

drugs	Pharmacokinetics	Pharmacological action	Tolerance&dependence	Uses	Side effect	Contraindication
1-Morphine	<p>t ½ is 2-3h</p> <p>It is slowly & erratically absorbed orally, medically given by IM or IV injection.</p> <p>Crosses BBB so it may cause respiratory depression.</p> <p>Crosses placenta</p>	<p>Analgesia [in acute & chronic pain].</p> <p>Euphoria.</p> <p>Respiratory depression</p> <p>Depression of cough reflexes.</p> <p>Nausea & vomiting by stimulation of the CRTZ</p> <p>Pinpoint pupil (meiosis): characteristic of morphine use.</p> <p>GIT: ↑ in tone, ↓ motility → severe constipation.</p> <p>↑ Pressure in the biliary tract and constriction of biliary sphincter.</p> <p>Releases histamine from mast cells → Urticaria, sweating, vasodilation, bronchoconstriction.</p> <p>-Decrease LH, FSH, ACTH, testosterone</p> <p>-Increase Prolactin, GH, ADH → urine □ retention</p>	<p>Develop rapidly.</p> <p>Withdrawal manifestations develop upon stoppage.</p> <p>- Dependence comprises both:</p> <p>Physical dependence lasting for a few days in form of ↑ body ache, insomnia, diarrhea, goose flesh, lacrimation, sweating and pupil dilation.</p> <p>Psychological dependence lasting for months / years → craving.</p>	<p>Control pain; cancer pain, severe burns, trauma Severe visceral pain (not renal/biliary colics, acute pancreatitis).</p> <p>diarrhoea.</p> <p>Cough.</p> <p>Acute pulmonary oedema.</p> <p>Myocardial ischemia.</p> <p>Non painful conditions; HF to relieve distress.</p> <p>Preanaesthetic medication.</p>	<p>Sedation.</p> <p>Respiratory depression.</p> <p>Constipation.</p> <p>Nausea& Vomiting.</p> <p>Itching → histamine release .</p> <p>Tolerance; not to miosis, convulsion or constipation</p> <p>Dependence.</p> <p>Euphoria.</p>	<p>-Head injury.</p> <p>-Pregnancy.</p> <p>-impaired pulmonary function.</p> <p>Bronchial asthma.</p> <p>-Liver & Kidney diseases (including renal& biliary colics).</p> <p>-Endocrine diseases (myxedema & adrenal insufficiency).</p> <p>-Elderly are more sensitive.</p> <p>-Not given infants, neonates or during child birth → ↓ conjugating capacity → accumulate → ↓ respiratory.</p> <p>-With MAOI.</p>
2-Meperidine - Pethidine	<p>effective k agonism than morphine</p>	<p>↓ analgesic, ↓ constipating, ↓ depressant on fetal respiration than morphine.</p> <p>Has atropine -like action / Smooth muscle relaxant.</p> <p>No cough suppressant effect</p>	<p>As in morphine but not in cough & diarrhea.</p> <p>Severe visceral pain; renal & biliary colics (relaxes smooth muscles).</p> <p>Obstetric analgesia (No ↓ resp.) .</p> <p>Preanaesthetic medication (better)</p>	<p>Tremors,</p> <p>Convulsions,</p> <p>Hyperthermia,</p> <p>Hypotension.</p>	<p>Blurred vision.</p> <p>Dry mouth.</p> <p>Urine retention.</p> <p>Tolerance & Addiction.</p>	
3- Tramadol	<p>Synthetic agonist, ↓ potent .</p> <p>↓ uptake of NE & SHT .</p> <p>Can be given orally; ↑ oral bioavailability → use in teeth destruction</p>		<p>Mild - Moderate acute & chronic visceral pain & during labor</p>	<p>Seizures (not in epileptics), Nausea, Dry mouth, Dizziness, Sedation</p> <p>Less adverse effects on respiratory & C.V.S</p>		
4-Fentanyl	<p>Synthetic, mu agonism, ↑ potency > meperidine & morphine</p> <p>- Commonest analgesic supplement during anesthesia, IV or intrathecal.</p> <p>- To induce & maintain anesthesia in poor-risk patients (stabilize heart)</p>	<p>It's used to induce anesthesia during the surgery!!</p> <p>In combination with droperidol as NEUROLEPTANALGESIA.</p> <p>In cancer pain & severe postoperative pain we give it as transdermal patch changed every 72 hrs.</p>			<p>Mimic opioid agonists.</p> <p>Respiratory depression and CV effects (but less).</p> <p>Bradycardia may still occur</p>	

Drug	Pharmacokinetics	Uses
5-Methadone	Synthetic, μ - Weaker Agonist, $t_{1/2}$ 55 h	to treat opioid (morphine as such) withdrawal: Firm occupancy of opioid receptors by methadone \downarrow desire for other opioid intake, because it is producing a \downarrow effect that stops withdrawal manifestations. With time addicts improve \rightarrow \downarrow craving
6-Naloxone	Pure opioid antagonist. - Effect lasts only for 2-4 hours	- Precipitates withdrawal syndrome in addicts. - treat respiratory depression caused by opioid overdose & to reverse the effect of analgesia on the respiration of the new born baby.
7-Naltrexone	Very similar to (naloxone) but with longer duration of action [$t_{1/2}$ =10h]	never use opioid antagonist to addicted patient unless in case of opioid toxicity we use it .
8-Codeine	μ Agonist Dependence < morphine	Used in mild& moderate pain, cough, diarrhea
9-Heroin	μ agonist Crosses BBB Converted to morphine No medical use Strong addicting drug	