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Geraniol Pharmacokinetics, Bioavailability and Its Multiple Effects on the Liver Antioxidant and Xenobiotic-Metabolizing Enzymes

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Abstract

Geraniol is a natural monoterpene showing anti-inflammatory, antioxidant, neuroprotective and anticancer effects. No pharmacokinetic and bioavailability data on geraniol are currently available. We therefore performed a systematic study to identify the permeation properties of geraniol across intestinal cells, and its pharmacokinetics and bioavailability after intravenous and oral administration to rats. In addition, we systematically investigated the potential hepatotoxic effects of high doses of geraniol on hepatic phase I, phase II and antioxidant enzymatic activities and undertook a hematochemical analysis on mice. Permeation studies performed via HPLC evidenced geraniol permeability coefficients across an *in vitro* model of the human intestinal wall for apical to basolateral and basolateral to apical transport of $13.10 \pm 2.3 \times 10^{-3}$ and $2.1 \pm 0.1 \times 10^{-3}$ cm/min, respectively. After intravenous administration of geraniol to rats (50 mg/kg), its concentration in whole blood (detected via HPLC) decreased following an apparent pseudo-first order kinetics with a half-life of 12.5 ± 1.5 min. The absolute bioavailability values of oral formulations (50 mg/kg) of emulsified geraniol or fiber-adsorbed geraniol were 92 and 16%, respectively. Following emulsified oral administration, geraniol amounts in the cerebrospinal fluid of rats ranged between 0.72 ± 0.08 μ g/mL and 2.6 ± 0.2 μ g/mL within 60 min. Mice treated with 120 mg/kg of geraniol for 4 weeks showed increased anti-oxidative defenses with no signs of liver toxicity. CYP450 enzyme activities appeared only slightly affected by the high dosage of geraniol.

Keywords: bioavailability; geraniol; gut; pharmacokinetics; xenobiotic-metabolizing enzymes.

Figures

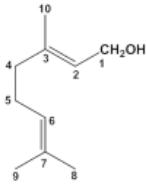


FIGURE 1 Chemical structure of geraniol.

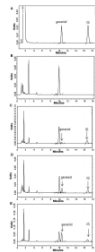


FIGURE 2 High performance liquid chromatography (HPLC)...

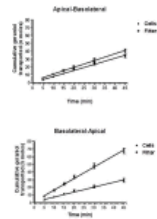


FIGURE 3 Permeation kinetics of 500 µM...

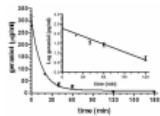


FIGURE 4 Elimination profile of geraniol after...

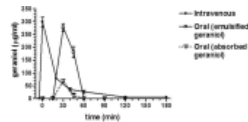


FIGURE 5 Blood geraniol concentrations (µg/mL) within...

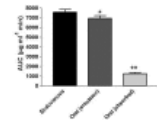


FIGURE 6 Area Under Concentration values (AUC)...

All figures (7)

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