生藥學暨天然物化學組

壁報論文摘要集

B 組 生藥學暨天然物化學組

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B-001

Chemical constituents from the stem of *Neolitsea konishii*

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Neolitsea konishii (Hayata) Kanehria & Sasaki (Lauraceae) is a small evergreen tree, distributes in Ryukyus and Taiwan. Previous examinations on leaves and the stem of this species revealed the presence of sesquiterpenoids, triterpenoids, and alkaloids as major components. The constituents of the stem of this species have not been extensively studied. The aims of this study is the isolation of chemical constituents thoroughly from the stem of this plant.

Investigation of ethyl acetate-soluble layer from the stem of this species led to the isolation of 15 known compounds till now, including six sesquiterpenoids : *β*-caryophyllene 8*R*,9*R*-oxide (**2**), (1*R*,6*R*,7*S*,10*R*)-10-hydroxy-4(5)-cadinen-3-one (**7**), spathulenol (**5**), T-cadinol (**6**), eudesm-4(15)-ene-1*β*,6*α*-diol (**12**), octahydro-4-hydroxy-3*α*-methyl-7-methylene-*α*-(1-methylethyl)-1*H*-indene-1-methanol (**13**), two triterpenoids : taraxerone (**1**), taraxerol (**8**), one steroid : *β*-sitosterol (**9**), one coumarin : isoscopoletin (**14**), one benzenoid : vanillin (**11**), one lignan : (±)-syringaresinol (**15**), one chlorophyll : phaeophytin a (**10**), and two alkanoid derivatives : nonadeca-10*c*-13*c*, 16*c*-trien-2-one (**3**), (*Z*)-10-nonadecen-2-one (**4**), along with two unsolved compounds. The structures of these isolates were elucidated by spectral analysis. The successive isolation is still in progress.

B-002

Chemical constituents and bioactivity from an endophytic fungus, *Hypoxylon* sp.

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An endophytic fungus *Hypoxylon* sp. (Xylariaceae), isolated from the root of an endemic plant, *Ilex formosana*(Aquifoliaceae), was processed through liquid fermentation, and the ethyl acetate-soluble extract showed the interleukin-6 (IL-6) inhibitory activity and the nitric oxide (NO) production inhibitory activity.

Bioassay-guided fractionation of the active ethyl acetate extract of *Hypoxylon* sp. led to the isolation of one new benzenoid,hypoxyphenone (**1**), along witheightknown compounds, includingan alkaloid, cyclo(Leu-Pro) (**2**), two benzenoids, 4-methoxybenzoic acid (**3**) and 4-(2-hydroxyethyl)phenol (**4**), two isocoumarins, 5-methylmellein (**5**) and 5-formylmellein (**6**), two sesquiterpenoids, hypoxylonol A (**7**) and xylaranol B (**8**), and a steroid, ergosta-4,6,8(14),22-tetrane-3-one (**9**). The structures of these compounds were elucidated by spectroscopic methods, mainly 1D and 2D-NMR spectroscopy together with HR-ESIMS analysis. The successive isolation and bioassay of the isolates are currently under progress.

B-003

Chemical constituents and their cytotoxicity from the fruits of *Reevesia formosana*

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Reevesia formosana Sprague (Sterculiaceae) is an endemic deciduous tree that grows in southern Taiwan. There are about 25 species of *Reevesia* worldwide, with two species in Central America, fourteen in mainland China, and one in Taiwan. The remainders are found mostly in Southeast Asia. Over 1,300 species of Formosan plants have been screened for cytotoxicity against MCF-7, NCI-H460, and HepG2 cancer cell lines *in vitro*, and *R. formosana* (the root, the stem, and the fruits) was found to be one of the most bioactive species.

There are 72 compounds isolated from the root, the stem, and the leaves of *R. formosana*. Among them, 16 cardenolides including 13 new compounds isolated from the root showed potent cytotoxicity, also caffeic acid from the leaves showed anti-oxidant activity. The methanolic extract of the fruits partitioned into ethyl acetate-soluble layer and water-soluble layer. Both of the two layers showed potent cytotoxicity against MCF-7, NCI-H460, and HepG2 cancer cell lines. In this study, bioassay-guided fractionation of the active ethyl acetate-soluble layer of the fruits of this plant led to the isolation of 11 known compounds till now: three cardenolides, reevesioside A (**1**), reevesioside F (**2**), *epi*-reevesioside F (**3**), two sesquiterpenoids, hibiscone C (**4**), and hibiscone D (**5**), two triterpenoids, betulinic acid (**6**) and oleanolic acid (**7**), two benzenoids, 4-methoxybenzoic acid (**8**), and methyl vanillate (**9**) and a mixture of -sitosterol (**10**) and stigmasterol (**11**). The structures of these compounds were elucidated by the spectroscopic analysis. Among the isolates, the cardenolides **1** **3** displayed especially potent cytotoxicity against the MCF-7, NCI-H460, and HepG2 cancer cell lines, already reported in previous studies.

The successive isolation of the fruits and the cytotoxic assay of the isolates are still in progress.

B-004

Chemical constituents and anti-inflammatory activity of an endophytic fungus, *Mollisia* sp.

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An endophytic fungus *Mollisia* sp., isolated from the root bark of an endemic plant, *Ardisia cornudentata* (Myrsinaceae), was processed through solid-state fermentation, and the ethyl acetate-soluble extract showed anti-inflammatory activity.

Bioassay-guided fractionation of active the ethyl acetate layer led to the isolation of three new compounds, mollisinols A－B, mollisipyrrolal (**1, 2** and **3**), and one first isolated from nature, mollisilactone (**4**), along with 12 known compounds, palmitic acid (**5**), (*R*)-(－)-mevalonolactone (**6**), chrysophanol (**7**), emodin (**8**), *β*-sitostenone (**9**), a mixture of *β*-sitosterol (**10**) and stigmasterol (**11**), ergosterol peroxide (**12**), 9,11-dehydroergosterol peroxide (**13**), 9-hydroxycerevisterol (**14**), cerevisterol (**15**), (+)-(22*E*,24*R*)-ergosta-8(14),22-diene-3*β*,5*α*,6*β*,7*α*-tetrol (**16**). The structures of these compounds were elucidated by spectroscopic methods, mainly 1D and 2D-NMR spectroscopy together with HR-ESIMS analysis. Among these isolates, ergosterol peroxide (**12**) showed the NO inhibitory activity with IC_{50} value of 36.99 μM. Emodin (**8**) showed stronger IL-6 inhibitory activity with IC_{50} value of 5.97 μM.

B-005

Chemical constituents and anti-tubercular activity from the whole plant of *Amischotolype hispida*

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Amischotolype hispida Less. & A. Rich. (Commelinaceae) is a perennial herb throughout Taiwan. Approximately 1,500 species of Formosan plants have been screened for anti-tubercular activity against *Mycobacterium tuberculosis* H37Rv *in vitro*, and the methanolic extract of the whole plant of *A. hispida* was shown with anti-tubercular activity. However, the phytochemistry and biological activities of *Amischotolype* genus have never been studied.

In our previous studies, we have reported one new chlorophyll, amisphytin (**3**), along with 15 known compounds from the whole plant of this species. Continuing investigation led to the isolation of two new benzenoids, amisbenzoic acid (**1**) and amishisnolide (**2**), one new lignan, amislignol (**4**), together with six known compounds. The structures of isolates were determined by spectral analysis. Palmitic acid (**13**) showed anti-tubercular activity with MIC value of 20 g/mL. Four different lengths of commercial available fatty acid analogues were also tested the anti-tubercular activity. However only myristic acid showed weak anti-tubercular activity with MIC value of 50 g/mL and the other three, lauric acid, steric acid, and arachidic acid showed no anti-tubercular activities. It is meaningful for anti-tubercular activity on the carbon numbers from 14 and 16 of fatty acid analogues.

