General: Remifentanil is a short-acting opioid agonist

**Physicochemical:**
- IV preparation
- Esterised synthetic phenylpiperidine derivative
- Prepared as powder with glycine
  - Not suitable for neuraxial administration
- Doses:
  - 1mcg/kg bolus
  - 0.01-1mcg/kg/min infusion

**Pharmacokinetic**
**Absorption:**
- IV only

**Distribution:**
- pKa = 7.1
  - Weak base
  - Predominantly unionized at pH 7.4
- Low lipid solubility
- Protein bound 66-93%
- Vd small ~0.4L/kg
- Effect-site equilibration = ~1min (same as alfentanil)
  - Rapid onset
  - Ideal for laryngoscopy/intubation

**Metabolism:**
- Ester hydrolysis by plasma esterases
  - Not effected by AChE, pseudocholinesterase deficiency
- Inactive metabolites
  - Metabolism unaffected by liver/renal failure

**Elimination:**
- Elimination t½ = 6min
- Clearance 5L/min
  - Rapid offset due 1° metabolism rather than distribution
- Excreted in urine
- Context-sensitive t½ unchanged from elimination t½
  - Essentially independent of infusion time
  - Good for operations requiring quick wake up time (eg some neurosurgery)

**Pharmacodynamic**
**Mechanism of action:**
- Pure μ-receptor agonist
  - GPCR → ↑K⁺ conductance & inhibition of Ca²⁺ entry → cell hyperpolarisation

**CVS**
- ↓HR 2° direct vagal nuclei stimulation
  - May be enough to cause ↓MAP, ↓CO

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Analgesics

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Histamine release
- nil.
  o Nil bronchospasm, vasodilation

Resp
- Potent respiratory depressant (↓MV, ↓VT, ↓RR)
  o Central depression of respiratory centre
  o Apnoea common
- Rapid high dose administration can cause truncal skeletal mm ridigity
  o 2° pre-synaptic μ-receptors inhibiting GABAergic neurotransmission
  o Difficult PPV

CNS
- Min sedation
  o Able to respond to commands (eg to breathe)
- N&V (transient)
- Analgesia
  o Very short acting
  o Rapid offset means = need to administer long-acting opioid towards end before ceasing infusion
- Nil change ICP, CBF
- ↓CMRO₂

GIT:
- ↑biliary pressures (like fentanyl)