



US007326708B2

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

(10) **Patent No.:** **US 7,326,708 B2**
(45) **Date of Patent:** **Feb. 5, 2008**

(54) **PHOSPHORIC ACID SALT OF A
DIPEPTIDYL PEPTIDASE-IV INHIBITOR**

(75) Inventors: **Stephen Howard Cypes**, Santa Clara, CA (US); **Alex Minhua Chen**, Metuchen, NJ (US); **Russell R. Ferlita**, Westfield, NJ (US); **Karl Hansen**, Atlantic Highlands, NJ (US); **Ivan Lee**, Piscataway, NJ (US); **Vicky K. Vydra**, Fair Lawn, NJ (US); **Robert M. Wenslow, Jr.**, East Windsor, NJ (US)

(73) Assignee: **Merck & Co., Inc.**, Rahway, NJ (US)

(*) Notice: Subject to any disclaimer, the term of this patent is extended or adjusted under 35 U.S.C. 154(b) by 657 days.

(21) Appl. No.: **10/874,992**

(22) Filed: **Jun. 23, 2004**

(65) **Prior Publication Data**
US 2005/0032804 A1 Feb. 10, 2005

Related U.S. Application Data
(60) Provisional application No. 60/482,161, filed on Jun. 24, 2003.

(51) **Int. Cl.**
A61K 31/495 (2006.01)
C07D 471/04 (2006.01)

(52) **U.S. Cl.** **514/249**; 544/350
(58) **Field of Classification Search** 514/249;
544/350

See application file for complete search history.

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Primary Examiner—James O. Wilson
Assistant Examiner—Ebenezer Sackey

(74) *Attorney, Agent, or Firm*—Philippe L. Durette; Catherine D. Fitch

(57) **ABSTRACT**

The dihydrogenphosphate salt of 4-oxo-4-[3-(trifluoromethyl)-5,6-dihydro [1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]-1-(2,4,5-trifluorophenyl)butan-2-amine is a potent inhibitor of dipeptidyl peptidase-IV and is useful for the prevention and/or treatment of non-insulin dependent diabetes mellitus, also referred to as type 2 diabetes. The invention also relates to a crystalline monohydrate of the dihydrogenphosphate salt as well as a process for its preparation, pharmaceutical compositions containing this novel form and methods of use for the treatment of diabetes, obesity, and high blood pressure.

