Opioid analgesics → binding to specific Opioid receptors → M , dalta , gamma

lecture one

endorphins. → endogenous opioid peptides → Enkephalin → Ca2+-influx ↓ Release of transmitters ↓
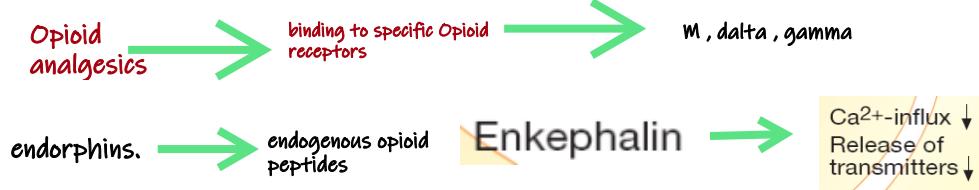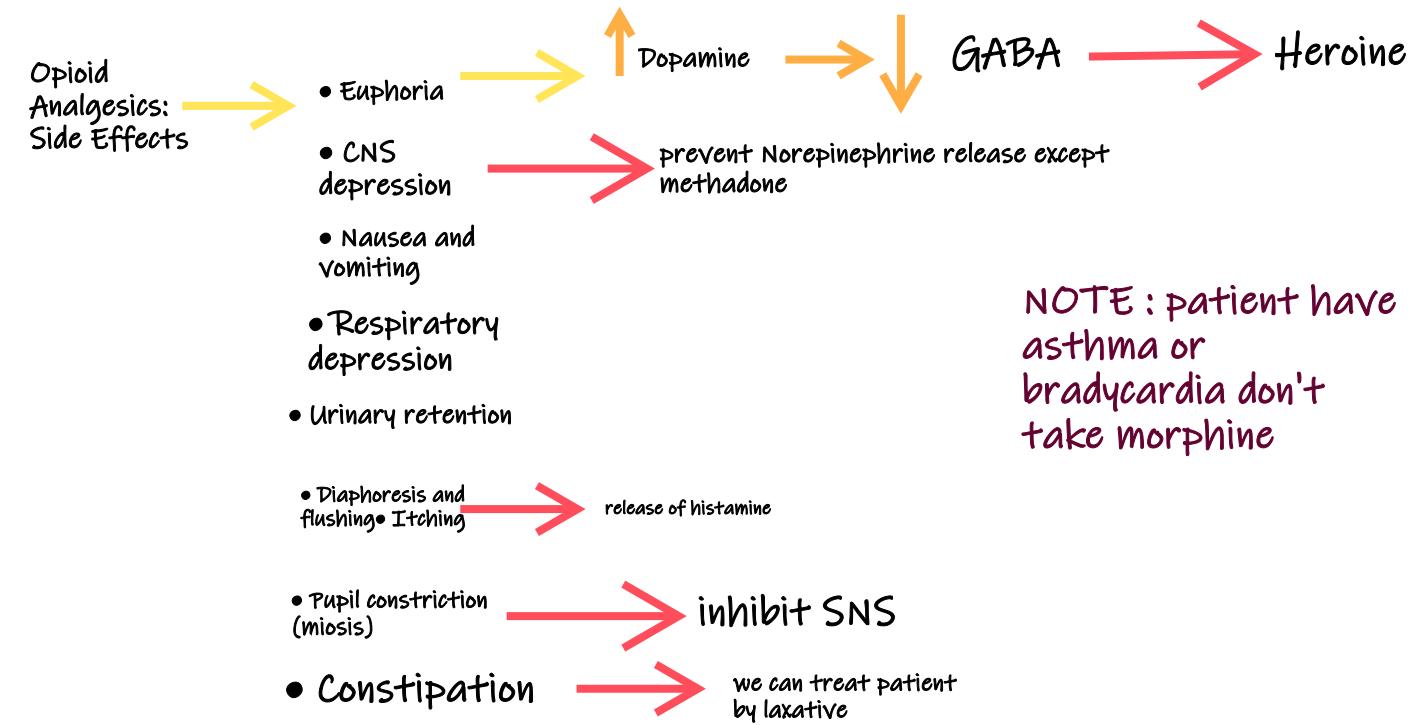
● Opioids cause hyperpolarization of nerve cells, inhibiting nerve firing, and presynaptic inhibition of transmitter release.

Opioid Analgesics: Side Effects →
- ● Euphoria → ↑ Dopamine → ↓ GABA → Heroine
- ● CNS depression → prevent Norepinephrine release except methadone
- ● Nausea and vomiting
- ● Respiratory depression
- ● Urinary retention
- ● Diaphoresis and flushing ● Itching → release of histamine
- ● Pupil constriction (miosis) → inhibit SNS
- ● Constipation → we can treat patient by laxative

NOTE : patient have asthma or bradycardia don't take morphine

Tolerance/Dependence/Addiction

● **Tolerance** → down-regulation of receptors with continues use

→ to deal with problem we need to increase the dose

Dependence → withdrawal symptoms upon abrupt discontinuation/ reduction of narcotic therapy. → مع الاستخدام الشديد الدواء جسمك بيتعوّد عليه ما بيكون في أعراض متل الأول

to deal with it we need to increase the number of receptors

Addiction → drug seeking behavior, loss of control of drug use, and continued use despite adverse effects

Withdrawl Sign (SNS) vs symptoms of analgesic drugs

Strong opioid agonists →

Morphine → in severe pain , has high efficacy long half-life 4 hours

the half-life for naloxone is one hour and morphine half life is about four hours so that we need to give naloxone four times a day

Morphine is also used in the treatment of acute pulmonary edema → buzz it treats hypertension

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Hydromorphone → preferred over morphine for patients with decreased renal clearance

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Mepiridine → antimuscarinic and opioid → Repetitive dosing leads to accumulation of the toxic metabolite normeperidine (normeperidine)

not make myosis or constipation

excitement , increase in serotonin and norepinephrine.

doesn't make bradycardia

It is renally cleared, and use of meperidine in patients with kidney disease is not recommended .

- Obstetric labor
- Shivering

CNS hyper-excitability, subtle mood changes, Tremors, Multifocal myoclonus, Seizures

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Methadone → long duration of action

- NMDA receptors blocking

Torsades de pointes

Layan Daoud

Tramadol → • Weak affinity for M-opioid receptor

alpha 2-adrenoreceptor activation

- Less respiratorpsychomotor recoveryy depression, nausea, vomiting, constipation
- Rapid

Codeine is an opioid and prodrug of morphine mainly used to treat pain, coughing, and diarrhea

bioavailability higher than morphine

contraindicated in children causes respiratory depression

antitussive , partial agonist