

PHARM 504: Most Commonly Prescribed Drugs
Analgesics

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Learning Objectives

1. Identify generic, brand name and class of commonly prescribed analgesics.
2. Explain several counseling points including:
 - Indication
 - MOA
 - Patient Info
 - Caution/Contraindications

Introduction

- Pain
 - Definition:
 - Pain conducted via afferent neurons
- Alternatives to medication
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- Narcotics
 - Drugs that bind to opiate receptors
 - Risk of abuse/addiction
 - Drug scheduling:
 - CI: No medical use, very high abuse potential
 - CII: Medical use, high abuse potential
 - CIII: less abuse potential
 - CIV: less abuse potential
 - CV: some abuse potential
- General MOA
 - Inhibit COX 1 and 2 → inhibit prostaglandins
 - Prostaglandins:
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 -
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Cell Membrane Phospholipids



Arachidonic Acid



prostaglandins
(stomach
mucosa, platelet
activation,
kidneys)



prostaglandins
(Inflammatory
response)

Acetaminophen (APAP)

Examples

- Tylenol®: 325 mg (regular) or 500 mg tablet (extra-strength), 650mg (extended-release) (all strengths are OTC)
- Also available as chewable tablets, capsules, caplets, suspensions, elixirs, drops, and suppositories
- Found in many combo OTC and Rx medications

Indications

- Mild to moderate pain
- Fever
- Headache
- Dysmenorrhea (painful menstruation)
- Inflammation? Why?

MOA

- Central inhibition (CNS) of prostaglandin synthesis → ↓ prostaglandin synthesis → ↓ sensitization of pain receptors → ↑ pain threshold

Pt. Info:

- **Side effects:** negligible → used in pediatrics/infants
- **Time to effect:** 0.5 hr. for pain
- **Pregnancy:** Category B
- **Caution:**
 - MAXIMUM adult dose:
 - Pediatric dose:
 - Presence in combo OTC and Rx medications
 - Avoid alcohol
 - Liver toxicity

Caution/contraindications:

- Increased risk of liver damage in chronic alcohol users (≥3 alcoholic drinks/day)

NSAIDs (Non-Steroidal Anti-Inflammatory Drugs)

Examples

- Aspirin (ASA): 81 mg (“baby”), 325 mg, 500, 650mg (all OTC)
- Ibuprofen (Advil®, Motrin®): 200 mg (OTC), 400, 600, 800 mg
- Naproxen (Aleve®, Naprosyn®): 200 mg (OTC) 250 mg, 375, 500 mg
- Diclofenac (Voltaren®, Cataflam®): 25, 50, 75 mg tablets

Indications

- Mild to moderate pain (including dysmenorrhea, arthritis)
- Headache (migraine)
- Fever
- Inflammation
- Dysmenorrhea
- Special use for ASA:

MOA

- Peripherally inhibits COX 1&2 enzymes → ↓ prostaglandin synthesis → ↓ sensitization of pain receptors → ↑ pain threshold

Pt. Info

- **Administration:** take with food
- **Side effects:** GI discomfort and nausea/vomiting, dizziness, drowsiness
- **Time to effect:** 0.5 - 1 hr. for pain; several days for inflammation; several weeks for arthritis
- **Caution:**
 - ASA and NSAIDs can be taken together **if** the ASA dose is used consistently to prevent thromboembolic events
 - In general, NSAIDs and other NSAIDs should not be taken together.
 - Avoid alcohol: increase risk of ulcers

Caution/contraindications

- All NSAIDs: pts. with hx of GI ulcers & bleeding; asthma; diabetes, chronic alcohol use
- Do not use ASA
 - to treat fever in children and teenagers <15yrs due to associated risk for Reye’s Syndrome
 - for pts. on anticoagulants (e.g. warfarin) or who have bleeding disorders
- Not recommended in pregnancy. Category D (ASA); NSAIDS effect fetal CVS

COX-2 Inhibitors**Examples**

- Celecoxib (Celebrex®): 50, 100, 200, 400 mg capsule

Indications

- Chronic pain due to osteoarthritis & rheumatoid arthritis
- Acute pain due to dysmenorrhea

MOA

- Selectively inhibit COX 2 enzyme

Pt. Info

- **Administration:** take w/food
- **Side effects:** GI upset (less than NSAIDs)
- **Time to effect:** 1 hr (acute pain); 1-2 weeks (chronic pain)
- **Caution:**
 - Supposedly cause less GI damage than non-selective NSAIDs, but GI damage is still possible
 - Avoid use with NSAIDS
 - Avoid alcohol
 - Black Box Warning: May be associated with a greater risk for cardiovascular events

Caution/contraindications

- Pts. with hx of GI ulcers or bleeding, hypertension, cardiovascular events, asthma
- hypersensitivity to sulfonamides

Narcotic Analgesics

Examples

- **Oxycodone:** CII
 - Oxycontin®: 10, 15, 20, 40, 80, 160 mg CR tablets
 - Roxicodone®: 5, 15, 30 mg IR tablets
 - Also available as a capsule and in an oral solution
- **Fentanyl:** CII
 - Duragesic®: 12.5, 25, 50, 75, 100 mcg/hr transdermal patch
 - Sublimaze®: 50 mg/ml injection
 - Actiq®: 200, 400, 600, 800, 1200, 1600 mcg lozenge
- **Morphine:** CII
 - MSIR®: 15, 30 mg immediate release capsule
 - MS Contin®: 15, 30, 60, 100, 200 mg CR tablet
 - Oramorph SR®: 15, 30, 60, 100 mg SR tablet
 - Also available in oral solution, sublingual, suppository

