in children younger than 12 years

Dosages in dentistry

- Use the lowest effective dose of local anaesthetic to prevent dental pain
- Maximum safe doses are listed for different local anaesthetics in dental cartridges and other forms
- The required dose is often much lower than the maximum safe dose if administered correctly
- The appropriate dose depends on the area, tissue vascularity, method, and patient condition
- Children, especially young ones, are more susceptible to overdose and may require lower doses
- Elderly patients may need reduced doses due to age-related physiological changes

Dosages in dentistry

Here's a simple way to calculate the maximum safe volume of local anaesthetic for a patient

1. Find the maximum dose per kg for the drug (for lidocaine with adrenaline, it's 7 mg/kg)
2. Multiply this by the patient's weight in kg to get the total max dose in mg.
   Example: 7 mg/kg × 70 kg = 490 mg
3. Convert this dose to volume using the drug concentration (lidocaine 2% = 20 mg/mL)
   490 mg ÷ 20 mg/mL = 24.5 mL
4. Convert volume to dental cartridges (each cartridge is 2.2 mL)
   24.5 mL ÷ 2.2 mL = about 11 cartridges
5. So, for a 70 kg patient, you should not exceed 24.5 mL or 11 cartridges of 2% lidocaine with adrenaline in a single dose

Figure 13.36 A worked example of calculating the maximum volume of a safe single dose of local anaesthetic

A 70 kg patient requires a local anaesthetic for a dental procedure. Lidocaine 2% (20 mg/mL) with adrenaline (epinephrine) 1:80 000 (12.5 micrograms/mL) will be used [NB1].

Calculate the maximum dose in milligrams based on the patient's weight

maximum safe single dose of lidocaine with adrenaline is 7 mg/kg

7 mg/kg × 70 kg = 490 mg

Use the concentration of solution (mg/mL) to convert the calculated dose to volume

490 mg ÷ 20 mg/mL = 24.5 mL

Convert the calculated volume to number of 2.2 mL dental cartridges [NB2]

24.5 mL ÷ 2.2 mL/cartridge = 11 cartridges

Therefore, the total volume administered must not exceed 24.5 mL or 11 cartridges containing 2.2 mL each.

NB1: To convert a percentage concentration to mg/mL, multiply by 10 (eg 2% = 20 mg/mL).

NB2: Dental cartridges are available in a variety of volumes (eg 1.7 mL, 1.8 mL, 2.2 mL).

Local Anaesthetic	Concentration	Adrenaline (Epinephrine)	Maximum mg/kg Dose	Approximate Maximum Volume for 70 kg Adult	Approximate Maximum Volume for 20 kg Child
Lidocaine	2% (20 mg/mL)	1:80,000 (12.5 mcg/mL)	7 mg/kg	24.5 mL	7 mL
Mepivacaine	2% (20 mg/mL)	1:100,000 (10 mcg/mL)	Not specified	See below	See below
Mepivacaine (Child)	3% (30 mg/mL)	**Aust product information** Child (3–6 years): 1.8 mL Child (6–14 years): 2.7 mL Adolescent (14–17 years): 4.4 mL Adult: 6.6 mL			
Mepivacaine (Adolescent/Adult)	3% (30 mg/mL)				
Prilocaine	3% (30 mg/mL)	Felypressin 0.03 IU/mL	9 mg/kg	21 mL	6 mL
Prilocaine (Adrenaline)	3% (30 mg/mL)	1:300,000 (3.3 mcg/mL)	9 mg/kg	21 mL	6 mL
Prilocaine (4%)	4% (40 mg/mL)	-	6 mg/kg	10.5 mL	3 mL
Articaine	4% (40 mg/mL)	1:100,000 (10 mcg/mL)	7 mg/kg	12.25 mL	3.5 mL
Articaine (1:200,000)	4% (40 mg/mL)	1:200,000 (5 mcg/mL)	7 mg/kg	12.25 mL	3.5 mL

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