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(12) **United States Patent**  
**Bogle et al.**

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(54) **TARTRATE SALTS OF 5,8, 14-  
TRIAZATERACYCLO[10.3.1.0<sup>2,11</sup>.0<sup>4,9</sup>]-  
HEXADECA-2(11),3,5,7,9-PENTAENE AND  
PHARMACEUTICAL COMPOSITIONS  
THEREOF**

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patent is extended or adjusted under 35  
U.S.C. 154(b) by 0 days.

(21) Appl. No.: **10/139,730**

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**Related U.S. Application Data**

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2001.

(51) **Int. Cl.<sup>7</sup>** ..... **C07D 241/36**; A61K 31/50;  
A61K 31/495

(52) **U.S. Cl.** ..... **514/252.1**; 514/255.04;  
544/343

(58) **Field of Search** ..... 514/252.1, 255.04,  
514/214.03; 544/343; 540/578

(56) **References Cited**

**U.S. PATENT DOCUMENTS**

3,471,503 A 10/1969 Carson ..... 260/294.7  
2002/0016498 A1 \* 2/2002 Am Ende et al. .... 562/400

**FOREIGN PATENT DOCUMENTS**

EP	1078637	8/2000
EP	1078637	2/2001
WO	WO9935131	7/1999

**OTHER PUBLICATIONS**

Paul H. Mazzochi, et. al., "Synthesis and Pharmacological  
Activity of 2,3,4,5-Tetrahydro-1,  
5-Methano-1H-3-Benzazepines", J. Med. Chem., vol. 22,  
No. 4, 1979, pp 455-457, XP002090422.

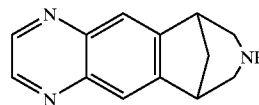
\* cited by examiner

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Lorraine B. Ling; A. David Joran

(57) **ABSTRACT**

The present invention is directed to the tartrate salts of  
5,8,14-triazatetracyclo[10.3.1.0<sup>2,11</sup>.0<sup>4,9</sup>]-hexadeca-2(11),3,  
5,7,9-pentaene:



and pharmaceutical compositions thereof. The present  
invention in particular is directed to the L-tartrate salt, and  
further to the various polymorphs of the L-tartrate salt,  
including two distinct anhydrous polymorphs (referred to  
herein as Forms A and B) and a hydrate polymorph (referred  
to herein as Form C). In addition, the present invention is  
also directed to the D-tartrate salt of 5,8,14-triazatetracyclo  
[10.3.1.0<sup>2,11</sup>.0<sup>4,9</sup>]-hexadeca-2(11),3,5,7,9-pentaene and the  
various polymorphs thereof; as well as the D,L-tartrate salt  
thereof and its polymorphs, and the meso-tartrate salt thereof  
and its polymorphs.

