中医药相关外文信息检索及文献评析
模块教案
一、中药化学教案

《中药化学》第八章第三节

“强心苷”

（双语教案）

1、专业词汇

Glossary

1. steroids 甾体，类固醇
2. **cardiac glycosides** 强心苷
3. **aglycone** 苷元
4. **cardiac failure** 心力衰竭
5. **fused** 稠合的
6. **steroid** 甾体的
7. **substituted Group** 取代基
8. **conformation** 构型
9. **cardenolide** 强心甾烯
10. **bufanolide** 蟾蜍甾二烯
11. **digitoxose** 洋地黄毒糖
12. **rhamnose** 鼠李糖

13. hydrolysis reaction 水解反应

14. acidic hydrolysis 酸水解

15. enzyme hydrolysis 酶水解

16. skeleton/backbone/nuclear （均指）甾体或三萜母核

17. 5-member unsaturated lactone ring 五元不饱和内酯环

18. sugar moiety 糖配基

19. saturated 饱和的

20. extraction 提取

21. isolation 分离

22. purification 纯化

23. identification 鉴别

24. thin layer chromatograph (TLC) 薄层层析

25. paper chromatograph 纸层析

26. *Digitais lanata* 毛花洋地黄

27. *Bufobufo gargarizans* 蟾蜍

28. digoxin 地高辛

29. steroidal Saponins 甾体皂苷

30. spirostane 螺甾烷

31. spirostanols 螺甾烷醇类

32. isospirastanols 异螺甾烷醇类

33. furostanols 呋甾烷醇

34. pseudo-spirostanols 变形螺甾烷醇

35. spectrum / spectra （复数） 光谱

36. field desorption mass spectrum (FD-MS) 场解吸质谱

37. fast atom bombardment mass spectrometry (FAB-MS) 快速原子轰击质谱

38. *Ophiopogon japonicus* 麦冬

39. ruscogenin 鲁斯考皂苷元

40. dioscin 薯蓣皂苷

41. diosgenin 薯蓣皂苷元

42. *Anemarrhena asphodeloides* 知母

43. timosaponin 知母皂苷

44. C_{21}-steroides C_{21} 甾体

45. pergnane 孕甾烷

46. progesterone 黄体酮

2、Oral text

Slide 1: Next, we will learn the section two of Chapter 8, the "Cardiac glycosides" which is a very unique sort of constituents in TCM. I said it is unique with two point meaning: one point: they are with very unique structures and the other: they are with very strong cardiac effects.

Slide 2: After this section study, we are required to "**To master The types and structure feature of cardiac glycosides"** That means when you see the structure, you can tell it is cardiac glycoside and also you know it is which kind of cardiac glycoside, the detail type. Also we are required to "**To be familiar with The relationship between structure and efficacy"** and to know **"The chemical compositions in TCM *Digitalis lanata* and *D. purpurea"*** which is the common TCM containing the cardiac glycosides.

Slide 3: Glossary

Slide 4: Before learn Chemistry, do you know **Cardiac Failure.**

Cardiac failure can be described as the inability of the heart to pump blood effectively at a rate that meets the needs of the metabolizing tissues. This occurs when the muscles that perform contraction and force the blood out of heart are performing weakly. Thus cardiac failures primarily arise from the reduced contractility of heart muscles, especially the ventricles. Reduced contraction of heart leads to reduced heart output but new blood keeps coming in resulting in the increase in heart blood volume. The heart feels congested. Hence the term congestive heart failure.

Slide 5: First let's see "What is Cardiac glycosides" Cardiac glycosides are a group of

plant constituents used in the treatment of cardiac failure. They are glycosides with sugar residues linked to the C-3-OH groups of the cardiac steroid aglycones.

Slide 6: Then what is **chemical structures and how to class them?**

We can divide cardiac glycosides structure in two parts: aglycone and sugar moietie. (Explain the figure).

Slide 7: The cardiac aglycone is a steroid compounds with an unsaturated lactone ring attached to the C-17 of the steroid backbone. The structural feature is as following:

A unique set of fused ring system that makes the aglycone moiety structurally distinct from the other more common steroid ring systems. Rings A/B and C/D are commonly cis fused while rings B/C are all trans fused.

