

Morphological and Physicochemical Evaluation of Modafinil-Eudragit RS100 Nanoformulations Prepared by Electrospray Method

Sevil Selselehjonban^a, Mohammad Barzegar-jalali^a, Khosro Adibkia^{a,*}

Abstract

Introduction: Modafinil is a wake-promoting agent approved by FDA for the treatment of narcolepsy and shift work sleep disorder. Due to its insolubility in water, the drug exhibits low oral bioavailability. Studies have shown that formulating poorly-soluble drugs as nanoparticles can improve the drugs physicochemical properties. Electrospraying is an uncomplicated and cost-benefit method for preparing nanoparticles that can easily be scaled up.

Methods and Results: Modafinil and Eudragit RS100 were co-dissolved in methanol and acetone (1:1) with the drug: polymer ratios of 1:5 and 1:10 at various total solution concentrations (10%, 15% and 20%). The solutions were injected through a capillary tube on a Teflon screen at a rate of 2 ml/h. A voltage of 20-25 kV was applied between the injection needle and the Teflon screen. The particle size and morphology of resultant nanoparticles and nanofibers were evaluated via scanning electron microscope (SEM). Thermal behavior and crystallinity of the samples were studied by differential scanning calorimeter (DSC) and Powder X-ray diffraction (PXRD). Fourier transform infrared spectroscopy (FTIR) was used to determine any possible interaction between the drug and the polymer. In vitro drug release profile was studied at a pH of 6.8 via USP apparatus II.

SEM results suggested that solutions with lower concentration created nanoparticles (100-300 nm) while increasing the concentration resulted in formation of nanofibers (50-100 nm). DSC and PXRD analysis revealed that electrosprayed Modafinil was transferred from crystalline to amorphous state. FTIR results indicated that hydrogen bonds might have formed between the drug and polymer. Drug release profile revealed that electrospraying process modified drug release pattern. Some of the formulations managed to increase the release rate whereas other formulations resulted in slower release.

Conclusions: Electrospraying is a suitable method for fabricating nanofibers and nanoparticles of Modafinil. The resultant nanoformulations show properties such as reduced size, decreased crystallinity and modified release rate, thus help Modafinil to have higher oral bioavailability.

Key words: Modafinil, Eudragit RS100, nanoparticles, electrospraying

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Authors' Affiliations:

^a Drug Applied Research Center and Faculty of Pharmacy, Tabriz University of Medical Sciences, Tabriz, Iran

Abstract Presenter:

Sevil Selselehjonban; PharmD student; Drug Applied Research Center and Faculty of Pharmacy, Tabriz University of Medical Sciences, Tabriz, Iran
E-mail: sevil.ssj@gmail.com

*Correspondence:

Khosro Adibkia; Ph.D.; Drug Applied Research Center and Faculty of Pharmacy, Tabriz University of Medical Sciences, Tabriz, Iran
E-mail: adibkia@tbzmed.ac.ir