桂皮醯胺類衍生物之合成研究

Synthesis of Substituted Cinnamic Acid Amide Analogs

中文摘要

先前本實驗室己完成一系列的桂皮酸酯類衍生物之合成研究，其中以化合物 1,3-dicaffeoylpropandiol 11 的效果最佳，由其結論得知桂皮酸酯類衍生物結構以保有羥基(hydroxygroup) 之抗血小板凝集效果最佳。

一般而言，酯類化合物化性較不安定，爲增加化合物的安定性質，故擬以桂皮醯胺類取代桂皮酸酯類增加安定性，研究合成另一系列之桂皮醯胺類衍生物。

我們利用桂皮酸的衍生物 p-coumaric acid, ferulic acid, caffeic acid, p-methoxycinnamic acid 與脂肪性胺類及 phenylethylamine 反應而得七種產物 3-(p-hydroxy-trans-cinnamoylamido) propan-1,2-diol 33，3-(p-methoxy-trans-cinna-moylamido) propan-1,2-diol 34，3-(trans-feruloylamido)-propan-1,2diol 35，3-(trans-caffeoylamido)propan-1,2-diol 36，N-trans-caffeoyl-2-p-methoxyphenethylamine 40，N-trans-feruloyltyra-mine 41，N-feruloyl-2-p-methenethyl-amine 42。

此七種目的化合物經紅外光譜，氫譜，碳譜及質譜光譜分析確定其化學結構，其有關血小板凝集抑制之藥理作用正在評估試驗中。

英文摘要

A series of the cinnamic acid esters analogs had been reported in our lab. and the most potent compound was found to be 1,3-dicaffeoylpropandiol 11.

The result obtained from previous investigation illustrated that the hydroxy group remained in the structure will be more efficient in platelet aggregation inhibitory activity. Since esters were considered to be relatively unstable compounds, synthesis of amide analogs were attempted.

The substituted cinnamic acid derivatives including pcoumaric acid, ferulic acid, caffeic acid and p-methoxycinnamic acid, were reacted with a series aliphatic amines to yield seven substituted cinnamic acid amides. They were 3-(p-hydroxytrans-cinnamoylamido)propan-1,2-diol 33, 3-(p-methoxy-transcinnamoylamido)propan-1,2-diol 34, 3-trans-(feruloyl-amido)-propan-1,2-diol 35, 3-(trans-caffeoylamido)propan-1,2-diol 36, N-trans-caffeoyl-2-p-methoxyphenethylamine 40, N-trans-feruloyltyramine 41, N-feruloyl-2-p-methoxy-phenethylamine 42.

The structures of these seven compounds were consistent with the spectral data including UV, IR, 1H-NMR, 13C-NMR, and Mass spectra. The evaluation of platelet

aggregation inhibitory activity is under investigated.