



US008808751B2

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

(10) **Patent No.:** **US 8,808,751 B2**
(45) **Date of Patent:** **Aug. 19, 2014**

(54) **METHODS FOR THE PREPARATION OF BIOLOGICALLY ACTIVE COMPOUNDS IN NANOPARTICULATE FORM**

(75) Inventors: **Raffaele Cammarano**, Mount Hawthorn (AU); **Felix Meiser**, Kew (AU); **Almar Postma**, Balwyn (AU); **Frank Caruso**, Preston (AU)

(73) Assignee: **Icetutca Pty Ltd.**, Balcatta, Western Australia (AU)

(*) Notice: Subject to any disclaimer, the term of this patent is extended or adjusted under 35 U.S.C. 154(b) by 278 days.

(21) Appl. No.: **12/306,948**

(22) PCT Filed: **Jun. 29, 2007**

(86) PCT No.: **PCT/AU2007/000910**
§ 371 (c)(1),
(2), (4) Date: **Dec. 7, 2009**

(87) PCT Pub. No.: **WO2008/000042**
PCT Pub. Date: **Jan. 3, 2008**

(65) **Prior Publication Data**
US 2010/0092563 A1 Apr. 15, 2010

(30) **Foreign Application Priority Data**
Jun. 30, 2006 (AU) 2006903527

(51) **Int. Cl.**
A61K 9/16 (2006.01)
A61K 31/40 (2006.01)
A61K 31/192 (2006.01)
A61K 31/196 (2006.01)
A61K 31/496 (2006.01)
A61K 31/4535 (2006.01)
A61K 31/551 (2006.01)
A61K 9/14 (2006.01)

(52) **U.S. Cl.**
CPC **A61K 31/192** (2013.01); **A61K 31/496** (2013.01); **A61K 31/4535** (2013.01); **A61K 31/551** (2013.01); **A61K 9/1617** (2013.01); **A61K 9/143** (2013.01); **A61K 31/196** (2013.01)
USPC **424/493**; 514/420; 514/567; 514/569; 514/570

(58) **Field of Classification Search**
None
See application file for complete search history.

(56) **References Cited**

U.S. PATENT DOCUMENTS

5,145,684 A * 9/1992 Liversidge et al. 424/489
5,202,129 A 4/1993 Samejima et al.
5,298,262 A * 3/1994 Na et al. 424/489
2002/0047058 A1* 4/2002 Verhoff et al. 241/26
2003/0137067 A1* 7/2003 Cooper et al. 264/5
2003/0228357 A1* 12/2003 Johnson et al. 424/465
2004/0173696 A1* 9/2004 Cunningham et al. 241/21
2007/0059356 A1* 3/2007 Almarsson et al. 424/464

FOREIGN PATENT DOCUMENTS

EP 0600528 6/1994
WO WO2007/070851 6/2007

OTHER PUBLICATIONS

Tsuzuki, T.; Pethick, K.; McCormick, P. Synthesis of CaCO₃ nanoparticles by mechanochemical processing. *Journal of Nanoparticle Research*, vol. 2, p. 375-380, 2000.*
Tsuzuki, T.; Pirault, E.; McCormick, P. Mechanochemical Synthesis of Gadolinium Oxide Nanoparticles. *Nanostructured Materials*, vol. 11, No. 1, p. 125-131, 1999.*
Tsuzuki, T.; McCormick, P. Mechanochemical synthesis of nanoparticles. *Journal of Materials Science*, vol. 39, p. 5143-5146, 2004.*
Grigorieva, T. F.; Barinova, A. P.; Lyakhov, N. Z. Mechanochemical synthesis of nanocomposites. *Journal of Nanoparticle Research*, vol. 5, p. 439-453, 2003.*
Tsuzuki, T.; McCormick, P. Mechanochemical Synthesis of Metal Sulphide Nanoparticles. *Nanostructured Materials*, vol. 12, p. 75-78, 1999.*
Office Action in corresponding Canadian Application 2,653,384, dated Mar. 10, 2014, pp. 1-3.
Juhnke, M. et al., "Nanoparticles of soft materials by high-energy milling at low temperatures," 7th world congress of chemical engineering, Glasgow:pp. 1-10 (2005).

