

Aspalathin, a flavonoid in *Aspalathus linearis* (rooibos), is absorbed by pig intestine as a C-glycoside

Abstract

Aspalathin, a dihydrochalcone and C-glycoside, is the most abundant flavonoid in rooibos (*Aspalathus linearis*), which is well known as an herbal tea in many countries. Aspalathin appears to have *in vitro* antioxidative and antimutagenic effects. To understand the effects of aspalathin in the body, research on the absorption in the intestinal tract, metabolism in the body, and identification of circulating metabolites *in vivo* is required. We investigated the metabolism of aspalathin to identify the parent compound and related metabolites in urine and plasma after orally administering a rooibos extract (16.3% aspalathin by 96 g rooibos extract, which equates to 1.1 kg dried rooibos material), produced from unfermented rooibos plant material, to pigs over a period of 11 days (oral dosage, 157-167 mg aspalathin per kg body weight daily). On days 7 and 11 of the study and days 1 and 2 after termination, urine was collected in 24-hour fractions, and plasma samples were collected at various time points. To our knowledge, this is the first time aspalathin metabolites have been identified *in vivo*, by presenting evidence of the absorption of aspalathin. Six substances identified in the urine by liquid chromatography–mass spectrometry were identified; these represent aspalathin and the metabolites methylated aspalathin, glucuronidated aspalathin glucuronidated and methylated aspalathin, a glucuronidated aglycone of aspalathin, as well as a metabolite of eriodictyol. The latter compound was methylated and contained 2 glucuronic acid moieties. This study showed that aspalathin can be absorbed by the intestine as C-glycoside as well as being cleaved in an aglycone and sugar moiety. The major metabolite in the enzymatically treated samples was methylated aspalathin. Between 0.1% and 0.9% of the administered dose of aspalathin could be detected in the urine on days 7 and 11 of the feeding study. No metabolites or aspalathin were found in plasma samples. The identification of the metabolites *in vivo* enables investigations to determine the biological potential of rooibos extracts.