Slide 8: We can see it more clear from stero structure.

Slide 9: The substituted groups at C-10, C-13 and C-17 positions are all β-conformation. The substituted groups at C-10 position can be methyl or hydroxy methyl, at C-13 is methyl group and at C-17 is definitely an unsaturated lactone ring. There are also many substitutes groups at other position of backbone, Among them there is usually a 3β hydroxy group via which sugar residues are linked glycosidically to the cardiac steroid aglycones.

Slide 10: The lactone moiety at C-17 position is an important structural feature. The size and degree of unsaturation varies with the source of the glycoside. Normally two classes have been observed in nature cardiac aglycone - the cardenolides(A-type) and the bufadienolides (B-type)(see figure below). The cardenolides have a 5-membered unsaturated lactone ring while the bufadienolides have 6-membered unsaturated lactone ring. And usually plant sources provide a 5-membered unsaturated lactone ring while animal sources give a 6-membered unsaturated lactone ring.

Slide 11: About 20 types of sugar(saccharide) residues were found in cardiac glycosides, most

commonly used include L-rhamnose, D-glucose, D-digitoxose, D-digitalose, D-digginose, D-sarmentose, L-vallarose, and D-fructose. These sugars predominantly exist in the cardiac glycosides in the β -conformation.

The types of sugar found in cardiac glycosides can be devided in 3 types: 2,6-dideoxysugar moiety, 6-deoxysugar moiety and normal sugar moiety. The special one is 2,6-dideoxysugar moiety, such as digitoxose which occur only in cardiac glycosides.

Slide 12-13: Usually the sugar chain is attached to the 3 β -OH group. There are one to 5 sugars moieties in the sugar chain in most cardiac glycosides. These sugars predominantly exist in the cardiac glycosides in the β -conformation.

There are 3 sorts of sugar chains:

A type: aglycone-(2,6-dideoxy sugar)x-(D-glucose)y

B type: aglycone-(6-deoxy sugar)x-(D-glucose)y

C type: aglycone- (D-glucose)y

Slide 14: The aglycone part is key to activity. The active intensity is depended on the streo structure of backbone, the type of unsaturated lactone ring and the substitutes attached to the backbone. The sugar moiety has no effect itself but can modified the strength caused by the aglycone.

Slide 15: Usually steroid backbone has rings A/B and C/D in cis fused system while rings B/C are all trans fused system. This will let the "backbone" U shape which is very important to activity. Usually, conversion to C/D ring trans fusion are inactive. Conversion to A/B trans system leads to a marked drop in activity although not mandatory A/B cis fusion is important.

Slide 16: The unsaturated 17-lactone plays an important role in receptor binding. Saturation of the lactone ring, attacheing to C-17 in α -conformation or the ring broken dramatically reduced the biological activity.

Slide 17: Although the sugar moiety is not necessary to activity directively. It appears to be

important for the partitioning and kinetics of action. When a sugar residue attached to aglycone, it will inhance the hydrophilic property of molecule, the the toxic will become less.

Therefore, when sugar chain grows longer, although the activity is become weaker, the molecule become more safe since the aglycone partion in the whole molecule become less.

Slide 18: Digitalis lanata is belonged to Scrophularia family.It has been using for hundreds of years on treatment of cardiac failure. The active components in Digitalis lanata are cardiac glycosides, which belong to A-type the cardenolides, the Totally over 30 cardiac glycosides have been found in the leaves of the plant. Among them, the lanatosides A an C are the most rich ones.

Slide 19: In pharmaceutical industry, the cardiac glycosides from Digitalis lanata are as the main source of the drugs cedilanid-D and digoxin.

Slide 20: The Scilla maritima (海葱) and Bufobufo gargarizans (中华大蟾蜍) contain B-type bufadienolides cardiac glycosides.

Slide 21: This is the structures of bufadienolides cardiac glycosides. We can see they contain the 6-membered unsaturated lactone ring. We need to notice that the one in Bufobufo gargarizans is not a glycoside. Why? Because it is come from animal. So the is an amino acid residues replace of the sugar moiety.

