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Original Research Article

Physicochemical Properties and Lipophilicity of Polydatin-Lecithin Complex

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Abstract

Purpose: *To investigate the physicochemical properties and lipophilicity of polydatin-lecithin complex.*
Methods: *The complex of polydatin-lecithin was prepared by solvent method. The physicochemical properties of the complex were investigated by ultraviolet-visible spectrometry (UV), infrared spectrometry (IR), differential scanning calorimetry (DSC), x-ray diffractometry (XRD) and scanning electron microscopy (SEM). Its solubility in n-octanol at 25 °C was examined by high performance liquid chromatography (HPLC).*
Results: *The UV and IR spectra of the complex showed an additive effect of polydatin-lecithin, in which the characteristic absorption of their respective peaks were retained. DSC and XRD results suggest that the complex mainly showed the presence of lecithin with the characteristic peaks for polydatin absent, while SEM demonstrated that polydatin was dispersed in lecithin. HPLC analysis found that the solubility of polydatin in n-octanol at 25 °C was enhanced from 0.41 mg/mL to 21.98 mg/mL by complexing with lecithin, indicating that the lipophilicity of polydatin was significantly improved.*
Conclusion: *Polydatin and lecithin in the complex are combined by non-covalent bonds, and did not form a new compound. The lipophilicity of polydatin increased to 21.98 mg/mL from 0.41 mg/mL as a result of complexation.*

Keywords: *Polydatin, Lecithin, Complex, Physicochemical property, Lipophilicity*

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INTRODUCTION

Polydatin, a member of polyphenolic compounds, is the main bioactive ingredient in many medicinal plants, such as Hu-zhang (*Polygonum cuspidatum*), but is also detected in grape, peanut, hop cones, red wines, hop pellets, cocoa-containing products, chocolate products and many daily diets [1-3]. Its poor lipophilic solubility leads to the poor permeation across the intestinal epithelial cells and the oral bioavailability is decreased, which limits the application of polydatin in functional food and medicine.

Lecithin is a group of yellow-brownish fatty substances occurring in animal and plant tissues, and in egg yolk [4], which can be used as a matrix to improve lipophilic property and targeted delivery of bioactive compounds [5,6]. Some researches indicated that the natural active constituents combined with lecithin might improvement the lipophilic property and the oral bioavailability of the natural active constituent, under certain conditions [7]. In this study, the complex of polydatin and lecithin was prepared, and the physicochemical properties and lipophilic

property of the complex were investigated by HPLC, UV, IR, DSC, XRD and SEM.

EXPERIMENTAL

Materials and chemicals

Polydatin was obtained from Aladdin (Shanghai, China). Lecithin from soya bean was a product of Sangon (Shanghai, China). Methanol of HPLC grade was purchased from Tedia (USA). Other chemicals used were of analytical grade.

Preparation of polydatin-lecithin complex

Polydatin (200 mg) and lecithin (400 mg) were dissolved in 50 mL of tetrahydrofuran and stirred for 8 h at room temperature. After tetrahydrofuran was removed, the resultant yellow power was collected as polydatin-lecithin complex.

Preparation of physical mixture of polydatin and lecithin

Polydatin (0.5 g) and lecithin (1 g) were mixed and stirred in a small beaker at room temperature. The obtained product was collected as the physical mixture of Polydatin and lecithin.

Solubility determination in n-octanol

Solubility determination of polydatin and its complex in n-octanol was carried out by adding excess of polydatin and its complex to 5 mL of n-octanol at 25 °C. The liquids were shaken for 36 h in water bath shake at 30 °C, and then centrifuged (10 min, 4,000 rpm). The supernatant fluid of 200 µL was diluted to 10 mL with methanol. The content of polydatin was determined by HPLC. HPLC analysis was performed on an Agilent 1260 HPLC system. The injection volume was 10 µL and the wavelength for detection was set at 320 nm. The samples were separated on a reversed phase column, ZORBAX SB C18 column (4.6 × 250 mm; 5 µm particle size) made by Agilent (USA). The mobile phase consisted of methanol and water (80:20) with a flow rate of 0.8 mL/min. The column temperature was set at 30 °C. Before HPLC analysis, all samples must be passed through a 0.45 µm millipore filter. The quantitative analysis of polydatin in the samples was based on an external standard. The chromatographic data were recorded and processed by Agilent OpenLAB ChemStation software.

