Tolterodine, a muscarinic receptor antagonist indicated for the treatment of overactive bladder, is mainly metabolized by CYP2D6 to 5-hydroxymethyl tolterodine (5-HM) which has the comparable pharmacological effects with tolterodine. Active moiety concentration, sum of unbound tolterodine and 5-HM concentrations is an indicator to estimate pharmacological effects of this drug. Regarding pharmacokinetics in PMs of CYP2D6, 5-HM is seldom detected in serum while tolterodine concentration is higher than that in EMs. The exposure of active moiety was comparable between EMs and PMs. It had been reported that the pharmacological effects and clinical effects in EMs and PMs were considered to be the same (Clin Pharmacol Ther. 63, 529-539, 1998 and Urology. 53, 990-998, 1999). It is known that frequency of CYP2D6*10 allele which is associated with possible reduced activity is higher in Asian population than Caucasians. In the present study, we investigated the influence of CYP2D6*10 on the pharmacokinetics of tolterodine and 5-HM. Tolterodine and 5-HM concentrations and CYP2D6 genotyping were measured in the pharmacokinetic study in Asian (Japanese and Koreans) and Caucasians. The allele frequency of CYP2D6*10 in Japanese and Korean subjects were approximately 30% and 50%, respectively, consistent with other reports. The exposures to tolterodine and 5-HM in the volunteers who had CYP2D6*10 allele were not different from the exposure in the subjects without CYP2D6*10 allele. Conclusively, CYP2D6*10 allele is considered not to influence on tolterodine and 5-HM pharmacokinetics and also the exposure of active moiety significantly.