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Development of Efavirenz nanoparticle for enhanced efficiency of anti-retroviral therapy against HIV and AIDS

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Background

The FDA approved drug Efavirenz is a Non-Nucleoside Reverse Transcriptase Inhibitor (NNRTI) successful first line drug of choice in Highly Active Anti-Retroviral Therapy (HAART) for treatment of HIV and AIDS. It is poorly water soluble drug (10 g/ml) with 40-45% of bioavailability and administered as high doses 600-800 mg/day. Increase in solubility can enhance bioavailability; providing reduction of dose, resistance and harmful side effects.

Methods

Efavirenz nanoparticles are developed using methacrylate polymers (Eudragit E100) by emulsion solvent evaporation method (1:0.5, 1:1, 1:2 and 2:1 ratios) and the in-vitro evaluations such as particle size, morphology, solubility changes, drug release, compatibility and cytotoxicity tests are carried out.

Results

The particle size of 99-200 nm with narrow size distribution and surface charge (-52 V) shows high stability. The formulation with entrapment efficiency (75-90%) shows higher drug release profile 95-100% within 1 hour compared to 23%-58% of pure drug in water, 0.1N HCl and phosphate buffer pH 7.4 media. The DSC, TG-DSC, powder XRD and SEM morphology results reveal that there is solid transition from crystalline structure to amorphous state, which supports the solubility enhancement. The FT-IR gives the compatibility results for drug with other excipients. The Efavirenz nanoparticles subjected for in-vitro cytotoxicity and cell uptake studies using monocytes / macrophages (THP-1) proved better uptake (Flocytometry and Confocal microscope) of nanoparticles than free drug.

Conclusion

The solubility enhancement due to nanosizing helps in hastening the drug release and also increasing cell uptake, which helps in attaining high bioavailability with low dose of Efavirenz.

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