

US007411070B2

(12) United States Patent

Cotton et al.

(10) Patent No.: US 7,411,070 B2

(45) **Date of Patent:** *Aug. 12, 2008

(54) FORM OF S-OMEPRAZOLE

(75) Inventors: Hanna Cotton, Södertälje (SE); Anders

Kronström, Södertälje (SE); Anders Mattson, Södertälje (SE); Eva Möller,

Södertälje (SE)

(73) Assignee: AstraZeneca AB, Sodertalje (SE)

(*) Notice: Subject to any disclaimer, the term of this patent is extended or adjusted under 35

U.S.C. 154(b) by 0 days.

This patent is subject to a terminal dis-

claimer.

(21) Appl. No.: 10/672,936

(22) Filed: Sep. 25, 2003

(65) **Prior Publication Data**

US 2005/0075369 A1 Apr. 7, 2005

Related U.S. Application Data

(60) Continuation of application No. 10/076,711, filed on Feb. 14, 2002, now Pat. No. 6,677,455, which is a division of application No. 09/077,719, filed as application No. PCT/SE98/00974 on May 25, 1998, now Pat. No. 6,369,085.

(30) Foreign Application Priority Data

May 30, 1997 (SE) 9702065

(51) Int. Cl. C07D 401/12 (2006.01) A61K 31/4439 (2006.01)

(52) **U.S. Cl.** **546/273.7**; 514/338

See application file for complete search history.

(56) References Cited

U.S. PATENT DOCUMENTS

| Α | | 3/1981 | Junggren et al 424/263 |
|--------------|--|-------------------------------|---|
| Α | * | 4/1988 | Brandstrom 514/338 |
| \mathbf{A} | | 11/1988 | Lovgren et al 424/468 |
| Α | | 6/1996 | Nore et al 562/571 |
| Α | | 10/1997 | Tiers et al 252/582 |
| Α | * | 11/1997 | Bengtsson et al 514/338 |
| Α | * | 12/1997 | Vion Unge 514/338 |
| Α | * | 2/1998 | Lindberg et al 514/338 |
| Α | | 10/1998 | Bergstrand et al 424/468 |
| Α | | 3/1999 | Lindberg et al 514/338 |
| Α | | 5/1999 | Källström et al 514/338 |
| В1 | | 4/2002 | Cotton et al 514/338 |
| B2 | : | 1/2004 | Kronstrom et al. |
| B2 | 2 | 6/2004 | Kronstrom et al. |
| | A A A A A A B1 B2 | A * A A * A * A * A * A * A * | A * 4/1988 A 11/1988 A 6/1996 A 10/1997 A * 11/1997 A * 12/1997 A * 2/1998 A 10/1998 A 3/1999 B1 4/2002 B2 1/2004 |

FOREIGN PATENT DOCUMENTS

| CN | 1136564 | 11/1996 |
|----|-----------|---------|
| DE | 4 035 455 | 5/1992 |
| EP | 0005129 | 10/1979 |
| EP | 0124495 | 11/1984 |

| EP | 0247983 | 12/1987 |
|----|-------------|----------|
| EP | WO 95/01977 | * 1/1995 |
| IN | 1344/DEL/98 | 5/1998 |
| WO | 9427988 | 12/1994 |
| WO | 9501977 | 1/1995 |
| WO | 9601623 | 1/1996 |
| WO | 9602535 | 2/1996 |

OTHER PUBLICATIONS

Japanese Chemical Society, Experimental Chemical Seminar. vol. 18. p. 55 (translation), 1958.*

An Introduction to Crystal Chemistry by Evans Cambridge At the Univ. Press, 1914.*

Erlandsson, P. Et al., "Resolution of the enantiomers of omeprazole and some of its analogues by liquid chromatography on a trisphenylcarbamoyl cellulose-based stationary phase", Journal of Chromatography, 532 (1990) 305-319.

von Unge, S. et al. "Stereochemical assignment of the enantiomers of omeprazole from X-ray analysis of a fenchyloxylmethyl derivative of (+)-(R)-omeprazole", *Tetrahedron Asymmetry*, vol. 8, No. 12, pp. 1967-1970 (1997).

An Introduction to Crystal Chemistry by Evans Cambridge at the Univ. Press, 1964.

Opposition filed by Ranbaxy Laboratories Limited against Indian Patent Application No. 1344/DEL/98.

