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United States Patent [19][11] **Patent Number:** **5,319,112****Kingston et al.**[45] **Date of Patent:** **Jun. 7, 1994**

[54] **METHOD FOR THE CONVERSION OF CEPHALOMANNINE TO TAXOL AND FOR THE PREPARATION OF N-ACYL ANALOGS OF TAXOL**

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[51] **Int. Cl.⁵** **C07D 305/14**

[52] **U.S. Cl.** **549/510; 549/511**

[58] **Field of Search** **549/510, 511**

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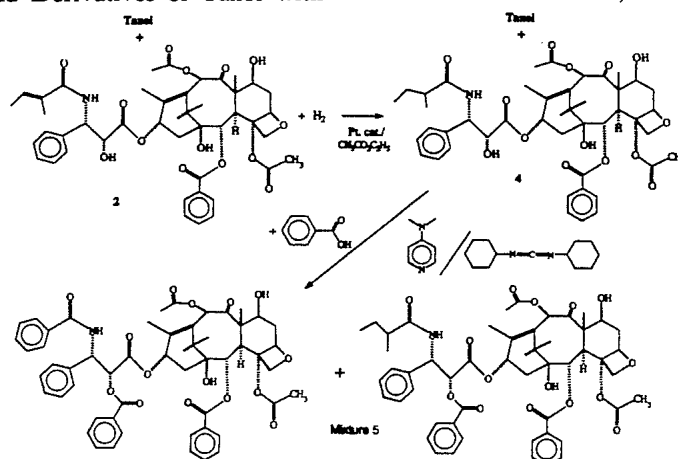
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[57] **ABSTRACT**

The natural product cephalomannine can be converted to the important anticancer natural product taxol by a simple process involving the steps of hydrogenation, benzoylation at the C-2'-position, protection of the C-7 position, and reaction with oxalyl chloride, followed by reaction with diphenylcarbodiimide and deprotection. The same process can be applied to mixtures of taxol and cephalomannine, thus obviating the need for the separation of these closely related compounds. In addition, the selection of an acylating reagent other than the benzoyl group allows the preparation of taxol analogs with other N-acyl substituents.

