Definition and Types of Receptors

Definition	Opioids are naturally occurring, semi-synthetic, or synthetic compounds that bind specifically to opioid receptors and share properties with endogenous opioids.
Receptor Type	**Description**
MOP (μ or mu)	Mu opioid peptide receptor.
KOP (κ or kappa)	Kappa opioid peptide receptor.
DOP (δ or delta)	Delta opioid peptide receptor.
NOP	Nociceptin orphanin FQ peptide receptor; completely antagonist.

Pharmacological Actions of Opioid Agonists

System	Effects
Central Nervous System	Sedation (not true hypnosis), euphoria, dysphoria, hallucinations (more with KOP agonists), tolerance, dependence.
Cardiovascular System	Mild bradycardia due to decreased sympathetic drive and direct sino-atrial node effect; peripheral vasodilation caused by histamine release and reduced sympathetic drive, potentially significant in hypovolemic patients.
Respiratory System	Respiratory depression, reduced sensitivity of brainstem to CO2, decreased tidal volume, cough suppression.
Gastrointestinal System	Nausea and vomiting (via chemoreceptor trigger zone stimulation), increased smooth muscle tone, decreased motility, delayed absorption, biliary pressure increase, constipation.
Endocrine System	Inhibited release of ACTH, prolactin, and gonadotropic hormones; increased ADH secretion.
Ocular Effects	Pupil constriction (miosis) via stimulation of Edinger-Westphal nucleus.
Histamine Release	Urticaria, itching (more pronounced on face, nose, torso), bronchospasm, hypotension; centrally mediated mechanism reversible by naloxone.
Muscle Rigidity	Generalized rigidity, especially of thoracic wall, with high doses.
Immunity Depression	Observed after long-term opioid abuse.
Pregnancy and Neonates	Crosses placenta, neonatal respiratory depression, withdrawal symptoms in chronic maternal use; no teratogenic effects known.

Common Opioid Drugs

Drug	Administration	Metabolism	Effects	Side Effects
Morphine	Oral, IM, IV, SC, rectal, epidural, intrathecal.	Gut wall and liver (to M3G and M6G).	Potent analgesic, sedation, anxiolysis, respiratory depression, cough suppression.	Bradycardia, hypotension, nausea, vomiting, histamine release, miosis, tolerance, dependence.
Fentanyl	IV, transdermal patch, lollipop.	Liver (to norfentanyl).	Rapid onset, short duration, respiratory depression, sedation, muscle rigidity.	Muscular rigidity, prolonged action at high doses.
Alfentanil	IV bolus or continuous infusion.	Liver.	Short-term analgesia, ICU sedation.	-
Remifentanil	IV infusion.	Plasma and tissue esterases.	Rapid onset and offset, organ-independent metabolism.	Bradycardia, hypotension, apnoea, muscle rigidity.
Pethidine (Meperidine)	Oral, SC, IM.	Liver (to norpethidine and pethidinic acid).	Analgesia, tachycardia, dry mouth, less pronounced miosis.	Hallucinations, convulsions; contraindicated with MAOIs.

Opioid Antagonist

Drug	Administration	Effects
Naloxone	IV.	Reverses opioid effects; short duration (30 min), requires titration or infusion for long-acting opioids; caution in opioid-dependent individuals.

Question	Options	Answer
Which of the following is NOT an effect of opioids on the respiratory system?	A) Increased respiratory rate B) Reduced brainstem sensitivity to CO2 C) Decreased tidal volume D) Respiratory depression	A
Fentanyl is how many times more potent than morphine?	A) 10 B) 50 C) 100 D) 200	C
Which receptor is primarily associated with pupil constriction (miosis) due to opioid use?	A) MOP B) KOP C) DOP D) NOP	A
Which opioid is contraindicated with MAOIs due to the risk of severe side effects?	A) Morphine B) Pethidine (Meperidine) C) Fentanyl D) Remifentanil	B
What is the primary route of metabolism for remifentanil?	A) Liver enzymes B) Plasma and tissue esterases C) Gut wall D) Kidney filtration	B