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Solubility characterization of didanosine using shake flask and intrinsic dissolution methods: Application for biopharmaceutical classification

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The solubilization of a drug orally administered is a mandatory step for its permeation. Two methods have been described in the literature for solubility characterization: shake flask and intrinsic dissolution. Although some values of solubility can be found in the literature, this characterization is not clear for didanosine (ddI). Thus, the solubility of ddI was evaluated using the shake flask and intrinsic dissolution methods. Buffer solutions were prepared at pH 1.2, pH 4.5, pH 6.8, pH 7.5 and purified water. In the shake flask method, ddI was added in each media (150 rpm at 37°C for 72h). For intrinsic dissolution method, the compound was compacted into the wood's apparatus matrix and subjected to dissolution in each media (50 rpm at 37°C up to 150 min). The results obtained in shake flask method showed that 139.37 mL (pH 1.2), 87.72 mL (pH 4.5), 12.54 mL (pH 6.8), 4.09 mL (pH 7.5) and 7.65 mL (purified water) were necessary for drug solubilization. In addition, a very fast intrinsic dissolution rate was obtained for each media: 0.1 mg/min/cm² (pH 1.2), 0.2 mg/min/cm² (pH 4.5), 0.2 mg/min/cm² (pH 6.8), 0.1 mg/min/cm² (pH 7.5) and 0.1 mg/min/cm² (purified water). Results from both methods are in accordance, but some differences in dose strength can explain divergences in the solubility. For intrinsic dissolution, the dose strength is not considered and does not interfere on the dissolution profile. Based on these results, ddI is highly soluble, considering dose:solubility ratio <250 mL and dose number (D0) ≤1 for shake flask method and intrinsic dissolution rate greater than 0.1 mg/min/cm^2. Furthermore, the intrinsic dissolution method can be used for early drug development regarding solubility characterization.

Biography

Cristina Helena Dos Reis Serra is an expert in Pharmacy with emphasis on bio-pharmaceutics considering the following topics: "Gastrointestinal drug absorption, drug solubility and permeability, bioequivalence and oral bioavailability, *in vitro-in vivo* correlation (IVIVC) and pharmaceutical development". Currently, she is a Professor at Faculty of Pharmaceutical Sciences, University of Sao Paulo, Brazil.

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