Formulation and Characterization of the Improved Solubility, In Vivo Bioavailability and Antioxidant Activity of Apigenin-Phospholipid Complex (APLC)

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Abstract
In the present study a phospholipid based complex of apigenin (APLC) was prepared with a goal of improving its aqueous solubility, dissolution, in vivo bioavailability, and antioxidant activity.

Disciplines
Pharmacy and Pharmaceutical Sciences

Comments

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Formulation and Characterization of the Improved Solubility, In Vivo Bioavailability and Antioxidant Activity of Apigenin-Phospholipid Complex (APLC)

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Purpose:
In the present study a phospholipid based complex of apigenin (APLC) was prepared with a goal of improving its aqueous solubility, dissolution, in vivo bioavailability, and antioxidant activity.

Methods:

Formulation
- Apigenin : Phospholipid complex

Full Factorial Design (3²)
- Design variables
  - Apigenin : Phospholipid ratio
  - Reaction temperature
  - Extent of complex formation (% Yield)

Physical-chemical characterization
- Particle size analysis and zeta potential
- Thermal analysis (DSC)
- Fourier transform infrared spectroscopy (FTIR)
- Proton nuclear magnetic resonance (¹H-NMR)
- Powder x-ray diffractometry (PXRD)
- Solubility analysis

Functional characterization
- In vitro dissolution
- In vivo antioxidant activity
- Pharmacokinetic analysis

Results:

Figure 1. The response surface plot and contour plots of entrapment efficiency (Y, %) as a function of the ratio of apigenin and Phospholipon® 90H (X₁, w:w), and the reaction temperature (X₂, °C).

Table 1. Solubility analysis of pure apigenin, the physical mixture (1:1) of apigenin and Phospholipon® 90H (PM), and apigenin-Phospholipon® 90H complex (APLC).

<table>
<thead>
<tr>
<th>Sample</th>
<th>Aqueous solubility (µg/mL)</th>
<th>n-octanol solubility (µg/mL)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Apigenin</td>
<td>0.62 ± 0.88</td>
<td>603.02 ± 0.72</td>
</tr>
<tr>
<td>PM</td>
<td>6.13 ± 1.13</td>
<td>634.77 ± 1.25</td>
</tr>
<tr>
<td>APLC</td>
<td>22.80 ± 1.40</td>
<td>680.24 ± 1.21</td>
</tr>
</tbody>
</table>

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

Conclusions:
- The prepared APLC demonstrated superior aqueous solubility, bioavailability, and antioxidant properties when compared to apigenin alone.
- A promising strategy for improved delivery of drugs with poor aqueous solubility.