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News & Comments

Efavirenz Can Serve As an Effective Dose for HIV Therapy

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AIDS is a debilitating disease brought on by HIV infection. HIV is currently one of the deadliest epidemics in the world, posing significant economic, social, and political challenges. Nearly 26 million people had access to antiretroviral medicine as of June 30, 2020. In high-income nations, the number of child HIV-related mortality is almost zero. In the past 25 years, there have been significant advancements in the establishment of modern treatment policies, disease prevention, and diagnosis methods. Due to a shortage of appropriate paediatric dosage forms, about 90% of HIV-positive children do not have adequate access to antiretroviral medications. A first-line antiretroviral medication (ARV) for both adult and paediatric pharmacotherapy is Efavirenz (Sustiva®). It works by inhibiting HIV-1's non-nucleoside reverse transcription (NNRTI).

In this study nanoemulsion of Efavirenz was developed by homogenization under high pressure with the help of Box-Behnken design.

Lupin Ltd. India provided a free sample of the medication Efavirenz. Capryol 90 (propylene glycol mono caprylic) and Transcutol® HP (diethylene glycol monoethyl ether) were gifts from Gattefosse (Saint-Priest, Cedex, France). Due to its increased solubility, Capryol 90 was used in the oil phase of the emulsion formulation. Transcutol HP and Gelucire 44/14 were chosen as the surfactant and co-surfactant, respectively. A pneumatic pump, an interaction chamber, and a filter are included in the apparatus. Wistar albino adult rats from an institutional animal home were used in pharmacokinetic experiments. Each reading was given as the mean standard deviation of a minimum of four experimental trials.

As described in the technique section, a nanoemulsion was created. 17 batches were created following the advice of the experimental design software. The difference between the percentage transmittance and PDI was predicted using RSM. The final formulas were established after taking independent parameters' effects on the reaction into account. For examining the physical characteristics of the oil droplets, negatively stained samples were studied under TEM. Pharmacokinetic analysis (non-compartmental model) was used to assess the plasma parameters following oral administration. Aqueous suspension and Efavirenz nanoemulsion analytical findings were displayed. Based on AUC data, the relative bioavailability of Efavirenz following oral administration as a nanoemulsion and aqueous suspension was standardized. The samples were examined at intervals of 0, 1, 2, 3, and 6

months about the changes in globule size, potential aswell as % drug remaining.

This study improves the oral bioavailability of the BCS class II anti-HIV drug Efavirenz. Medium-chain fatty acid esters of propylene glycol were used to create an oral nanoemulsion, along with a mixture of gelucire and transcutol surfactants. Comparing the adjusted formulation to the aqueous suspension formulation, the oral bioavailability was significantly increased. Studies on cytotoxicity demonstrated that the formulation is safe.

JOURNAL REFERENCE

Kotta, S., A.W. Khan, S.H. Ansari, R.K. Sharma and Y.T. Kamal *et al.,* 2022. Efavirenz nanoemulsion: Formulation optimization by box-behnken design, *in vivo* pharmacokinetic evaluation and stability assessment. Int. J. Pharmacol., 18: 732-745.

KEYWORDS

Nanoemulsion, surfactants, Efavirenz, AIDS box-behnken, bioavailability, pharmacokinetics