

Pharma

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ملخصات

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Opioid analgesics ← عائلة الأفيون

Opiates :- Natural (Poppy plant)

Opioid :- Semi-Synthetic and Natural

Opioid Peptide :- Inside the body

Classification :-

- natural
- Semi-Synthetics
- Synthetics

1- Natural :-

- Phenanthrene
 - Morphine
 - Codeine
 - thebaine → لا يستخدم طبياً
- Benzylisoquinoline
 - Papaverine
 - Nalcapine
 - Narcine

* Phenanthrene :- CNS effect
addiction
Spasmodic effect

* Benzylisoquinoline (abdominal colic)

2- Semi-Synthetics :- Heroin (acetyl-morphine)

3- Synthetics :-

- agonist
- Blocker
- mixed

agonist :-

- mepredalin
- Methadone
- Tramadol → الأكثر أضراراً في العراق

Blocker :-

- Naloxone
- Naltrexone

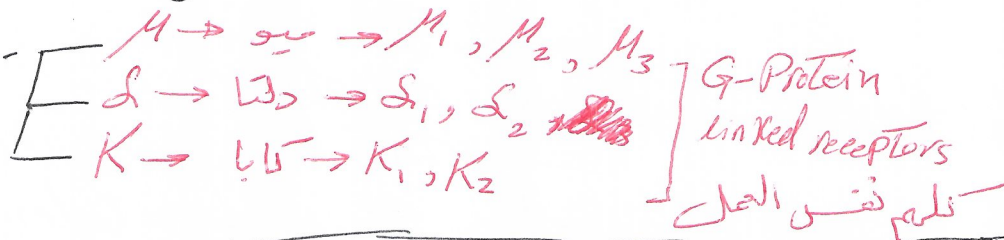
 X for Blocker

mixed :- Nalorphine

Morphine

- Bioavailability :- 25% only
- half-life :- 4-5 hours
- Metabolism by liver Convert to ~~inactive~~ *some to active*
- excretion :- 90% renal, 10% Biliary route

- Work on Opioid receptors:-



CNS

effect :- analgesia

euphoria

myosis

nausea and vomiting

Vagal stimulation

Cough Centre inhibitor

Respiratory " "

ICP $\uparrow$ (Intracranial Pressure)

By Central effect
 أثره على مركز الألم

- 1- Sensory and emotional (not loss conscious) (dose dependent) (loss pain sensory)
- 2- inhibition descending inhibitory Pain Central Pathway except itching because it release Histamin so increase itching
- 3- NA $\downarrow$

CVS :- Bradycardia , Hypotension

Vagal
 Histamin

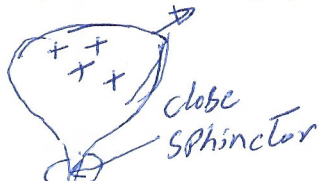
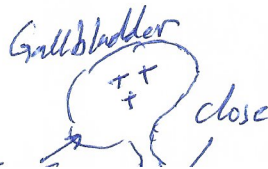
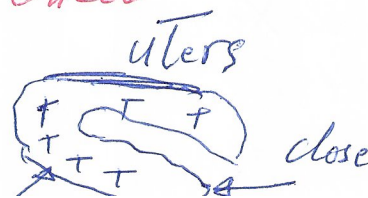
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Smooth muscle :- 1- Constipation (Water absorption increase)

2- B.C

Vagal
 Histamin
 Direct effect

Bladder contraction



Therapeutic uses:- (S.C) (or half amount I.V) (or direct on epidural) (by catheter)

- 1- analgesia
- 2- acute Pulmonary edema
- 3- anesthesia (sedation)
- 4- Atropine + Morphine for severe Colic Pain

Adverse effect :- - Tolerance (may sudden death in high dose)

- Skull :- ICP $\uparrow$, Respiratory Centre
- Respiratory :- B.C
- CVS :- Hypotension, Bradycardia
- U.T :- urine retention
- Gallbladder :- may cause Rupture
- Uterus :- Contraction & Cervix



Contraindication:-

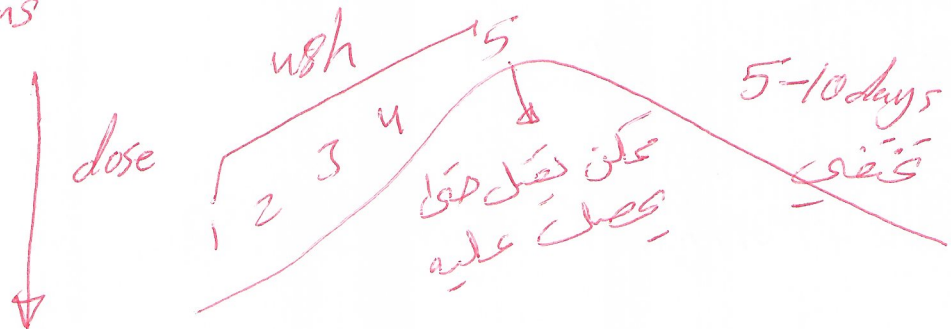
- 1- Head Trauma (ICP $\uparrow$) (if accident not problem)
- 2- asthma
- 3- Hypovolemia and hypotension
- 4- Prostate megalia
- 5- Gallbladder stone
- 6- Hypothyroidism
- 7- liver failure
- 8- extremely old $\square$ child

Chronic Morphine Toxicity:- (addiction)

1-Myosis 2-behavior change

Withdrawal Symptoms

- 1-Tachycardia
- 2-nervousness
- 3-headache
- 4-rinsuring
- 5-agitation عصباني



Acute Morphine Toxicity:- (Respiratory Centre depression) (direct dose)

Naloxone last for 1h

not for addict

Other opioid

→ Liver Convert it to Morphine

~~Codine~~
~~Paracetamol~~

Natural :- Codine

Potency,
in effect
efficacy

Morphine	Codine
Morphine	Methyl-Morphine
Bioavail. 25%	Bioavail :- 60%
analgesics Potency 100%	analgesics Potency 8%, 10%, 20%
uses :- analgesics	Central Cough (anti-tussive)

Semi-Synthetic

Heroin لا يقيم

Synthetic

عكس تفاعل

~~Me~~ MePredine (Bethedine) ($\cancel{M} + \frac{S}{K}$) (use in adverse effect Morphine)

Morphine	Bethedine
Natural	Synthetic
Bio :- 25%	Bio :- 50%
Potency :- 100%	Potency :- 10%
Vagal stimulation	Atropine like action
4 hours	2 hours

Metabolic of MePredine
~~Nbr~~- MePredine stimulate
Serotonin Syndrome

Fentanyl, Al-fentanyl (more potent than morphine but short duration 15-30 min)
Oral 0% (Skin Patch or epidural inj.)
(safe for Renal failure only one in this family)

Methadone :- nearly equal to Morphine orally and less addictive
and withdrawal less effect (فقط داء الانسحاب) (24h)
(high dose cause sudden death by Arrhythmia not respiratory depression)

Tramadol :- (M^{++}) (unknown of L, K) (Potency 10%)

decrease reuptake NA, serotonin mostly after orthopedic surgery, may cause epilepsy

Loperamide, diphenoxylate :- ~~MS~~ can't cross BBB
increase ~~reabsorption~~ reabsorption of water in GIT
anti-diarrhea

Mixed :- (safe) (M Cause Respiratory depression)

Trade name
Nalbuphine (Nubain) (K^+) (Spinal analgesia)

don't use to treat addicts

Opioid Blockers :-

Naloxone (Parental only) → for 1- acute opioid toxicity
2- labour if take opioid
Naltrexone (ugh) (orally)