B-006

Chemical constituents and anti-tubercular activity from the leaves of *Symplocos morrisonicola*

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Symplocos morrisonicola Hayata (Symplocaceae) is a small tree, endemic to Taiwan. It grows at about 400 – 3,000 m altitude. Saponins, triterpenoids, flavonoids, lignans, phenols, alkaloids, sterols, and iridoids are widely distributed in *Symplocos*, which is the only genus in Symplocaceae. Approximately over 1,500 species of Formosan plants have been screened for anti-tubercular activity against *Mycobacterium tuberculosis* H37Rv *in vitro*. The methanolic extract of the leaves of this plant showed potent anti-tubercular activity *in vitro*. The chemical constituents and their bioactivities of this species have never been conducted.

Bioassay-guided fractionation of active ethyl acetate-soluble layer from the leaves of this species led to the isolation of one new fatty acid: (–)-(11*R*,12*R*,13*R*)-(9*Z*,15*Z*)-11-hydroxy-12,13-epoxyoctadeca-9,15-dienoic acid (**11**), along with 20 known compounds, including a mixture of methyl palmitate (**1**) and methyl oleate (**2**), two chlorophylls: pheophytin-a (**3**), 15^1-hydroxypurpurin-7-lactone dimethyl ester (**10**), four lignans: (+)-sesamin (**4**), (±)-pinoresinol (**13**), (±)-syringaresinol (**14**), (+)-lariciresinol (**15**), three steroids: a mixture of *β*-sitosterol (**5**) and stigmasterol (**6**), *α*-spinasterol (**7**), one phenol: syringaldehyde (**8**), one coumarin: isoscopoletin (**9**), two apocarotenoids: tectoionol (**12**), (1*R*)-3-[(4*R*)-4-hydroxy-2,6,6-trimethylcyclohex-1-enyl]-1-methyl-propyl-*β*-D-glucopyranoside (**19**), two phenylpropanoids: *trans*-ferulic acid (**16**), methyl ferulate (**17**), two alkaloids: vincosamide (**18**), naucleaorine (**21**), and one iridoid: 4-*epi*-alyxialactone (**20**).The successive isolation and the anti-tubercular activity are still in process.

B-007

Biological evaluation of secondary metabolites from the roots of *Myrica adenophora*

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In our previous studies, we have reported two A-type proanthocyanidins: myricadenin A (**1**) and myricadenin B (**2**) along with 20 known compounds from the roots of *Myrica adenophora* Hance (Myricaceae). Continuing investigation of the root of this species led to the isolation of one new A-type proanthocyanidin, myricadenin C (**3**), two esters of sucrose, myricadenins A (**4**) and B (**5**), a phenolic glycoside, 6-galloyl orbicularin (**6**), a chromanone derivative, myrichromanone (**7**), along with four known compounds. The structures of **1**–**7** were determined by spectral analysis. myricadenin A (**1**), myricananin C (**10**), and myricetin (**24**) showed strong 1,1-diphenyl-2-picrylhydrazyl (DPPH) radical scavenging activity with SC_{50} values of 7.9, 16.3, and 15.9 μM, respectively. Myricadenin A (**1**), myricanone (**8**), **10**, (–)-myricanol (**15**), myricanol 11-*O*-*β*-D-glucopyranoside (**17**), and **24** showed stronger 2,2′-azino-bis-3-ethylbenzthiazoline-6-sulphonic acid (ABTS) radical scavenging activity with SC_{50} values of 7.5, 19.6, 12.0, 22.3, 19.6, and 15.6 μM than positive control, respectively. 5-Deoxymyricanone (**9**), porson (**11**), 12-hydroxymyricanone (**12**), **15**, and galeon (**19**) exhibited anti-tubercular activity with the MICs values of 25.8, 40.0, 35.8, 30.0, and 15.0 μg/mL against *Mycobacterium tuberculosis* H37Rv *in vitro*, respectively. Compounds **4**, **8**, **10**, and **15** exhibited anti-inflammatory activity in the iNOS assay with the EC_{50} values of 18.1, 1.0, 13.0, and 7.5 μM, respectively.

B-008

Anti-inflammatory Activity and Cancre Cell Cytotoxicity of Extracts from *Alpinia galangal* and *Zingiber zerumbet*

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許多中草藥萃取物都具有抗發炎、抗過敏、抗氧化及抗癌等活性，目前相關研究也證實薑科(Zingiberaceae)植物南薑(*Alpinia galanga*)及球薑(*Zingiber zerumbet*)具有多種臨床功效，但尚未清楚其抗發炎與抗癌的活性及分子機制。本研究選取國人常用於溫中散寒、祛風除濕、理氣止痛的南薑及球薑，取其地下塊狀根莖，經過熱水、甲醇及丙酮等三種溶劑浸泡並過濾，經減壓濃縮後共取得九種粗萃取物，藉由體外細胞毒殺作用(cytotoxicity assay)，以 MTS 分析法分析粗萃取物對口腔癌細胞株的癌細胞毒殺效果。抗發炎活性則是在研究過程中偵測粗萃取物是否可以抑制前發炎介質(pro-inflammatory mediator、包括：IL-6、IL-8)的形成。目前將 Cal27 口腔癌細胞株進行篩選九種南薑與球薑粗萃取物的細胞毒性分析，發現其中 Z1、Z2、Z7 這三種粗萃取物對口腔癌細胞有明顯的毒殺作用，且對周邊血液中白血球也具有明顯的抑制發炎效果。以 HPLC 分析此九種粗萃取物，証明 Z7 的球薑酮含量最高，對誘導口腔癌細胞凋亡作用也最顯著。未來將繼續進行相關物質之成分分析、化學結構探討與生物活性之研究。

B-009

Chemical Constituents from the Stem of *Astroniaformosana*

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*Astroniaformosana*Kanehira(Melastomataceae) is an endemic small treedistributingin the forests at altitudes of 300-500 m in the southern part of Taiwan, islands Lanyu and Lutao. The methanolic extract of the stem of this plant showed potent anti-inflammatory. Bioassay-guided fractionation of active ethyl acetate-soluble layer from the stem of this species led to the isolation ofthree tannins:3,3',4-tri-*O*-methylellagic acid(**1**),3,3'-di-*O*-methylellagic acid(**2**), and3,4-di-*O*-methylellagic acid(**3**)along with three triterpenoids:betulic acid (**4**), arjunilic acid (**5**), and stachlic acid C(**6**). The structures of these compounds were elucidated by spectroscopic techniques. The isolation work and their bioactivities assay are still in progress.

B-010

Chemical constituents and their bioactivities of the endophytic*Lachnumabnorme* from the stem bark of *Ardisiacornudentata*Mez

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Over the past few years, the secondary metabolites of the fungi *Lachnum* genus were investigated andthe afforded isolates structurally belong to alkaloids, benzenoids, courmarins, and sesquiterpenes. Recently, an endophytic fungus *Lachnumabnorme*, isolated from the leaves of an endemic plant, *Ardisiacornudentata*Mez (Myrsinaceae), was processed through solid-state fermentation and the ethyl acetate-soluble extract showed the glucocorticoid receptor inhibitory activity.

Investigation of the active ethyl acetate layer led to the isolation of five new compounds, lachabnormone (**1**), lachabnormol (**2**), lachisochromanone (**3**), lachbenzooxocinone (**4**), lachdodecenone (**5**), along with six known compounds, alternariol 9-methyl ether (**6**), lachnochromonin C (**7**), 1, 3, 6-trihydroxy-8-methylxanthone (**8**), alternariol (**9**), ergosterol (**10**), and palmitic acid (**11**). The structures of these compounds were elucidated by spectroscopic methods. The major compound, lachnochromonin C (**7**), showed NO (nitric oxide), IL-6 (interleukin-6), and GR (glucocorticoid receptor) inhibitory activities with IC_{50} values of 25.7, 73.4, and 31.0 μM, respectively.

The isolation and the biological activity of the isolates are currently under progress.

