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Education

Drug Cupboard: Sugammadex

CD Keys

Sugammadex is a selective relaxant-binding drug for rapid and complete reversal of steroidal neuromuscular blocking agents (NMBA; rocuronium or vecuronium) and was approved for use in the European Union in 2008. It is a synthetic modified gamma-cyclodextrin that has a three-dimensional cone structure consisting of hydrophobic cavity and hydrophilic exterior. NMBA is trapped inside the hydrophobic cavity, forming a water-soluble complex that is readily rendered inactive and excreted. Hence, its action is fast and the risk of residual blockade is greatly reduced.

Sugammadex is the first selective relaxant-binding drug that reverses rocuronium and vecuronium. By forming a tight complex with rocuronium or vecuronium, Sugammadex has the ability to inactivate NMBA and rapidly reverse the blockade. Once injected, plasma rocuronium or vecuronium molecules are attracted to Sugammadex and are quickly removed. Any remaining NMBA from the neuromuscular junction is shifted back into the plasma, via the differences in the concentration gradient, and the blockade is terminated. It takes less than 4 min to reverse a deep neuromuscular blockade and less than 3 min for a moderate blockade. The speed of reversal for Sugammadex is 15–18 times faster than neostigmine. Sugammadex comes in a 2 ml vial containing 100 mg/ml of drug. It is administered in a single bolus intravenous injection and is excreted in the kidneys, thus its use in renal-impaired patients is not recommended.





Neostigmine (anticholinesterase) is used to reverse non-depolarising neuromuscular blockade by preventing acetylcholine from breaking down at the neuromuscular junction. If the concentration of acetylcholine is allowed to build up, the neuromuscular block is overcome. However, neostigmine has unwanted muscarinic effects such as bradycardia and increased salivation and sometimes, incomplete reversal. It is customary to administer neostigmine with anticholinergic drugs (atropine or glycopyrrolate) to antagonise the cholinergic effects but this may also produce anticholinergic effects such as tachycardia, dry mouth, bronchodilation, increased intraocular pressure and

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adverse cardiovascular events. Sugammadex is radically different from neostigmine as it has no effect on acetylcholinesterase, thus eliminating the need for anticholinergic drugs and undesirable side effects.

The well tolerability, safety and low risk of residual blockade of Sugammadex have rendered it a revolutionary drug. The days of inadequate muscle relaxation and postoperative extubation complications in recovery due to inadequate or incomplete reversal, and adverse effects from the use of anticholinesterase and anticholinergic drugs are things of the past with the introduction of Sugammadex. Its use in clinical practice could potentially lead to improved patient care, safety and surgical outcome.

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