**40 Claims, 20 Drawing Sheets**

FIG. 1

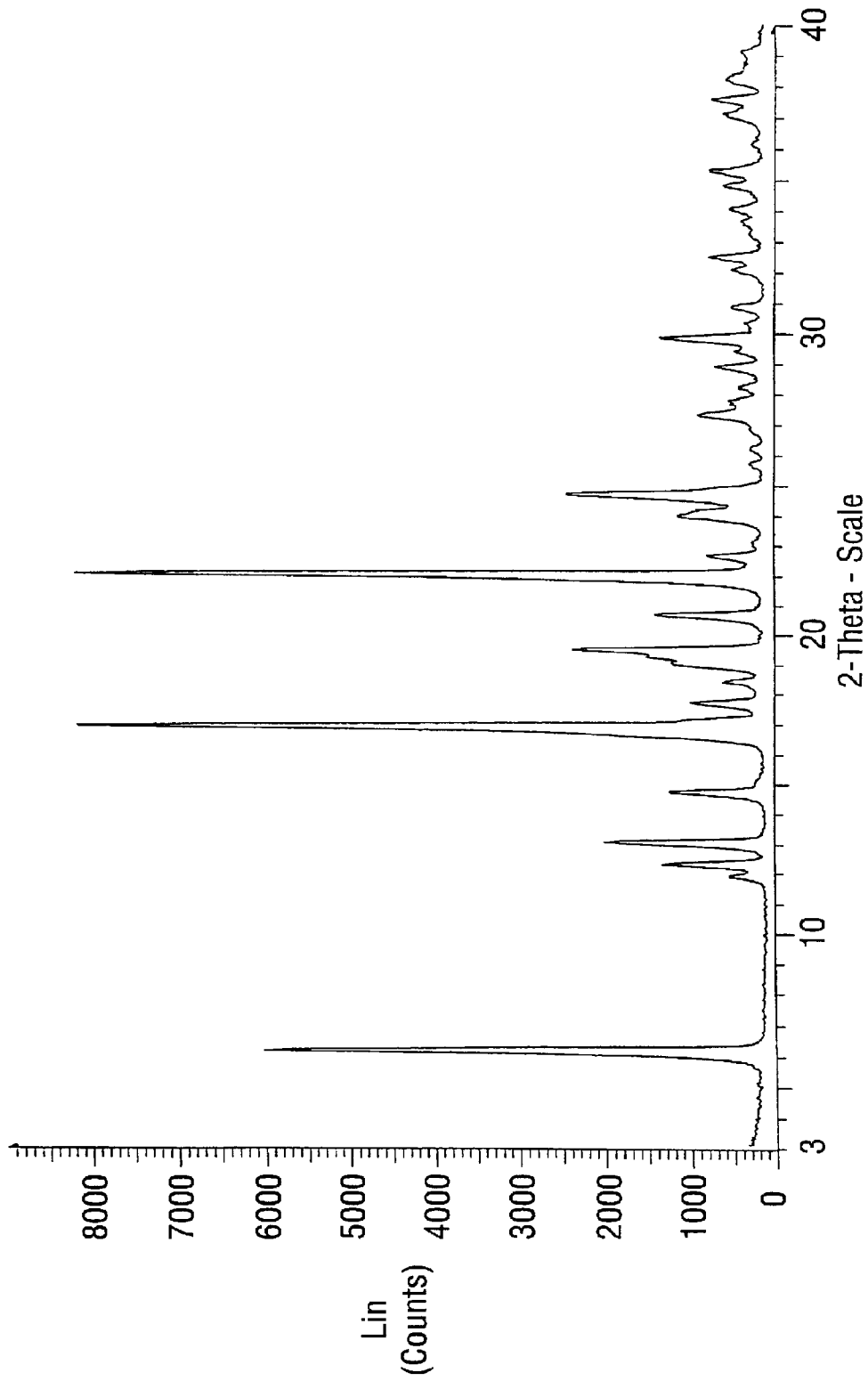


FIG. 2

