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United States Patent [19][11] **Patent Number:** **5,191,082**

Comins et al.

[45] **Date of Patent:** **Mar. 2, 1993**[54] **CAMPTOTHECIN INTERMEDIATE AND METHOD OF MAKING CAMPTOTHECIN INTERMEDIATES**[75] **Inventors:** Daniel L. Comins; Matthew F. Baevsky, both of Cary, N.C.[73] **Assignee:** North Carolina State University, Raleigh, N.C.[21] **Appl. No.:** 927,217[22] **Filed:** Aug. 10, 1992**Related U.S. Application Data**

[62] 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****FOREIGN PATENT DOCUMENTS**

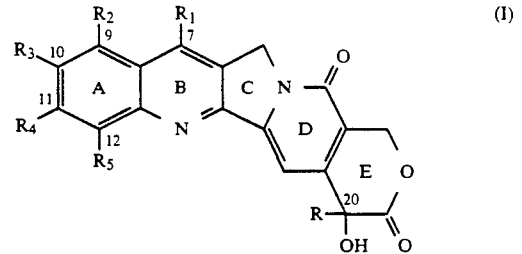
WO92/11263 12/1991 PCT Int'l Appl. .

OTHER PUBLICATIONS

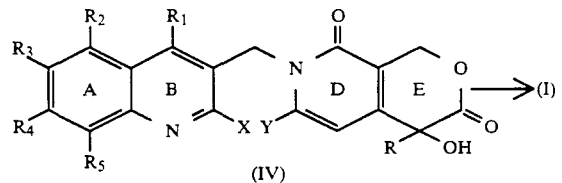
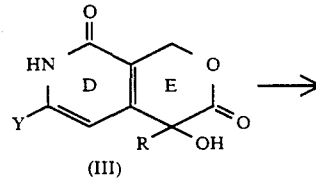
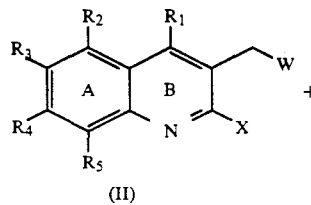
Lyle et. al., J. Org. Chem., 38(19), pp. 3268-3271 (1973).

Primary Examiner—Bernard Dentz*Attorney, Agent, or Firm*—Bell, Seltzer, Park & Gibson[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.

5 Claims, No Drawings