Wenzheng Ju et al., J Bioequiv Availab 2015, 7:5
http://dx.doi.org/10.4172/0975-0851.S1.021

OMICS International
conferenceseries.com

6th World Congress on
Bioavailability & Bioequivalence:
BA/BE Studies Summit

August 17-19, 2015 Chicago, USA

Oral bioavailability and gender-related pharmacokinetics of celastrol following administration of pure celastrol and its related tablets in rats

Wenzheng Ju and **Jun Zhang**
Jiangsu Provincial Hospital of Traditional Chinese Medicine, China

Celastrol is a natural compound extracted from the traditional Chinese medicinal herb, Thunder God Vine (TGV). Owing to its potential anti-inflammatory and anti-tumor effects, celastrol has been considered as a promising candidate for drug development. Sprague–Dawley rats were administrated an intravenous dose (100 μg·kg-1) of pure celastrol and an oral dose (1000 μg·kg-1) of pure celastrol and TGV tablets (corresponding to 534 μg·kg-1 of celastrol), respectively. At different time points, the concentration of celastrol in rat plasma was determined by a sensitive and well-validated LC–MS/MS method. Main pharmacokinetic parameters including *AUC*, C_{max}, *T*max and *MRT* were estimated. The oral absolute bioavailability of celastrol significantly increased from 17.06% for pure celastrol to 94.19% for TGV tablets containing equivalent celastrol. After oral administration of TGV tablets, the C_{max} and AUC values of celastrol in female rats were (32.03±8.41) μg·L-1 and (379.49±118.19) μg·h·L-1, which was significantly higher ($p<0.01$) than that in males with the values of (14.31±7.33) μg·L-1 and (188.17±92.33) μg·h·L-1.Celastrol administered orally in the rat was poorly absorbed into the systemic circulation. However, the poor absorption of celastrol could be greatly improved when celastrol-containing TGV tablets orally administered, and thereby the oral bioavailability of celastrol was significantly increased. As for gender difference, female rats showed significantly better absorption of celastrol than males. Plasma concentration–time profiles of celastrol following (a) intravenous injection and (b) oral administration of pure celastrol standard in female rats, as well as oral administration of TGV tablets in (c) female and (d) male rats.

Biography

Wenzheng Ju, Chief Pharmacist, is now the Director of Clinical Pharmacology Laboratory at affiliated Hospital of Nanjing University of Chinese Medicine. He is also the Doctoral Supervisor at Nanjing University of Chinese Medicine. He obtained his Bachelor's degree from Nanjing University of Chinese Medicine (1984-1988). He continued his education at China Pharmaceutical University in 1996 and received his Master's degree in 2000. Thereafter, he completed his Doctoral education at Nanjing University during 2002-2006, supervised by Professor Ren Xiang Tan. His major field of research interest is the pharmacokinetics (PK) of traditional Chinese medicine (TCM).

wzhju333@163.com

Notes: