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Biological activity of naturally occurring glycosides after gastrointestinal biotransformation

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Natural products are often prodrugs, e.g. glycosides, which must undergo *in vivo* metabolic conversion (activation). A *Gloriosa superba* seed extract containing colchicine, a well-known cytotoxic compound, 3-*O*-demethylcolchicine and its glycoside colchicoside, was found to be active in a murine pancreatic tumor model. A colchicoside-rich/colchicine-poor extract with the same total level of colchicine and derivatives showed a similar activity, indicating that colchicoside can be considered as a prodrug [1]. The activity of the anticancer drug gemcitabine could be improved by combining it with a *Gloriosa superba* seed extract [2].
Extracts of the herb *Herniaria hirsuta* are traditionally used in Morocco against kidney and gallstones. Prolonged use of a *H. hirsuta* extract resulted in a cholesterol-lowering effect in the bile of dogs, a pharmacological effect that can prevent the formation of gallstones and can contribute to dissolving existing gallstones [3]. Saponins (medicagenic acid glycosides) have been hypothesized as active principles, but before absorption they need to be deglycosylated. The aglycones (or metabolites thereof) can be absorbed, and may further be metabolized to the ultimate active molecules. Therefore, an *in vitro* gastro-intestinal dialysis model (GIDM) was developed, including microbial fermentation in the colon, to mimic human biotransformation processes. The saponin hederacoside C is discussed as an example, and a novel data analysis approach is presented [4].

References

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