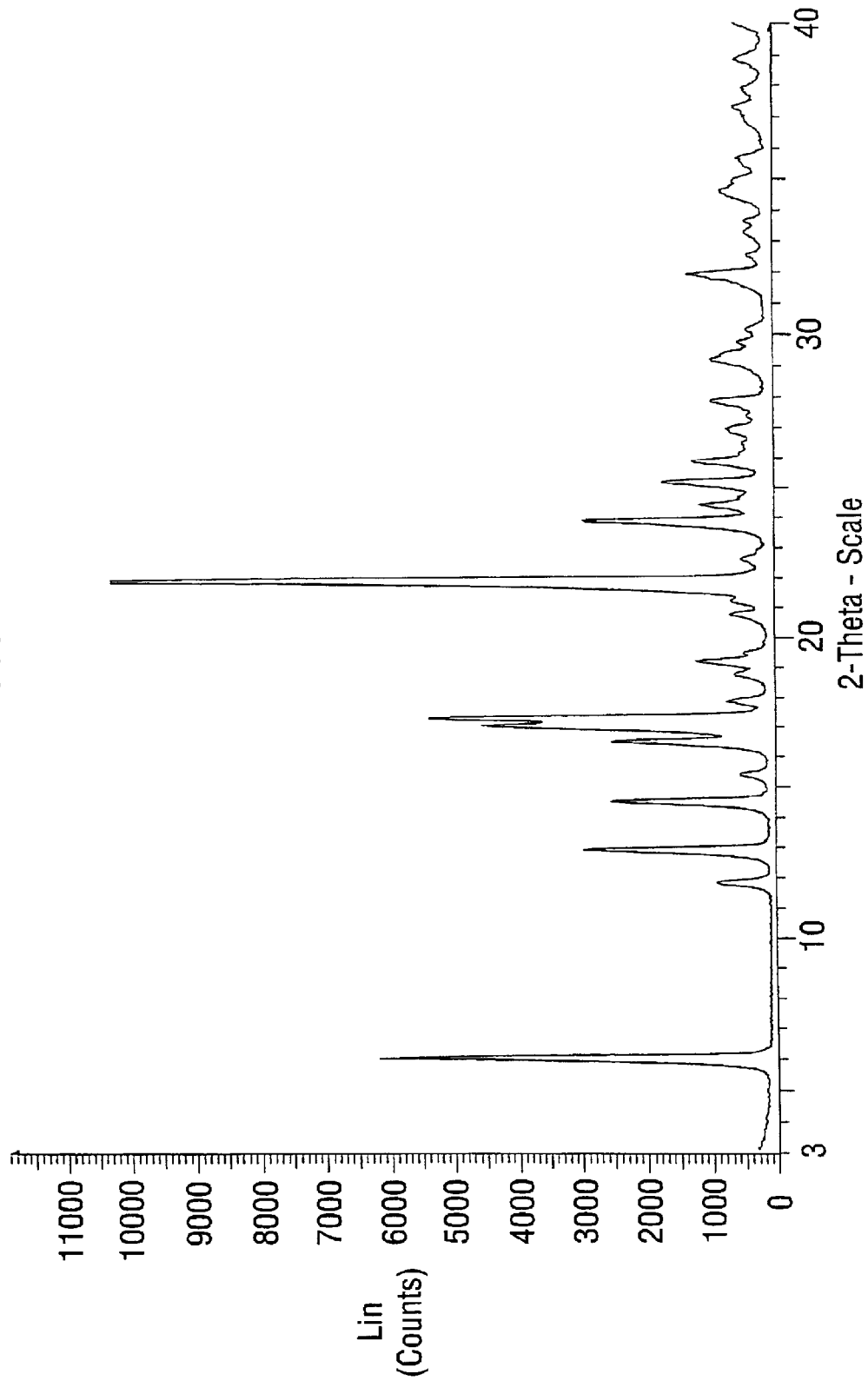


FIG. 3

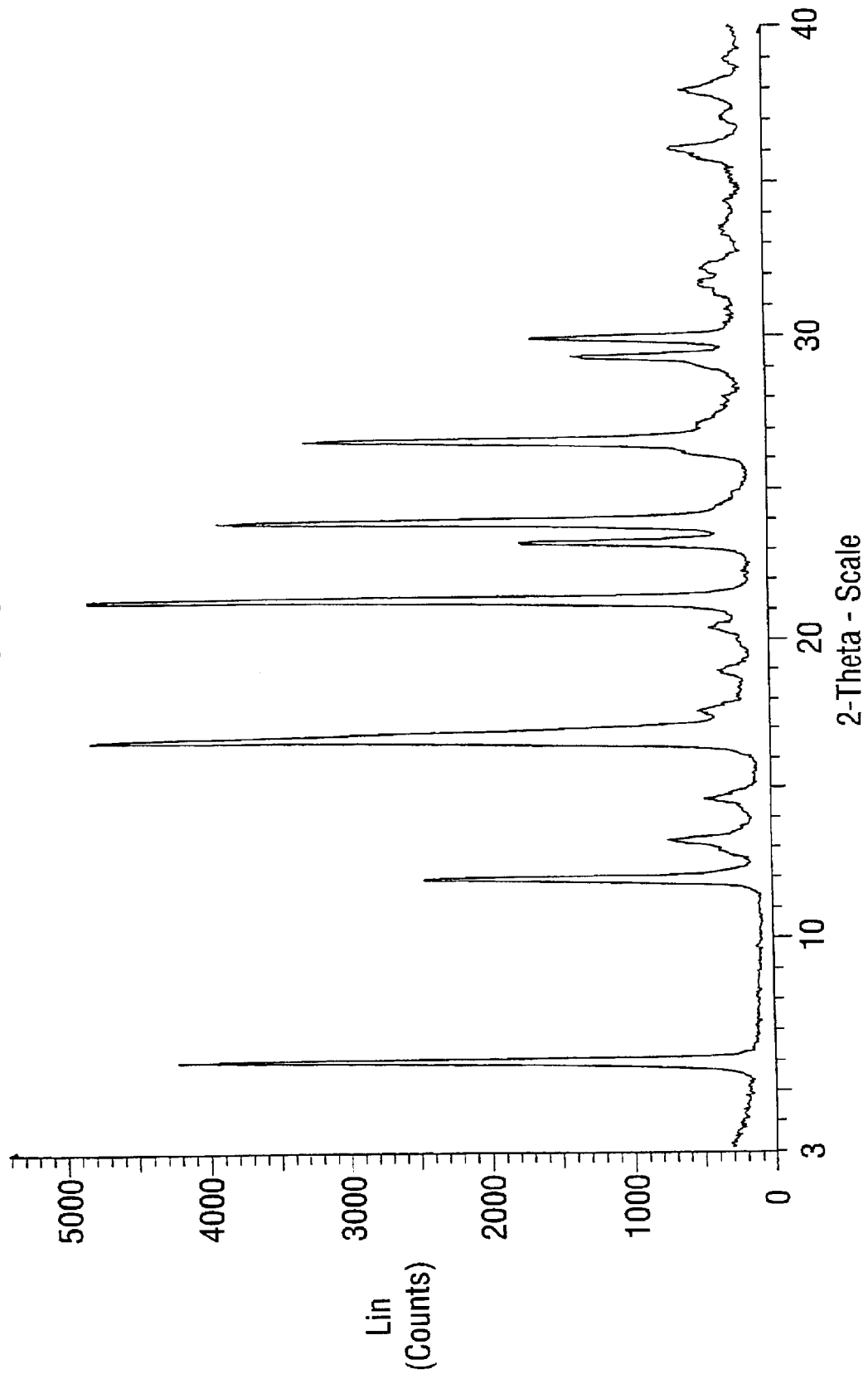
