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Advances in research of chemical constituents and pharmacological activities of genus *Sida* Linn.

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Abstract: According to studies of last three decades, *Sida* Linn. contains many kinds of chemical constituents, primarily including alkaloids, steroids and so on. Plants of different species of *Sida* Linn. are widely used in Traditional Chinese Medicine for the treatment of asthma, renal inflammation, colds, fever, headache and ulcers. In foreign countries, *Sida acuta* Burm. f., a species of this genus, is used to treat malaria, and the active component cryptolepine is considered to have anti-inflammatory, anti-malarial, anti-tumor and hypoglycemic effects. In China, constituents of the aerial part of *S. szechuensis* Matsuda has been analyzed. 8 steroids, 1 steroidal saponin, 1 flavonoid glycoside, 1 monoterpene, and 1 indole alkaloid have been extracted and isolated. The antibacterial experiments of its ethanol extract have also been conducted. This paper gives an overview of chemical constitutions and pharmacological activities of *Sida* Linn. plants, so as to provide more reference for further development and utilization of genus *Sida* Linn.

Key words: *Sida* Linn; chemical constituents; pharmacological activities

黄花稔属化学成分与药理作用研究进展

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摘要: 黄花稔属 *Sida* Linn. 植物含有甾醇类、生物碱类等多种化学成分。该属的多种植物作为传统中药广泛用于治疗哮喘、肾炎、感冒、发烧、头痛、溃疡等。在国外, 用该属植物黄花稔 *Sida acuta* Burm. f. 治疗疟疾。对其有效成分白叶藤碱 (cryptolepine) 进行了较深入的研究, 认为该成分有抗炎、抗疟、抗肿瘤、降血糖等作用。国内对拔

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毒散 *S. szechuensis* Matsuda 的地上部分进行了化学成分研究,从中提取、分离到8个甾醇类化合物、1个甾体皂苷、1个黄酮苷、1个单萜、1个吲哚类生物碱,并对其乙醇提取物做了抗菌实验。综述了该属植物化学成分和药理学研究概况,为进一步开发、利用该属植物资源提供参考。

关键词:黄花稔属;化学成分;药理活性

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The *Sida* Linn. is a genus of herbs and subshrubs in Malvaceae family. There are about 90 species, mainly distributed in the tropics and subtropics and some in temperate regions. From Southwest to North China, there are 13 species and 4 variants such as *S. rhombifolia* L., *S. alnifolia* L., *S. chinensis* Retz., *S. cordifolia* L., *S. subcordata* Span, *S. parvifolia* DC., *S. acuta* Burm. f. and *S. szechuensis* Matsuda. Although there are pharmacological and pharmacodynamic studies on some of them, reports on their chemical constituents are still rare. Studies in China mainly focus on *S. szechuensis* Matsuda, while studies in foreign countries often focus on *S. acuta* Burm. f. According to the study reports, the main active constituents of *Sida* Linn. are alkaloids and steroids. Pharmacological experiments have shown that plants of *Sida* Linn. have a wide range of functions such as anti-inflammation, antibacteria, hemostasis, anti-malarial, anti-tumor, and hypoglycemic effect.

1 Chemical constituents

1.1 Steroids and their derivatives

From *S. carpinifolia* L., the steroid compounds ecdysone and ecdysteroid can be extracted^[1]. From *S. szechuensis*, seven steroid compounds can be extracted, including β -sitosterol, daucosterol, polypodine A, polypodine B, α -ecdysone (1), β -ecdysone (2), and $5\beta, 20R$ -dihydroxy- α -ecdysone (3)^[2-3]. From *S. spinosa* L., five steroid compounds can be extracted, including 20-hydroxy-24-hydroxymethyl ecdysone (4), 20-hydroxyecdysone (5), turkesterone (6), markisterone (7), 20-hydroxyecdysone-20, 22-monoacetone (8)^[4].

These compounds are mainly ecdysterone and their derivatives. A new steroid compound has been extracted from ethanol extract of the aerial

parts of *S. szechuensis* by Li^[5]. It is identified as $2\beta, 3\beta, 14\alpha, 20R, 21, 22R, 24$ -heptahydroxycholest-7-en-6-one (9). This new steroid compound is one of the pharmacologically effective constituents of the genus.

Li's^[5] experiments prove that steroid compounds $2\beta, 3\beta, 14\alpha, 20R, 21, 22R, 24$ -heptahydroxycholest-7-en-6-one (10) and 3-O- β -D-glucopyranoside- α -ecdysone (11) are the major antibacterial constituents of *S. szechuensis*. Structures of compounds 1—11 were shown in Fig. 1.

