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• 中文摘要

口服消旋性去甲烏藥鹼(HG),尿液經純化得到八個結晶型代謝物,以 LC/MS 與 2D NMR 判定結構,其代謝物之非糖體光學決定,用酸水解後經對掌管柱與光學活性 HG 標準品比對。八個代謝物結構分別爲 S-(-)-HG-6,7-O- .beta.-D-diglu-curonide、S-(-)-HG-13-O-.beta.-D-glucuronide、R-(+) -HG-7-O-.beta.-D-glucuronide、R-(+)-HG-13-O-.beta.-D-glucuronide、S-(-)-HG-6-O-.beta.-D-glucuronide、R-(+)-HG-6-O-.beta.-D-glucuronide、S-(-)-HG-7-O-.beta.-D-glucuronide 及 S-(-)-HG-7-O-sulfate。由尿液發現(.plmin.)-HG 主要代謝以共軛爲主,且以單尿甘酸共軛物佔 85%;右旋 HG 主要共軛於 C-6-OH,而左旋 HG 主要共軛於 C-7-OH。而 R-(+)/S-(-)之代謝物比率在 C-6-及 C-7-OH,分別爲 3:1 及 1:10。去甲烏藥鹼於尿甘酸共軛之位置及光學異構選擇性具有明顯差異。

• 英文摘要

Eight urinary crystalline metabolites were isolated after oral administration. These metabolites were characterized by the LC/MS, and 2D NMR. After acid hydrolysis, the configuration of each HG metabolite was determined by using a chiral column and comparing with the optically active HG authentic samples. Eight metabolites were characterized as S-(-)-HG-6,7-O-.beta.-D-diglucuronide, S-(-)-HG-13-O-.beta.-D-glucuronide, R-(+)-HG-7-O-.beta.-D-glucuronide, R-(+)-HG-13-O-.beta.-D-glucuronide, S-(-)-HG-6-O-.beta.-D-glucuronide, R-(+)-HG-6-O-.beta.-D-glucuronide, S-(-) -HG-7-O-.beta.-D-glucuronide and S-(-)-HG-7-O-sulfate. Major glucuronidation occurred at the C-6 and C-7-OH about 40% and 45%, respectively. A great difference in stereoselective glucuronidation between the HG enantiomers was found. The ratios of R-(+)/S-(-) isomer of HG conjugation at the

C-6 and C-7-OH were about 30 and 1, respectively. HG was showed a regioselective and enantioselective metabolism in rabbit.