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Anthocyanins form potentially-bioactive phenolic degradation products under simulated physiological conditions

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Over the past decade, anthocyanins have been heralded as beneficial mediators of human health and disease[(1)]. However, it is reported that only a small percentage of ingested anthocyanins reach the systemic circulation[(2)]. Thus, the true health benefits of anthocyanins remain paradoxical, since their presence in the diet demonstrates health benefits, whilst their absence *in vivo* contraindicates it. It is proposed that this paradigm is the result of physio-chemical degradation following ingestion, and the aim was to quantitatively investigate the effect of anthocyanin structure on their stability under simulated physiological conditions.

To assess the potential degradation of anthocyanins following ingestion, anthocyanin loss and phenolic acid formation was individually assessed under simulated gastrointestinal (GI; i.e. in the presence of pepsin at pH 2 and pancreatin–bile at pH 6.4; Fig. 1) and simulated physiological (pH 7.4 and 37°C; Fig. 2) conditions. Analysis was performed by HPLC–diode array detection–MS.

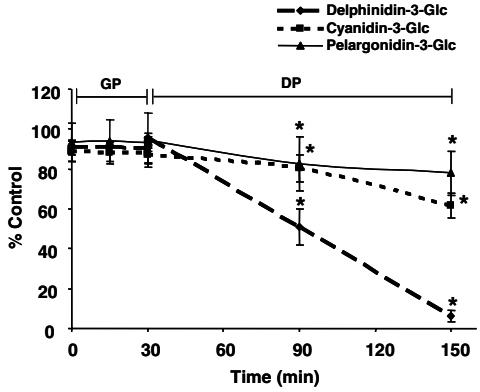

Fig. 1. Anthocyanin degradation during simulated digestion. GP, gastric phase, i.e. in the presence of pepsin, pH 2. DP, duodenal phase, i.e. in the presence of pancreatin and bile salts, pH 6.4. Mean values were significantly different from those for controls: $*P<0.05$. Values are means and standard deviations represented by vertical bars for three determinations.

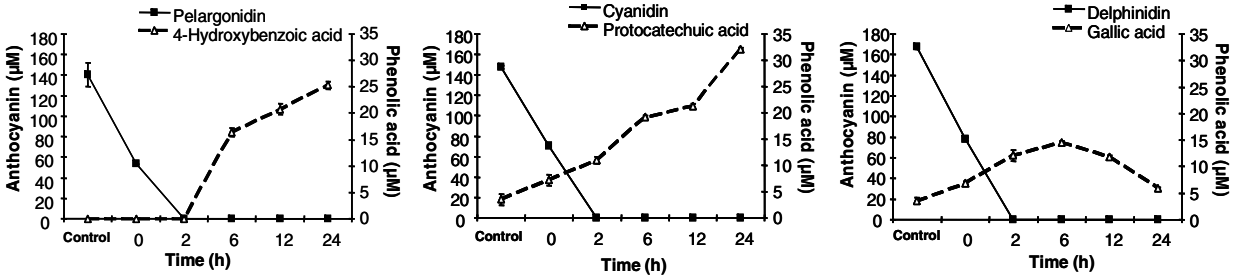

Fig. 2. The rate of anthocyanidin degradation to their phenolic constituents at 37°C in physiological buffer (10 mM-sodium–potassium phosphate buffer, pH 7.4). Values are means and standard deviations represented by vertical bars for three determinations.

It was demonstrated that whilst anthocyanins were stable under gastric (stomach, pH 2) conditions, they were rapidly degraded to their phenolic acid and aldehyde constituents following the intestinal phase of GI digestion (pH 6.4; Fig. 1) and under physiological conditions (Fig. 2). Indeed, this finding would imply that anthocyanins are stable in the stomach and begin to degrade within the GI tract and post absorption, followed by rapid and spontaneous formation of their phenolic acid and aldehyde constituents. Hence, it is proposed that anthocyanins may be 'prodrugs' for the delivery of potentially-bioactive phenolic products. Thus, it is important that future studies investigate the bioavailability and bioactivity of anthocyanins-derived phenolic degradation products.

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2. Kay CD, Mazza GJ & Holub BJ (2005) *J Nutr* **135**, 2582–2588.

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