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Formulation and Characterization of Self-Emulsifying Drug Delivery Systems to Improve the Oral Delivery of Tobramycin

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SUMMARY. **This study was aimed to formulate and characterize self-emulsifying drug delivery systems (SEDDS) to enhance the oral delivery of biopharmaceutical classification system (BCS) class III drug tobramycin (TOB). The lipophilicity of TOB was enhanced by forming the hydrophobic ion pairs (HIPs). HIPs of TOB were formed using retinoic acid (RTA) as counter ion. HIPs were evaluated by measuring their incorporation efficiency and log P determination. Afterwards, HIPs of TOB were loaded into SEDDS and were characterized regarding droplet size, zeta potential. HIPs of TOB with RTA revealed the greatest incorporation efficiency at a molar ratio of 1:5. Lipophilicity of HIPs of TOB increased up to700-fold. Zeta potential of TOB was altered from positive to negative during complex formation. HIPs of TOB were successfully incorporated into SEDDS formulations. Finding of this study suggested that SEDDS was successfully formulated and BCS class III drug was incorporated by improving its lipophilic behavior by hydrophobic ion pairing (HIP).**

RESUMEN. Este estudio tuvo como objetivo formular y caracterizar los sistemas de administración de fármacos autoemulsionantes (SEDDS) para mejorar la administración oral del sistema de clasificación biofarmacéutica (BCS) del fármaco de clase III tobramicina (TOB). La lipofilia de TOB se mejoró al formar el apareamiento de iones hidrofóbicos (HIP). Se formaron HIP de TOB usando ácido retinoico (RTA) como contraión. Los HIP se evaluaron midiendo su eficiencia de encapsulación y determinación de log P. Posteriormente, los HIP de TOB se cargaron en SEDDS y se caracterizaron según el tamaño de las gotas y el potencial zeta. Los HIP de TOB con RTA revelaron la mayor eficiencia de incorporación en una relación molar de 1:5. La lipofilicidad de las HIP de TOB aumentó hasta 700 veces. El potencial zeta de TOB se alteró de positivo a negativo durante la formación del complejo. Los HIP de TOB se incorporaron con éxito en las formulaciones de SEDDS. Los hallazgos de este estudio sugirieron que SEDDS se formuló con éxito y se incorporó el fármaco BCS clase III al mejorar su comportamiento lipofílico por HIP.

KEY WORDS: BCS class III drug, hydrophobic ion pairs, oral drug delivery, self-emulsifying drug delivery systems (SEDDS), tobramycin.

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