Ultraviolet-visible (UV) and infrared spectroscopy (IR)

UV analysis was performed on a TU-1810PC UV-visible spectrophotometer (Purkinje, China) and while IR analysis was carried out on a Tensor 27 infrared spectrophotometer (Bruker, Germany) using compressed discs of the material mixed in KBr at 25 ^{o}C.

Differential scanning calorimetry (DSC)

The samples sealed in the aluminum crimp cell were heated at the speed of 10 °C/min from 30 to 250 °C in the atmosphere of nitrogen (Q200, TA, USA). The data were recorded and processed by Universal Analysis 2000 software (TA, USA).

X-ray diffractometry (XRD)

Monochromatic Cu Ka radiation (wavelength = 1.54056 A˚) was produced by a D8 Advance X-ray diffractometer (Bruker, Germany). The powders of samples were packed tightly in a rectangular aluminum cell. The samples were exposed to the X-ray beam. The scanning regions of the diffraction angle, 2θ, were 5-80˚.Duplicate measurements were made at ambient temperature. Radiation was detected with a proportional detector.

Scanning electron microscopy (SEM)

Examination with scanning electron micrographs (SEM) was performed with a Quanta 200 environmental scanning electron microscope (FEI, USA). The sample was evenly distributed on SEM specimen stubs with double adhesive tape. The micrographs were obtained at an accelerating potential of 20 kV under low vacuum.

Statistical analysis

The data obtained in this study were analyzed by Student's t-test using Origin 8.0 software. $P < 0.05$ was considered statistically significant.

RESULTS

Solubility in n-octanol

The solubility of polydatin and its complex in n-octanol is shown in Figure 1. By forming the complex, the solubility of polydatin in n-octanol rose from 0.41 to 21.98 mg/mL.

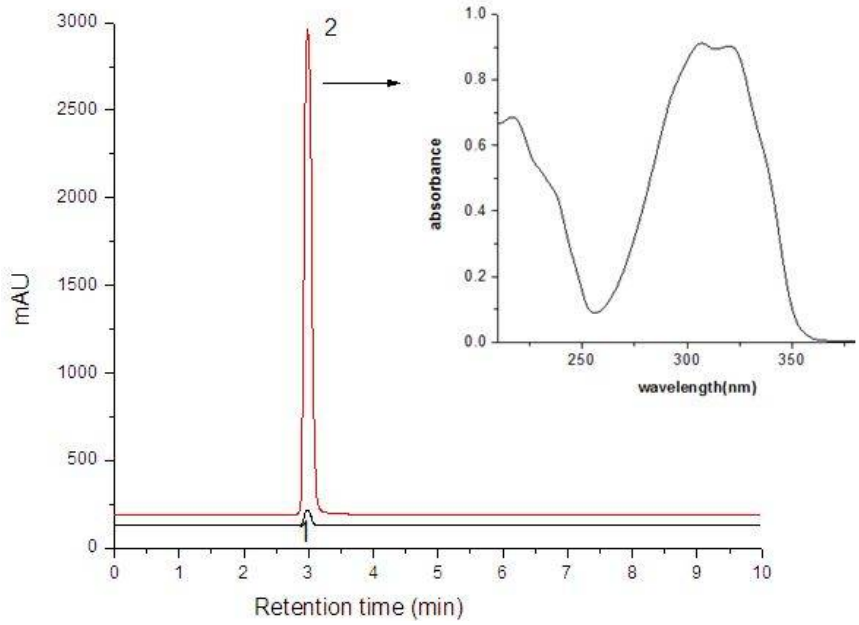

Figure 1: Solubility comparison of polydatin (1) and its complex (2) in n-octanol

