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2-Methoxyestradiol Self-Microemulsifying Drug Delivery System: Preparation, Characterization, and Evaluation *In Vitro* and *In Vivo*

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***SUMMARY*. 2-methoxyestradiol (2-ME) is an effective oral antitumor drug. Because of the poor solubility and low bioavailability, its application is restricted. In order to tackle the problems, a 2-ME self-microemulsifying drug delivery system (2-ME-SMEDDS) was prepared, characterized, and evaluated *in vitro* and *in vivo* for the first time. After dilution, the 2-ME-SMEDDS could form fine droplets. Cytotoxicity assay demonstrated that the IC50 of 2-ME-SMEDDS against MCF-7 cells was lower than that of 2-ME solution and the effect of blank SMEDDS was negligible. The C_{max} and $AUC_{(0\text{-}10\ h)}$ of 2-ME in SMEDDS group were approximately 10- and 6-fold higher than that in 2-ME suspensions group, respectively. Compared to 2-ME suspensions, 2-ME-SMEDDS significantly enhanced the oral bioavailability of 2-ME ($p < 0.01$). In conclusion, the novel 2-ME-SMEDDS prepared with a simple process may be a promising preparation due to its higher oral bioavailability and high store stability in the future.**

RESUMEN. 2-metoxiestradiol (2-ME) es un fármaco antitumoral oral eficaz. Debido a la escasa solubilidad y baja biodisponibilidad, su aplicación está restringida. Para abordar los problemas, se preparó, caracterizó y evaluó *in vitro* e in vivo un sistema de administración de fármacos auto-microemulsificantes 2-ME (2-ME-SMEDDS). Después de la dilución, los 2-ME-SMEDDS podrían formar gotas finas. El ensayo de citotoxicidad demostró que la CI50 de 2-ME-SMEDDS contra las células MCF-7 fue inferior a la de la solución de 2-ME y el efecto de SMEDDS en blanco fue insignificante. La C_{max} y el $AUC_{(0\text{-}10\ h)}$ de 2-ME en el grupo de SMEDDS fueron aproximadamente 10 y 6 veces mayores que en el grupo de suspensiones de 2-ME, respectivamente. En comparación con las suspensiones 2-ME, 2-ME-SMEDDS mejoró significativamente la biodisponibilidad oral de 2-ME ($p < 0,01$). En conclusión, la nueva 2-ME-SMEDDS preparada con un proceso simple puede ser una preparación prometedora debido a su mayor biodisponibilidad oral y alta estabilidad en el almacén en el futuro.

KEY WORDS: Bioavailability, Cytotoxicity, 2-ME, Self-microemulsifying drug delivery system, Stability.

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