



Tosedostat (CHR-2797) as a Potential Analgesic

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Significant analgesic activity with fewer adverse effects

Tosedostat, an anti-cancer drug also known as CHR-2797, shows promise as a potential analgesic. The compound has significant analgesic activity and is synergistic with morphine in mouse models. Tosedostat is an aminopeptidase inhibitor that blocks the inactivation of endogenous opioid peptides (enkephalins) by aminopeptidases (enkephalinases). This inhibition allows enkephalins to remain in the body longer than they normally would, providing extended analgesic effect by binding to μ and δ opioid receptors in the periphery. This method of use is unlikely to overstimulate pain related receptors, thus reducing risk of tolerance, dependency or addiction. Tosedostat as an analgesic could work in combination with—or even replace—current analgesic compounds.

Pain relief with reduced risk of addiction

Prescription painkillers like morphine and other opioids have high abuse potential and often lead to dependency, addiction and increased tolerance. In addition, they can induce severe adverse effects such as nausea and vomiting, constipation, respiratory depression and sedation. Alternatives to opioids, like steroidal and non-steroidal anti-inflammatory drugs (NSAIDs), face other drawbacks. These drugs can induce serious side effects such as ulcers, bleeding and kidney and liver toxicity. Using Tosedostat as an analgesic allows the body's natural opioid peptides (enkephalins) to exert an analgesic effect. Because the analgesic effect is restricted to the periphery (i.e., the compound works outside the brain), risk of dependence, addiction, increased tolerance or respiratory depression could be reduced or even eliminated. Repurposing Tosedostat for analgesia may provide a pain relief alternative with reduced adverse effects. And because Tosedostat has undergone human clinical trials for anticancer activity, its toxicity and side effects are already being evaluated and studied extensively.

Phase of Development

- In vivo/animal studies. In vivo data suggest analgesic effect provided by acting on peripheral system of body; shows analgesia in multiple mouse assays, synergy with morphine, mechanistic data.

Benefits

- Pain relief alternative with fewer adverse effects
- Less toxic, more natural
- Unlikely to overstimulate pain related receptors, thus reducing risk of tolerance, dependency or addiction
- Could work in combination with—or even replace—current analgesic compounds

Features

- Tosedostat: anti-cancer drug also known as CHR-2797
- Significant analgesic activity; synergistic with morphine in mouse models
- Blocks inactivation of endogenous opioid peptides (enkephalins)
- Enkephalins remain longer in body, providing extended analgesic effect
- Binds to μ and δ opioid receptors in the periphery
- Analgesic effect restricted to periphery; the compound works outside the brain

Applications

- Analgesics
- Pain management
- Alternative to opioids, steroids and non-steroidal anti-inflammatory drugs (NSAIDs)
- Combination therapy with current analgesics, providing an additive or synergistic effect

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Publications

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