28AB-ISMS32 **Studies on Constitutive Androstane Receptor Activation of *Artemisia capillaris* and Coumarin Derivatives**

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Yin Chen Hao (*Artemisia capillaris Thunb*), long used to treat hepatic diseases and neonatal jaundice clinically, was found to enhance bilirubin clearance by activating constitutive androstane receptor (CAR). CAR, a nuclear receptor, is responsible for the regulation of drug metabolism as well as the pathological involvement of various diseases such as cancer, diabetes, inflammatory disease, metabolic disease and liver diseases. 6,7-Dimethoxylcoumarin (scoparone), a major coumarin of Yin Chin Hao, also exerted CAR activation activity both in vitro and in vivo. Therefore, this study was to evaluate CAR activation ability of the isolated compounds as well as to systematically synthesize coumarin derivatives to study structure activity relationship. Among the isolated compounds, coumarins and chromones all exhibit CAR activity while phenyl alkynes exhibit less activity. Among all the 6,7-substituted synthesized coumarins, 6,7-diprenoxycoumarin is the most effective for CAR activation. In vivo db/db mice study, scoparone significantly lowers blood sugar level without interference of insulin secretion, indicating anti-diabetic application of CAR activators. Besides, the newly established in vitro screening system provides a rapid method for discovery and development of CAR activators.