

Opioids: Site of Action

Anesthetic Pearls: Anesthetic Implications, Pharmacology, and Site of Action of Opioids

I. Opioid Receptor Classification and Mediated Effect

Mu-1	Mu-2	Kappa	Sigma
Supraspinal analgesia	Respiratory depression	Spinal analgesia	Dysphoria
	Decreased GI motility	Respiratory depression	Hallucinations
	CV effects	Sedation	
		Miosis	

II. Opioid Receptor Location

Concentrated in the:

1. Periaqueductal gray area of the brain
2. Substantia gelatinosa of the spinal cord

III. Opioid Receptor Activation

Transmission of pain impulses can interrupt pain at the level of the dorsal horn of the spinal cord with intrathecal or epidural administration of opioids. Modulation of a descending inhibitory pathway from the Periaqueductal Gray through the Nucleus Raphe Magnus to the dorsal horn of the spinal cord may also be involved. Although opioids exert their greatest effect within the central nervous system, opioid receptors have been isolated from somatic and sympathetic peripheral nerves.

Opioids also inhibit the presynaptic release and post-synaptic response to excitatory neurotransmitters (Acetylcholine and Substance-P) from nociceptive neurons. On the cellular level, this neuromodulation involves alterations in potassium and calcium ion conductance.