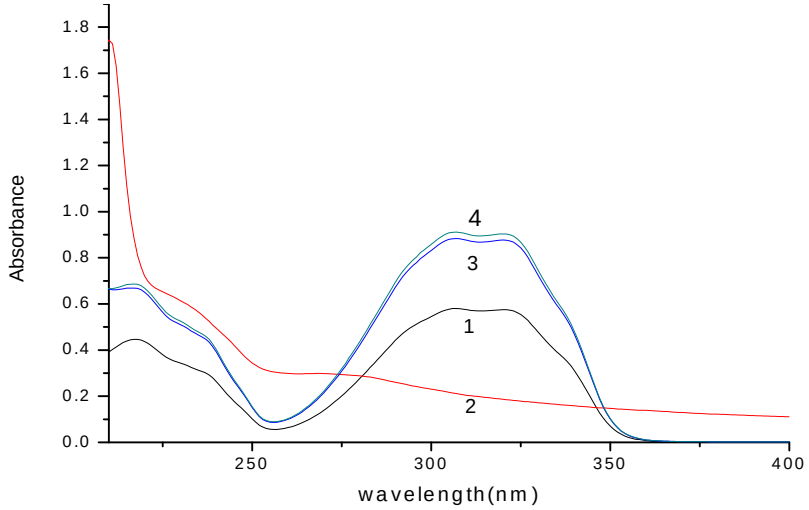

Figure 2: UV spectrum of polydatin (1), lecithin (2), their mixture(3) and complex (4)

UV and IR spectra

UV and IR spectroscopies are important tools for studying complexation. The UV spectra of polydatin, lecithin, their physical mixture and the complex are shown in Figure 2. There was no difference between the physical mixture and the complex. The characteristic absorption peaks of polydatin were still present at 216 and 305 nm. The infrared spectra of polydatin, lecithin, their physical mixture and the complex are shown in Figure 3. There was no significant difference between the physical mixture and the complex. The spectra of the physical mixture and the complex showed an additive effect of polydatin and lecithin, in which the characteristic absorption peaks of polydatin and lecithin were still present at 2948, 2365, 1738 and 1076 cm^{-1}, respectively. No new peaks were observed in the physical mixture and complex.

DSC thermo grams

Figure 4 shows the DSC curves of polydatin, lecithin, their physical mixture and the complex. The DSC thermogram of polydatin shows an endothermal peak with onset temperature at 229 °C, which is attributed to the melting of polydatin while the thermogram of the physical mixture and complex mostly showed the individual endotherms of lecithin, while the characteristic endothermal peak for polydatin disappeared.

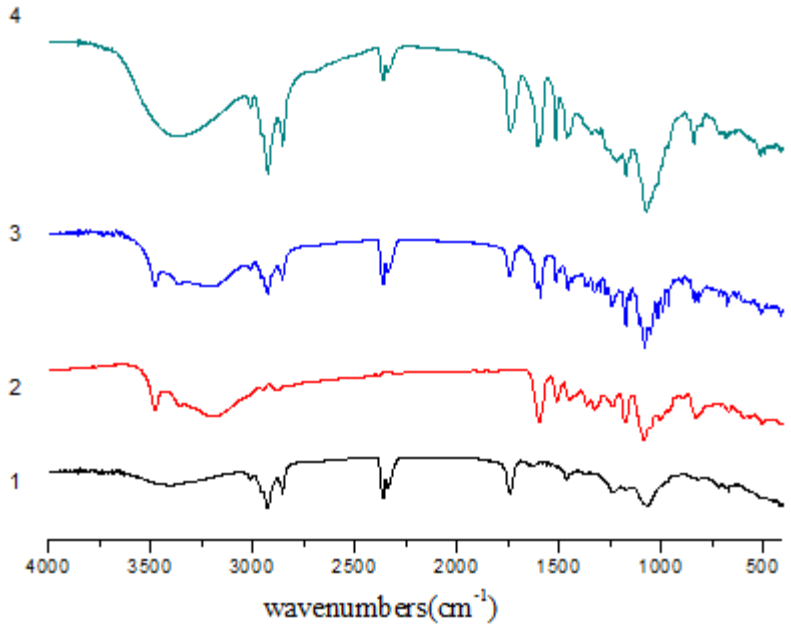

Figure 3: IR spectra of polydatin (1), lecithin (2), their mixture (3) and complex (4)

