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Some bioactive substances from plants of West China

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Abstract - Some bioactive substances have been isolated. 1. Steroidal saponins: 12 steroidal saponins were isolated from Paris (Trilliaceae). a) Two glycosides of diosgenin 1 and 2 have antitumor activity. b)Two glycosides of pennogenin 6 and 7 show hemostatic activity. 2. Iridoids: Three iridoids show antihepatoxic activity and sweroside has been used as a liver therapeutic drug. 3. Sesquiterpenoids: Eleven new β-agarofuran type sesquiterpenoid esters were isolated from Celastrus which are famous insecticidal plants.

INTRODUCTION

Minority people in China usually use ethnodrugs and folk drugs to treat diseases. Chinese minorities mainly live in west China where is rich in seed plants. Some biologically active substances found in west China were reported here.

STEROIDAL SAPONINS FROM *PARIS*

There are 19 species of Paris in the world. China has 15 species and 12 of the species are distributed in its south-west. Paris polyphylla var. chinensis and Paris polyphylla var. yunanensis are two famous folk drugs. Their major actions are showed in Table 1.

The flavonoids β-ecdysone, especially steroidal saponins of Paris were investigated. 12 steroidal saponins were isolated (Fig. 1). These saponins can be divided into three groups: diosgenin, pennogenin and 24-α-hydroxy pennogenin saponins.

The two varieties of P. polyphylla have long been used as antitumor drugs among the people. It was indicated that they possibly contain active components of antitumor. Pharmacological research showed that the methanol extract and water extract had cytotoxic activity against L-929 cells in VITRO. Table 2 demonstrates that saponins 1 and 2 have the strong activity inhibiting P338, L1210 and KB in VITRO while saponin 5 has very weak activity(ref. 1).

TABLE 1. The major actions of Paris polyphylla var. chinensis and Paris polyphylla var. yunnanensis.

Latin name	Chinese name	Major action
Paris polyphylla var. chinensis	1. Qiyeyizhihua	a) treatment of snake biting
	2. Zaoxiu (Shen Nong Ben Cao Jing, AD 22-250)	b) antibiotic c) antitumor
	3. Chonglou (Tang Ben Cao, AD 659)	d) contraception e) sedative
	4. Ziheche (Ben Cao Gang Mu, AD 1540)	
Paris polyphylla var. yunnanensis	1. Dianchonglou	a) hemostasis
	2. Chonglou (Dian Nan Ben Cao, AD 1370)	b) antitumor c) antibiotic d) treatment for trauma e) antiparotitis

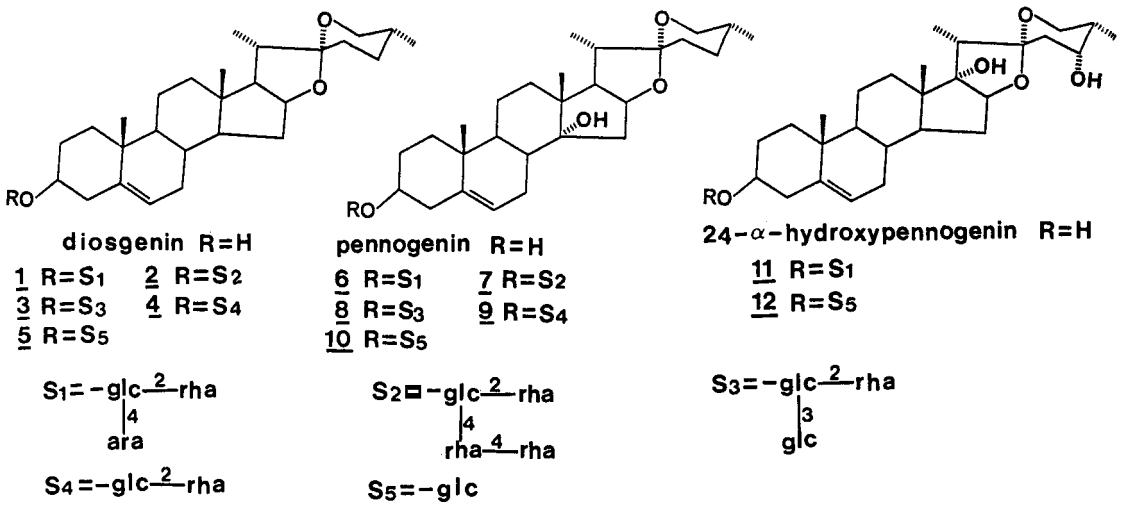


Fig. 1. Steroidal saponins from Paris

TABLE 2. The cytotoxic activity of saponins 1, 2, 5

saponin	ED50 (g/ml)		
	P 388	L 1210	KB
1	0.44	0.14	0.16
2	0.22	0.43	0.29
5	23.9	65.7	12