《中药化学》第四章第七节

“含醌类化合物的中药研究实例”

（双语教案）

Glossary

1、专业词汇

20. Quinone n.[化]①苯醌,②醌
21. Anthraquinon n.[化]蒽醌
22. Radix et Rhizoma Rhei [拉]大黄
23. Radix Arnebia [拉]紫草
24. Radix Salviae Miltiorrhizae [拉]丹参
25. biological activity 生物活性,生物效能
26. purge n.整肃，清除，泻药；v.tr.清除，泻下，整肃；使净化
    英英解释：To cause evacuation of (the bowels).导致（下消化道的）腹泻
27. antibacterial adj.抗菌的 n.抗菌药
28. diuresis [医]利尿； 多尿
29. hemostasis n.[=hemostasia] [医]止血；止血法
30. antineoplasticadj.& n.抗肿瘤的(药)
31. constipation n. [医]便秘
32. anti-diarrhea n. [医]止泻
33. aglycone n.[生化]糖苷配基；苷元
    英英解释：The nonsugar component of a glycoside molecule that results from hydrolysis of the molecule. 配糖基：苷分子水解后的非糖成分
34. glycoside n.[化]配糖，配糖类；苷类
    英英解释：Any of a group of organic compounds, occurring abundantly in plants, that yield a sugar and one or more nonsugar substances on hydrolysis.苷：一种有机合成物，大量地含于植物，产生一种糖和一种或多种非糖水解物质
35. Rhein 大黄酸
36. Emodin n.[药]大黄素,泻素
    英英解释：An orange crystalline compound, obtained from rhubarb and other plants and used as a laxative.
    大黄素，泻药：一种橙黄色结晶化合物，取自于大黄和其它植物，用作一种轻泻药

37. Physcion n.[化]大黄素甲醚
38. Chrysophanol n.[化]大黄酚
39. Aloe emodinn.[化]芦荟大黄素
40. Sennoside n.[化]番泻苷
41. tannin n.[化]丹宁酸（亦作： tannic acid）
    英英解释：Any of various chemically different substances capable of promoting tanning.丹宁酸类物质：任一种能使硝皮过程更为活跃的化学结构不同的物质
42. Rhaponticin n.[化] 土大黄苷
43. acidityn.酸度, 酸性
    英英解释：The state, quality, or degree of being acid.酸性，酸度
44. polarity n.极性
    英英解释：Intrinsic polar separation, alignment, or orientation, especially of a physical property:（二）极性，固有的二级分化、排列或定向，特别是作为一种物理属性.
45. bacterium [单数不常用]细菌
46. Rhein anthronen.[化] 大黄酸蒽酮
47. decoct vt.熬, 煎(药等)
48. side effect 副作用
49. extraction n.抽出, 提炼,［化］提取(法)；回收物, 提出物
50. separation n.分离； 脱[剥]落；分居；[化]离析, 析出, 分馏
51. pH gradient Extraction pH 梯度萃取法
52. alkalinity n.[化]碱度
    英英解释：The alkali concentration or alkaline quality of an alkali-containing substance.碱度，碱性：含碱物质中碱的浓度或碱的特性
53. recovery n. 恢复；还原； 痊愈； ［化］回收, 收回, 废物利用
54. extractum n. [拉]浸膏,浸出物
55. acidify vt.使酸化, 使成酸 vi.变酸
56. precipitation n［化］沉淀
57. recrystallize v.(使) 再结晶
58. liposoluble adj.[化] 脂溶(性)的, 溶于油脂的, 油溶的
59. solubility]n.[化]溶(解)度, (可)溶性
60. EtOH n.[化]乙醇
61. diethyl ether n.[化]乙醚
62. HCl [=hydrochloric acid] n.[化]盐酸
63. $NaHCO_3$ [=sodium bicarbonate] n.[化]碳酸氢钠
64. Na_2CO_3[=sodium carbonate] n.[化] 碳酸钠
65. NaOH [= sodium hydroxide] n.[化]氢氧化钠
66. silica gel column chromatography n.[化]硅胶柱色谱(法),柱层析

