Assessing the enhancement of aqueous solubility of efavirenz via lyophilized milk based solid dispersion formulations

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Assessing the enhancement of aqueous solubility of efavirenz via lyophilized milk based solid dispersion formulations

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PURPOSE

To investigate the enhancement of aqueous solubility of efavirenz by formulating lyophilized milk based solid dispersion.

RESULTS

Physicochemical Characterization

Table 1: Saturation Solubility Studies of Efavirenz and its Solid Dispersions

<table>
<thead>
<tr>
<th>Formulation</th>
<th>Lyophilized milk (w/v)</th>
<th>Saturation solubility (µg/mL)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Pure efavirenz</td>
<td></td>
<td>7.93 ± 0.12</td>
</tr>
<tr>
<td>EM-1</td>
<td>1:1</td>
<td>537.1 ± 1.09</td>
</tr>
<tr>
<td>EM-2</td>
<td>1:2</td>
<td>82.34 ± 0.78</td>
</tr>
<tr>
<td>EM-3</td>
<td>1:3</td>
<td>111.57 ± 0.92</td>
</tr>
<tr>
<td>EM-4</td>
<td>1:4</td>
<td>97.07 ± 1.15</td>
</tr>
</tbody>
</table>

Figure 1: Schematic representation of the methodology adapted for the preparation of solid dispersion

Figure 2: Microscopic and SEM views of (A) Pure EFZ and (B) EM-3

Figure 3: FTIR spectra of (A) Pure EFZ (B) Skimmed milk (C) PM (D) EM-3

Figure 4: DSC thermograms of (A) Pure EFZ (B) Skimmed milk (C) Physical mixture (D) EM-3

Figure 5: PXRD spectra of (A) Pure EFZ (B) PM (C) EM-3

Figure 6: In-vitro dissolution study of EFZ, EM-1, 2, 3 and 4

Figure 8: In-vitro dissolution profiles of the prepared EM-3 formulation before and after stability study (6 months) in phosphate buffer

Figure 9: Ex-vivo permeability profiles of the prepared EM-3 formulation before and after stability study (6 months)

CONCLUSION

Study revealed the enhancement of the aqueous solubility, in vitro drug release as well as the permeability of model drug Efavirenz by the formulation of solid dispersion using Skimmed Milk.

Enhancement of aqueous solubility of Efavirenz may improve the Pharmacokinetic profile of it and will be beneficial for safe and cost effective treatment of HIV patients.

Acknowledgement

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