12 Claims, 6 Drawing Sheets



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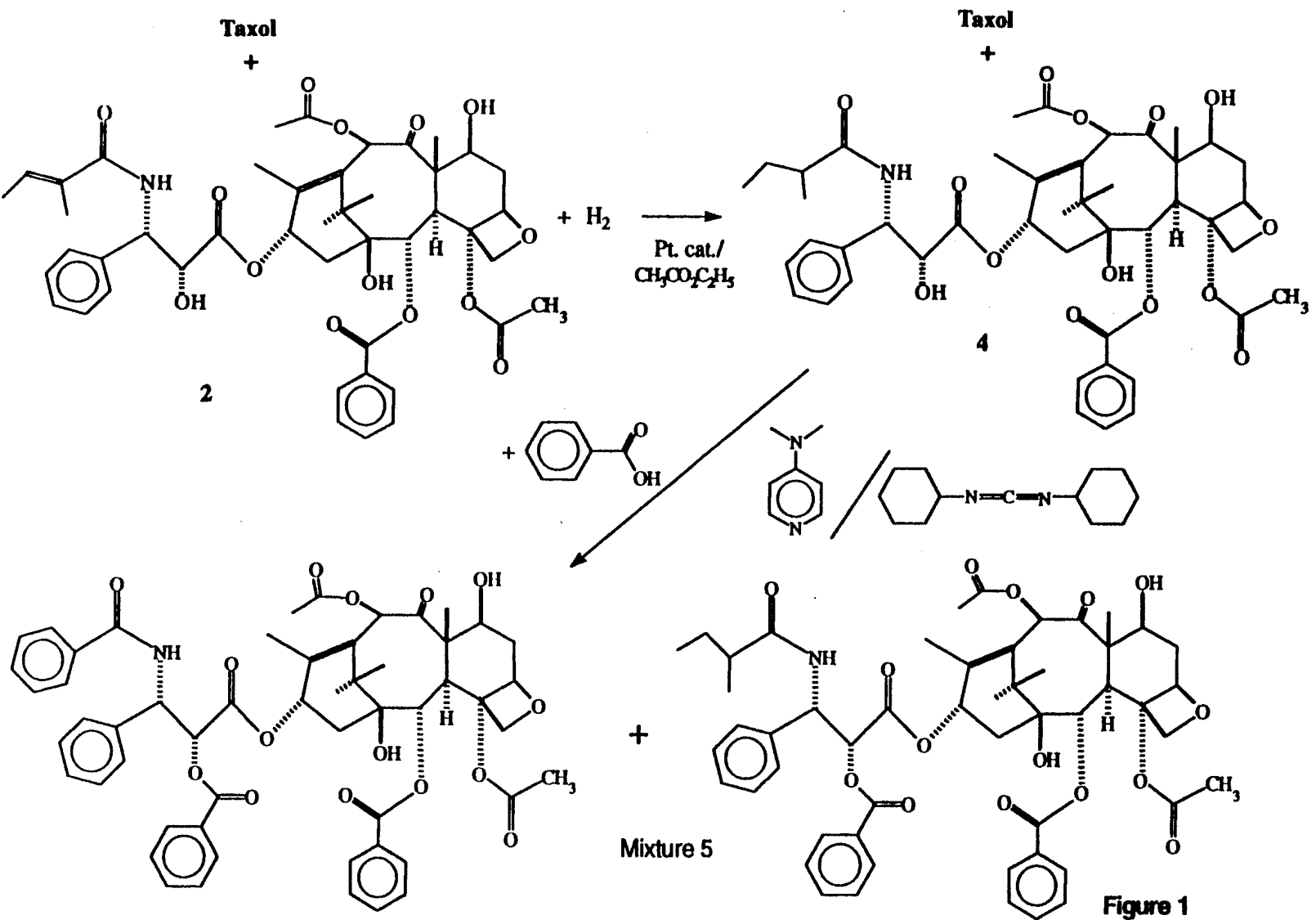
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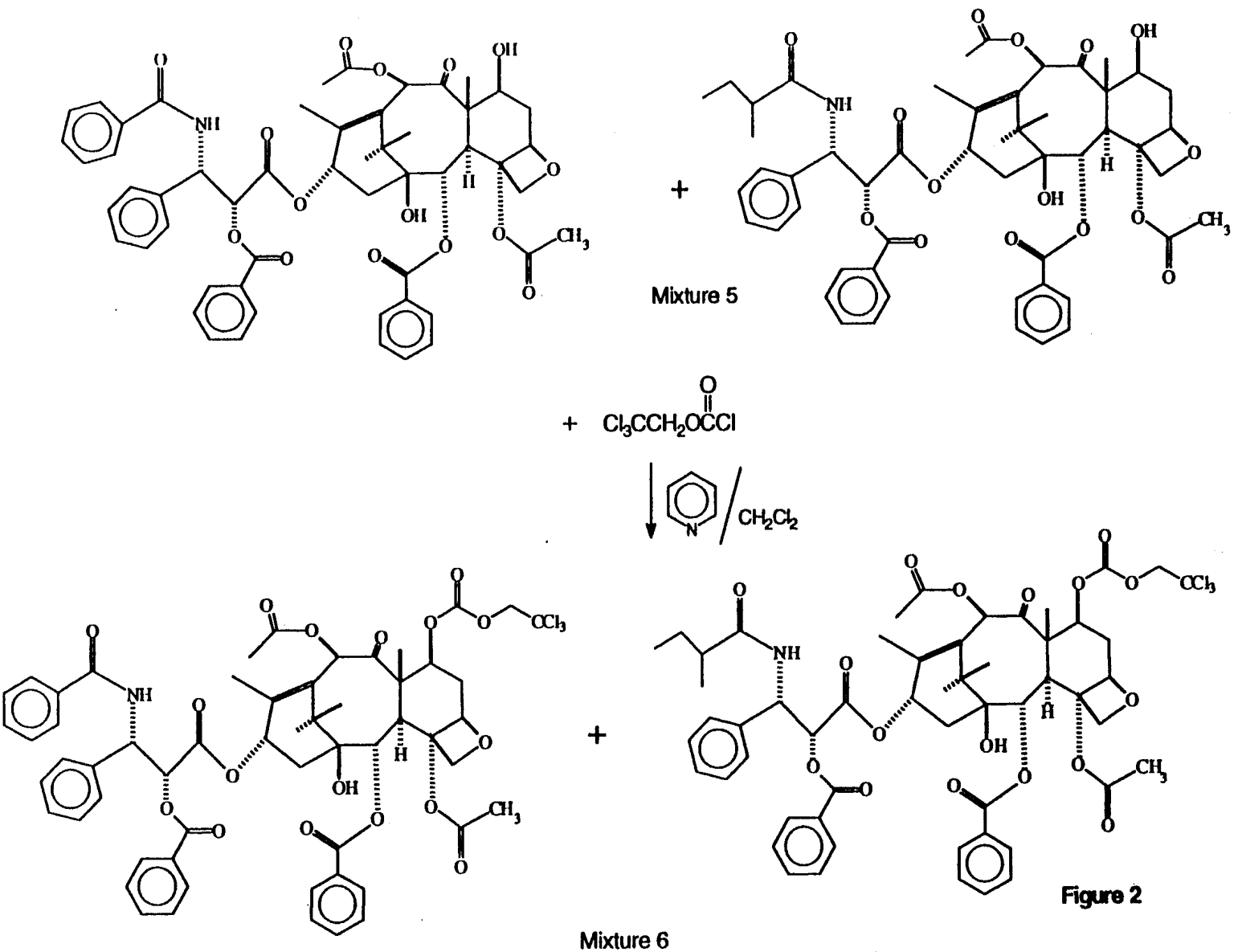
