Cyclopropylamide Derivatives for Pain Management

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Technology description

Technical Summary

Neuropathic pain is a chronic/persistent state resulting from nerve damage due to trauma, disease, or chemical injury. Recent studies and surveys have suggested that up to 30% of adults in the US report suffering from chronic pain, with one third of those identifying their pain as moderate to severe in intensity. The treatment of neuropathic pain is complicated, often poorly efficacious in the majority of patients. Since the early 1990's, more liberal use of opioids for the treatment of chronic pain emerged. However, recent studies have shown issues of opioid therapy including tolerance, cognitive impairment, addiction, and diversion of medications to illicit drug markets. The development of serotonin and norepinephrine reuptake inhibitors (SNRIs), like milnacipran, offers an alternative to opioids for management of chronic pain. However, these drugs, including milnacipran presents various undesirable side effects at the therapeutic doses.

The cyclopropylamines studied herein are derivatives of milnacipran, a dual serotonin (5HT) and norepinephrine (NE) reuptake inhibitor. Other commercially available SNRIs, for example venlafaxine and duloxetine exhibit greater 5-HT inhibition which results in increased side effects. The cyclopropylamines developed are over 100 times more potent than milnacipran in reducing allodynia, a widely accepted model for neuropathic pain. The development of these highly potent compounds will significantly reduce plasma drug concentration and consequently reduce both peripheral and central side effects. Animal studies have shown a decrease in sedation and motor impairment of these cyclopropylamine derivatives.

Application area

Small molecules that can be used in the treatment of neuropathic pain.

Advantages

Cyclopropylamines have shown reduced side effects compared to other SNRIs for the treatment of neuropathic pain.

Cyclopropylamine derivatives are more potent than other SNRI medication.

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