Dietary Benzoxazinoids in Rye Bread Are Absorbed, Distributed, Metabolized, and Excreted in Rats

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Abstract

Background: Benzoxazinoids are a group of bioactive phytochemicals that are primarily found in cereals. To understand the pharmacological effects of these compounds, a thorough knowledge of the bioavailability and metabolism of dietary benzoxazinoids is needed.

Methods: The absorption, distribution, metabolism, and excretion of benzoxazinoid compounds were studied analyzing the plasma, urine, and feces samples by LC-MS/MS after ingestion of a daily dose of 4780 ± 68 µmol benzoxazinoids from rye bread-based diet for 2 wk using Wistar rats as model.

Results: Three benzoxazinoid compounds, 2-hydroxy-1,4-benzoxazin-3-one (HBOA; 17 ± 4 nmol/L), its glucosidic analogue HBOA-glc (74 ± 27 nmol/L), and 2-β-D-glucopyranosyloxy-4-hydroxy-1,4-benzoxazin-3-one (DIBOA-glc; 17 ± 8 nmol/L), were detected in plasma. The total benzoxazinoid urinary excretion was 1176 ± 66 nmol/d, corresponding to approximately 25% of the total dietary intake. The benzoxazinoid profile of urine was markedly different from that of plasma, with HBOA-glc and DIBOA-glc (647 ± 31 and 466 ± 33 nmol/d, respectively) as major
urinary components. HBOA and DIBOA glucuronide conjugates were detected in both plasma and urine, indicating substantial phase II metabolism.

**Conclusions:** This study revealed, for the first time, that bioactive benzoazinoids in rye bread are highly bioavailable in rats. It should trigger future interest in the nutraceutical value of benzoazinoids in whole grain rye- and wheat-based diets in humans and other animals.

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