Formulation of a drug-phospholipid complex (Naturosome) to enhance the aqueous solubility of standardized extract of Centella asiastica (SCE)

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Publication Information  
Saoji, Suprit; Raut, Nishikant; Dhore, Pradip; Bernardez, M.; Haware, Rahul V.; and Dave, Vivek S., "Formulation of a drug-phospholipid complex (Naturosome) to enhance the aqueous solubility of standardized extract of Centella asiastica (SCE)" (2015). *Pharmacy Faculty/Staff Publications. Paper 442.*  
https://fisherpub.sjfc.edu/pharmacy_facpub/442

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Abstract
Purpose:
To evaluate the enhancement of aqueous solubility of standardized extract of Centella asiastica, a natural drug with known anti-Alzheimer’s activity, by formulating its complex (Naturosome) with a phospholipid - Phospholipon® 90H.

Disciplines
Pharmacy and Pharmaceutical Sciences

Comments

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Formulation of a drug-phospholipid complex (Naturosome) to enhance the aqueous solubility of standardized extract of Centella asiatica (SCE)

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Objective
To evaluate the enhancement of aqueous solubility of standardized extract of Centella asiatica, a natural drug with known anti-Alzheimer’s activity, by formulating its complex (Naturosome) with a phospholipid - Phospholipon® 90H.

Methods
A modified solvent evaporation method was used to prepare the drug-phospholipid complex (Centella Naturosome, CN). A circumscribed central composite design was used to analyze, and optimize the formulation and the process variables to obtain acceptable Centella Naturosome (CN). The influence of phospholipid-to-drug ratio (X₁, w:w), reaction temperature (X₂, °C), and the reaction time (X₃, h) on the entrapment efficiency of the extract of Centella asiastica in CN were evaluated.

The prepared CN was then characterized by Fourier Transformed Infrared (FTIR) Spectroscopy, Differential Scanning Calorimetry (DSC), Powder X-Ray Diffraction (PXRD), and Scanning Electron Microscopy (SEM). Additionally, the RPC was evaluated for apparent aqueous solubility, and the release of the extract of Centella asiastica in-vitro.

Table 1: Coded levels and “Real” values for each variable studied

<table>
<thead>
<tr>
<th>Factors</th>
<th>Levels</th>
<th>-1.732</th>
<th>-1</th>
<th>0</th>
<th>+1</th>
<th>+1.732</th>
</tr>
</thead>
<tbody>
<tr>
<td>Phospholipid: drug ratio (X₁, w:w)</td>
<td>0.5</td>
<td>1.01</td>
<td>1.75</td>
<td>2.49</td>
<td>3.0</td>
<td></td>
</tr>
<tr>
<td>Reaction temperature (X₂, °C)</td>
<td>40</td>
<td>44.05</td>
<td>50</td>
<td>55.95</td>
<td>60</td>
<td></td>
</tr>
<tr>
<td>Reaction time (X₃, h)</td>
<td>1</td>
<td>1.41</td>
<td>2</td>
<td>2.59</td>
<td>3</td>
<td></td>
</tr>
</tbody>
</table>

Results (contd.)

The apparent aqueous solubility of the extract of Centella asiatica, the physical mixture of the extract of Centella asiastica with Phospholipon® 90H, and the CN are shown in table 2.

The results showed that formulation of CN significantly increased the aqueous solubility of the extract of Centella asiatica. Additionally, the in-vitro dissolution results showed that, unlike the SCE (which showed a total of only 39.21% drug release at the end of 12 h), CN showed 99.23% release at the end of 12 h of dissolution study.

This increase in solubility, and the dissolution characteristics of the complex may be explained by the amphiphilic nature of the complex, as well as possible amorphization of the drug by the phospholipid.

Table 2: Apparent aqueous solubility of the samples

<table>
<thead>
<tr>
<th>Sample</th>
<th>Aqueous solubility (µg/ml)*</th>
</tr>
</thead>
<tbody>
<tr>
<td>Standardized Centella Extract</td>
<td>8.12 ± 0.44</td>
</tr>
<tr>
<td>Physical Mixture</td>
<td>13.58 ± 0.35</td>
</tr>
<tr>
<td>Centella Naturosome</td>
<td>98.01 ± 1.37</td>
</tr>
</tbody>
</table>

* Data expressed as mean ± Std. Dev, n = 3

Results
The CN, with an entrapment efficiency of over 90% w/w, was successfully prepared. The optimum conditions identified to obtain a high efficiency CN were, phospholipid-to-drug ratio of 3:1, the reaction temperature of 50°C, and a reaction time of 2 hours. The FTIR, DSC, and the PXRD data confirmed the formation of a complex between the extract of Centella asiastica and Phospholipon® 90H.

Conclusions
The prepared CN showed a significant (~12-fold) enhancement in the apparent aqueous solubility, as well as the dissolution behavior of SCE. Drug-phospholipid complexes can serve as a potential alternative strategy for enhancing the aqueous solubility, and subsequent dissolution rate of the organic extracts of naturally derived phytochemicals.