附表：讲课中的专业词汇

1. Gram masculine bacteria; G^+；革兰氏阳性菌
2. intestine n.[解, 动]肠
3. codex n.法律, 规则, 药典

4. lye n.[化]碱液 vt.用碱液洗涤
5. reducevt.减少，缩小，简化, .[化] 还原
6. filtrate v.过滤，筛选 n.滤出液
7. elute vt.[化]洗脱
8. hydroxyl n.[化]羟基
9. phenolic hydroxyl n.[化] 酚羟基
10. methoxy n.[化] 甲氧基
11. methyl n.[化] 甲基
12. carboxyl n.[化] 羧基
13. functional group n.[化] 官能团
14. separating funnel n.[化]分液漏斗

2、Oral text 授课口语稿（授课 15 分钟内）

Today let's learn the last section of Chapter 4, the topic is "The Traditional Chinese Drug Containing Quinone . Firstly, please look at these herbs. If someone ask you "what uses are these herbs in clinic?" I am sure, as students of TCM University, you could tell him proudly. OK? Yes. Furthermore, what composition in these herbs can cure the disease? And how can we get these compositions? Maybe you will say "sorry, I am not sure." Please don't worry, I will show you the answer. You will find, this section is interesting and practical. We will focus on the first one.

Then let's know the teaching Requirements of this section. We should master the extraction and separation methods.

Here are some important words, the glycoside including sugar and nonsugar substances. Aglycone is the name of nonsugar substances. The former is polar, which can dissolve in water. The latter is liposoluble, which cannot dissolve in water. others words, please refer to glossary.

About Radix et Rhizoma Rhei, three questions should be noticed

Firstly, it comes from radix and rhizoma of three plants.

Secondly, talking about chemical compositions in it, people have known at least 136 kinds, in which there are two sorts can cure the disease: Anthraquinone, including aglycone 、glycoside and tannin .Aglycone has antibacterial effect, includes 5 important compositions: these are structures. We have learned their physical and chemical properties before. Do you still remember their names, and their order of acidity and polarity? Ok, let's take a review. They are Rhein. Emodin , Aloe emodin, Physcion and Chrysophanol .here are orders of acidity and polarity. Please notice the acidity of Chrysophanol and Physcion is the same. These orders are very

important. Because according to them We can separate aglycone.

Other compositions are Glycoside, which has purge effect. That's why Radix et Rhizoma Rhei can be named "General". We also have learned some compositions before. Look at structures. Among these, Sennoside A has the strongest purge effect, and this one, Rhein anthrone really has the purge effect. It is produced from Sennoside A. As we all known, there is an old saying in TCM clinic. Why? Just because Sennoside A will be destroyed if you heat it for a long time, therefore please remember Radix et Rhizoma Rhei should not be decocted for a long time.

Let's come back to the Tannin. It has the Anti-diarrhea effect. Do you find some interesting thing? Ye, the effects of tannin and glycoside are just opposite. So in clinic, the doctor found an interesting thing. That Radix et Rhizoma Rhei for big dosage or for a long time not lead to purge, but lead to constipation. Why ? Sennoside A will be destroyed; however, tannin will not be destroyed, with anti-diarrhea effect, so lead to constipation.

Finally, let's discuss the last but most important question: extraction and separation methods, especially for aglycone. First according to the difference of acidity, using from weak base to strong base, we can get from strong acid to weak acid. This is called pH gradient Extraction, then according to the difference of polarity, using column chromatography, we can get different polar compositions. Follow me look at this chart in detail.

First, extract the powder of Rhei with alcohol, next recovery alcohol to extractum. Since aglycone is liposoluble, ether is also liposoluble , they have the same solubility. So we can use ether to dissolve Aglycone. While glycoside is polar, and cannot dissolve in ether, still in the extractum. According to chemical reaction, acid A and base B can make into salt C, you all know, salt C can dissolve in water. Continue to add weak acid, salt C can be reformed to acid A. So, come back to chart, next put ether solution into a separating funnel. then add the first base solution into ether, what phenomena will be saw? We will see there are two layers in the separating funnel. which layer is ether? Yes, the upper layer. Because ether is lighter than water, under layer is base solution, with a chemical reaction, will turn red .Then collect under layer solution from the bottom of separating funnel. Add weak acid into it. The strongest acid will be reformed. Do you remember which one? Yes, it's Rhein. Since Rhein can not dissolve in water, Precipitation will form, then filter off the precipitation. At last recrystallize it. We can get the pure Rhein. Ether layer is still in the separating funnel. Continue to add the second base solution into

