Preparation and Evaluation of Tenofovir Loaded Eudragit RL and Eudragit RS Nanoparticle Formulation

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Tenofovir belongs to a class of antiretroviral drugs known as nucleotide analogue reverse transcriptase inhibitors (NRTIs). The mechanism of action of Tenofovir involves blocking of reverse transcriptase, an enzyme which is crucial to viral production. Due to high water solubility of Tenofovir, drug entrapment will be a major problem. The present study was aimed to formulate Tenofovir nanoparticles using Eudragit RL & RS polymer and to study the effect of varying polymer ratio on drug entrapment efficiency and to increase the entrapment efficiency of the formulation. The choice of polymer is done due to its ability to buffer pH variations. Nanoparticles are prepared by double emulsion (W/O/W) technique and solvent evaporation followed by high pressure homogenization. The nanoparticles are To prevent aggregation, drug leakage the nanoparticles are lyophilised by using Mannitol as cryoprotectant agent in the ratio of (1:1) with respect to polymer. The increase in polymer ratio from 1:10 to 1:60 has resulted in increasing the entrapment efficiency of the Eudragit RL formulation from 0.16% w/w to 11.55%w/w without adversely affecting the particle size, polydispersity index and zeta potential which was 325nm, 0.351 and 47.7mV respectively. For Eudragit RS formulation the drug entrapment efficiency increased from 0.92% w/w to 11.12% w/w and particle size, polydispersity index, zeta potential found to be 187 nm, 0.209 and 30.9 respectively. The high zeta potential observed indicates the stability of formulation. Pre formulation studies involving IR and DSC have established the compatibility of excipients with drug.

Key words: Tenofovir, Eudragit RL & RS polymer, Double emulsion technique, Nanoparticles

References:


Figures:

**Results**

<table>
<thead>
<tr>
<th>Z-Average (d.nm): 231.8</th>
<th>Diam. (nm)</th>
<th>% Intensity</th>
<th>Width (nm)</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Peak 1: 274.4</td>
<td>96.8</td>
<td>141.0</td>
</tr>
<tr>
<td></td>
<td>Peak 2: 5146</td>
<td>3.2</td>
<td>513.6</td>
</tr>
<tr>
<td></td>
<td>Peak 3: 0.000</td>
<td>0.0</td>
<td>0.000</td>
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Result quality: Good