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Review article

Editorial Comment: Action of painkillers with caffeine and pain crises

Cristiana P. Q. F. Goes[1]*

Caffeine is the most widely used psychoactive drug in the world. Studies show that 80% of the population uses caffeine daily, this use varies between countries and in the United States of America it reaches 90%.[1] Drinks containing caffeine such as tea and coffee became widespread in the 15th and 16th centuries in Arabian countries and in the 18th and 19th centuries in Europe.[1]

Caffeine affects innumerous physiological structures including: physical resistance, humor, sleep and pain. When it is used in moderation is safe for most healthy, non-pregnant individuals. It boosts alertness, energy, response speed, wakefulness, and the capacity to concentrate and focus attention while also reducing weariness. It also improves short-term memory, and cognitive abilities.[2]

It seems that caffeine's medical effects had been known long before it became a part of regular daily drinks.[4] Several analgesic drugs, mainly for headaches, contain caffeine associated with paracetamol or with non-hormonal anti-inflammatory drugs.[2]

An adjuvant component is something that is added to a medicine to enhance its effectiveness. Low doses of caffeine are present as an adjuvant in combination with acetaminophen and non-steroidal anti-inflammatory drugs in many over the counter analgesics. Clinical studies have tested and demonstrated its adjuvant analgesic effects since at least in the 80's decade. The mechanism of action of caffeine as adjuvant in pain treatment remains unclear. Caffeine's actions on adenosine receptors may help people feel less discomfort, as well as inhibition of cyclooxygenase activity, have been raised to explain these effects. Among patients with headache conditions, caffeine is used as an analgesic adjuvant.[2]

The most common illnesses studied were headaches, postoperative dental pain, and postpartum discomfort. There were no major side effects recorded in these investigations, and the authors indicated that adding 100 milligrams or more of caffeine to an analgesic might be beneficial.[3]

1. Professora Adjunta, Departamento de especialidades médicas, Serviço de Neurologia, Ambulatório de Cefaleias, Faculdade de Ciências Médicas, Hospital Universitário Pedro Ernesto, Universidade do Estado do Rio de Janeiro, Rio de Janeiro, RJ, Brazil.

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There are several studies, mainly in patients with migraine, which suggest that the efficacy is superior to medications without caffeine association. In other types of pain, the results of studies are conflicting and it does not seem to be such a clear benefit.[3]

During the migraine attack there is a gastroparesis that hinders the absorption and effectiveness of oral medications and, by all indications, the acceleration of gastric emptying and consequent improvement in medication absorption could be a mechanism of action of caffeine as an adjuvant to the treatment.[4]

Analgesics associated with caffeine are widely sold over the counter in pharmacies both in Brazil and in the rest of the world. This facilitates self-medication and the abuse of analgesics.

Most people with migraine or tension type headache treat their acute headache episodes with medications. Worldwide, more than half of the patients with migraine (57%) or tension type headache (>80%) choose over-the-counter medications to manage their condition, rather than prescription treatments.[4] The search for a prophylactic treatment is probably much lower that it should be.

The relationship between caffeine and headache is complex, paradoxical, and often misunderstood. Used appropriately, caffeine significantly enhances the effectiveness of analgesics and non-steroidal anti-inflammatory drugs (NSAIDs) in the treatment of patients with migraine and tension type headache.

Used in excess, caffeine-containing analgesics can place patients at risk of medication overuse headache and the progressive development of chronic tension type headache or chronic migraine. At the same time, results from an uncontrolled, clinic-based study suggest that discontinuing caffeine consumption can improve the efficacy of acute migraine treatment.[4]

In the case of sporadic migraine, treatment with medications associated with caffeine may be a good option due to better efficacy, easy assessment and low cost.

However, if the patient has frequent or chronic headache, this frequent dose of analgesics may be enough to reach a dose daily intake of caffeine that generates dependence and abstinence upon withdrawal, generating greater use of medication and greater pain chronification.

Therefore, the indiscriminate use of medications associated with caffeine can, in many cases, end up making pain crises more frequent and difficult to treat.[5]

Although analgesics associated with caffeine apparently have a greater effect on the treatment of migraine attacks, there are no studies in the literature comparing these medications to triptans and association of triptans with analgesics or anti-inflammatories.

Due to the possibility of the indiscriminate use of over-the-counter analgesics and caffeine withdrawal being a cause of headache, the recommendation of this type of medication should be made with caution, especially for those who have frequent headaches.

In conclusion, caffeine is widely consumed around the world in both food, supplements and beverages and in medical applications. The action of caffeine in controlling pain may be multifactorial, and it is much more evident as an adjunct in the treatment of primary headaches than in isolation or in other types of pain.

In patients with headache disorders, its principal role is as an adjuvant in combinations with analgesic medications for acute treatment of tension type headache and migraine. Evidence from clinical trials in these patient populations indicates that combining caffeine with over the count analgesic medications improves efficacy over the analgesic alone and tolerability is good for the vast majority of patients.

However, when used in larger doses or even abstinence when used chronically, they can be precipitating factors for pain. Despite its proven efficacy and good tolerance, care should be taken with the abuse of over-the-counter medications and the risk of pain chronification.

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