Benzoxazinoids are a group of bioactive phytochemicals mostly found in cereal plants including grains. Several potentially beneficial healthful and pharmacological effects of benzoxazinoids have been described previously in some epidemiological and in vitro studies. Concerning the daily consumption of 50 g of rye bread (the major wholegrain product in Scandinavian region), the daily total benzoxazinoids intake through a specific brand of wholegrain rye bread can be estimated to be higher than 5 mg/d. However, there is a paucity of information regarding the absorption, distribution, metabolism, and elimination of these dietary compounds in mammals. A rye bread-based diet containing a daily dose of 4780 ± 68 µmol benzoxazinoids, principally 2-β-D-glucopyranosyloxy-4-hydroxy-1,4-benzoxazin-3-one (DIBOA-glc; 2243 ± 32 nmol) was fed for 2 wk to 12 rats which were housed in metabolic cages for last 7 d followed by euthanasia. In parallel, 12 other rats were fed AIN-93G as control. The benzoxazinoid compounds and their conjugated derivatives in diets, plasma, urine, and faeces were identified and quantified using high-performance liquid chromatography coupled to electrospray ionization triple quadrupole mass spectrometry. Three benzoxazinoid compounds: 2-hydroxy-1,4-benzoxazin-3-one (HBOA), its glucosidic analogue HBOA-glc, and DIBOA-glc (17 ± 4, 74 ± 27, and 17 ± 8 nmol/L, respectively) were detected in rat plasma collected at 3 h or more after the cessation of feed intake. The total urinary excretion of benzoxazinoids was 1176 ± 66 nmol/d corresponding
to approximately 25% of the total intake. The urinary benzoazinoids profile was noticeably
different from that of plasma with major urinary components; HBOA-glc and DIBOA-glc (647 ±
31 and 466 ± 33 nmol/d, respectively). The glucuronide conjugates of HBOA and DIBOA were
detected in plasma and urine, high intensities of peaks in the latter, indicating substantial phase II
metabolism. The N-dehydroxylation of hydroxamic acids (DIBOA, DIBOA-glc, etc.) into
lactams (HBOA, HBOA-glc, etc.) is a critical mechanism for the gastrointestinal absorption and
metabolism. This study revealed for the first time that bioactive benzoazinoids in rye bread are
highly bioavailable in rats. The findings of the present study might be helpful to understand the
healthful and pharmacological effects of benzoazinoid compounds in vivo. Moreover,
benzoazinoids could be an important component to contribute the overall healthful effects of
wholegrain rye products.