

Opioid abuse

- Opiate receptors - located in the CNS, in peripheral tissues
- Endogenous agonists (ligands): endorphins, enkephalins, dynorphin (peptides)^[1]

Opiate CNS Effects

Stimulation of opiate receptors

- μ - respiratory depression, supraspinal analgesia, physical dependence
- κ - spinal analgesia, miosis
- δ - spinal analgesia, euphoria, sometimes dysphoria
- ϵ - supraspinal analgesia
- σ - mydriasis, dysphoria

Agonists

- morphine, codeine, heroin,...
- methadone, pethidine, der. fentanyl, ...

Antagonists

- naloxone, naltrexone, nalorphine, levalorphan,

Agonists/Antagonists

- buprenorphine, pentazocine, ...

Opiate Peripheral Effects

- reduced mobility in the gastrointestinal tract (constipation)
- vascular hypotonia (hypotension)
- bladder hypertonia (urinary retention)^[1]

Chronic abuse

- Development of tolerance - adaptation of receptor density (?)
- Reduced tolerance to respiratory depression
- In a state of drug withdrawal:
 - hypersensitivity of adapted neurons
 - unpleasant peripheral vegetative effects^[1]

Therapy

- "Harm reduction" programs: exchange of syringes and needles
- "Substitution programs" - the ultimate goal should be abstinence
- Replacement of heroin addiction with another drug (methadone, buprenorphine),
- Suppression of withdrawal symptoms, reduction of euphoric feelings by administration p.o.^[1]

Opioid Agents

Opium

- dried juice from unripe poppies "Papaver somniferum"
- is contained in:
 - morphine 4-30%
 - codeine 0.3-3%
 - noscapine, narcotine 4-10%
 - papaverine 1-1.5%
 - thebaine 0.2-1%

Heroin

- diacetylmorphine – "pro-drug" of morphine
 - morphine transporter to the brain
 - easier to penetrate membranes
 - labile, deacetylates easily
- **6-monoacetylmorphine** and **morphine 6-glucosiduronate** are active metabolites

Abuse

- Usual doses of heroin: 10-15 mg/day
- With tolerance up to 2 g/day
- Symptoms: euphoria, lethargy, miosis, respiratory depression, bradycardia, hypotension, depression to coma, possible death
- Addicts report 4 stages after a heroin high (i.v. injection):

1. High – kick
2. well-being, happiness,
3. composure,
4. "abstract".

Causes of Death

- respiratory depression
- aspiration of vomit
- pulmonary edema
- rarely anaphylactic shock (hypersensitivity)
- heroin leukoencephalopathy (inflammation of the white matter of the brain)^[1]



Papaver somniferum

File:Heroin.jpg
Heroin

Links

Related Articles

- Drug addiction and illegal production and possession of narcotic substances
- Amphetamines • Cocaine • Cannabinoids • Hallucinogens • LSD • Psychodrugs • Barbiturates • Benzodiazepines • [[Ethanol]]
- Cannabinoid use disorders • Opioid use disorders

References

1. BALÍKOVÁ, Mary. *Abuse and intoxication* [online]. [cit. 2012-03-09]. <<https://el.lf1.cuni.cz/p56355604/>>.