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Absolute Bioavailability and Metabolism of Dodeca-2*E*,4*E*,8*E*,10*E*/*Z*-tetraenoic acid isobutylamides ("tetraene") after Intravenous and Oral Single Doses to Rats

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This study assessed the absolute and relative bioavailabilities of dodeca-2*E*,4*E*,8*E*,10*E*/*Z*-tetraenoic acid isobutylamides (tetraene), one of the main bioactive constituents in *Echinacea*, administered as pure compounds or as an *Echinacea purpurea* root extract preparation. Ten rats received 0.75 mg/kg dodeca-2*E*,4*E*,8*E*,10*E*/*Z*-tetraenoic acid isobutylamides oral, pure and within 158.6 mg/kg *Echinacea purpurea* extract, or intravenous. Pharmacokinetic parameters and bioavailability data of tetraene were obtained by non-compartmental analysis using WinNonlin® 5.2 software. Mean dodeca-2*E*,4*E*,8*E*,10*E*/*Z*-tetraenoic acid isobutylamide plasma area under the concentration-time curve ($AUC_{0-\infty}$/Dose) was 3.2 ± 0.3 min*ng/mL/µg and 1.0 ± 0.2 min*ng/mL/µg after iv and oral administration, respectively, and 1.5 ± 0.2 min*ng/mL/µg after oral administration of the *Echinacea* root extract. The absolute and relative bioavailability of dodeca-2*E*,4*E*,8*E*,10*E*/*Z*-tetraenoic acid isobutylamides were 29 % and 47 %, respectively. Administration of a whole *Echinacea* extract increases blood exposure with no impact on C_{max}. The high area under the curve concentration resulted in a longer elimination half-life with 123 min in comparison to 36 min after administration of the pure dodeca-2*E*,4*E*,8*E*,10*E*/*Z*-tetraenoic acid isobutylamides. A rapid absorption followed by a slower elimination phase was observed.

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