* cited by examiner

Primary Examiner — Susan Tran

Assistant Examiner — Jessica Worsham

(74) *Attorney, Agent, or Firm* — Fish & Richardson P.C.

(57) **ABSTRACT**

A method for producing a composition comprising nanoparticles of a biologically active compound, comprising the step of: dry milling a solid biologically active compound and a millable grinding compound in a mill comprising a plurality of milling bodies, for a time period sufficient to produce a solid dispersion comprising nanoparticles of the biologically active compound dispersed in an at least partially milled grinding compound is described as are various compositions produced using such methods.

14 Claims, 26 Drawing Sheets

LUPIN EX. 1020
Lupin v. iCeutica

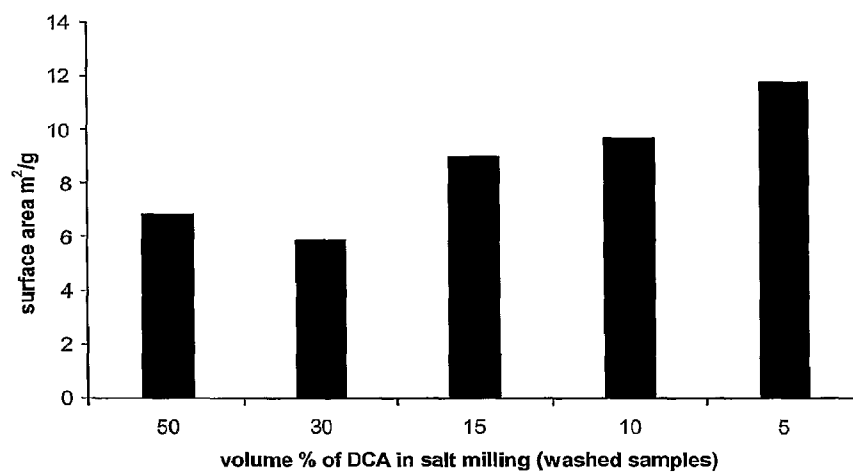


FIG. 1

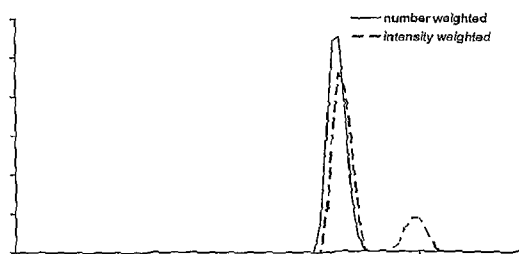


FIG. 2A

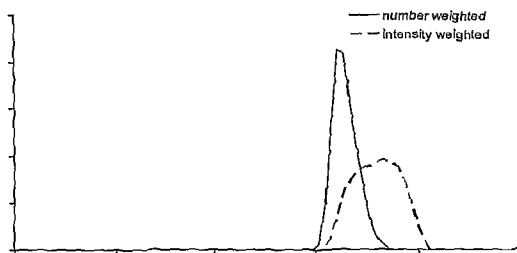