1.2 Alkaloid compounds

From *S. cordifolia*, three alkaloids can be extracted, including quinazolines alkaloids, carboxylated tryptamines and β -phenethylamines^[6]. The same alkaloids can be extracted from other four species of *Sida* Linn., such as *S. acuta*, *S. humilis*, *S. rhombifolia* and *S. spinosa*^[7]. Alkaloid is another effective constituent of pharmacological activities of *Sida* Linn. Besides, the related studies show that cryptolepine (12, Fig. 1), extracted from *S. acuta*, has a significant antimalarial effect^[8].

1.3 Monoterpene

There is only one chemical constituent of monoterpene, which is loliolide (13). The structure was elucidated as following (Fig. 1).

1.4 Flavonoid

There is only one chemical constituent of flavonoid, astragalin 6"-O-(4-hydroxycinnamoyl) (14). The structure is elucidated as following (Fig. 1).

1.5 Scopoletin

There is only one chemical constituent of scopoletin. It is 6-methoxy-7-hydroxycoumarin (15), also called scopolamine. The structure is elucidated as following (Fig. 1)

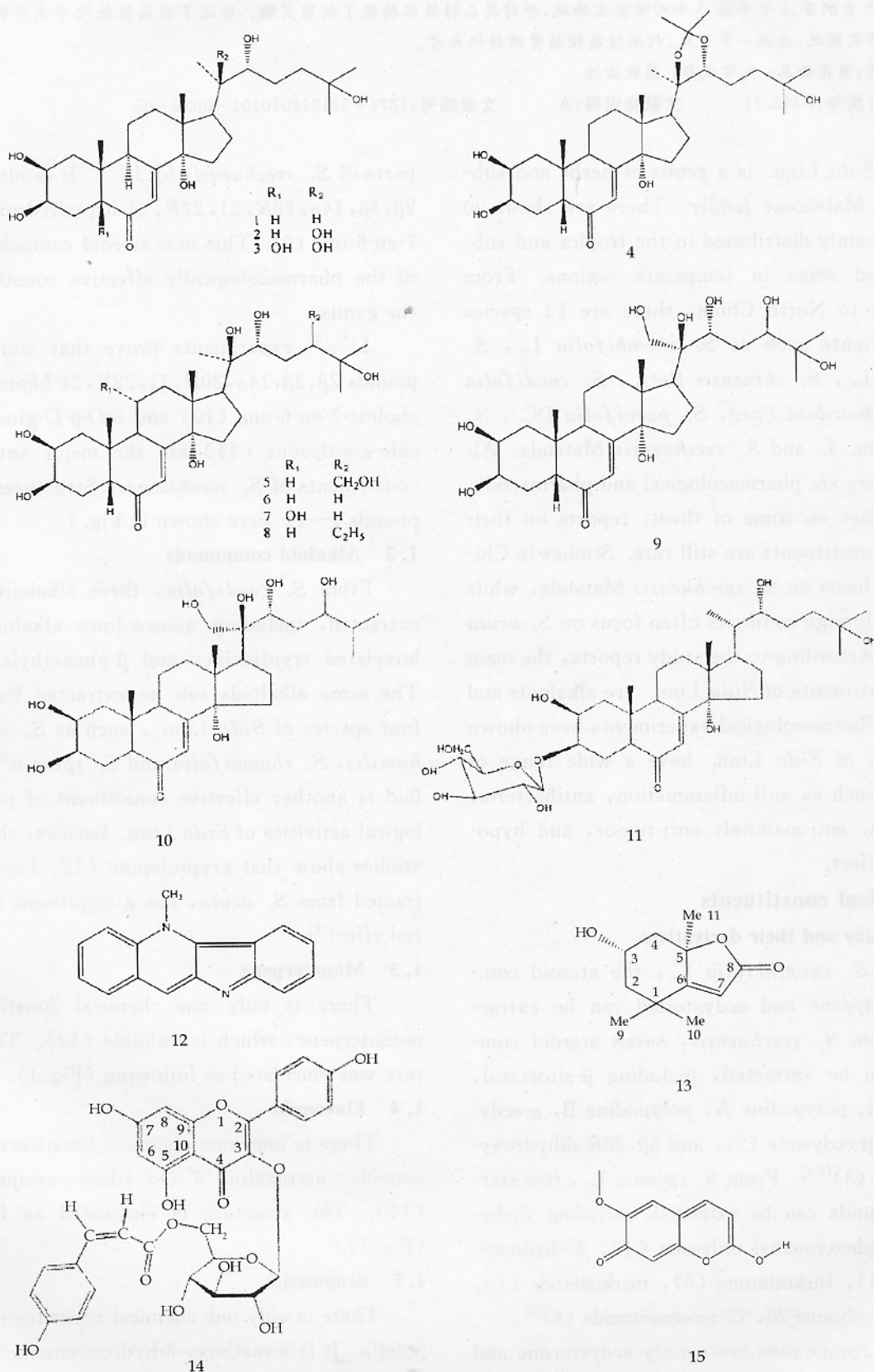


Fig. 1 Structures of compounds 1—15

2 Pharmacological activities

2.1 Anti-inflammatory and antibacterial effects

The extracts of the stems, leaves, roots of *S. cordifolia* have obvious anti-inflammatory effects^[9]. The ethyl acetate extract of the roots can inhibit the inflammatory edema of male Wistar mouse significantly. The extracts of *S. rhombifolia*, extracted with methanol, hexane, chloroform, ethyl acetate and *n*-butanol respectively, all have anti-inflammatory effects, which are generally weaker than those of *S. cordifolia* and *S. acuta*^[10]. However, the *n*-butanol extract has anti-inflammatory effect as good as that of phenylbutazone^[11].

The ethanol extract of the aerial parts of *S. acuta* has significant antibacterial effects. Ethyl acetate extract, extracted from the ethanol extract of *S. szechuensis*, has a remarkable antibacterial function^[9].

2.2 Hypoglycemic effect

The methanol extract of *S. cordifolia* has a significant effect of decreasing blood glucose concentration. After administration of methanol extract, blood glucose concentration is lowered to a minimum value 2 h later, and returns to normal 6 h later. In the Glucose Tolerance Test (GTT), glucose tolerance curve demonstrates a typical inhibitory effect compared with the control group in 30 min. In addition, all the extracts of stems, leaves and roots of *S. cordifolia* have good hypoglycemic effects^[9].

2.3 Anti-malarial activity

S. acuta shows a significant anti-malarial activity. Further chemical screening demonstrates that the mechanism is related to its alkaloid proportionately^[8].

2.4 Hemostatic activity