ether. To do the same thing as above, we can get the pure emodin. Then continue to add the third base solution into ether, to do the same thing again, we can get the pure Aloe emodin. In a word, these compositions can be easily separated out according to the difference of acidity. They respectively dissolve in relevant base solution. This procedure is called pH gradient Extraction. However, what about these two compositions? Their acidity is the same. How to separate them? According to the polarity, Physcion is bigger than Chrysophanol, finally using column chromatography, Chrysophanol is firstly collected, then Physcion is collected.

In conclusion, this chart is a typical method using pH gradient Extraction and column chromatography to separate chemical compositions with different acidity and polarity. So it's very important. Everyone should master its procedure, and use it to treat similar questions.

In the end, let's have a summary. About Radix et Rhizoma Rhei, it has two kinds of compositions and three sorts of effects. We could use pH gradient Extraction and column chromatography to get aglycone from it.

Please do the quiz after the class. If you have some questions, welcome to ask me. Well, my lecture is over.

Thanks.

含三萜及其苷类化合物的中药研究实例

1、Glossary

专业词汇

1	蓍醇 A	achilleol A
2	泽泻萜醇 A	alisol A
3	泽泻萜醇 B	alisol B
4	黄芪醇	astragenol
5	b-香树脂烷	b-amyrane
6	白桦脂醇	betulin
7	双糖链皂苷	bisdesmosidic saponins
8	川楝素	chuanliansu
9	葫芦素类	cucurbitacins

10	葫芦素烷	cucurbitane
11	环菠萝蜜烷	cycloartane
12	环黄芪醇	cycloastragenol
13	白茅素	cylindrin
14	达玛烷	dammarane
15	里白烯	diploptene
16	商陆皂苷甲	esculentoside A
17	酯皂苷	ester saponins
18	大戟烷	euphane
19	大戟醇	euphol
20	焦磷酸金合欢酯	farnesyl pyrophosphate，FPP
21	羊齿烷	fernane
22	木栓烷	friedeiane
23	何帕烷	hopane
24	羟基何帕酮	hydroxyhopanone
25	异川楝素	isochuanliansu
26	异何帕烷	isohopane
27	酸枣仁皂苷元	jujubogenin
28	酸枣仁皂苷 A	jujuboside A
29	羊毛脂甾烷	lanostane
30	羊毛脂醇	lanosterol
31	异羊齿烷	lsofernane
32	羽扇豆烷	lupane
33	羽扇豆醇	lupeol
34	石松素	lycoclavanin
35	石松醇	lycoclavanol
36	楝烷	meliacane
37	单糖链皂苷	monodesmosidic saponins
38	棒锤三萜 A	neoalsamitin A
39	齐墩果烷	oleanane
40	齐墩果酸	oleanolic acid
41	次皂苷	prosapogenins
42	原萜烷	protostane
43	皂苷元	sapogenins
44	鲨烯	squalene
45	2, 3-环氧角鲨烯	squalene-2, 3-epoxide
46	叁糖链皂苷	tridesmosidic saponins
47	雷公藤酮	triptergone
48	三萜	triterpenes
49	乌苏烷	ursane
50	乌苏酸	ursolic acid

2、Oral text

授课口语稿

Good morning everybody! I'm glad we will share the next 15 minutes to look at the information of "The Traditional Chinese Medicine Containing Triterpenoids and Saponins". You can interrupt me anytime should you have any question.

For this topic, we will take the specific case of Radix et Rhizoma Ginseng as an example. As you know, this is the name in Chinese pharmacopoeia. Normally we call it Ginseng, or panax ginseng.

This slide is to introduce the teaching requirements of this section. Firstly you need to master the methods of extracting and separating Triterpenoid saponins from Ginseng. Then you need to know the chemical compositions in Ginseng, and finally make sense for the pharmacological effect of Ginseng.

Here are some important related words. Try to keep in mind if you need to check English articles for your work.

We will go through these 4 topics to introduce ginseng. First section is the origin.

