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A Submicron Emulsion for Intravenous Injection of 7-Ethyl-10-Hydroxycamptothecin: Characterization, Pharmacokinetic and Biodistribution Studies, *In Vitro* and *In Vivo* Antitumor Effect

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***SUMMARY*. The aim of this study was to prepare a submicron emulsion of 7-ethyl-10-hydroxycamptothecin (SN38-SME) for intravenous administration and to investigate its therapeutic efficacy. Submicron emulsion of 7-ethyl-10-hydroxycamptothecin (SN38-SME) was prepared using high pressure homogenization method. The encapsulation efficiency, particle size, zeta potential, and morphology were characterized and *in vivo* antitumor efficacy of the SN38-SME was investigated using sarcoma-180 (S-180) bearing mice model. SN38-SME displayed an average particle size of 152.4 ± 4.9 nm, zeta potential of -22.20 ± 1.32 mV, and high encapsulation efficiency (over 90%). SN38-SME showed enhanced *in vitro* cytotoxicity and superior antitumor *in vivo* efficacy compared to free drug. The half-lives of SN38-SME were prolonged, and the C_{max} and AUC of SN38-SME were higher than that of the CPT-11 solution. Moreover, mice administered with SN38-SEM have increased SN38 levels in tumor and plasma. SN38-SME represents a promising formulation for the administration of hydrophobic drugs via intravenous injection.**

RESUMEN. El objetivo de este estudio fue preparar una emulsión submicrónica de 7-etil-10-hidroxi camptotecina (SN38-SME) para la administración intravenosa e investigar su eficacia terapéutica. La emulsión submicrónica de 7-etil-10-hidroxi camptotecina (SN38-SME) se preparó utilizando el método de homogeneización a alta presión. Se caracterizaron la eficiencia de encapsulación, el tamaño de partícula, el potencial zeta y la morfología y se investigó la eficacia antitumoral *in vivo* de la SN38-SME en el sarcoma-180 (S-180) en modelo de ratón. SN38-SME muestra un tamaño de partícula medio de 152,4 ± 4,9 nm, un potencial zeta de -22,20 ± 1,32 mV y alta eficiencia de encapsulación de más del 90%. SN38-SME mostró una mayor citotoxicidad *in vitro* y la eficacia antitumoral *in vivo* fue superior en comparación con el fármaco libre. La vida media de SN38-SME se prolongó y la C_{max} y AUC de SN38-SME fueron mayores que la de la solución de CPT-11. Por otra parte, los ratones administrados con SN38-SEM aumentaron los niveles en SN38 tumor y plasma. SN38-SME representa una formulación prometedora para la administración de fármacos hidrófobos a través de inyección intravenosa.

KEY WORDS: antitumor efficacy, high pressure homogenization method, intravenous administration, *in vitro* cytotoxicity.

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