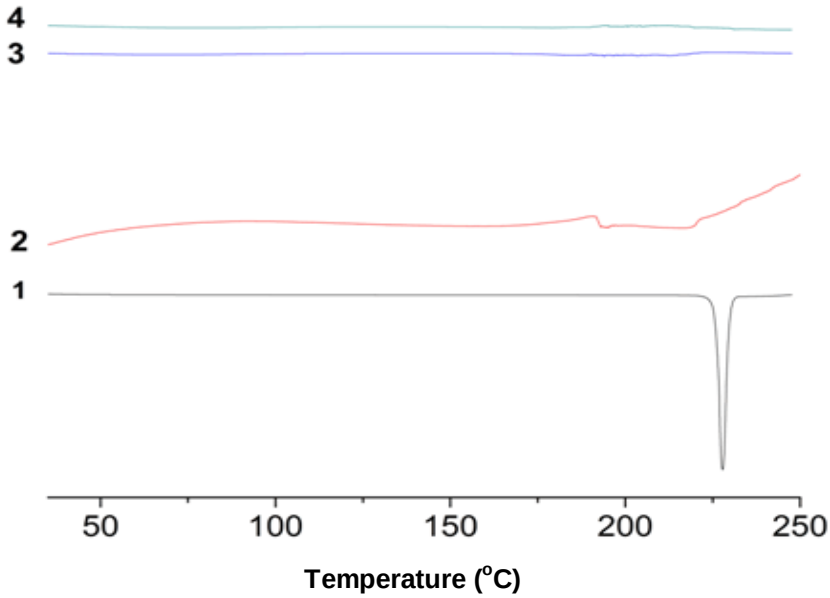

Figure 4: DSC curves of polydatin (1), lecithin (2), their mixture (3) and complex (4)

X-ray diffractograms

The powder x-ray diffraction patterns of polydatin, lecithin, their physical mixture and the complex are shown in Figure 5. The powder diffraction pattern of polydatin displayed sharp crystalline peaks, which are characteristic of an organic molecule with crystallinity [10]. In contrast, lecithin shows an amorphous form without crystalline peaks. Compared with that of the physical mixture, the crystalline peaks disappeared in the complex.

SEM

The surface morphology of the complex as examined by SEM is shown in Figure 6. The powders of polydatin and lecithin were simply mixed together, they formed no close association and continued to exist in their original individual forms. The photo of their physical mixture indicates that the crystal appearance of polydatin did not disappear but rather was dispersed in lecithin.

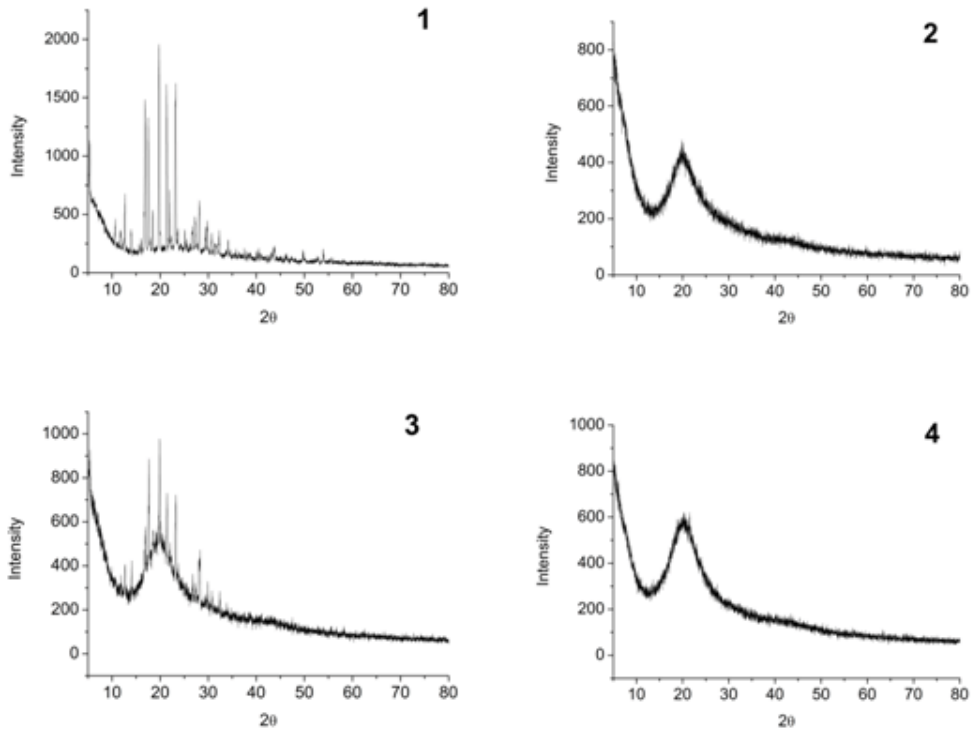

Figure 5: XRD patterns of polydatin (1), lecithin (2), their physical mixture (3) and complex (4)