B-011

延荽子化學成分及藥理活性之研究

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延荽子為繖形科(Apiaceae)植物延荽(Coriandrumsativum Linn.)的成熟果實，於傳統醫學中主要用途為驅風祛痰、治牙齒疼痛、蛇蟲螫傷，現代則多用於料理中做為佐料。現代藥理發現延荽子具有許多重要的藥理活性，例如抗焦慮、胃黏膜保護、抗糖尿病、抗微生物等作用，其中最受重視的是延荽子的抗氧化活性。目前臨床上尚無有效藥物可以治癒末期腎臟病，患者為了維持生命唯有選擇接受透析治療或腎臟移植，受限於腎臟移植有諸多限制，多數患者只能接受透析治療，透析治療平均約 2 天必須實施一次，每次約耗費 4-5 小時，不但影響日常作息，長期下來對患者經濟也是沉重的負擔。若能在惡化為末期腎臟病前減緩其惡化速率，讓患者維持基本的腎臟功能，將可顯著減少末期腎臟病的盛行率，也節省了龐大的醫療費用。本實驗室初步經由細胞實驗篩選 200 多種具有抗發炎及抗氧化活性的中草藥，發現於腎間質細胞(mesangial cell)中，對照以酯多醣誘導發炎的控制組，延荽子粗萃物能夠有效降低細胞中 ROS 的含量，故選定延荽子作為研究目標，期能分離出有效降低 ROS 的有效成分以供進一步研究。

B-012

Novel Lignans from the Leaves and Stems of *Kadsuraphilippinensis*

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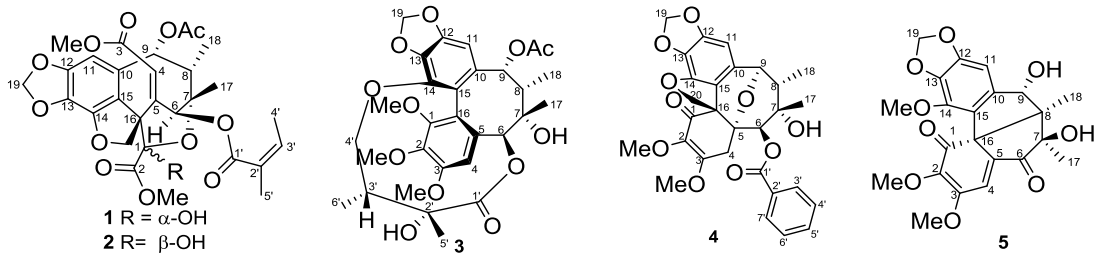
*Kadsura*belongs to the familySchisandraceae andit'sonly distributed in eastern and southern Asia.Species of *Kadsura*wereused in Chinese folkmedicine for the treatment of cold, rheumatoid arthritis and gastroenteritisand as an anodyne to relieve pain.The major constituents of*Kadsura*plantswere reported to be bioactive lignans, which possessed antitumor, antiviral and anti-hepatitic activities.*K.philippinensis* Elm.is anevergreen vine, mainly distributed at low altitudeofremoteislands of Taiwan such as Green and Orchid islands**.** Our previous phytochemical studies on the EtOAc extracts of *K. philippinensis* resulted in the isolation of novel triterpenoids and many lignans.

Three new C19 homolignans, taiwankadsurins D (**1**), E (**2**) and F (**4**), and two new C-18 lignans (**3**) and (**5**) were isolated from the aerial parts of *K.philippinensis*. Compounds **1** and **2** belong to 3, 4-{1'-[(Z)-2"-methoxy-2"-oxo-ethylidene]}-pentano(2,3-dihydro-benzo[b]furano)-3-(2"'-methoxycarbonyl-2"'-hydroxy-2"',3'-epoxide) skeleton. The structure and relative configuration of these metabolites was elucidated through extensive interpretation of MS, COSY, HSQC, HMBC and NOESY experiments and compared their NMR data with those of related compounds. Furthermore, the structure of **5** was further confirmed by X-ray crystallographic analysis and the absolute configuration was established by CD spectrum.



B-013

Mechanisms of melanogenesis inhibitors of *Artocarpus xanthocarpus*

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Seven active compounds, including norartocarpetin (**1**), artoxanthocarpuoneA (**2**), albanin A (**3**), cudraflavone C (**4**), chlorophorin (**5**), resveratrol, and oxyresveratrol, were isolated from the methanolic extract of root of *Artocarpus xanthocarpus* Merr. (Moraceae). The preliminary results have been demonstrated that these seven compounds showed strong inhibitory effect on melanogenesis in B16 melanoma cells, but the mechanism of compounds **1**-**5**involved in the improvement of molecular biology is still unclear. The present study continuously focuses on developing the active components with cosmetic potentials. In the results, we found that norartocarpetin (**1**) down-regulated phospho-cAMP response element-binding (p-CREB) and microphthalmia-associated transcription factor (MITF) expression, which in turn decreased both synthesis of tyrosinases (TRP-1 and TRP-2) and cellular melanin content. By the way, artoxanthocarpuone A (**2**) induced inhibition of tyrosinase (TYR) expression by activation of p-ERK andp-JNK; albanin A (**3**) reduced the expression of MITF and TRP-2; cudraflavone C (**4**) down-regulated MITF and TYR expression; and chlorophorin (**5**) reduced the expression of MITF, TYR, TRP-1 and TRP-2 through the inhibition of p-CREB, respectively, resulting the inhibition of melanogenesis. Consequently, compounds **1**-**5** regulated melanogenesis by different signaling pathways or its downstream target proteins. It can be inferred that *A. xanthocarpus* is a valuable source of natural compounds; we wish the development of scientific research on natural products can be the cosmetic industry's niche in Taiwan.

B-014

Dehydrodiepicatechin A from the Leaves of *Machilus konishii*

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Machilus konishii Hayata (Lauraceae) is a medium-sized to large evergreen tree endemicly distributed in the broad-leaved forests from low to medium altitudes in the central to southern parts of Taiwan[1]. From the EtOH extract of its leaf had led to the identification of dehydrodiepicatechin A, which was a dimer of epicatechin. Although it has been prepared from epicatechin[2], dehydrodiepicatechin A is the first occurrence as a natural product. Its structure was elucidated by means of MS and NMR spectroscopic analyses. The stereochemistry of dehydrodeepicatechin A is elucidated for the first time on the basis of 1D NOESY and CD.

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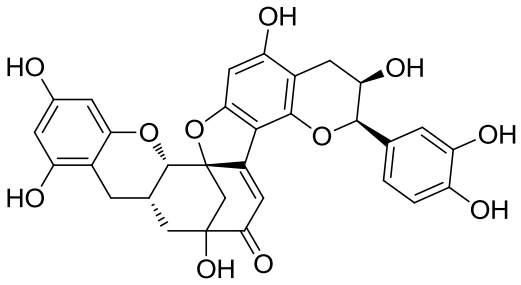
2. Sang, S. M.; Tian, S. Y.; Wang, H.; Stark, R. E.; Rosen, R. T.; Yang, C. S.; Ho, C. T., *Bioorg. Med. Chem.* **2003,** *11* (16), 3371-3378.



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B-015

New nortriterpenoids from the Fruits of *Schisandra chinensis*

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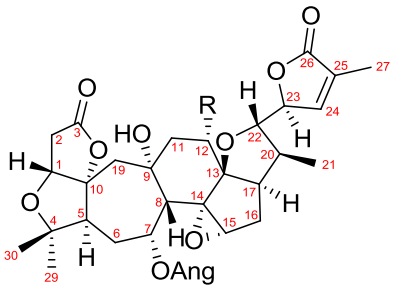
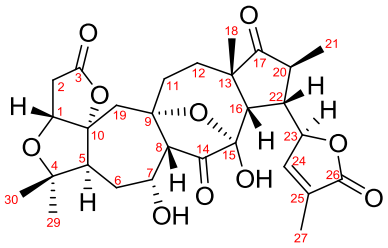
Schisandra chinensis, a deciduous wood vine, grows in northern and northeastern China. Its fruits, known as *Bei-wuweizi*, have been used for the treatment of diabetes, diarrhea, cough and insomnia, and as an astringent for chronic lung and kidney diseases. Pharmacological studies revealed that it exhibited antitumor, antiviral, anti-oxidative, hepato-protective and immuno-regulatory activities. Previously, many lignans and triterpenoids have been reported from the stems and leaves of *S. chinensis*. However, there was no triterpene reported before from the fruits *Bei-wuweizi*. In order to realize and compare the triterpenoids between *S. chinensis* and *S. arisanensis*, fractionation by extensive column chromatography was initiated. As a result, six nortriterpenoids were isolated and their structures were characterized. Three new compounds **1** **3** together with three known wuweizi dilactones B (**4**), C (**5**), and H (**6**) were discovered for the first time. The isolation, structural elucidation and biological activities of compounds **1** **6** will be presented and discussed in this conference.



