Pharmacokinetic analysis of enantiomers in Venlafaxine and O-desmethylvenlafaxine

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Introduction Venlafaxine (VEN) has been used in many countries since 1993, however, VEN was introduced to clinical setting in 2015 in Japan and pharmacokinetic data of VEN in Japanese has not been so much accumulated. VEN is available as a racemic mixture of S-(+)-VEN and R-(-)-VEN, and these enantiomers have different pharmacological properties. **Patients and Methods** Subjects were 41 Japanese patients treated with racemic VEN more than 1 week. Steady-state plasma concentrations of VEN, ODV, S-VEN, R-VEN, S-ODV and R-ODV were measured using the high-performance liquid chromatography. Spearman rank correlation tests were used. **Results** Positive and significant correlations were found between the daily dose of VEN corrected for body weight and the steady-state plasma concentrations of VEN, ODV, S-VEN, R-VEN, S-ODV and R-ODV, respectively ($P<0.05$). An R-ODV/R-VEN was significantly higher than S-ODV/S-VEN ($p<0.05$). **Conclusion** The plasma concentrations of VEN, ODV, S-VEN, R-VEN, S-ODV and R-ODV were positively correlated with the daily dose of VEN. R-desmethylation of VEN showed enantioselectivity over S- desmethylation of VEN.