Opposition filed by Torrent Pharmaceuticals Limited against Indian Patent Application No. 1344/DEL/98.

X-ray powder diffraction pattern of Mg-salt of S-omeprazole trihydrate depicted by Torrent obtained by method of WO 94/27988. NDA 21-153/S-020 for Nexium® (esomeprazole magnesium) Delayed Release Capsule.

NDA 21-153/21-154 entitled "Medical Review(s)".

Statement with Exhibits A-C on Behalf of the Applicant, AstraZeneca AB, to the Opposition filed by Ranbaxy Laboratories Limited against Indian Patent Application No. 1344/DEL/98.

Statement with Exhibits A-D on Behalf of the Applicant, AstraZeneca AB, to the Opposition filed by Torrent Pharmaceuticals Limited against Indian Patent Application No. 1344/DEL/98.

Decision of the Pre-grant Opposition filed by Ranbaxy Laboratories Limited against Indian Patent Application No. 1344/DEL/98.

Decision of the Pre-grant Opposition filed by Torrent Pharmaceuticals Limited against Indian Patent Application No. 1344/DEL/98.

Notice of Allegation, dated Nov. 13, 2007, pursuant to the *Patented Medicines* (*Notice of Compliance*) Regulations with respect to Canadian Letters Patent No. 2,290,963.

* cited by examiner

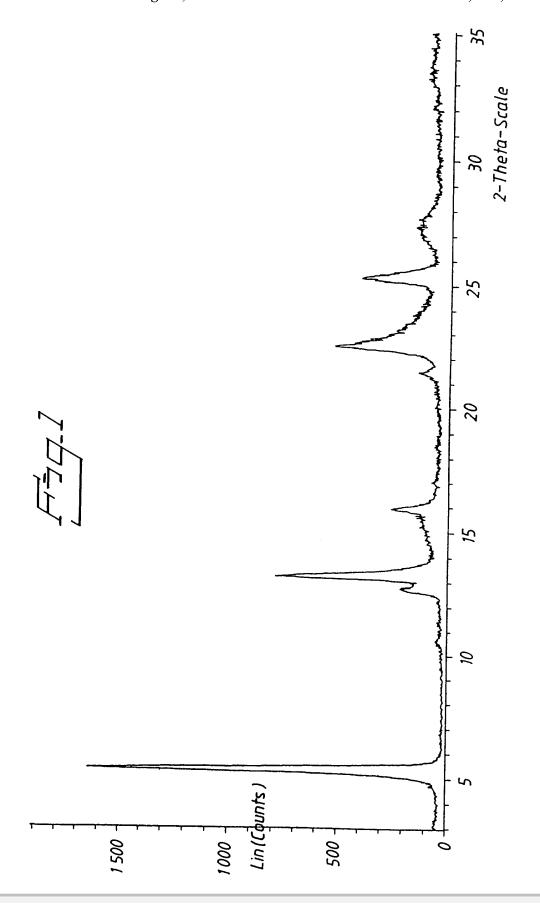
Primary Examiner—Charanjit S Aulakh (74) Attorney, Agent, or Firm—White & Case LLP

(57) ABSTRACT

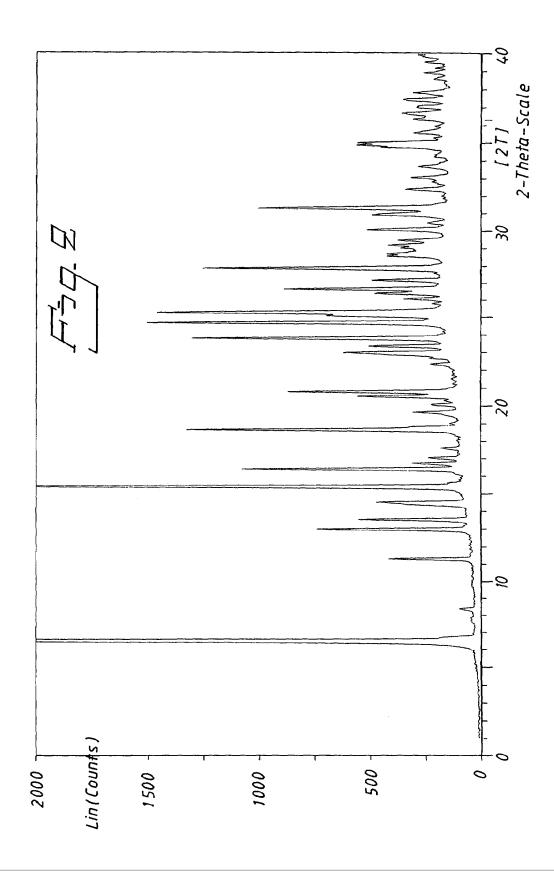
The present invention relates to a novel form of the (-)-enantiomer of 5-methoxy-2[[(4-methoxy-3,5-dimethyl-2-pyridinyl)-methyl]sulfinyl]-1H-benzimidazole, i.e. S-ome-prazole. More specifically, it relates to a novel form of the magnesium salt of the S-enantiomer of omeprazole trihydrate. The present invention also relates to processes for preparing such a form of the magnesium salt of S-omeprazole and pharmaceutical compositions containing it. Furthermore, the present invention also relates to new intermediates used in the process.