The ethanol extract of *S. acuta* has obvious hemostatic effect during the treatment of bleeding caused by snakes biting^[12]. The water extract of *S. szechuensis* has certain effect of hemostasis.

2.5 Analgesic effect

All extracts of stems, leaves and roots of *S.*

cordifolia have good analgesic effects^[9]. The water extract of the plant can inhibit colic distortion of mice induced by acetic acid. The water extract of *S. szechuensis* displays certain analgesic functions in several mice experiments^[13]. The animal experiments show that the extract of *S. acuta* also has analgesic effect^[14].

2.6 Anti-tumor activity

Cryptolepine isolated from the roots of *S. acuta* has good anti-tumor effects^[15]. The compound can bind tightly to DNA between base pair, and behave as a typical intercalating agent, so as to stabilize the topoisomerase II-DNA covalent complex. It is a potential inhibitor of topoisomerase II. Some of its analogues can stabilize telomeric G-IV burgdorferi, and inhibit telomerase. These results demonstrate that cryptolepine may be developed into a good anti-tumor drug.

2.7 Other pharmacodynamic activities

Both methanol and water extracts of *S. cordifolia* have significant function of resisting liver toxicity induced by CCl₄, paracetamol and rifampin^[16]. The ethyl acetate extract of *S. rhombifolia* has an effect of avoiding injury^[11]. The ethyl acetate extract of *S. pakistanica* can relieve spasm^[17].

3 Discussion

China owns abundant species resource of genus *Sida* Linn., most of them distribute in provinces southern Yangzi river, in north china exits only one species *Sida spinosa* L. Compared to foreign countries rare studies have been done about this genus in China. It is necessary to continue to research the genus, to elucidate active compounds and mechanisms. A persistent strive from pharmacists will be done in developing clinic drugs coinciding traditional therapies.

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瑞香狼毒的化学成分、生物活性及应用研究进展

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摘要:瑞香狼毒为传统中药,性味苦平,有杀菌、杀虫、散结、逐水、止痛、祛痰等功效。近几十年来,国内外对其化学成分、生物活性及应用等方面进行了较深入的研究并取得显著成果。综述了瑞香狼毒的化学成分、生物活性及应用的研究进展,为进一步开发这味传统中药提供参考。

关键词:瑞香狼毒;化学成分;生物活性;应用

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Research on chemical constituents, bioactivity, and application of *Stellera chamaejasme*

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Abstract: *Stellera chamaejasme* L., a traditional Chinese medicinal material, is bitter and neutral in nature. It has many functions such as killing bacteria and insects, relieving pain and eliminating phlegm. There is a significant progress on researches of *S. chamaejasme* L. This article summarizes the studies on

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