1 R = OH
4 R = OAc



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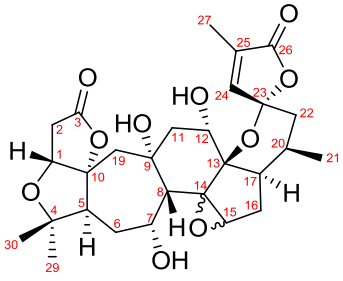
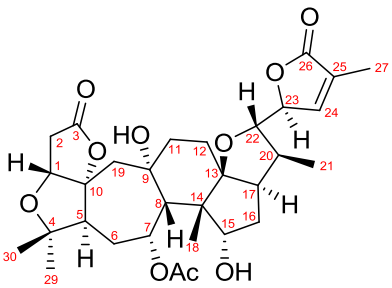


3 14β,15β-epoxy
6 14α,15α-epoxy



5

B-016

女貞子化學成分及藥理活性之研究

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女貞子為木犀科植物(Oleaceae)女貞(LigustrumlucidumAit.)的乾燥果實。研究發現女貞子的粗萃物具有顯著的免疫調節、降血糖、降血脂、抗發炎等作用。在初步以巨噬細胞(RAW 264.7)實驗中發現,女貞子乙醇萃取物具有顯著抗發炎的活性。女貞子以酒精萃取，並依極性大小做分割，分為不溶物、正己烷、二氯甲烷、正丁醇及水層等五個部分分層。根據各極性層之抗一氧化氮活性試驗發現，正丁醇層(LiLu-B)具有抑制脂多醣(lipopolysaccharide,LPS)誘導的 RAW 264.7 細胞產生一氧化氮含量的活性，於是選取正丁醇層利用正、逆相管柱層析分離純化得到 14 個化合物，其中含有 8 個 triterpenoid 為 tormentic acid (1)、arjunic acid (2)、19-α-hydroxyursolic acid (4) 、 maslinic acid (5) 、 oleanolic acid (8) 、 3-O-cis-p-coumaroyltormentic acid (9)、3-O-trans-p-coumaroylmaslinic acid (10)、3-O-cis-p-coumaroylmaslinic acid (11)；2 個 flavonoid 為 chrysoeriol (6)、apigenin (7)；2 個 phenethyl alcohols 為 3,4-dihydroxyph-enethyl alcohol (13) 、 p-hydroxyphenethyl-β-D-glucoside (14)；1 個 benzoic acid 為 protocatechuic acid (12) 及 1 個 steroid 為 β-sitosterol- 3-O-β-D-glucoside(3)。上述成分中化合物 2 與化合物 6 是首次由女貞子中分離得到。化合物抗一氧化氮藥理活性試驗尚在進行中。

B-017

決明子與望江南藥材之鑑別及品質評估

李雅玲[1]、何玉鈴[2]、鄭寶雲[1]、張永勳[1*]

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決明子為豆科植物決明 *Cassia obtusifolia* L.或小決明 *Cassia tora* L.的乾燥成熟種子，臨床上具清熱明目，潤腸通便之功效。望江南為豆科植物 *Cassia occidentalis* L. 的種子。臨床上具有清熱明目，健脾，潤腸之功效。

經調查臺灣市售決明子與望江南藥材，有誤用及混用之情形；為確保臨床用藥安全有效，本研究探討比較此二藥材之區別，包括：外部形態鑑別、顯微鑑別、薄層層析法鑑別、高效液相層析法鑑別之比較。

實驗結果發現決明子呈菱方形有簇晶，望江南呈扁卵形且無簇晶。在薄層層析法及高效液相層析法中，決明子則被驗出 autrantio-obtusin(橙黃決明素)、emodin(大黃素)、chrysophanol(大黃酚)、physcion(大黃素甲醚)，望江南檢測出physcion(大黃素甲醚)及少量 emodin(大黃素)。此研究結果可提供業界對決明子與望江南之鑑定比較參考。

B-018

Preliminary of *Solanumlyratum*Hairy Root Growth and the Secondary metabolites *α*-Solanine Production

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The whole plants of *Solanumlyratum*THUNB. (Solanaceae) are called **"**Baiying**"(白英)**in traditional Chinese medicine (TCM). They occur mostly in tropical, subtropical and temperate regions of the world. It has been used to treat inflammation, allergy, enhance immunity and protect the liver andfor many years. As it is often in clinical anticancer herbs. The *α*-Solanine was found to possess anti-carcinogenic property, like inhibiting proliferation and inducing apoptosis of tumor cells. Then, *Agrobacterium rhizogenes*mediated hairy root culture are fast growing, genetically stable and high production of important valuable secondary metabolites without any growth regulation. In this study, the transformed hairy roots were obtained from leaf and stem explants of *S. lyratum* infected with *A. rhizogenes*strains BCRC 15720. The transformed hairy root clones were cultured onto the half of Murashine and Skoog (MS) basal medium in dark condition for 8 weeks. Then use high performance liquid chromatography (High performance liquid chromatography; HPLC) for composition analysis.Our study also showed a *α*-solanine concentration from in tissue culture planta root of only 0.02 mg/g and in tissue culture planta top of only 0.048mg/g. Further, the contents of *α*-solanine were detected in the hairy roots (four weeks years) about 1.276mg/g, that are much higher than sterile plantlets. Has established complete the hairy root systems assessed *S. lyratum* production secondary metabolites have further explore space to the development of TCM in the native and cultivated helpful.

B-019

台灣產蛇莓藥材之基原鑑定及台灣蛇莓萃取物誘導大腸癌細胞 HCT116 凋亡試驗

陳韻芳[1]、李佩珊[1]、林佳欣[1]、鍾景光[2]、郭昭麟[1*]

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蛇莓 (*Duchesnea indica* (Andr.) Focke) 始載於名醫別錄，歷代以降諸家本草如本草經集注、本草綱目等均有著錄。全草具清熱解毒、涼血止血之效；主要治療感冒、痢疾、黃疸、腮腺炎等。近代藥理實驗證明蛇莓具有抗氧化、抗發炎、誘導癌細胞凋亡的能力。台灣蛇莓屬植物依台灣植物誌分類有 2 種，台灣蛇莓 (*Duchesnea chrysantha* (Zoll. & Mor.) Miq) 及蛇莓，本研究將對 2 種蛇莓屬植物進行基原鑑定及分子生物學鑑別，並針對較常見的台灣蛇莓檢測其萃取物抑制大腸癌細胞的活性。本研究完成蛇莓及台灣蛇莓之採集、生態習性調查、外部形態及內部組織之鑑定、核糖體 DNA 序列上的內轉錄區 (internal transcribed spacer, ITS) 序列的定序比較，發現蛇莓分布以高山陰涼潮濕處為主；台灣蛇莓則平地及高山陰涼潮濕處常見，外部形態最大的差異在於果實，台灣蛇莓聚合果粉白色，瘦果表面具小疣；蛇莓聚合果紅色具光澤，瘦果新鮮時表面光滑，顯微鑑定顯示台灣蛇莓匍匐莖髓部含澱粉；蛇莓則無，且乾品呈中空狀。台灣蛇莓之甲醇提取物中的乙酸乙酯分層萃取物，具有抑制大腸癌細胞 (HCT-116) 增生的活性，並且隨濃度提高 Sub-G1 也明顯增加，而對細胞週期分布則無顯著影響。在本研究中顯示，台灣蛇莓也同樣具有誘導癌細胞凋亡的能力，但台灣蛇莓是否能做為蛇莓的代用品仍有待進一步研究。未來將進一步探討 2 種蛇莓屬植物的成分差異，及台灣蛇莓誘導癌細胞凋亡的機制。