Indications

- Moderate to severe pain

MOA

- Bind to opioid receptors in the CNS ⇒ general CNS depression

Pt. Info

- **Administration:**
 - Take with food/milk; plenty of fluids
 - Fentanyl patch: left on for 72 hours, then remove.
- **Side effects:** drowsiness, N/V, constipation.
 - For constipation recommend: _____, _____, and _____
 - Most likely to experience constipation: _____, _____, and _____
- **Time to effect:** oxycodone: 30 min to 1 hr. if not continued release
fentanyl patch: 12 to 24 hrs; morphine: 30 min. to 60 min.
- **Caution:**
 - Be careful with driving, **avoid alcohol** while taking narcotics
 - Habit-forming

Caution/contraindications:

- Elderly and pediatric pts.; pts. taking other CNS depressants; pts. with depressed respiratory function

Narcotic Analgesic Combinations

Examples

- Acetaminophen/hydrocodone (Vicodin®):
 - APAP 500 mg & hydrocodone 5 mg tablet
 - (Vicodin® ES): APAP 750 mg & hydrocodone 7.5 mg
- Acetaminophen/codeine (Tylenol® with Codeine):
 - Elixir: APAP 120 mg & codeine 12 mg per 5 mL
 - Tablet: APAP 300 mg & codeine 15, 30, or 60 mg
- Acetaminophen/propoxyphene napsylate (Darvocet-N® 100):
 - APAP 650 mg & propoxyphene 100 mg tablet
- Acetaminophen/oxycodone (Endocet®, Percocet®):
 - APAP 325mg & oxycodone 5 mg
 - other strengths such as 2.5/325, 7.5/325, 7.5/500, 10/325, 10/650

Indications

- Moderate to severe pain

MOA

- See APAP and narcotic analgesics

Pt. Info:

- General counseling points are the same as for narcotic analgesics
- Remind patients of APAP max. dose and point out that other OTC and Rx products contain APAP
- These are all scheduled medications:
 - C-II: APAP/oxycodone
 - C-III: APAP/hydrocodone; APAP/codeine
 - C-IV: APAP/propoxyphene napsylate

Question:

You receive a prescription for Vicodin 5/500 with the following sig:

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What is the max # of tablets this sig allows?

What is the max # of tablets the patient can safely take?

Non-narcotic Analgesics

Examples

- Tramadol (Ultram®): 50 mg tablet, extended release 100, 200, 300 mg
- Acetaminophen/tramadol (Ultracet®):
-APAP 325 mg & tramadol 37.5 mg tablet
- Acetaminophen/butalbital/caffeine (Fioricet®):
-325 mg APAP, 50 mg butalbital & 40 mg caffeine tablet
- Phenazopyridine (Pyridium®, Azo-Standard®): 95 (OTC), 100, or 200 mg tablet

Indications

- Moderate pain
- Tension headaches (Fioricet® specifically indicated for HA)
- Urinary pain (phenazopyridine)

MOA

- Tramadol – binds to opiate receptors in CNS and also inhibits reuptake of serotonin and norepinephrine
- Phenazopyridine – exact MOA unknown, but when excreted in urine, provides topical analgesia to urinary tract
- Fioricet® – butalbital is a barbiturate (depresses sensory cortex); caffeine is a vasoconstrictor.

Pt. Info:

- **Administration:**
 - Phenazopyridine, Tramadol, Fioricet® – take with food, fluids
- **Side effects:**
 - GI upset for all non-narcotic analgesics
 - Tramadol: flushing, dizziness, HA, insomnia, constipation
 - Fioricet®: drowsiness, dizziness
 - Phenazopyridine: colors urine orange, contacts lenses, STAINS
- **Time to effect:** approx. 1 hr.
- **Caution:**
 - Tramadol and Fioricet® may be habit-forming
 - Caution with driving and alcohol

Caution/contraindications:

- Tramadol: pts. with a hx of seizures or who take meds that lower seizure threshold (SSRIs, MAOIs, TCAs); concomitant use of CNS depressants
- Phenazopyridine: Limit therapy to 2 days could mask infection,

Serotonin (5HT) Receptor Agonists

Examples

- Sumatriptan (Imitrex®): 25, 50, 100 mg tablet; 5 or 20 mg intranasal spray; 6 mg injection
- Rizatriptan (Maxalt®, Maxalt-MLT®): 5 or 10 mg tablet; 5 or 10 mg orally disintegrating tablet
- Sumatriptan / Naproxen (Treximent®): 85mg / 300mg tablet
new to market in 2008

Indications

- Acute relief of migraine headaches
- Cluster headaches (sumatriptan self injection)

MOA

- Binds to serotonin receptor in cranial arteries ⇒ local vasoconstriction

Pt. Info:

- **Administration:** Take immediately with water at migraine onset; let orally disintegrating tablets dissolve in mouth – do not swallow. May repeat in 2 hours.
- **Side effects:** dizziness, N/V, bad taste, pain at injection site, chest tightness/pain, abnormal feelings (tingling, warmth, burning)
- **Time to effect:** 1-2 hrs.
- **Caution:**
 - Abortive NOT preventative agent
 - Pregnancy category C
 - Do NOT exceed max dose/day: sumatriptan: 300mg/day; rizatriptan: 30mg /day

Caution/contraindications:

- Pts. with hx of stroke, MI, epilepsy, PVD, or uncontrolled HTN
- Pts. taking ergotamines, tramadol, MAOIs, SSRIs or other serotonin agonists → Serotonin syndrome
- Treximet: see contraindications of NSAIDS;
- **Treximet Black Box Warning** for cardiovascular events