TABLE 3. Hemostatic activity of crude drugs and saponins

Sample	dose (rat) (g/kg)	Coagulate time (sec.)	P
P. polyphylla var. chinensis	6	177 ± 30	<0.05
P. polyphylla var. yunnanensis	6	135 ± 26	<0.01
3	0.045	192 ± 31	<0.05
6	0.015	147 ± 27	<0.01
saline		252 ± 30	

Since 500 years ago, P. polyphylla var. yunnanensis has been used as a hemostatic drug and it is now a component of some famous Chinese patent medicines. Recently, Q. Wang reported its hemostatic activity (Table 3). His studies showed that the crude drug P. polyphylla var. yunnanensis had shorter coagulate time than P. polyphylla var. chinensis and saponin 6 had shorter coagulate time than 3 even if the dose of the former is 1/3 of the latter (ref. 2). The facts mentioned above probably proved that saponins of pennogenin were the main components of hemostatic activity.

Two saponins 6 and 7 had strong activity contracting unterine muscle. The experiment on rats in VIVO demonstrated that saponins 6 and 7 had the activity at dose of 2mg/kg. However, the saponins of diosgenin and 8 had no such activity. Therefore, it is concluded that the hemostatic activity compounds of P. polyphylla var. yunnanensis are possibly saponins 6 and 7 (ref. 3). These two saponins have been successfully used to cure gynaecological haemorrhage.

IRIDOIDS FROM *SWERTIA*

There are 70 species of Swertia (Gentianaceae) in China, mostly distributed in its west. Some of them are medicinal plants in treatment of viral hepatitis, e.g., S. moleensis (Qingyedan) and S. mussotii (Zangyincheng). Another Gentianaceae species, Gentiana rigescens, is used as the substitute of Chinese traditional drug Longdan (G. scabra) to treat hepatitis.

The triterpenes, xanthones and iridoids of Swertia were investigated. Pharmacological studies showed that iridoids had antihepatitis activity. Recently, I. M. Chang and H. M. Chang reported respectively that aucubin and genipside, two iridoids, also possessed such activity (ref.4).

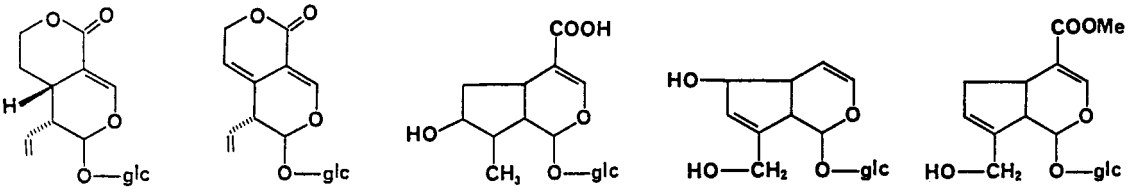


Fig 2. The structures of iridoids

From G. rigescena, gentiopicroside and longanic acid were isolated (Fig. 2), and sweroside was isolated from S. mileensis (ref. 5). Sweroside reduces the SGPT under the model of mice liver damage induced by carbon tetrachloride and / or galactosamine.

Sweroside has been used clinically to treat hepatitis, reducing the SGPT. After 45 days' treatment with sweroside, the effective rate for acute patients is 84% and that for chronic patients is 70% while the cure rates are 67% and 55% respectively (Fig. 3). This drug is considered better suited for acute hepatitis patients.

