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United States Patent [19][11] **Patent Number:** **5,243,050****Comins et al.**[45] **Date of Patent:** * **Sep. 7, 1993**

[54] **ALKYLPYRIDONE DE RING INTERMEDIATES USEFUL FOR THE MANUFACTURE OF CAMPTOTHECIN AND CAMPTOTHECIN ANALOGS**

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[*] **Notice:** The portion of the term of this patent subsequent to Mar. 2, 2010 has been disclaimed.

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[22] **Filed:** Oct. 29, 1992

Related U.S. Application Data

[60] Continuation of Ser. No. 927,217, Aug. 10, 1992, Pat. No. 5,191,082, which is a division of Ser. No. 632,970, Dec. 20, 1990, Pat. No. 5,162,532.

[51] **Int. Cl.⁵** C07D 491/052

[52] **U.S. Cl.** 546/116

[58] **Field of Search** 546/116

[56] **References Cited****U.S. PATENT DOCUMENTS**

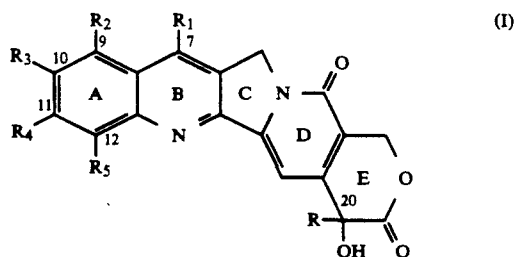
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Primary Examiner—Bernard Dentz

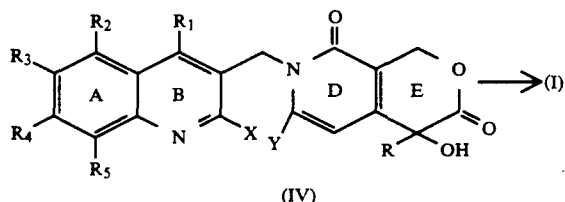
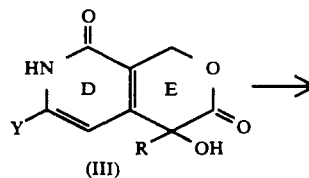
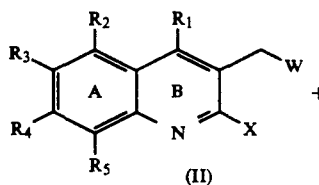
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[57] **ABSTRACT**

Compounds of Formula I



are made in accordance with the following scheme:



wherein R may be loweralkyl; R₁ may be H, loweralkyl, loweralkoxy, or halo; R₂, R₃, R₄, and R₅ may each independently be H, amino, hydroxy, loweralkyl, loweralkoxy, loweralkylthio, di(loweralkyl)amino, cyano, methylenedioxy, formyl, nitro, halo, trifluoromethyl, aminomethyl, azido, amido, hydrazino, or any of the twenty standard amino acids bonded to the A ring via the amino-nitrogen atom; Y is H and W and X are halogen. Also disclosed are novel processes for making starting materials for the scheme given above, and novel intermediates employed in these processes.

12 Claims, No Drawings