

## Preparation and characterization of nanoemulsion of amiloride for nose to brain delivery

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### Abstract

As a common human disorder, epilepsy affects about 0.5 – 1.0 % of the population worldwide. In many patients with epilepsy, seizures are well-controlled with currently available anti-epileptic drugs, but around 35% of patients with epilepsy continue to have seizures despite carefully optimized drug treatment [1]. The rapidity by which a medication can be delivered to the systemic circulation and then to the brain plays a significant role in reducing the time needed to treat seizures and reduce opportunity for damage to the CNS [2]. In recent years, nose-to-brain delivery of drug moieties has been attempted by several researchers to exploit the advantages of this route such as the rapid drug absorption rate, high permeability of the nasal epithelium, allowing a higher molecular mass cut-off at approximately 1000 Da, circumvention of the blood-brain barrier, avoidance of hepatic first-pass metabolism, practicality and convenience of administration and non-invasive nature [3, 4]. Accumulating evidence indicates that Amiloride (a potassium-sparing diuretic) exerts the anticonvulsant action in various in vivo and in vitro experiments and the intracellular acidification appears to be a primary mechanism for its anticonvulsant action [5].

The aim of current investigation was to prepare and characterize a novel nanoemulsion of amiloride for the treatment of epilepsy by nose-to-brain delivery. Mucoadhesive nanoemulsion was developed to exploit its advantages, such as low particle size, enhanced permeability across nasal mucosa, ability to incorporate varying ingredients, which would allow targeting of the solubilized moiety and higher retention effects, in turn providing an enhanced drug action [6].

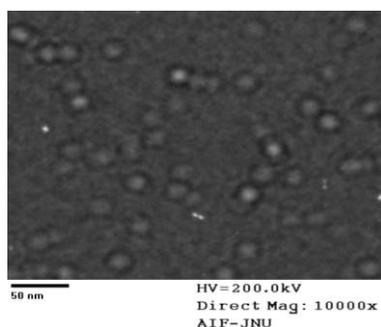


Fig. 1: TEM image of Nanoemulsion

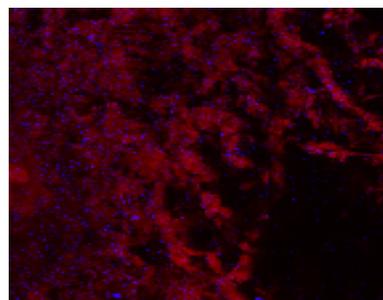


Fig. 2: Confocal Microscopic image showing permeation of nanoemulsion in to the nasal mucosa

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