FIG. 2B

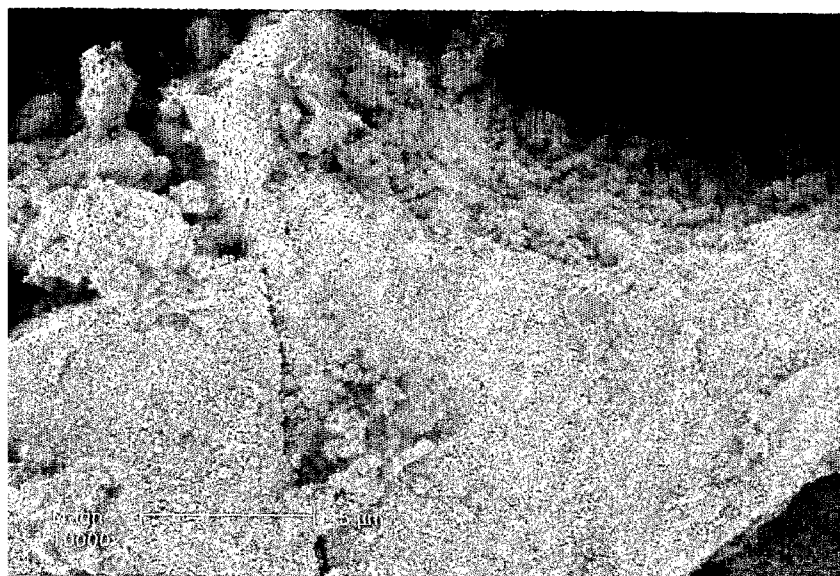


FIG. 3A

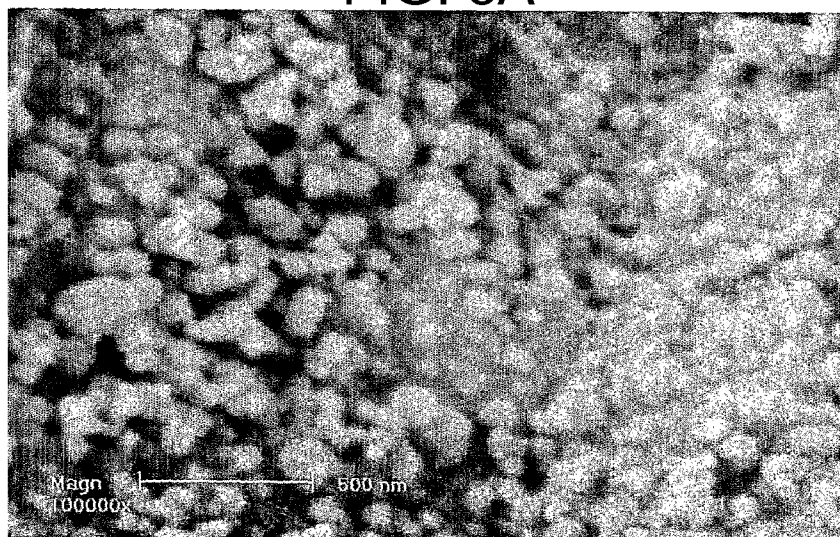


FIG. 3B

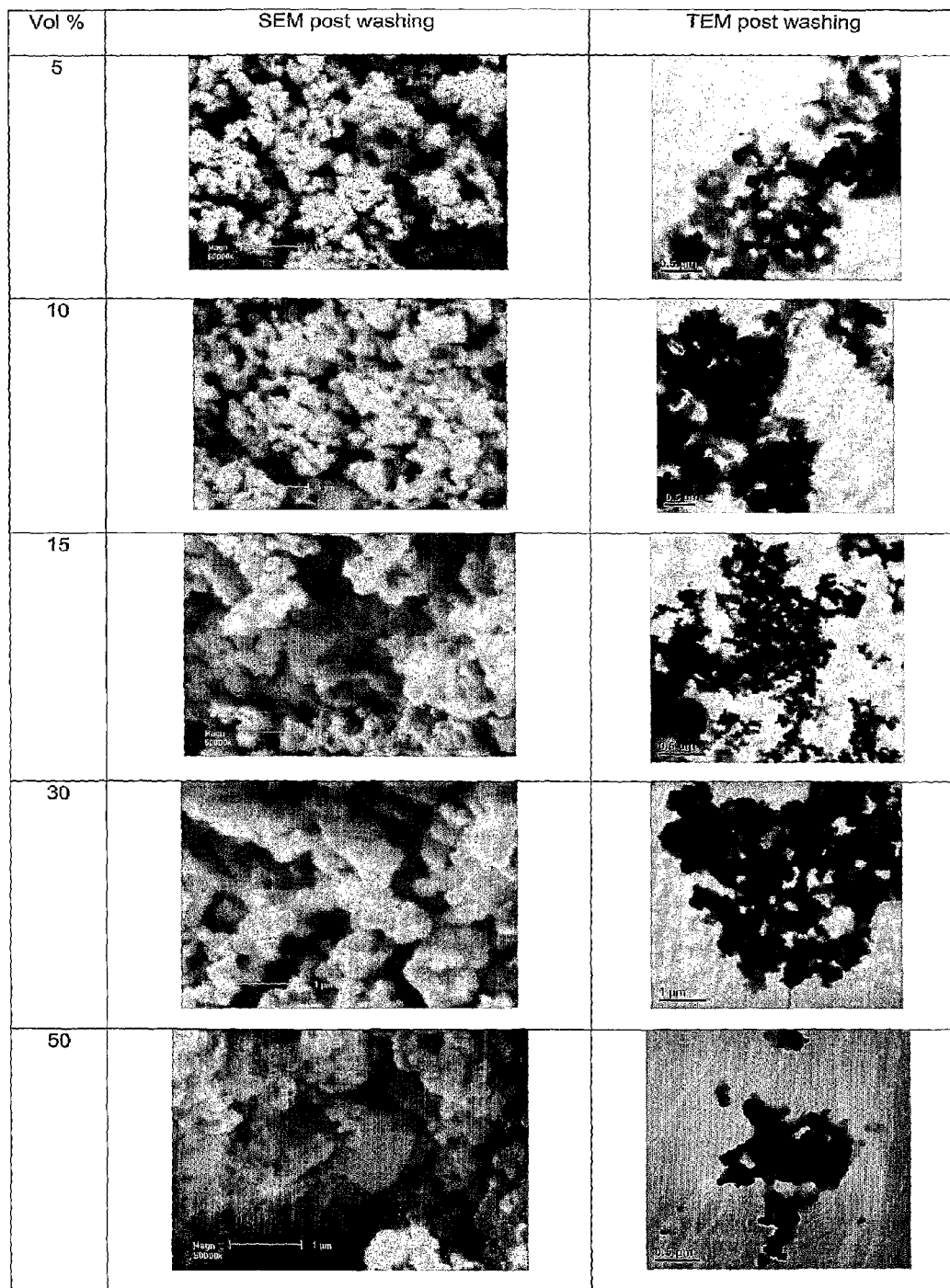


FIG. 4

Explore Litigation Insights

Docket Alarm provides insights to develop a more informed litigation strategy and the peace of mind of knowing you're on top of things.

Real-Time Litigation Alerts



Keep your litigation team up-to-date with **real-time alerts** and advanced team management tools built for the enterprise, all while greatly reducing PACER spend.

Our comprehensive service means we can handle Federal, State, and Administrative courts across the country.

Advanced Docket Research



With over 230 million records, Docket Alarm's cloud-native docket research platform finds what other services can't. Coverage includes Federal, State, plus PTAB, TTAB, ITC and NLRB decisions, all in one place.

Identify arguments that have been successful in the past with full text, pinpoint searching. Link to case law cited within any court document via Fastcase.

Analytics At Your Fingertips



Learn what happened the last time a particular judge, opposing counsel or company faced cases similar to yours.

Advanced out-of-the-box PTAB and TTAB analytics are always at your fingertips.

API

Docket Alarm offers a powerful API (application programming interface) to developers that want to integrate case filings into their apps.

LAW FIRMS

Build custom dashboards for your attorneys and clients with live data direct from the court.

Automate many repetitive legal tasks like conflict checks, document management, and marketing.

FINANCIAL INSTITUTIONS

Litigation and bankruptcy checks for companies and debtors.

E-DISCOVERY AND LEGAL VENDORS

Sync your system to PACER to automate legal marketing.