B-020

Studies on natural products from the whole plant of *Limnophila rugosa*

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Limnophila rugosa (Roth) Merr. (Scrophulariaceae) is a perennial herb distributed in Ryukyus, Malesia, Indochina, Polynesia, and Taiwan. Previous studies of this plant have reported the isolation of flavonoids, triterpenoids, and essential oils. Many of these compounds were found to exhibit anti-bacterial and anti-fungal activities. Investigation on EtOAc-soluble fraction of the whole plant of *L. rugosa* has led to the isolation of two new flavone derivatives, limnorugosin A (**1**) and limnorugosin B (**2**), and a new benzoic acid derivative, 3-hydroxy-4-(2,3-dihydroxy-3-methylbutyl)benzoic acid (**3**), together with seven known compounds, including three flavonoids, nevadensin (**4**), 5-demethyltangeretin (**5**), and isothymusin (**6**), three benzoic acid derivatives, 3-farnesyl-4-hydroxybenzoic acid (**7**), 4-hydroxy-3-prenylbenzoic acid (**8**), and 3-geranyl-4-hydroxybenzoic acid (**9**) and a triterpene, betulinic acid (**10**). The structural elucidation of new compounds **1**–**3** will be discussed in this symposium.

B-021

多倍體丹參毛狀根之二次代謝物成分含量評估

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丹參(*Salvia miltiorrhiza* Bunge)為唇形科(Lamiaceae)鼠尾草屬(*Salvia*)多年生草本植物，始載於《神農本草經》列為上品。現代藥理研究指出丹參具有治療心血管疾病、抑制血小板凝集、抗氧化、抗腫瘤、保肝、抗菌等功效。丹參主要活性成分可分為水溶性酚酸(phenolic acids)類化合物 如：迷迭香酸(rosemarinic acid)丹參酚酸 A (salvianolic acid A)丹參酚酸 B (salvianolic acid B)等及脂溶性丹參酮(tanshinones)類化合物 如：隱丹參酮(cryptotanshinone)丹參酮 IA (tanshinone IA)丹參酮 IIA (tanshinone IIA)等。

多倍體(polyploid)是指生物基本染色體套數組數倍數化，為物種演化過程中重要途徑之一；物種透過套數組數的倍增，存有重複、逆轉、基因默化及隱性表達等，使生物具有抗逆環境、產量增加、恢復可孕性等，增強物種對環境的生存性。

本研究目的為探討多倍體丹參之二次代謝產物變化之比較。農桿菌(*Agrobacterium rhizogenes*)菌株 LBA1334 感染二倍體及四倍體丹參所誘導之毛狀根(Hairy roots)系統，經由多倍體鑑定分析確認後，以高效液相層析儀(High performance liquid chromatography ; HPLC)進行成分分析。初步結果發現，四倍體丹參毛狀根二次代謝產物之迷迭香酸含量為二倍體毛狀根之 2 倍，而丹參酚酸 B 含量為二倍體毛狀根之 4 倍，但二倍體丹參毛狀根之丹參酮 IIA 含量卻是四倍體毛狀根含量之 20 倍。未來將繼續探討多倍體丹參毛狀根二次代謝物之代謝途徑變化，以作為多倍體丹參毛狀根量產二次代謝產物之重要依據。

B-022

New thymol derivative from the root of *Eupatorium cannabinum* subsp. *asiaticum*

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Eupatorium cannabinum subsp. *asiaticum* (Compositae) is a perennial herb distributed in Himalaya mountain range, China, and Taiwan. *E. cannabinum* subsp. *asiaticum*, locally called 'Taiwan ze-lan' or 'liu-yue-xue' has been used as a folk medicine to treat hepatitis, headache, diarrhea, hypertension, and diabetes mellitusin Taiwan. In our studies on the anti-cancer constituents of Formosan plants, many species have been screened for their cytotoxicities, and *E. cannabinum* subsp. *asiaticum* has been found to be an active species.

Investigation on *n*-hexane-soluble fraction of the root of *E.cannabinum* subsp. *asiaticum* has led to the isolation of a new thymol derivative, 9-(3-methylbutanoyl)-8,10-dehydrothymol 3-*O*-tiglate (**1**), along with 4 known compounds (**2**–**5**). The structure of new compound **1** was determined through spectral analyses including extensive 2D NMR data. Among the isolated compounds, 9-acetoxy-8,10-epoxythymol 3-*O*-tiglate exhibited significant cytotoxicity with IC_{50} value of 1.36 g/ml against the HL-60 cell line.

B-023

New Phthalide derivatives from the stem of *Pittosporum illicioides* var. *illicioides*

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Pittosporum illicioides var. *illicioides* (Pittosporaceae) is an evergreen shrub that grows in medium-to-high altitude forests throughout China and Taiwan. Sesquiterpene glycosides, triterpenoid saponins, carotenoids, and their derivatives are widely distributed in plants of the genus *Pittosporum*. Many of these compounds exhibit diverse biological activities, including antimicrobial and cytotoxic activities. In our studies on the anti-inflammatory constituents of Formosan plants, many species have been screened for *in vitro* anti-inflammatory activity, and *P. illicioides* var. *illicioides* has been found to be one of the active species. Phytochemical investigation of the stem of this plant has led to the isolation of a new phthalide derivative, 3-ethyl-5,7-dihydroxy-6-methoxyisobenzofuran-1(3*H*)-one (**1**), along with six known compounds (**2**–**7**). The structural elucidation of new compound **1** is described herein.

B-024

Antioxidant effects of different solvent extracts of chamomile flower

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Chamomile (*Matricariarecutita L.*), a member of the daisy family, has been used as a herbal remedy for thousands of year. Recent studies have shown its carminative, stomachic, anti-inflammatory, anti-parasitic, anticancer and hypocholesteroemic properties. In this study, methanol was fully utilized to dissolve the active ingredients of chamomile flower, refluxed at 50℃ for 3 hours, concentrated under vacuum, and syrup product was archived (CME), then the syrup product was fractionated with n-hexane (CHE), dichloromethane (CDE), ethyl acetate (CEE) and water (CWE). DPPH scavenging effect and total phenol content were used to investigate their antioxidant capacity. Among these fractions, CEE contained components with the most effective DPPH scavenging potential and total phenol content. The DPPH free radical scavenging percentages were 12%, 67%, 95%, 75%, 39% and 63% for CHE, CDE, CEE, CME, CWE (1000μg/ml) and vitamin C (60μg/ml) that indicated CDE, CEE and CME (1000μg/ml) were superior to vitamin C (60μg/ml).The absorbances of total phenol content were 0.17, 0.71, 1.01, 0.54, 0.29 and 0.41 for CHE, CDE, CEE, CME, CWE (1000μg/ml) and gallic acid (160μg/ml) that displayed the total phenol contents of CDE, CEE, CME (1000μg/ml) were more than gallic acid (160μg/ml). These results suggest that chamomile flower is expected to be useful for antioxidant.

