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NEWSLETTER©

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Tapentadol ER Trials Underway

Tapentadol is a centrally-acting oral analgesic which utilizes two mechanisms of action; mu-opioid receptor agonism and norepinephrine reuptake inhibition.

Mu-opioid agonists are drugs that bind to and activate mu-opioid receptors in the central nervous system, which modify sensory and affective pain, inhibit the transmission of pain at the spinal cord and disrupt activity at the areas of the brain that control the perception of pain.

Norepinephrine reuptake inhibitors are a type of central nervous system medication that increases the level of norepinephrine in the brain by inhibiting its reabsorption into nerve cells, causing analgesia.

Tapentadol has both immediate-release and extended-release formulations. The immediate-release formulation is FDA approved for moderate-to-severe acute pain, while the extended-release formulation is still under investigation as a treatment option for chronic low back pain, osteoarthritis and diabetic peripheral neuropathic pain. The potency of tapentadol is thought to be between morphine and tramadol.

In addition to treating a wide variety of pain, patients using tapentadol ER in a double-blind study experienced less gastrointestinal and central nervous system disorders compared with patients receiving oxycodone.

Adapted from:
Musculoskeletal Report February 2008
Clinical Trials Week May 2008
Pain Medicine News September 2009

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