24 Claims, 5 Drawing Sheets

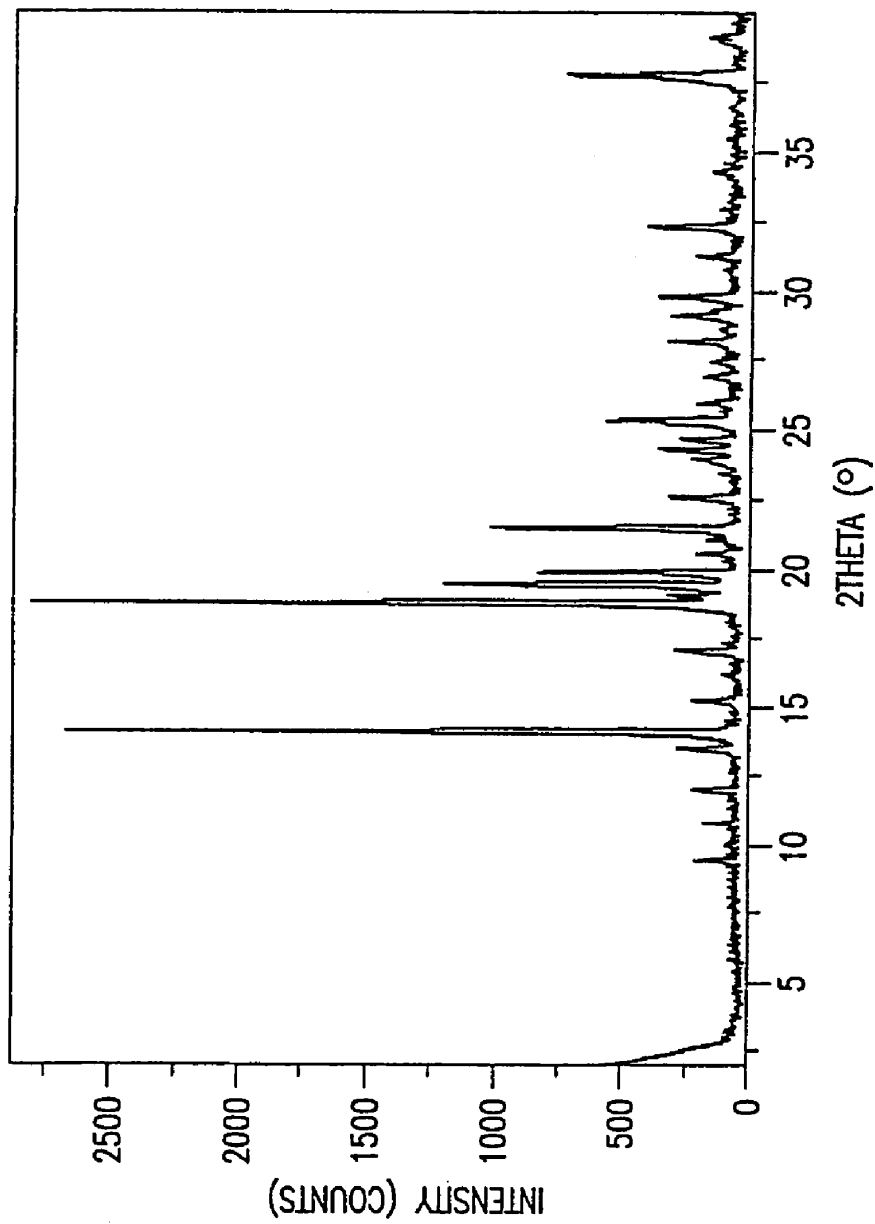


FIG.1