B-025

不同產地之中藥檳榔的品質評估

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檳榔為棕櫚科Palmae植物檳榔*Areca catechu*的乾燥成熟種子，始載於名醫別錄，列於草木部中品。歷代以降諸家本草，如新修本草、開寶本草、植物名實圖考等均有著錄，為中醫臨床常用藥物之一，具破氣消積，化痰散痞之功效。海南、雲南、印尼、台灣為其主要產地。檳榔富含檳榔鹼(Arecoline)、檳榔次鹼(Arecaidine)、去甲基檳榔次鹼(Guvacine)、去甲基檳榔鹼(Guvacoline)等生物鹼與Catechin與Epicatechin等黃酮類化合物。唯市售檳榔藥材外觀有大小、尖鈍、圓扁之不同，為確保市售檳榔藥材之品質，進行本研究。

本研究收集上述三個不同產地的檳榔原藥材，以組織切片、粉末顯微鑑定、薄層層析(TLC)、高效液相層析(HPLC)等鑑定方法，進行組織型態鑑定及生物鹼與黃酮類的成分含量分析，並測定其對DPPH自由基清除能力、還原力、總多酚含量、類黃酮含量及黃酮醇含量活性分析，以評估探討不同產地之檳榔間品質的差異。最後，選擇中藥基準方200方中含檳榔之複方製劑「芍藥湯」，測定其散劑與濃縮顆粒劑中檳榔鹼之含量多寡，探討以水為溶媒提取製成濃縮顆粒劑，對檳榔鹼之提取成效。列出部分結果於下：不同產地檳榔之組織切片、粉末顯微鑑定皆相同；生物鹼含量高低則有不同，其中，臺灣中藥典限定之檳榔鹼(Arecoline)含量以海南產最高為0.611 ± 0.197%，其次為印尼產為0.526 ± 0.240%，雲南產最低為0.359 ± 0.070%，皆符合臺灣中藥典檳榔鹼(Arecoline)含量不得少於0.20%之規範；檳榔次鹼(Arecaidine)含量亦以海南產最高為0.102 ± 0.030%，其次為雲南產為0.039 ± 0.011%，印尼產最低為0.015 ± 0.009%。海南、雲南、印尼三地所產之Catechin的含量分別為0.308 ± 0.049%、0.205 ± 0.040%、0.358 ± 0.125%；總多酚含量分別為113.736 ± 22.314%、109.217 ± 25.837%、84.535 ± 34.478%。而對不同劑型之複方製劑，經水為溶媒提取，對成品中檳榔鹼含量多寡之評估，尚在進行中。

藉由本研究，瞭解不同產地中藥檳榔之品質優劣，以海南所產之商品名為「海南子」之品質較優，以此供臨床使用之質量參考。

B-026

New isoferulylglyceryl ester from *Eriocaulon buergerianum*

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Eriocaulon buergerianum Koern. (Eriocaulaceae) is a herbaceous perennial plants, distributed in China, Korea, the Ryukyus and Japan. Its capitula have been used as a traditional Chinese medicine named 'Gujingcao' to disperse brighten eyes, wind-heat, and eliminate nebula. Flavonoids, isoflavones, naphthopyranones, and xanthones are the major constituents of this plant. In our studies on the anti-inflammatory constituents of Formosan plants, many species have been screened for *in vitro* inhibitory activity on neutrophil pro-inflammatory responses, and *E. buergerianum* has been found to be an active species. Investigation on CH_2Cl_2-soluble fraction of the capitula of *E. buergerianum* has led to the isolation of a new isoferulylglyceryl ester, (*E*)-2-hydroxy-3-(3-(4-hydroxy-3-methoxyphenyl)acryloyloxy)propyl nonacosanoate (**1**), along with 8 known compounds (**2**–**9**). The structure of new compound **1** was determined through spectral analyses including extensive 2D NMR data.

B-027

Synthesis of TheDerivatives of SinapicAcidWhich Is Separated from *Gynura Bicolor.*: The Improvements of The Antioxidant Activity

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Gynura bicolor (Roxb.&Willd.) DC is widely distributed in certain areas of Asia and is very popular in vegetarian cuisine in Taiwan. *Gynura Bicolor*, a perennial plant in Pingtung, Keelung of Taiwan, is a folk medicine in China. The antioxidant activity and treatment of liver diseases have been reported. Furthermore, *G. bicolor* DC are used as a recipe to treat diabetes mellitus in some parts of China. (anti-hyperglycemic) In our studies, we have isolated some components, such as thymol, sinapic acid etc. from this plant. And then we modify the side chain of these structures with halogen, amide or amine. We have found that some modifications have better antioxidant activity. Whether the antioxidant activity will increase or decrease depends on what we add to the side chain. We hope that we can make better antioxidant activity on sinapic acid by replacing the carboxylic group. As a result, our components and semi-synthesis products demonstrate different outcome about their activity.

B-028

Deoxyelephantopin and Its Derivative D35 Inhibit Lung Metastasis of Human Triple-Negative Breast Cancer in Xenograft Mice

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Triple-negative breast cancer (TNBC) is a highly metastatic breast cancer, and chemotherapy is the only systemic therapy available for TNBC; however, drug resistance to standard chemotherapeutics is commonly observed. The use of bioactive phytoagent or its derivative, alone or in combination with anti-cancer drug, may provide alternative and promising treatment options. In the current study, we semi-organically synthesized a derivative (designated D35) from a bioactive compound deoxyelephantopin (DET) isolated from medicinal plant *Elephantopus scaber* (Asteraceae) and investigated their anti-TNBC effects in vitro and in xenograft lung metastasis mouse model using MDA-MB-231 cell line. By employing various assays, including cell viability assay, Boyden chamber, time-lapse microscopy, and immunohistochemistry assay, we observed that D35 exhibited a better effect (2~3.6-fold decreased in effective doses) than DET on inhibiting cell migration, invasion, and motility of MDA-MB-231 cell in a concentration-dependent manner. The in vivo data showed that D35 pretreatment (preD35-10, 10 mg/kg BW) significantly reduced the number of metastatic pulmonary foci (83%) of MDA-MB-231 cells in mice, and the suppressed effects of post-treatment with DET-10 (10 mg/kg BW), D35-10 (10 mg/kg BW), and PTX-5 (paclitaxel, 5 mg/kg BW) were shown as 38%, 50%, and 62%, respectively. Although the low dose post-treatment with D35-2 did not show substantial activity on inhibiting lung metastasis (9%), PTX-5+D35-2 co-treatment group (alternate administration of PTX-5 and D35-2) greatly reduced lung metastasis to 71%. Our data suggest that DET derivative D35 may have a potential to further development into a complementary or sensitizing agent to chemotherapeutic drug.

B-029

Inhibitory effects of pimpinellin from *Toddalia asiatica* on LPS-induced inflammatory response in RAW264.7 macrophages.

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This study was aimed to confirm the anti-inflammatory effects of pimpinellin isolated from *Toddalia asiatica* (Rutaceae) on lipopolysaccharide (LPS)-induced inflammatory response in RAW264.7 macrophages, which may associated with transcription factor NF-κB. The methods were examined using ELISA assay and western blot analysis. The result shows that pimpinellin could significantly suppress the production of nitrite thought inhibited iNOS protein expression compared with LPS group. And significantly inhibited translocation of NF-κB from cytoplasm to nucleus by decreased IκB degradation in the cytoplasm, which in a dose-dependent manner. In conclusion, the anti-inflammatory effects by pimpinellin in LPS-treated RAW264.7 macrophages were through regulating the NF-κB signaling pathways.