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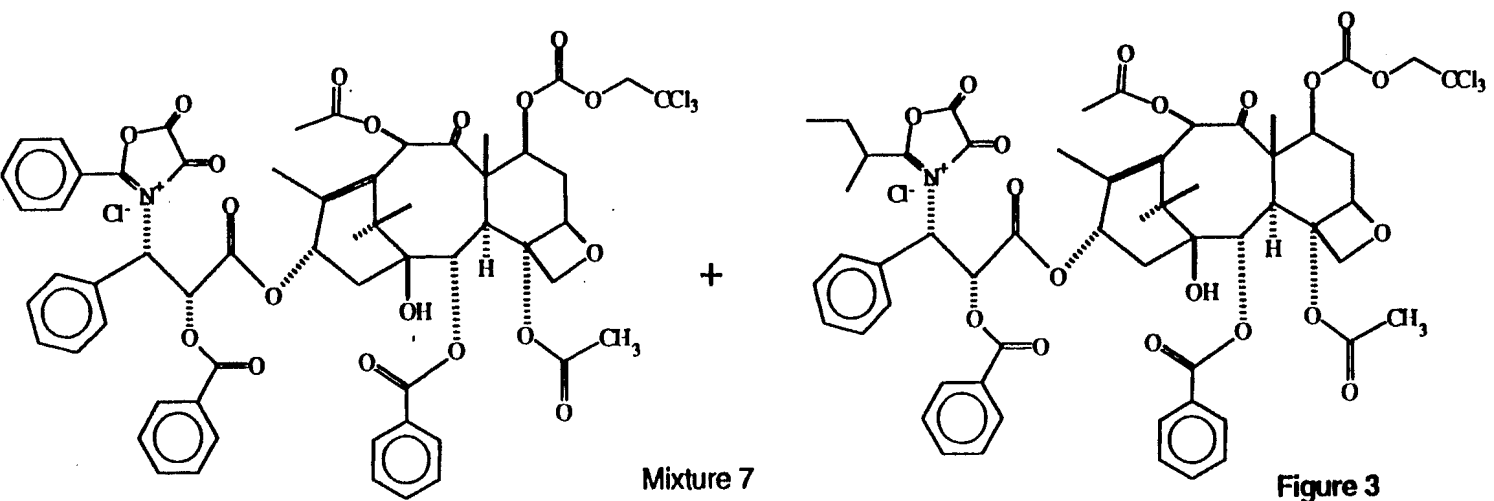
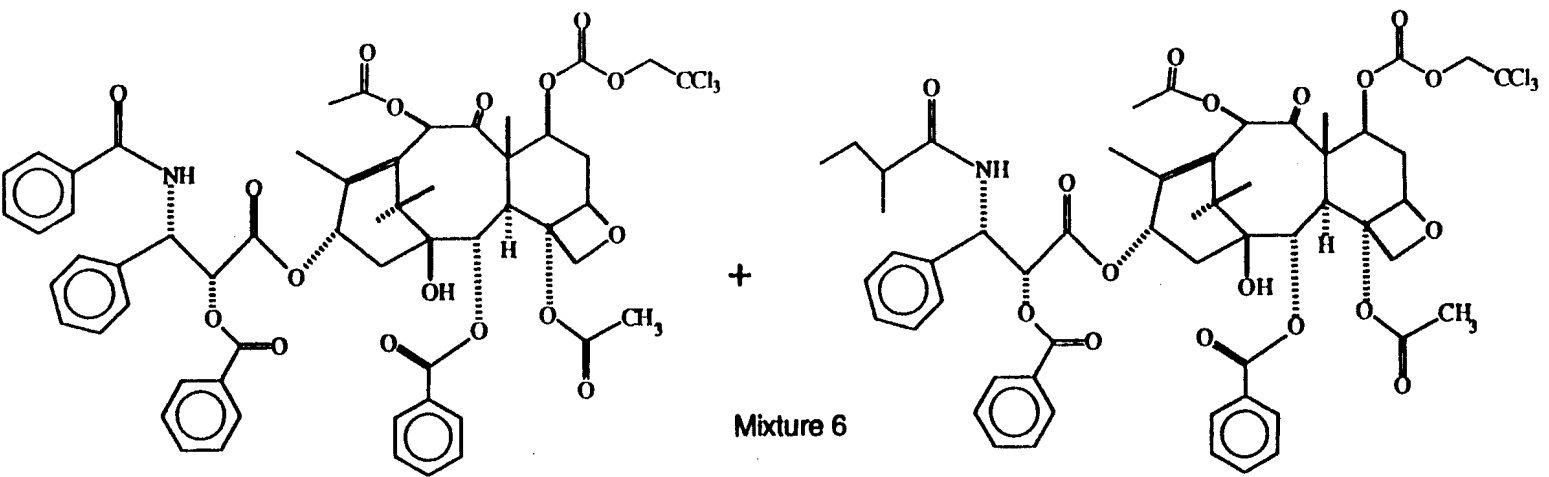
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