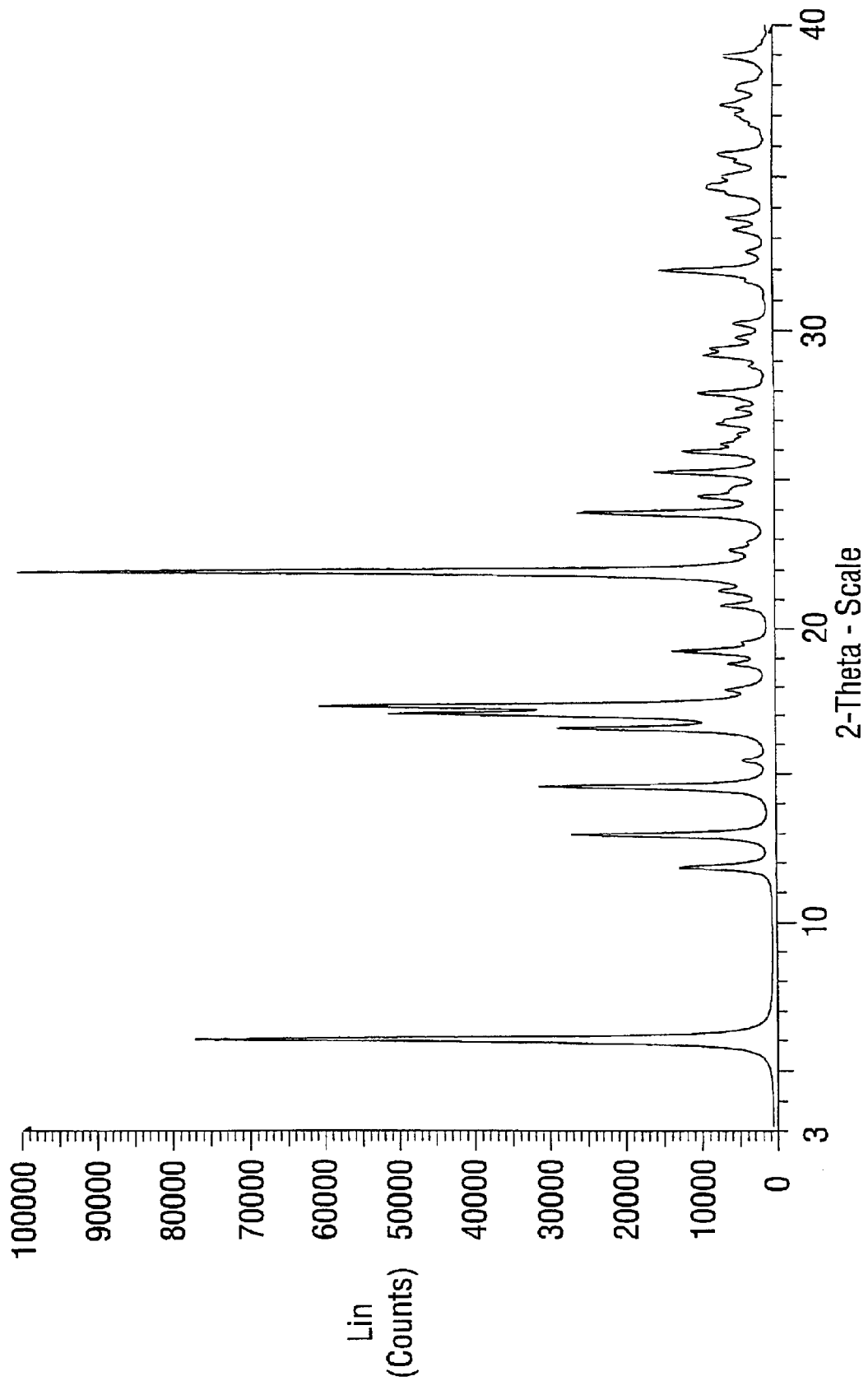


FIG. 4A



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