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Pharmacokinetics of Celastrol in Rat Plasma after Intravenous Administration by UPLC-MS/MS

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***SUMMARY*. Celastrol (also known as southern snake vine) comes from the traditional Chinese medicine *Tripterygium wilfordii* Hook. f. Celastrol has a wide range of pharmacological activities, including anti-inflammatory, pro-apoptosis, anti-cancer, lipid-lowering weight loss, liver protection and protection of myocardial defects. In this study, we used UPLC-MS/MS to detect celastrol in rat plasma, and investigated its pharmacokinetics in rats. Six rats were given celastrol (1 mg/kg) by intravenous (iv) administration. The blood (100 μL) was withdrawn from the caudal vein at 5, 30 min, 1, 2, 4, 6, 8, 12, and 24 h after administration. Chromatographic separation was achieved using a UPLC BEH C18 column using mobile phase of methanol-0.1 % formic acid with gradient elution. Electrospray ionization (ESI) tandem mass spectrometry in multiple reactions monitoring (MRM) mode with positive ionization was applied. Intra-day and inter-day precision RSD of celastrol in rat plasma were lower than 15%. The method was successfully applied in the pharmacokinetics of celastrol in rats after intravenous administration. The $t_{1/2}$ of celastrol is 5.0 ± 2.5 h, which indicates the quick elimination.**

RESUMEN. El celastrol (también conocido como vid de serpiente del sur) proviene de la planta usada en medicina tradicional china *Tripterygium wilfordii* Hook. F. Celastrol tiene una amplia gama de actividades farmacológicas, que incluyen antiinflamatorias, pro-apoptosis, anticancerígenas, hipolipemiante, hepatoprotección y prevención de defectos miocárdicos. En este estudio utilizamos UPLC-MS/MS para detectar celastrol en plasma de rata e investigamos su farmacocinética en ratas. Seis ratas recibieron celastrol (1 mg/kg) por administración intravenosa (iv). La sangre (100 μL) se retiró de la vena caudal a los 5 y 30 min, 1, 2, 4, 6, 8, 12 y 24 h después de la administración. La separación cromatográfica se logró usando una columna UPLC BEH C18 con fase móvil de metanol-ácido fórmico al 0,1% y gradiente de elución. Se aplicó espectrometría de masas en tándem de ionización por electropulverización (ESI) en modo de monitoreo de reacciones múltiples (MRM) con ionización positiva. La RSD de precisión intradiaria e interdiaria de celastrol en plasma de rata fue inferior al 15%. El método se aplicó con éxito en la farmacocinética de celastrol en ratas después de la administración intravenosa. El $t_{1/2}$ de celastrol es 5.0 ± 2.5 h, lo que indica su eliminación rápida.

KEY WORDS: celastrol, pharmacokinetics, rat, UPLC-MS/MS

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