

VARIABILITY OF ORAL SUMATRIPTAN PHARMACOKINETICS IN MIGRAINE PATIENTS

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Sumatriptan has been the first selective serotonin (5-HT) 1B/1D agonist for the acute treatment of migraine attacks. It is thought to relieve migraine attacks by several mechanisms including cranial vasoconstriction and peripheral and central neural inhibition. Sumatriptan has proved to be effective and generally well tolerated in the absence of cardiovascular diseases (1). Nevertheless, its use by migraine sufferers is still limited, approximately 40% of patients using only one prescription of this drug. Reasons for terminating use after only one prescription are inefficacy and/or side effects in the majority (78%) of patients (2).

Objectives: to evaluate oral bioavailability and pharmacokinetic profiles of sumatriptan in migraine patients.

Methods: we studied 10 migraine patients (8 F, 2 M) twice, after oral (100 mg) and after subcutaneous (6 mg) administration of sumatriptan. Blood samples were drawn before dosing and at the following post-dose times: 15, and 30 min., 1, 2, 3, 4, and 6 h. Sumatriptan levels were determined by HPLC with electrochemical detection. Pharmacokinetic parameters were calculated by means of the P K Solutions 2.0 program.

Results: following an oral dose of 100 mg, plasma concentrations of sumatriptan showed large differences among patients and two subjects had multiple peaks. T_{max} varied from 1 to 5 hours and bioavailability ranged from 10 to 38% (mean 25.44%). On the other hand, the profile of the curves was similar in all patients after subcutaneous administration of 6 mg of sumatriptan.

Conclusions: the marked variability that we observed in the rate and extent of sumatriptan absorption after oral administration could have an impact on sumatriptan clinical response and, could explain the disaffection of a substantial number of patients with this drug .

1. Lanteri-Minet M. (2006) CNS Drugs. 20: 12-23.
2. Rahimtoola H., Buurma H., Tijssen C.C., Leufkens H.G., Egberts A.C. (2003) Headache. 43: 109-116.