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•	Andrographolide
•	Microbial Transformation of Andrographolide and Biological Evaluation
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•	; Lin, Shwu-Jiuan; Yang, Li-Ming
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•	Andrographloide; Antioxidant; Microbial transformation; Nocardia sp.
•	Nocardia sp. andrographolide ( ) acetyl group 19- 3- 3,19- (2-4) hydroxylated ent-(14R)-3α,14,15,19-tetrahydroxy-labda- 8(20),12E-dien-16-oic acid-15-lactone (5) Nocardia sp. acetylation hydroxylation 2 4 4 14-OH andrographolide 3,14,19-O-triacetate (6) andrographolide 3,19-O-diacetyl-14-O-propionate (7) andrographolide 3,19-O- diacetyl-14-O-butyrate (8) andrographolide 3,19-O-diacetyl-14-O-valerate (9) andrographolide 3,19-O-diacetyl-14-O- isovalerate (10) andrographolide 3,19-O-diacetyl-14-O-trans-cinnamate (11) 14-deoxy-11,12-didehydroandrographolide 3,19-O-diacetate (12) DPPH superoxide anion 12 andrographolide
•	Utilizing Nocardia sp. to preparative-scale microbial transformation of andrographolide, the acetyl group is introduced into 19-, 3-, and 3,19- positions (2-4). A new hydroxyla- ted compound, ent-(14R)-3 \,14,15,19-tetra- hydroxy-labda-8(20),12E-dien-16-oic acid acid-15-lactone (5) is also obtained. This is the first report that Nocardia sp. could proceed acetylation and hydroxylation. In addition, chemical acetylation of andrographolide yielded metabolites 2 and 4. In order to prepare more andrographolide analogues for biological evaluation, chemical modification of 14-OH of 4 was carried out. Thus, andrographolide 3,14,19-O-tri-acetate (6), andrographolide 3,19-O-diacetyl-14-O- propionate (7), andrographolide 3,19-O- diacetyl-14-O-butyrate (8), andrographolide

3,19-O-diacetyl-14-O-valerate (9), androgra- pholide 3,19-O-diacetyl-14-O-isovalerate (10), andrographolide 3,19-O-diacetyl-14-O-trans-cinnamate (11) and 14-deoxy-11,12- didehydroandrographolide 3,19-O-diacetate (12) were obtained. By DPPH and superoxide anion scavenging testings, the results indicate that compound 12, 14-deoxy- 11,12-didehydroandrographolide 3,19-O-diacetate, displaces the antioxidant activity. These analogues will be tested for other biological activities, and used as reference standards for monitoring our continuing studies on the mammalian metabolism of andrographolide.