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

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Abstract
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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:

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

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).

Figure 2. The in-vitro dissolution profiles of apigenin release from apigenin suspension, and APLC. Values are mean ± Std. Dev. (n = 3). *p < 0.05, **p < 0.01, ***p < 0.001 (significant with respect to pure apigenin).

Figure 3. Influence of pure apigenin and APLC on rat liver antioxidant marker enzymes, i.e. glutathione reductase (GSH) (nmoles/mg of protein), superoxide dismutase (SOD) (units/mg protein), catalase (CAT) (units/mg protein), and lipid peroxidase (LPO) (nmoles of MDA released /g tissue). Values are Mean ± Std. Error of Mean (n = 6). *p < 0.05, **p < 0.01 (significant with respect to control: CCl₄-only treated groups).

Figure 4. Mean plasma concentration-time profile after oral administration of pure apigenin (100 mg/kg, p.o.) or APLC (~100 mg/kg apigenin, p.o.). Values are mean ± Std. Dev. (n = 6). *p < 0.05; **p < 0.01; ***p < 0.001 (significant wrt pure apigenin treated group).

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.