According to the Chinese Pharmacopoeia (edition 2005), Ginseng is the dried root and rhizome of *Panax ginseng* C. A. Mey.

Panax ginseng commonly grows on mountain slopes and is usually collected in the fall season. Cultivated Panax ginseng roots are not large enough to harvest until the plants are at least 7 years old. Wild Panax ginseng grows even more slowly. Thought to be more effective than cultivated roots, wild Panax ginseng roots that can be proved to be very old are extremely expensive.

The next topic is Pharmacological effect.

For more than two thousand years, the roots of this slow-growing plant have been valued in Chinese medicine. Panax ginseng is used in connection with many conditions such as cancer, anxiety, colds, flu and for lowering blood levels of sugar and cholesterol, as in type 2 diabetes and high cholesterol. Panax ginseng is currently being used in Asian countries to treat heart conditions and lungs, as well as for an overall health enhancer. In some studies a combination of panax ginseng and gingko seemed to increase memory and thinking processes. To increase athletic performance, panax ginseng is often added to sports drinks or supplements. It has, however, not

been proven effective for this use.

Now let's move on to the chemical compositions.

The main active agents in Panax ginseng are ginsenosides, which are triterpene saponins. There are different kinds of ginsenosides contained in the roots, stems, leaves, flowers and fruits of Ginseng. There are about 5 % total saponins of panax ginseng （TSPG） in the roots. And the ginsenosides amount in fibre is higher than in taproot. Currently there are more than 30 ginsenosides have been identified on the chemical structure, including Ro、Ra_l、Ra_2、Rb_l、Rb_2、Rb_3、Rc、Rd、Re、Rf、Rg_l、Rg_2、Rg_3、Rh_l、Rh_2、Rh_3 etc. Up to now, saponins including ginsenosides Rg_1, Re, Rb_1, Rg_2, Rb_2, Rc and Rd etc have been widely recognized as the main active ingredients of Ginseng. The majority of published research on the medicinal activity of Panax ginseng has focused on ginsenosides. These are the compounds to which some ginseng products are now standardized. According to the different structure of sapogenins, ginsenosides could be in A, B and C three types. A type－protopanaxadiol, which are derived from 20(s)-protopanaxadiol. Please be aware of this R part--- it stands for such various situations. B type－protopanaxatriol. C type－Oleanolic Acid.

Please note, Panax ginseng is different from American ginseng (*panax quinquefolium* L.) . They are not interchangeable. Panax ginseng is related to American ginseng, but they differ in some important aspects. Panax ginseng is native to Asia, while American ginseng originated on the North American continent. Panax ginseng and American ginseng have slightly different chemical compositions. The most notable difference is that Panax ginseng has higher levels of ginsenoside Rg_1 and lower levels of another ginsenoside Rb_1. Rg_1 and Rb_1 have some similar effects. They are both believed to enhance memory, for example. However, Rb_1 may have more stress-relieving effects; while Rg_1 have more impact on the immune system.

The last section is the Extraction and separation of ginsenosides. Dried Radix et Rhizoma Ginseng (*Panax ginseng* C. A. Mey) were powdered and extracted with MeOH. The MeOH extract was then concentrated and partitioned with n-BuOH. The n-BuOH extract was concentrated to give total saponins of panax ginseng (TSPG). The TSPG was subjected to column chromatography on silica-gel and eluted with $CHCl_3$-MeOH-H_2O (65:35:10, lower level) to give fractions I~V. Fraction I was rechromatographed on silica-gel and eluted with $CHCl_3$-MeOH-H_2O (65:35:10, lower

level) to yield ginsenoside Ro. Fraction Ⅱ was subjected to silica gel column chromatography eluted with n-BuOH -EtOAc-H_2O (4:1:2, up level) to give ginsenoside Rb_1. Fraction Ⅲ was followed by silica gel column chromatography eluted with n-BuOH -EtOAc-H_2O (4:1:2, up level) to yield ginsenoside Rb2 and Rc. Fraction Ⅳ and Ⅴ was subjected to silica gel column chromatography eluted with $CHCl_3$-MeOH-EtOAc-H_2O (2:2:4:1, lower level) to yield ginsenoside Rd, Re, Rf, Rg_1 and Rg_2.

Thanks.