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Amelioration of bioavailability through formulating and optimizing Azilsartan Entrapped nanostructured lipid carriers and its pharmacokinetic assessment

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ABSTRACT

Azilsartan is a typical BCS class II medication having good permeability but poor solubility, making it an excellent option for use as a model drug in our nanostructured lipid carrier study. Our major research goal was to construct and produce Azilsartan Nanostructured Lipid Carriers using a heated high-pressure homogenization approach in order to improve oral bioavailability. 3D Response surface plots show a visual representation of the relationship between the response variables and the set of controlled variables. The attainment of the objective was supported by the evaluation parameters profile, in-vitro drug release profile, and accelerated stability study of the optimised 2^3 full factorial design formulation. An optimised batch of AZL-NLCs displayed a particle size of 274.2 nm, a Zeta potential of −22.9 Mv, and an entrapment efficiency of 88%. The AZL-NLC's formulation had a biphasic pattern of release, with the burst release at the start, trailed by a steady release for up to 72 h. With a value of $n > 0.5$, the release curve was found to follow the Higuchi model, indicating a non-Fickian drug release mechanism with *in-vivo* pharmacokinetic experiments in Azilsartan-loaded NLCs showed a rise in $t_{1/2}$, $AUC_{0-\infty}$, and C_{max} compared to free drugs, indicating that bioavailability has been improved while elimination (K_{el}) has been reduced, implying that Azilsartan's action has been prolonged by loading in NLCs. These positive results show that designed AZL-NLCs for oral delivery have a lot of promise and could be a useful strategy for increasing bioavailability and hence improving therapeutic outcomes.

1. Introduction

Azilsartan medoxomil (AZL) is a prodrug which is a blocker of angiotensin receptor II and is so used in the treatment of hypertension [1]. It is believed to antagonise the angiotensin II type 1 receptor for a long time. The effects produced by Azilsartan medoxomil are powerful vasoconstriction and also stimulate aldosterone production and release [2]. One of the major risk factors for cardiovascular disease is hypertension [3].

The main challenge with Azilsartan is that it is practically insoluble in water [4]. The rate-limiting phase in the absorption process is usually dissolving it from its accessible dosage form after oral administration, which is an important factor in its bioavailability [5]. In order to solve the difficulties of water solubility and boost the dissolution rate of hydrophobic medicines, numerous formulation techniques are available [6–8]. Although micronization, penetration enhancers or co-solvents, the surfactant dispersion method, salt creation, and other traditional procedures exist, their main drawbacks are their limited benefits in improving solubility for poorly soluble pharmaceuticals. Also, precipitation, toxicity, and reduced pharmacological action are further drawbacks of traditional approaches [9–11].

Lipid nanoparticles bring together the benefits of lipids with nanoparticles [12,13]. NLCs are both biocompatible and biodegradable [14]. When it comes to BCS class-II pharmaceuticals, NLCs seem to be the

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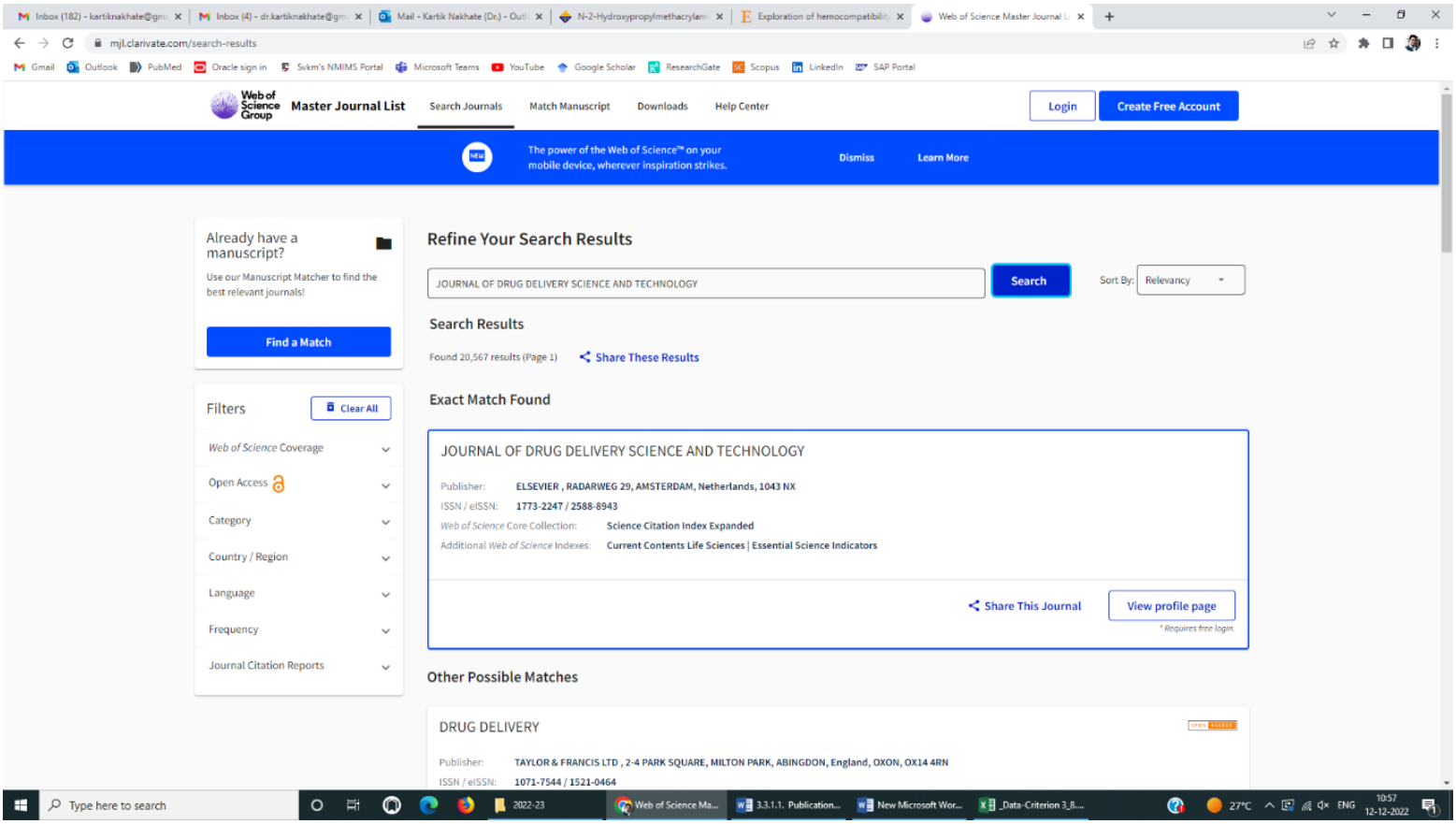
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