4 Claims, 5 Drawing Sheets

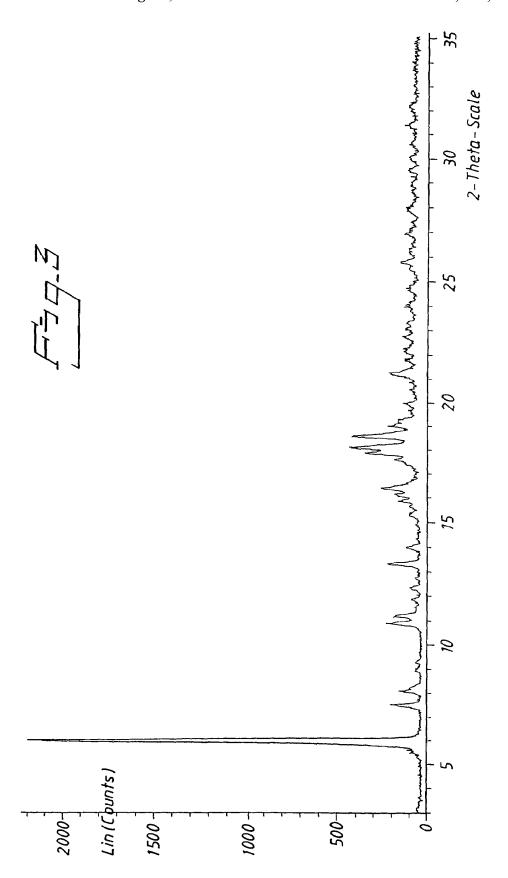




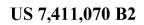


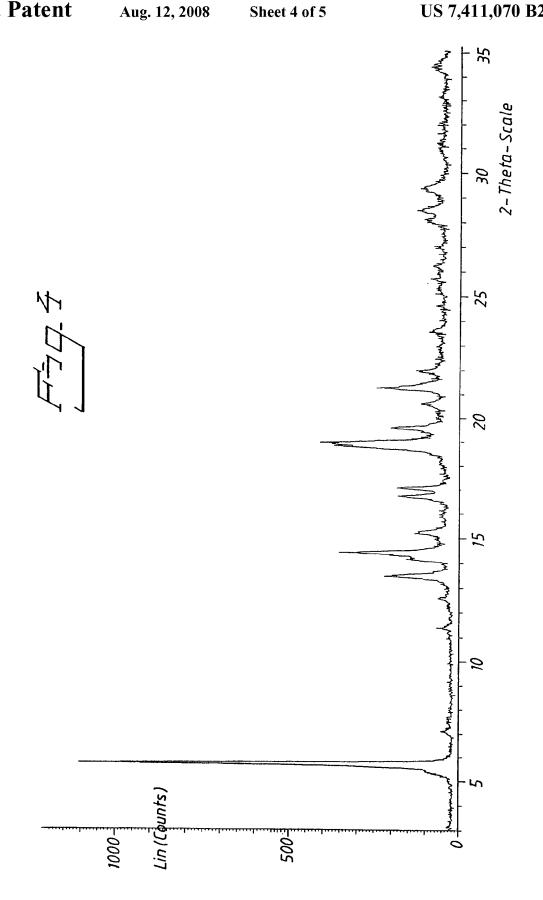














DOCKET

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.