B-030

運用 UHPLC/QTOFMS 建立藿香類藥材之指紋圖譜及其在製劑上的應用

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衛生福利部食品藥物管理署

藿香藥材(AgastachisHerba)基原為植物藿香 *Agastacherugosa*(Fisch. etMey.) O. Kuntze 之乾燥地上部，廣藿香藥材(PogostemonisHerba)基原為植物廣藿香 *Pogostemoncablin*(Blanco) Benth.之乾燥地上部，兩者皆為唇形科(Labiatae)植物，於外觀型態上相似，但成分具差異，目前臺灣中藥典第二版已將兩者品項分列收載為藿香及廣藿香藥材。本研究蒐集市售藿香藥材 32 件，廣藿香藥材 11 件，與本署標本室收藏之對照藥材共同比對以確認基原。樣品經甲醇萃取後，以超高效液相層析串聯四極桿/飛行式質譜儀（UHPLC/QTOFMS）建立藿香類藥材之指紋圖譜。分析條件為層析管柱 HALO C_{18} column (3.0 ×150 mm, 2.7μm)，水和乙腈(均含 0.1 %的甲酸)作移動相，以 0.5 mL /min 流速作梯度沖提，質譜條件設定為正離子模式。透過一級質譜測定精確分子量與二級質譜斷裂片之資訊，比對文獻資料與標準品 acacetin、apigenin、apigenin-glucopyranoside、pachypodol、retusin、kaempferol-3,7,4'-trimethylether、patchouli alcohol，成功建立藿香類藥材成分，於市售藿香製劑中亦可檢驗出相同成分。本方法除作為藥材之基原確認及品質評價，並可應用於藿香類製劑之基原鑑別，提升檢驗效率及準確度。

B-031

利用 Nested PCR-DNA 定序方法鑑定天麻藥材基原及其製劑

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食品藥物管理署 研究檢驗組

天麻為蘭科多年生寄生草本植物天麻 (*Gastrodiaelata* Bl.)的塊莖，本研究即利用 Nested PCR-DNA 定序方法，建立藥材及製劑天麻基原鑑別方法，並藉以了解天麻基原植物的使用情形。本研究收集來自本署標本室收藏、藥廠價購及赴大陸採集計 35 件藥材檢體，製劑檢體則購自國內藥廠包括 1 種單方、2 種複方共 7 件天麻製劑。先以天麻藥材測試多種 DNA 標記，取多種 DNA 標記之共用引子分別進行 PCR 及定序分析，選出 ITS 片段序列做為鑑別依據，並在 ITS1-5.8S-ITS2 片段中，再設計專一性引子做 Nested PCR，同時修正不同位置之 primer 設計，使能應用於不同來源之天麻檢體及中藥製劑，並避免其他藥材成分的干擾。經 Nested PCR 擴增的 ITS 片段大小為 305 bps，經 DNA 定序分析，35 件藥材檢體序列均一致，確認可以做為天麻鑑定依據。再以此確認之 DNA 序列及 Nested PCR-DNA 定序方法鑑定製劑檢體，確認可於這些有著多種藥材成分組成的方劑中，專一地鑑定出製劑中所使用的天麻基原。

B-032

Anti-diarrhea activity of six sources of "Gusuibu"

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Diarrhea diseases constitute one of the most important health problems worldwide. Particularly in developing countries, a very large number of people, especially young children suffer from the menace. To tackle this problem, the World Health Organization (WHO) has to constitute the Diarrhea Diseases Control Program (DDCP) which guides studies on traditional medicinal practices and preventive measures. Gusuibu, a traditional folk medicine has been claimed to heal certain types of diarrhea. However, so far no scientific study has been carried out on anti-diarrhea mechanism of "Gusiubu". The present study was carried out to examine the traditional claim of anti-diarrhea activity of the ethanol extracts of six sources of folk medicinal ferns used as "Gusuibu". Inhibitory effects of six sources were evaluated on the LTB and G_{MI} interaction by G_{M1}-enzyme linked immunosorbent assay. Our results indicated that *Drynaria fortunei* (DF) had no anti-diarrhea effect, while, among the remaining five species, four belonging to family Davalliaceae had significant ability to inhibit LT-induced diarrhea indicating their potential application in the anti-diarrhea remedies.

B-033

某教學醫院藥事人員參與中藥材辨識課程之成效

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中藥材的真偽和品質優劣對於中醫治療的成效，有著相當重要的影響。在中國大陸，由於各種藥材的產地分佈不同，各地民眾用藥習慣相異，地理上的區隔與資訊的缺乏使得部份中藥材存在著混淆的情況。對於醫院中藥藥劑部門而言，如何正確辨識中藥材也是藥師訓練的重要課題，但相關的資源卻相對缺乏。近年來，衛生福利部中醫藥司開始推動台灣市售易混淆中藥材辨識課程，期待可藉由這類訓練課程，矯正醫療機構中藥執業人員對於中藥材的錯誤認識，某醫院中藥局主管，在得知有此訓練課程後，即申請院方同意派訓藥師，並評估受訓後之成效。

該院藥學部中藥局自 98 年至 102 年間選派藥師參與每次為期三天(12 堂課，24 小時)的辨識訓練課程，以期待能藉由此訓練提升藥師對中藥材正確辨識的能力。在課程所介紹的約 90 種易混淆誤用品項中，獲知正確的藥材資訊後，即積極向藥商反映並要求尋找正確取代品。取得正品後，除了盡速更正藥材外，也告知院內中醫師及藥師品項更新的相關訊息，至於原本的混淆品項，則留作教學用途。在一系列藥材辨正的過程中，總共更正了基原接近的代用品白花蛇舌草、白茅根、石斛等 3 項；基原錯誤的誤用品白英、澤蘭等 2 項；功效類似但基原相異的金錢草、旱蓮草、桑寄生、敗醬草、蒲公英等 5 項以及藥用部位錯誤的夏枯草 1 項，共計 11 項。

經由以上藥材辨正的成果，可證實此類課程確實可提升醫療機構之中藥執業藥師正確辨識中藥材的能力，該院中藥局後續並重新調整進貨的標準流程，加強基原的查核，驗收區備有正品及混淆品的樣品供實物比對，確保進藥正確性。成效上，實物比對驗收執行後，這些易混淆飲片品項即未再出現錯誤情況，對於病人用藥之療效與安全可得到更佳的保障。由以上結果，中藥局擬每年派員參加相關課程，以期待提升所有中藥局藥師中藥材正確辨識之能力，希望分享這樣的經驗，作為其它醫療機構對中藥執業人員易混淆中藥飲片辨識訓練的參考。

B-034

應用 ITS 序列鑑定防己藥材

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衛生福利部食品藥物管理署 研究檢驗組

防己藥材之正品基原為防己科植物粉防己(*Stephania tetrandra* S. Moore)之乾燥塊根，惟"木防己湯"需使用防己科植物木防己(*Cocculus orbiculatus* (L.) DC.)，另名稱相似易混淆藥材尚有日本藥局方所載之防己，實為防己科的漢防己(*Sinomenium acutum* Rehder et Wilson)之莖及根莖。本研究利用比對 ITS 序列，設計防己科易混淆之防己及其誤用品藥材通用引子對，可得約 500 鹼基對之產物，將其產物定序並比較其序列，發現共 18 件標示防己或粉防己之藥材中，有 15 件均能檢出粉防己藥材序列，僅 3 件藥材檢體未檢出，另以粉防己專一性引子對鑑定結果亦同。將利用此 2 組引子對開發 Nested PCR 方法以應用於防己製劑之鑑別。

