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## **Detection of PPAR $\delta$ agonists GW1516 and GW0742 and their metabolites in human urine**

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### **Abstract**

Peroxisome proliferator-activated receptor- $\delta$  (PPAR $\delta$ ) agonists are the drug candidates with potential performance enhancing properties, and therefore their illegitimate use in sports should be under control. To simulate the metabolism of PPAR $\delta$  agonist GW0742 *in vitro* reactions were performed which demonstrated that the main metabolic pathway is oxidation of the acyclic divalent sulfur to give the respective sulfoxide and sulfone. After being characterized by liquid chromatography - mass spectrometry, these metabolites were evaluated in urine samples collected after a controlled excretion study. For comparative purposes GW1516 excretion study was also performed.

It has been shown that for reliable detection of GW1516 and GW0742 in urine the optimal targets are the bisoxygenated (sulfone) metabolites. Recommended analytical procedure is the analysis of enzymatically deconjugated urine by liquid chromatography- mass spectrometry. Using this procedure, GW1516-sulfone could be detected in urine up to 40 days after a single dose of 15 mg. For the same dose of GW0742 the detection period of sulfone metabolite is about 20 days. This could be explained by the fact that the abundance of urinary metabolites of GW0742 is approximately ten times lower. Until the sulfone metabolites become commercially available, the excretion urine samples may be used as positive control to confirm the suspicious urine samples in doping control analysis.

For the complete paper, please refer to:

Sobolevsky, T., Dikunets, M., Sukhanova, I., Virus, E. and Rodchenkov, G., Detection of PPAR $\delta$  agonists GW1516 and GW0742 and their metabolites in human urine. *Drug Test Analysis* (2012), 4: 754-760. doi: 10.1002/dta.1413