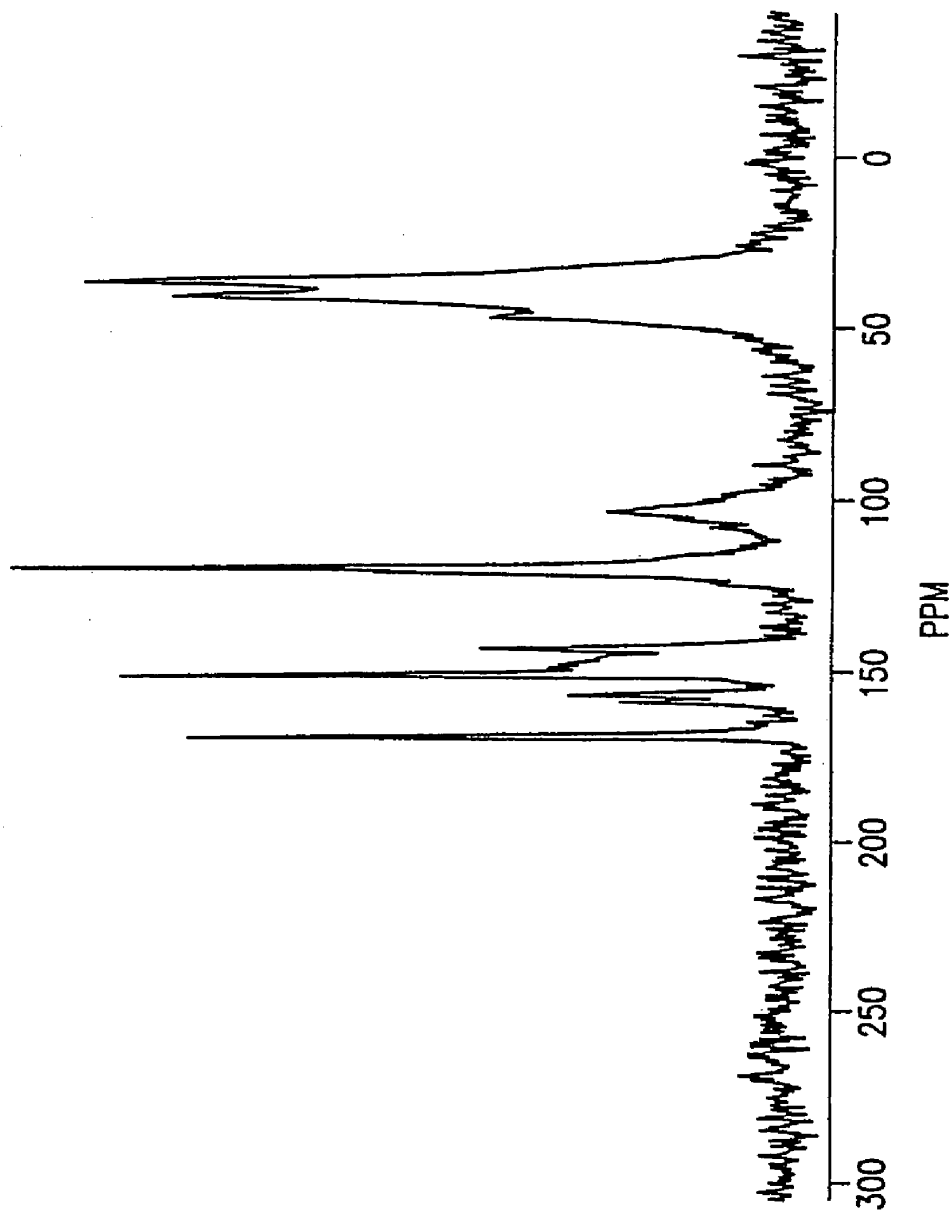


FIG. 2

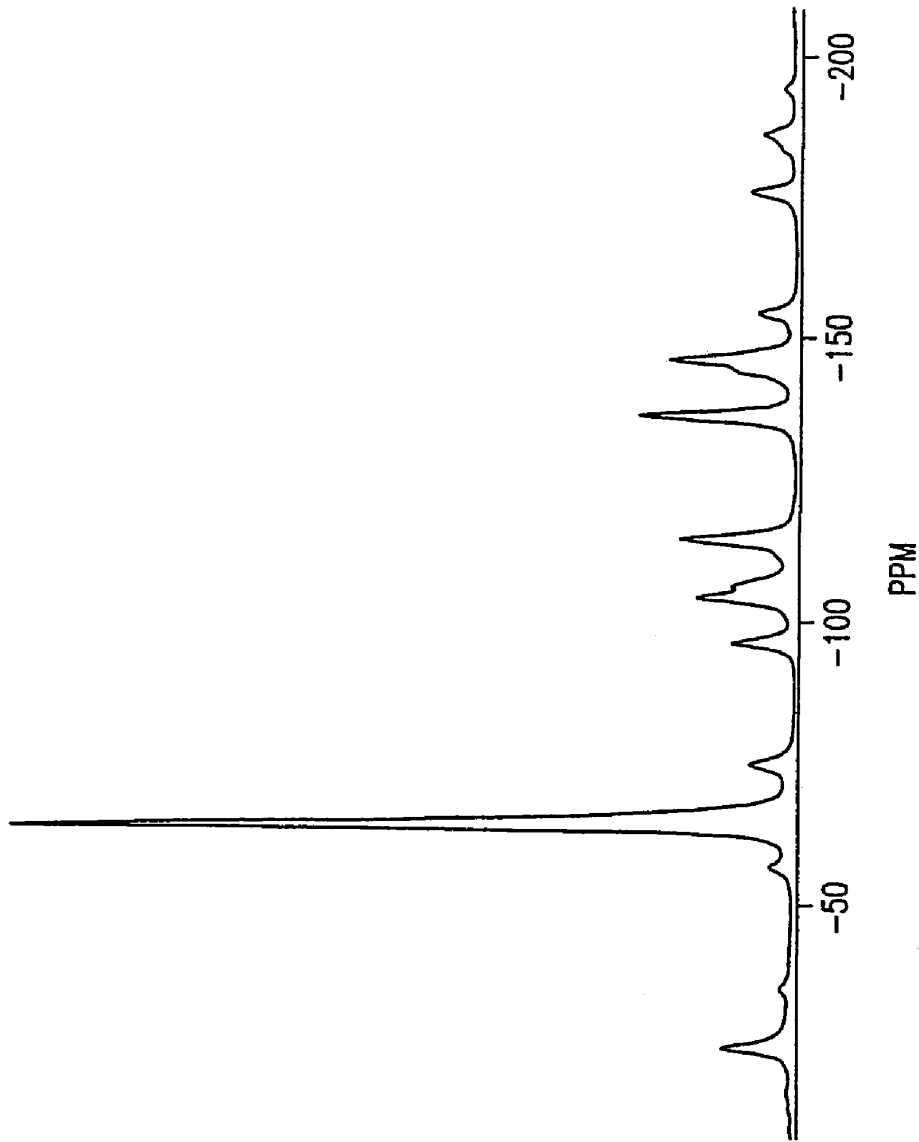


FIG.3