B-035

New labdane type diterpene glycosides from *Alpinia densespicata* Hayata

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From *the* 95% EtOH extract *of dried aerial parts of Alpinia densespicata* Hayata, fifteen new labdane type diterpene glycosides were isolated. The structures of new isolates were elucidated by 1D, 2D-NMR and HRESIMS data. These isolated new compounds were identified as 3,17-dihydroxy-labda-8(9),13(14)-dien-15,16-olide-3-β-*O*-(2-rhamonopyranose)-glucopyranoside (**1**), 3,17-dihydroxy-labda-7(8),13(14)-dien-15,16-olide-3-β-*O*-(2-rhamonopyranose)-glucopyranoside (**2**), 3β,17-dihydroxy-labda-8(9),12(13)-dien-15-oic acid-3-*O*-(2-rhamonopyranose)-glucopyranoside (**3**), 3,17-dihydroxy-labda-7(8),12(13)-dien-15-oic acid-3-β-*O*-(2-rhamonopyranose)-glucopyranoside (**4**), 12,17-epoxy-3-hydroxy-17-β-methoxy-labda-13-en-16,15-olide-3-β-*O*-(2-rhamonopyranose)-glucopyranoside (**5**), 12,17-epoxy-3-hydroxy-17-α-methoxy-labda-13-en-16,15-olide-3-β-*O*-(2-rhamonopyranose)-glucopyranoside (**6**), 12,17-epoxy-3-hydroxy-17-oxo-labda-8(9),13-dien-16,15-olide-3-β-*O*-(2-rhamonopyranose)-glucopyranoside (**7**), 12,17-epoxy-3-hydroxy-7-oxo-labda-8(9),13-dien-16,15-olide-3-β-*O*-(2-rhamonopyranose)-glucopyranoside (**8**), 12,17-epoxy-3,9α-dihydroxy-labda-8(9),13-dien-16,15-olide-3-β-*O*-(2-rhamonopyranose)-glucopyranoside (**9**), 12,17-epoxy-3,17α-dihydroxy-labda-8(9),13-dien-16,15-olide-3-β-*O*-(2-rhamonopyranose)-glucopyranoside (**10**), 3,7β-dihydroxy-labda-8(17),13(14)-dien-15,16-olide-3-β-*O*-(2-rhamonopyranose)-glucopyranoside (**11**), 7α-hydroxy-labda-8(αCH_3),12(13)-en-16,15-olide-19 oic acid-19-*O*-glucopyranoside (**12**), 7-oxo-polyrhaphin D 3-β-*O*-glucopyranoside (**13**), 7-oxo-polyrhaphin D 3-α-*O*-glucopyranoside (**14**), 3-hydroxy-7-oxo-labda-8(βCH_3),12(13)-en-16,15-olide-3-β-*O*-glucopyranoside (**15**), and named alpindenoside E to S, respectively. All the isolates cannot show the anti-inflammatory activity by LPS-induced NO in RAW 264.7 cell and are invalid for the cytotoxicity in MCF-7, WiDr and HEp-2 cell lines.

B-036

冬蟲夏草二次代謝物之生物活性研究

Studies on the biological activities of secondary metabolites from *Cordyceps sinensis*

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冬蟲夏草具有「中藥之王」之美稱，其菌絲體及子實體具有抗腫瘤、抗氧化、抗菌、免疫調節等功效，除做為傳統中藥由來已久，近年來經科學實驗分析，證實冬蟲夏草大部分的活性成分屬於水溶性核苷，如：蟲草素、蟲草酸等，不僅具有藥效，應用於保健食品亦具有極高的潛力。

本研究分別針對固態與液態培養之冬蟲夏草，探討其二次代謝物活性，主要探討的重點為：1. 冬蟲夏草二次代謝物之活性評估；2. 冬蟲夏草對添加中藥萃取物之培養基進行生物轉化後，其二次代謝物之生物活性評估 。

在選取特定中藥萃取物經由冬蟲夏草生物轉化後，探討其二次代謝物變化的實驗中，由層析圖得知，培養自第 7 天至第 9 天的時段，在滯留時間 26-33 分鐘區間中，出現較多二次代謝物的吸收訊號。因此本研究選定培養第 7 天至第 9 天之二次代謝物進行生物活性分析研究。

DPPH 活性分析結果顯示，添加厚朴萃取物清除 DPPH 自由基能力最佳，可達 75%以上，其次為添加澤瀉、黃芩萃取物，清除率分別約為 49%、47%，顯示中藥萃取物添加於固態培養基中，冬蟲夏草可成功的將其進行生物轉化成為具有抗氧化活性之物質。總酚含量測定之結果顯示，添加中藥萃取物之冬蟲夏草液態培養研究中，其二次代謝物的總酚含量於第 7 天最為顯著，研究中同時發現，經由冬蟲夏草生物轉化後的二次代謝物其總酚含量明顯提升。綜合上述實驗結果，冬蟲夏草之生物轉化代謝物具有進一步探討與應用的價值。

B-037

Phenolic compounds from the bark of *Terminalia catappa* L.

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Combretaceae plants are well known as rich sources of phenolic compounds. Many species of this family provides important sources of traditional Chinese medicines, and also as dyeing and tannin agents in Japan and China. In Malaysia and Singapore, medicinal terminalia fruit is believed to exhibit anti-diarrheic, anti-bilious, anti-dysenteric and styptic activities. *Terminalia catappa* L. is a member of the Combretaceae family. It is a large woody evergreen plant. It is a common plant in the seaside of tropic area and subtropic area. There is also growing in the Hengchun Peninsula coast, Lanyu and Kaohsiung in southern Taiwan. Our recent study had resulted in the isolation of phenolic compounds from the bark of the plant gathered in Pingtung. The bark of *Terminalia catappa* L. was extracted with 80% aqueous acetone at room temperature. Six phenolic compounds were isolated by chromatography from the extracts. Their structures were elucidated on the basis of spectroscopic methods and chemical evidence. The constituents are chiefly about Gallic acid (1), Ellagic acid (2), 2,3-(*S*)-HHDP-D-glucose (3), Punicalagin (4), Corilagin (5), Casuarinin (6). We will temporarily report their chemical structures, other correlative experiments of biological activities are also being carried on.

B-038

The Effect of Anti-cancer for *Zingiber zerumbet* Extracts in Oral Cancer Cells

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許多從中草藥植物中所萃取出的萃取物都具有抗癌活性，本研究選取臺灣常見的薑科(Zingiberaceae)植物球薑(*Zingiber zerumbet*)地下塊狀根莖，經過熱水、甲醇及丙酮等三種溶劑浸泡並過濾，經減壓濃縮後所取得的三種粗萃取物，藉由體外細胞毒殺作用(cytotoxicity assay)，以 MTS 分析法分析萃取物對口腔癌細胞株(Cal27)的癌細胞毒殺效果。並研究粗萃取物是否可以調節 Cal27 細胞週期及促進細胞凋亡。結果發現熱水萃取物(Z9)在低濃度(10 μg/ml)下即對口腔癌細胞具毒殺作用，Z7、Z8 及 Z9 的 IC_{50} 分別為 60 μg/mL、30 μg/mL 及 10 μg/mL； Z7 及 Z8 會誘導口腔癌細胞進入凋亡,Z9 則不會誘導口腔癌細胞進入凋亡; 以 HPLC 分析球薑萃取物，証明經由丙酮或甲醇萃取所得之粗萃物確實含有球薑酮，且 Z7(2%)即含有球薑酮 118.50 uM，而 Z8(5%)含有球薑酮 67.25 uM，熱水萃取物(Z9)則不含有球薑酮。Z7 的球薑酮含量最高，對誘導口腔癌細胞凋亡作用最顯著，而 Z9 不含球薑酮，卻對口腔癌細胞毒殺效果最強，因此推測除了薑球酮外，球薑中應含有其他具有毒殺癌細胞的未知成分。未來將繼續進行相關物質之成分分析、化學結構探討與生物活性之研究。

B-039

Chemical Constituents from the Roots of *Aphanamixis polystacha*

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Aphanamixis polystachya is an evergreen timber tree, which distributes mainly in the tropical area of Asia, such as India, Malaysia, Southern China and Taiwan. The special secondary metabolites of this plant are limonoids, which exhibit excellent repellent activity. This study focuses on the phytochemical investigation of the acetone extract from the roots of *A. polystachya*. Fractionation of the crude extract had led to the isolation of 9 compounds. Among them, two are new apo-tirucallane type triterpenoids **1** and **2**. Others are known protolimonoid methyl-1ξ,7*R*-diacetoxy-23*R*,25-dihydroxy -20*S*,24*R*-21,24epoxy-3,4-*seco*-apotirucall-4(28),14(15)-diene-3-oate (**3**), limonoids rohituka 3 (**4**), rohituka 7 (**5**), nymania 1 (**6**), rubrin G (**7**), prieurianin (**8**) and steroid 2β,3β-dihydroxy-5α-pregnan-16-one (**9**). Compounds **4**–**8** belong to ring A, B-*seco* limonoids, one of which, compound **8** is abundant than other limonoids. The structures of above compounds are mainly determined by spectroscopic analysis including 1D, 2D NMR and HRESI Mass data. The above compounds showed weak anti-tumor cytotoxicity and anti-inflammatory activities.