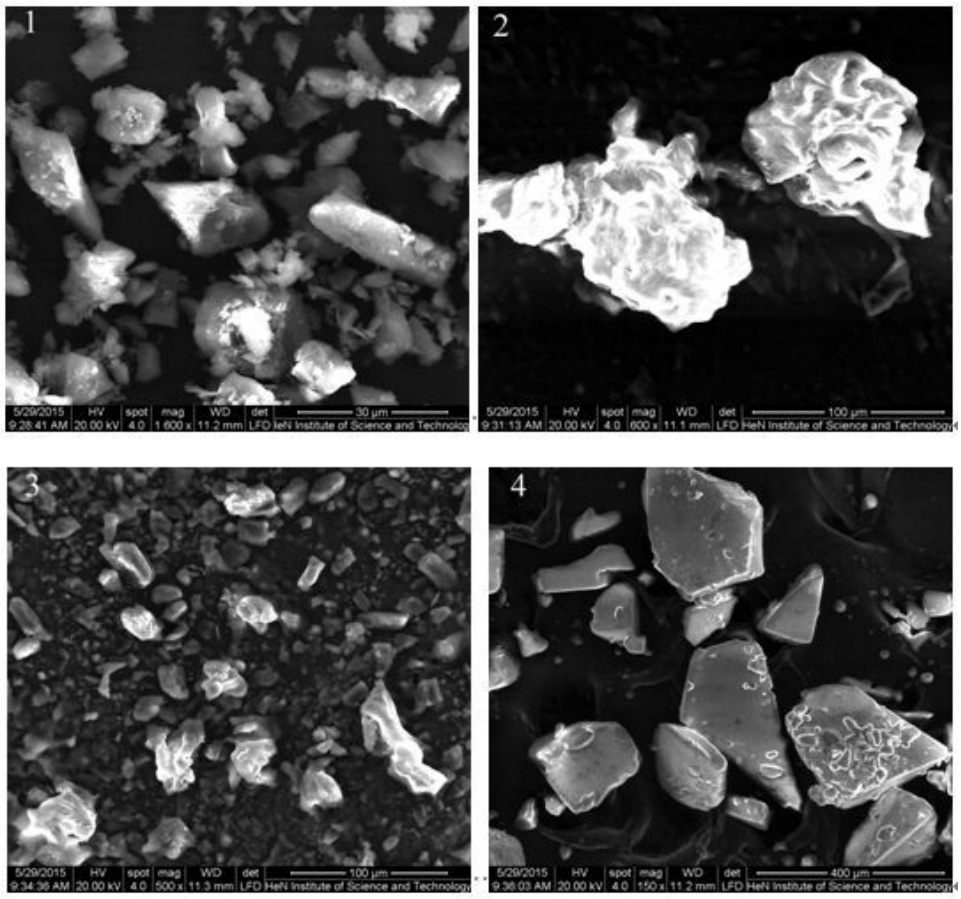

Figure 6: Scanning electron micrographs of polydatin (1), lecithin (2), their physical mixture (3) and complex (4)

DISCUSSION

Lecithin is a group of yellow brownish fatty substance occurring in animal and plant tissues, and in egg yolk, it may be isolated either from egg yolk or from soy beans, from which it is extracted chemically or mechanically. Lecithin is sold as a food supplement and for medical uses. In cooking, it is sometimes used as an emulsifier. It is expected that polydatin combined with lecithin might result in increase in the hydrophobicity and bioavailability of functional food and medicine [4]. In this study, the complex of polydatin and lecithin was prepared. It was found that polydatin-lecithin complex in term of the quantity ratio 2:1, the best quality complex and physical mixture was obtained, and the complex could be easily solved in oil. According to the solubility analysis in n-octanol, the lipophilic property of polydatin was significantly improved by complexing with lecithin.

Based on UV and IR data, there was no significant difference between the physical mixture and the complex, which suggests that some weak physical interactions between polydatin and lecithin took place during the formation of the complex. And DSC analysis indicated that polydatin had been completely dispersed in lecithin and they should have some interaction, such as the combination of hydrogen bonds or Vander Waals force [8].

Powder x-ray diffractometry has been shown to be a method which can provide insight into the complexation between host and guest molecules [9]. Compared with that of the physical mixture, the crystalline peaks disappeared from the complex. This suggests that polydatin in the lecithin–lipid matrix is molecularly dispersed, which confirms the SEM result.

CONCLUSION

By complexing with lecithin, the lipophilic solubility of polydatin is significantly improved. The complex appears to be formed via non-covalent-bonds between lecithin and polydatin with the latter molecularly dispersed in the matrix of the former. Thus no new compound is formed. The complex obtained has potential ausues in medicine and functional food.

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