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Improving brain bioavailability through intranasal delivery of riluzole nanoemulsion: Formulation, development and pharmacokinetic studies

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Background: Amyotrophic Lateral Sclerosis (ALS), a motor neuron disease (MND), is a progressive neurodegenerative disorder characterized by the deterioration of both upper and lower motor neurons. Only one drug (riluzole) has been approved for the treatment of ALS. Riluzole is a BCS class II drug having 60% absolute bioavailability. It is a substrate of P-glycoprotein and BBB restricts its entry in brain.

Objective: This investigation was aimed to develop O/W nanoemulsion system of riluzole to improve its brain bioavailability.

Methods: Riluzole loaded nanoemulsion was prepared by phase titration method. It was consisting of 3% w/w Sefsol 218, 28.3% w/w Tween 80:Carbitol (1:1) and 68.7% w/w water. It was characterized for globule size, globule size distribution, transmittance, viscosity, pH, zeta potential, conductivity and nasal ciliotoxicity study. Thermodynamic stability and room temperature stability of prepared nanoemulsion formulation were evaluated. Pharmacokinetic and brain uptake study was carried out using albino rats (Wistar) post intranasal and oral administration.

Results: Riluzole loaded nanoemulsion was having a globule size of 23.92 ± 0.52 nm. It was free from nasal ciliotoxicity and stable for 3 months. Brain uptake of riluzole post intranasal administration of riluzole loaded nanoemulsion was significantly ($P < 4.10 \times 10^{-6}$) higher when it was compared with oral administration of riluzole loaded nanoemulsion.

Conclusion: This study indicates that nanoemulsion of riluzole for intranasal administration could be a promising approach for the treatment of ALS to minimize the dose of riluzole in order to avoid dose related adverse events.

Biography

Ravish J Patel is working as an Assistant Professor in Ramanbhai Patel College of Pharmacy. He is pursuing his PhD from Charotar University of Science and Technology, Changa. He has published 06 papers in reputed journals like *Journal of Controlled Release*, *Current Drug Delivery* etc.

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