Pharmacokinetics of wood creosote: glucuronic acid and sulfate conjugation of phenolic compounds

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Pharmacology 51, 195-204 (1995).

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木クレオソートの薬物動態：フェノール系化合物のグルクロン酸抱合および硫酸抱合

[Abstract]

Wood creosote, principally a mixture of non-, alkyl- and/or alkoxy-substituted phenolic compounds, was orally administered to adult male volunteers to determine its metabolites and pharmacokinetic parameters. After a 133-mg single dose, its major constituents (i.e. phenol 15 mg, guaiacol 32 mg, *p*-cresol 18 mg and creosol 24 mg) were found in peripheral venous blood and urine, mostly as glucuronic acid and, except for creosol, as sulfate conjugates. Low concentrations of unconjugated phenols were also detected. The metabolites in the serum started to increase 15 min after the dose, and they reached their maximum concentrations 30 min after administration. The maximum concentrations of glucuronides were 0.18 ± 0.07, 0.91 ± 0.38, 0.33 ± 0.18 and 0.47 ± 0.23 mg/l; those of sulfates were 0.16 ± 0.06, 0.22 ± 0.09, 0.17 ± 0.07 and < 0.04 mg/l for phenol, guaiacol, *p*-cresol and creosol, respectively. The 24-hour urinary recoveries of the sum of each compound and its metabolites were 75 ± 35, 45 ± 36, 103 ± 51 and 74 ± 36%, in the above order. The presence of guaiacol glucuronide in blood and urine was directly verified by its isolation and structure analyses.