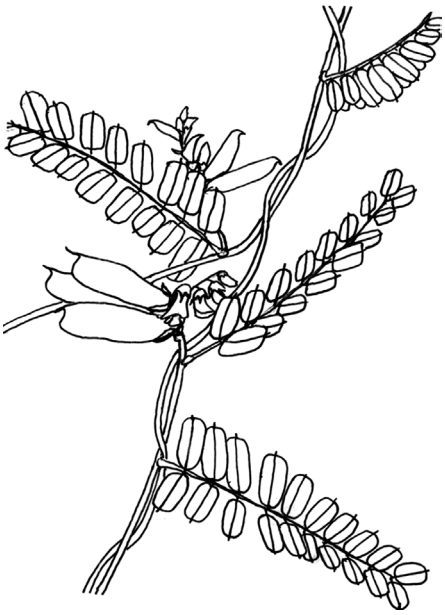
Abrus cantoniensis

Herba Abri (Jigucao), is the dried whole plant of *Abrus cantoniensis* Hance (Fabaceae). It is used for the treatment of jaundice, acute and chronic hepatitis, and mastitis.

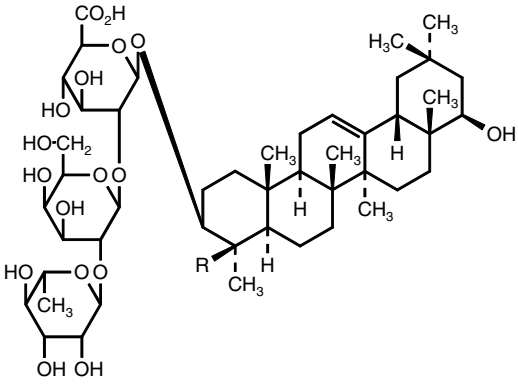


Abrus cantoniensis Hance

Chemistry

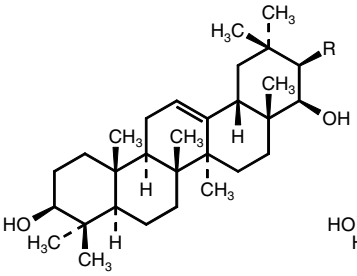
The whole plant of *A. cantoniensis* is known to contain a series of triterpene saponins with closely related sapogenins derived from oleanane as the active component. The sapogenins were identified as cantoniensistriol, sophoradiol, soyasapogenols A and B [1, 2], abrisapogenols A–G [3, 4], and L [5]. These sapogenins differ mainly in the number and position of the hydroxy groups.

The major saponins were identified as soyasaponin I and kaikasaponin III [6]. Soyasaponin I is the β-fabatrioside of soyasapogenol B, while kaikasaponin III is the β-fabatrioside of sophoradiol.

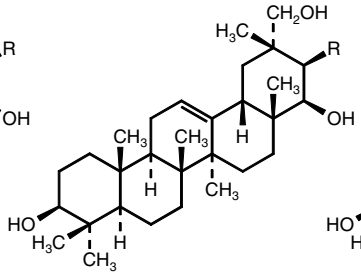


Soyasaponin I: R = CH_2OH
Kaikasaponin III: R = CH_3

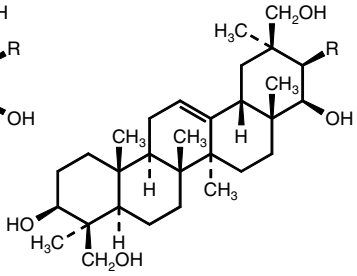
Minor saponins are: abrisaponins A, L, D_1 and Ca, representing β-fabatriosides of different sapogenins [5]; and abrisaponins So1, D2, F, and SB, representing β-abritetraosides of different sapogenins [7].



Sophoradiol: R = H
Cantoniensistriol: R = OH



Abrisapogenol A: R = H
Abrisapogenol C: R = OH



Abrisapogenol E: R = H
Abrisapogenol L: R = OH

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Weici Tang and Gerhard Eisenbrand

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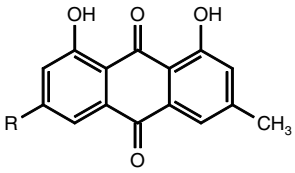
β-Fabatriose is a triose with a glucuronic acid unit and is structurally 3-*O*-α-L-rhamnopyranosyl-(1 → 2)-β-D-galactopyranosyl-(1 → 2)-β-D-glucuronopyranose [5], while β-abritetraose is β-fabatriose with an additional branched glucose.