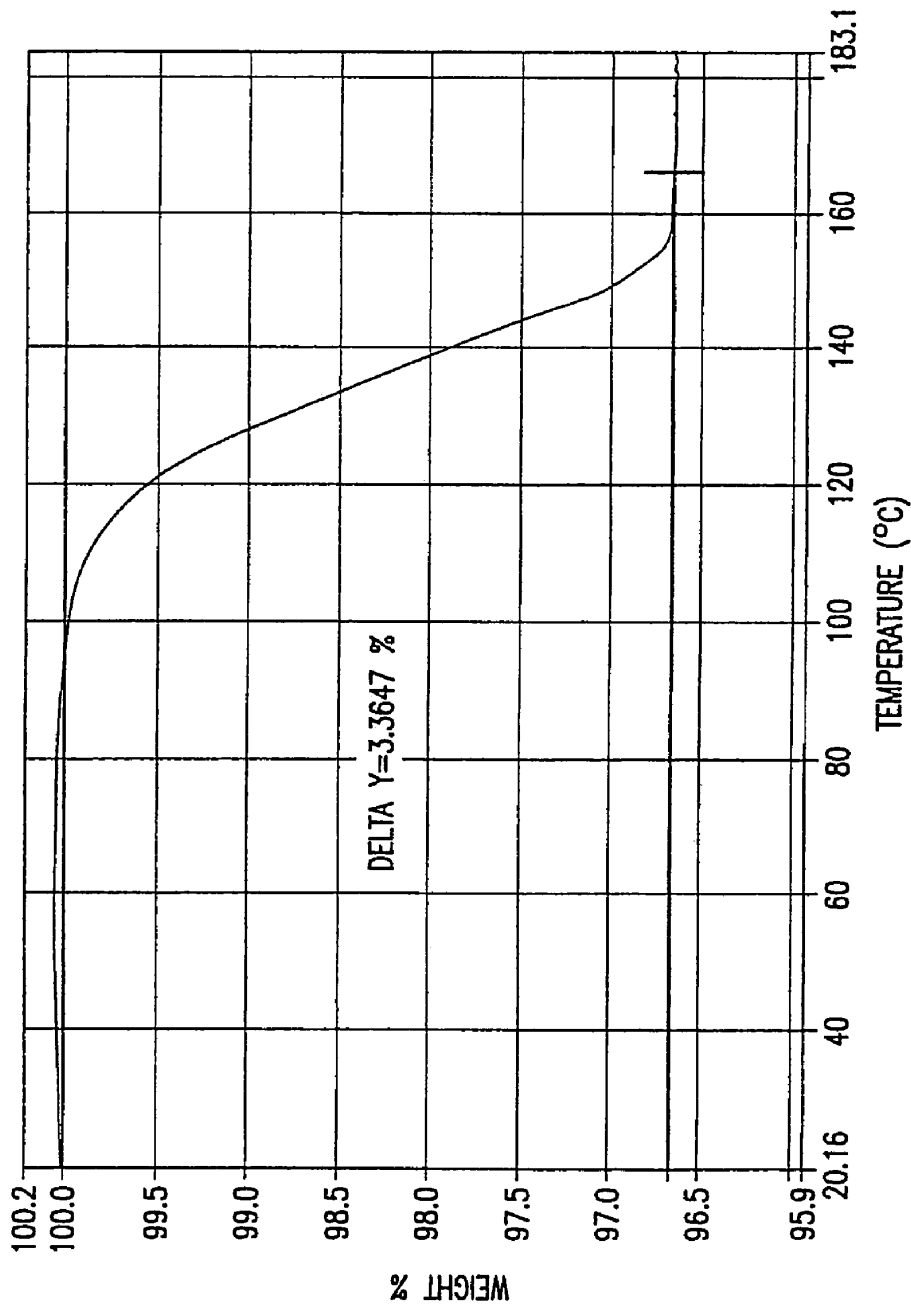


FIG.4

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