Summary of Herbal Resources III: Identification, Formulation and Manufacturing

Chair and Co-chair: Kelvin CHAN & Stephan CW SZE (Absent);
Panelists: Ying ZHANG & Wudtihai WISUITIPROT

1st 6 Posters
Poster 69: Saussurea Involucrata: A Systematic Review of the Botany, Phytochemistry & Ethnopharmacology of a Rare Traditional Herbal Medicine by Hu-Biao CHEN (Hong Kong)-absent
Poster 71 - Development of a UPLC-MS/MS Method for the Metabolism Pathways and Patterns Identification After Oral Administration of *Saussurea laniceps* to rats by Tao YI (Hong Kong)-apology via email
Poster 73 –Discovery of Chemical Markers for the Discrimination of Panax Ginseng with Different Age, Varieties, and Cultivation Place by Using UHPLC-Q-TOF/MS Coupled with OPLS-DA Model presented by Hua ZHOU’s student (Macau)
Poster 76 - Evaluation of Phlai Oil Formulation Prepared Following Traditional Medicine Recommendation by Wudtichai WISUITIPROT (Phitsailok)
Mangiferine, ferulic acid, jatrorrhizine and palmatine were selected and validated as the key chemical markers for quality evaluation of anti-menopausal EXD according to our proposed approach:
-- These markers were found at the hypothalamus pituitary ovary axis
-- Their therapeutic effect is related to treat menopause
These four chemical markers possess antioxidant activities [4-7], which can compensate the down-regulation of antioxidant enzyme during menopause [1]. ferulic acid were also reported to have estrogenic and osteogenic properties [8], which could also be effective in treating hot flashes in menopausal women [9]
-- The amounts of these four chemical markers in EXD-S are two-fold higher than those in EXD-C, thus different decoction methods could be easily revealed by different amounts of these four markers in HPLC profile.

In conclusion, the chemical profiles with the therapeutic effects of EXD-C and EXD-S validated a novel and rapid approach for identifying the standard chemicals for quality evaluation of Chinese Medicine formula
Conclusion thus far

• The markers (Rg1, Re, Rb1, Rf), adopted in the official criterion could not separate the ginseng with different properties.

• Our data processing protocol could decrease the data dimension, and then evaluate the importance of each variable by the OPLS-DA model. The ginsengs with different properties finally discriminated by the screened markers.

• More than 60 markers important for the discrimination were identified by the QTOF/MS, which included 3 new compounds firstly found in *Panax ginseng*.

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**Poster 76 - Evaluation of Phlai Oil Formulation Prepared Following Traditional Medicine Recommendation by Wudtichai WISUITIPROT (Phitsailok)**

A traditional formulation performed by frying with plant fixed oil. Used for muscle inflammation and pain. Traditionally, it is used in combination with Thai massage.

Using TLC and GC finger printing to identify presence of Sabinene, Terpinene-4-ol; E-1-(3,4 dimethoxyphenyl) butadiene Possessing anti-inflammatory action.

<table>
<thead>
<tr>
<th>Phlai oil formulation</th>
<th>IL-1 (pg/ml)</th>
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<tbody>
<tr>
<td>Phlai oil (Coconut oil)</td>
<td>195 ± 12.45</td>
</tr>
<tr>
<td>Phlai oil (Palm oil)</td>
<td>205 ± 34.21</td>
</tr>
<tr>
<td>Phlai oil (Rice barn oil)</td>
<td>212 ± 22.33</td>
</tr>
<tr>
<td>Phlai oil (Sesame oil)</td>
<td>225 ± 32.55</td>
</tr>
<tr>
<td>Phlai oil (Soya bean oil)</td>
<td>230 ± 24.55</td>
</tr>
<tr>
<td>Control</td>
<td>348 ± 9.45</td>
</tr>
</tbody>
</table>
**Poster 74 - Fabrication of Resveratrol Solid Dispersion with Mesoporous Silica Microparticles to Improve Drug Loading and In Vitro Dissolution – by Prof Ying ZHENG on behalf of student (Macau):**

- Resveratrol (RES), a poorly water-soluble polyphenol, with anti-tumor, immune-regulation and anti-aging activities, was selected as a model drug.
- The purpose of this work was to develop high drug loaded solid dispersion of RES with mesoporous silica microparticles (MSM) by fluidized bed with improved dissolution rate.
- The study has demonstrated that the application of fluidized bed method to fabricate solid dispersion with MSM is an effective strategy to improve drug loading as well as the dissolution for poorly water-soluble drugs.

**Fig 1. In vitro characterization of RES/MSM solid dispersion. A. Dissolution of RES/MSM solid dispersion, S144 (•), SD071 (+), SD072 (■), SD073 (×), PM (▲), RES (●). B. Powder X-ray diffraction of RES/MSM solid dispersion, S144 (a), SD071 (b), SD072 (c), SD073 (d), PM (e), RES (f).**

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**Poster 75 - Nanocrystals Development of BCS II Class Compound 20(s)-Protopanaxadiol to Improve Its Oral Bioavailability and Brain Drug Delivery for Intracranial Tumors Therapy - by Prof Ying ZHENG’ student, Chen CHEN (Macau)**

Using 20(s)-protopanaxadiol (PPD), a tetracyclic triterpene with potent anticancer effect, but poor aqueous solubility (<50 ng/ml) with good membrane permeability as a model drug to study the PPD nanocrystals to improve its oral bioavailability and brain delivery for tumor therapy.

PPD nanocrystals were prepared by anti-solvent precipitation using D-α-Tocopheryl polyethylene glycol 1000 succinate as the stabilizer. The fabricated nanocrystals were nearly spherical in a crystalline state. Compared to the PPD solution, PPD nanocrystals increased the permeability to 2 times.

After oral administration to rats, PPD nanocrystals were absorbed quickly into plasma and brain with a Tmax of 0.80 h, and the plasma Cmax values and brain Cmax were 4.75-fold and 1.84-fold higher than that of the physical mixture, respectively.

Oral and brain bioavailability (AUC0-t) of nanocrystals was 1.81-fold or 1.86-fold superior to the physical mixture. The increase concentration of PPD in the brain may be due to the increased absorption into the plasma after formulated as the nanocrystals.

In summary, the small-sized PPD nanocrystals may be a potential oral delivery system to enhance PPD’s poor bioavailability and its delivery into the brain for intracranial tumors therapy and neurodegeneration disease in the future.

@-Professor Kelvin Chan PhD DSc; https://www.researchgate.net/profile/Kelvin.Chan-ii
Differences between the two Puerariae Radix species Gegen (PLR) and Fenge (PTR) samples

Macrosopic | Microscopic
---|---
Total flavonoid content and antioxidant capacity | α-Amylase and α-glucosidase activity

PCA plots obtained from pre-processed HPTLC chromatographic data matrix. (a) Hotelling’s T square versus Q residuals plot, (- - -) line represents 95% confidence interval; (b) PC1-PC2 scores plot.

Wong et al (2014) JPBA; 95:11-19
Implications

• Will future pharmacopoeia standards of CMM decoction pieces also include simple chemical/enzymatic assessment?
• HPTLC linked with multivariate analysis can be used as one of the initial assessments for QC of granules
• Not many pharmacopoeia provide QC standards of granules from aqueous extract of decoction pieces