Antinociceptive effects of choline against acute and inflammatory pain.


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OBJECTIVE AND METHODS: We used the hot plate test and the formalin test to evaluate the antinociception of choline after i.c.v. or i.v. administration. The analgesic mechanism of choline was also studied.

RESULTS: The response latency of mice was significantly prolonged in the hot plate test after choline (90-120 mug/animals) i.c.v. administration in a dose-dependent manner. Pretreatment with methyllycaconitine citrate (MLA), alpha-bungarotoxin, or atropine blocked the antinociception of choline in the hot plate test. In contrast, mecamylamine and naloxone had no effect. No antinociceptive action of choline was found in the hot plate test, but it did have an effect in the late phase of the formalin test after i.v. administration. The effect of choline on anti-inflammatory pain was blocked by MLA, but not by mecamylamine, naloxone and atropine, which is indicative of the involvement of alpha7 receptors in peripheral sites. When choline (2 mg/kg) was coadministered with aspirin (9.4 mg/kg), the licking/biting times in the late phase significantly decreased, although no effects were shown when these doses of drugs were used alone. Similarly, coadministration of choline (2 mg/kg) with morphine (0.165 mg/kg) significantly increased the antinociception of morphine in the late phase, but had no effect in the early phase.

CONCLUSION: These results demonstrate that activation of alpha7 nicotinic receptors by choline elicits antinociceptive effects both in an acute thermal pain model and in an inflammatory pain model. Choline holds promise for development as a non-addictive analgesic drug and in reducing the regular dose of aspirin or morphine in inflammatory pain.

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