In addition to triterpene saponins, the hydroxyanthraquinones chrysophanol and physcion were also isolated from *A. cantoniensis* [8]. The anthraquinones are major components of a number of Chinese medicinal materials, such as *Polygonum cuspidatum*, *Polygonum multiflorum*, *Rheum* species (Polygonaceae), and *Rubia cordifolia* (Rubiaceae).



Chrysophanol: R = H
Physcion: R = H_3CO

Pharmacology and Toxicology

The whole plant of *A. cantoniensis* was reported to be used mainly for the treatment of hepatitis and other liver diseases. The major saponins, soyasaponin I and kaikasaponin III, were found to exhibit hepatoprotective activity and to inhibit the elevation of glutamic pyruvic transaminase (GPT) and glutamic oxaloacetic transaminase (GOT) levels in rat liver cells exposed to carbon tetrachloride (CCl_4) [9]. Kaikasaponin III was more effective than soyasaponin I, showing hepatoprotective activity at concentrations less than 100 μg ml^{-1}. Both, soyasaponin I and kaikasaponin III showed some toxicity at a concentration of 500 μg ml^{-1} [7]. Kaikasaponin III and soyasaponin I also exerted protective effects in primary cultured rat hepatocytes againstdamage induced by hepatocyte antiserum. Sophoradiol also showed some protective activity, but was less potent than kaikasaponin III [10]. In a study using $HepG_2$ cells, sophoradiol exhibited potent protective activity against the toxicity of *tert*-butyl hydroperoxide [11].

Soyasaponin I was found to be a potent and specific sialyltransferase inhibitor, inhibiting cellular α2,3-sialyltransferase activity. Soyasaponin I was found only to inhibit sialyltransferase, but not other glycosyltransferases and glycosidases tested [12]. Tumor formation and invasive behavior is believed to be associated with altered sialyltransferase activity. Soyasaponin I did not affect the cell cycle, and also failed to inhibit the cell proliferation of nonmetastatic MCF-7 and highly metastastic MDA-MB-231 human mammary carcinoma cells. It was, however, confirmed to inhibit cellular α2,3-sialyltransferase activity and to depress expression at the tumor cell surface of α2,3-sialic acid [13]. Soyasaponin I, as a specific sialyltransferase inhibitor, also inhibited the expression of α2,3-linked sialic acids in the highly metastatic B16F10 cancer cell surface, decreased the migratory ability of cells, and enhanced cell adhesion to extracellular matrix proteins. In addition, the alteration of glycosylation significantly reduced the ability of tumor cells to disseminate to the lungs of mice in a pulmonary metastasis assay [14].

After a single oral dose of soy extract containing about 430 μ*M* soyasaponins with sayasapogenol B as aglycone was given to healthy women, neither soyasaponins nor their metabolites were detected in 24 h urine samples. Soyasapogenol B as a major metabolite of group B soyasaponins was found in a 5 day fecal collection at a total content of about 36 μ*M*; however, no group B soyasaponins were detected in the feces. An *in vitro* study using Caco-2 human colon cancer cells revealed that the mucosal transfers of soyasaponin I, and soyasapogenol B

were only 0.5–2.9% and 0.2–0.8%, respectively, after 4 h incubation. The results showed that soyasaponins were very poorly absorbed in human intestinal cells. Rather, they appeared to be metabolized to soyasapogenol B by human intestinal microorganisms *in vivo* and excreted in the feces. Soyasaponin I did not show cytotoxicity on Caco-2 cells at concentrations up to 3 μ*M*, whereas soyasapogenol B at 1 μ*M* significantly reduced cell viability [15].

The ethanol extract of the herb of *Abrus cantoniensis* was reported to be active against *Helicobacter pylori*. It inhibited all five clinically isolated strains of *H. pylori* tested *in vitro*, with a median inhibitory concentration of approximately 40 μg ml^{-1} [16]. Kaikasaponin III was reported to exert a potent inhibitory activity against herpes simplex virus type 1 (HSV-1), whereas the inhibitory activity of sophoradiol against HSV-1 was less potent compared to kaikasaponin III [17].

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