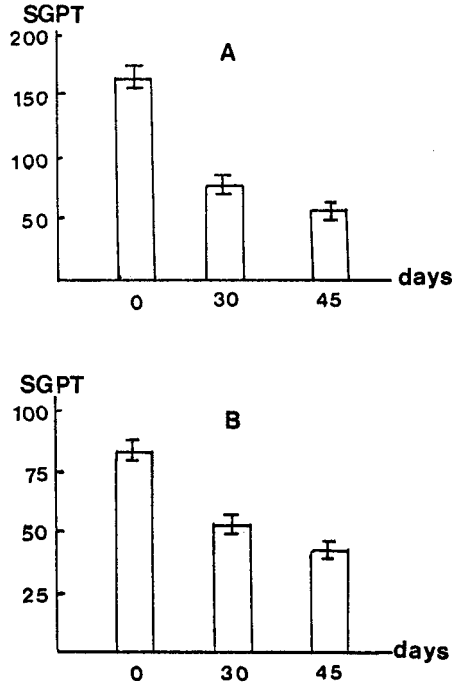


Fig. 3. SGPT reduction of hepatitis patients with the treatment of sweroside.
(A) acute hepatitis patients.
(B) chronic hepatitis patients.

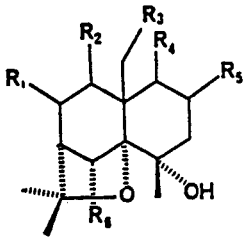
TABLE 4. Antifeeding activity of β-agarofuran sesquiterpenes on cabbage worm.
a) PC_{95} means the protection concentration when leaf is protected by an area of 95%.
b) wilforgine: LC_{50} = 32.75ppm
LC_{90} = 159.71ppm
(LC = lethal concentration)

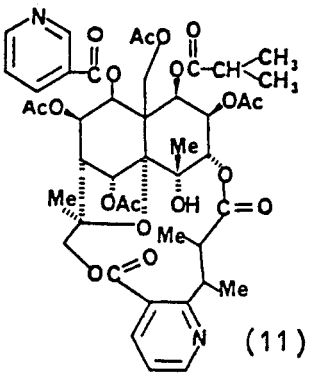
Sample	PC_{95}[a] (ppm)
wilfordine	330.63
wilforine	333.90
wilfortrine	821.45
wilforgine[b]	428.40

β-AGAROFURAN SESQUITERPENES IN *CELASTRACEAE*

Tripterygium hypglaucum, Celastrus angulatus and C. glaucophyllus have a long history used as insecticids.

From T. hypglaucum, four known sesquiterpenes were isolated and they all showed anti-feeding and insecticidal activities (Table 4, ref. 6). Eleven new β-agarofuran sesquiterpenes were isolated from angulatus and C. glautophyllus (Fig. 4, ref. 7). Their structures were mainly established on NMR spectroscopy, especially by the use of 2D-NMR such as ^1H-^1H COSY, ^{13}C-^1H COSY, NOESY and DEPT, etc. Preliminary examinations indicated that these new compounds had insecticidal activity. Further research is in progress.





(11)

(1) R_1=-OAc, R_2=-OCOCHCH$_2$CH$_3$, R_3=H, R_4=-OBz, R_5=-OBz, R_6=α-OH,
CH$_3$

(2) R_1=-OH, R_2=-OCOCHCH$_2$CH$_3$, R_3=H, R_4=-OBz, R_5=-OBz, R_6=α-OBz,
CH$_3$

(3) R_1=-OH, R_2=-OAc, R_3=H, R_4=-OBz, R_5=-OBz, R_6=α-OBz,

(4) R_1=-OH, R_2=-OAc, R_3=H, R_4=-OBz, R_5=-OBz, R_6=α-OFu,

(5) R_1=-H, R_2=α-ONic, R_3=OAc, R_4=-OFu, R_5=-OAc, R_6=-OCOCH(CH$_3$)$_2$,

(6) R_1=-H, R_2=α-ONic, R_3=OAc, R_4=-OBz, R_5=-OAc, R_6=α-OAc,

(7) R_1=-H, R_2=α-ONic, R_3=OAc, R_4=-OFu, R_5=-OAc, R_6=α-OCOCHCH$_2$CH$_3$,
CH$_3$

(8) R_1=-H, R_2=α-ONic, R_3=OAc, R_4=-OFu, R_5=-OAc, R_6=α-OAc,

(9) R_1=α-OAc, R_2=-OBz, R_3=ONic, R_4=-OAc, R_5=-OCOCH(CH$_3$)$_2$, R_6=α-OH,

(10) R_1=-OCOCH(CH$_3$)$_2$, R_2=α-ONic, R_3=OAc, R_4=-OCOCH(CH$_3$)$_2$, R_5=-OAc, R_6=α-OFu,

Fig. 4. The structures of β-agarofuran sesquiterpenes.

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