

**DOCUMENTS REVIEWED AND RELIED UPON BY
TERENCE L. THRELFALL, PH.D.**

Doc. No.	DOCUMENT DESCRIPTION
1	Certified U.S. Patent No. 8,518,987 B2
2	Certified File History of U.S. Patent No. 8,518,987 B2
3	Arun K. Ghosh et al., <i>Potent HIV Protease Inhibitors Incorporating High-Affinity P₂-Ligands and (R)-(Hydroxyethylamino)sulfonamide Isostere</i> , 8 BIOORGANIC & MEDICINAL CHEMISTRY LETTERS 687 (1998)
4	U.S. Patent No. 6,248,775 B1
5	Elke Van Gyseghem et al., <i>Solid State Characterization of the Anti-HIV Drug TMC114: Interconversion of Amorphous TMC114 Ethanolate and Hydrate</i> , 38 EUR. J. PHARMACEUTICAL SCI. 489 (2009)
6	<i>Guideline for Submitting Supporting Documentation in Drug Applications for the Manufacture of Drug Substances</i> , FOOD & DRUG ADMINISTRATION (1987)
7	Stephen Byrn et al., <i>Pharmaceutical Solids: A Strategic Approach to Regulatory Considerations</i> , 12 PHARMACEUTICAL RES. 945 (1995)
8	Gautam R. Desiraju, <i>Hydration in Organic Crystals: Prediction from Molecular Structure</i> , 6 J. CHEMICAL SOC'Y CHEMICAL COMM. 426 (1991)
9	<i>Preface</i> , in POLYMORPHISM IN PHARMACEUTICAL SOLIDS iii, iii-v (Harry G. Brittain ed., 1999)
10	David J.W. Grant, <i>Theory and Origin of Polymorphism</i> , in POLYMORPHISM IN PHARMACEUTICAL SOLIDS 1 (Harry G. Brittain ed. 1999)
11	John Haleblian & Walter McCrone, <i>Pharmaceutical Applications of Polymorphism</i> , 58 J. PHARMACEUTICAL SCI. 911
12	J. Keith Guillory, <i>Generation of Polymorphs, Hydrates, Solvates, and Amorphous Solids</i> , in POLYMORPHISM IN PHARMACEUTICAL SOLIDS 183 (Harry G. Brittain ed., 1999)
13	Örn Almarsson & Michael J. Zaworotko, <i>Crystal Engineering of the Composition of Pharmaceutical Phases. Do Pharmaceutical Co-crystals Represent a New Path to Improved Medicines?</i> , CHEMICAL COMM. 1889 (2004)
14	Stephen R. Byrn et al., <i>Hydrates and Solvates</i> , in SOLID-STATE CHEMISTRY OF DRUGS 233 (2d ed. 1999)
15	Steven S. Zumdahl, CHEMISTRY 31-59, 295-347, 383-433, 559-613 (1986)

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16	R. Docherty, <i>The Application of Computational Chemistry to the Study of Molecular Materials</i> , in CRYSTAL GROWTH OF ORGANIC MATERIALS 2 (Allan S. Myerson et al. eds., 1996)
17	Terence L. Threlfall, <i>Analysis of Organic Polymorphs: A Review</i> , 120 ANALYST 2435 (1995)
18	Gregory A. Stephenson et al., <i>Formation of Isomorphic Desolvates: Creating a Molecular Vacuum</i> , 87 J. PHARMACEUTICAL SCI. 536 (1998)
19	Sherry L. Morissette et al., <i>High Throughput Crystallization: Polymorphs, Salts, Co-crystals and Solvates of Pharmaceutical Solids</i> , 56 ADVANCED DRUG DELIVERY REVIEWS 275 (2004)
20	Stephen R. Byrn et al., <i>Solid-State Pharmaceutical Chemistry</i> , 6 CHEMISTRY MATERIALS 1148 (1994)
21	P. Heinrich Stahl, <i>The Problems of Drug Interactions with Excipients</i> , in TOWARDS BETTER SAFETY OF DRUGS AND PHARMACEUTICAL PRODUCTS 265 (D.D. Breimer ed., 1980)
22	Bruno C. Hancock & Michael Parks, <i>What is the True Solubility Advantage for Amorphous Pharmaceuticals?</i> , 17 PHARMACEUTICAL RES. 397 (2000)
23	Harry G. Brittain & Eugene F. Fiese, <i>Effects of Pharmaceutical Processing on Drug Polymorphs and Solvates</i> , in POLYMORPHISM IN PHARMACEUTICAL SOLIDS 331 (Harry G. Brittain ed., 1999)
24	Joel Bernstein, <i>Polymorphism of Pharmaceuticals</i> , in POLYMORPHISM IN MOLECULAR CRYSTALS 240 (2002)
25	HANDBOOK OF PHARMACEUTICAL EXCIPIENTS xv-xvi (Arthur H. Kibbe ed., 3d ed. 2000)
26	Sudha R. Vippagunta et al., <i>Crystalline Solids</i> , 48 ADVANCE DRUG DELIVERY REVIEWS 3 (2001)
27	Certified U.S. Patent No. 7,700,645 B2
28	Certified File History of U.S. Patent No. 7,700,645 B2
29	European Patent Application No. EP 02076929.5
30	International Publication No. WO 95/06030 A1
31	European Patent No. 1 567 529 B1
32	File History of European Patent No. 1 567 529 B1
33	Matti U.A. Ahlqvist & Lynne S. Taylor, <i>Water Dynamics in Channel Hydrates Investigated Using H/D Exchange</i> , 241 INT'L J. PHARMACEUTICS 253 (2002)
34	Rajendra K. Khankari & David J.W. Grant, <i>Pharmaceutical Hydrates</i> , 248 THERMOCHIMICA ACTA 61 (1995)

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35	Kenneth R. Morris & Nair Rodríguez-Hornedo, <i>Hydrates</i> , in ENCYCLOPEDIA OF PHARMACEUTICAL TECHNOLOGY 393 (James Swarbrick & James C. Boylan eds., 1993)
36	Albert J. Fry, <i>Solvents and Supporting Electrolytes</i> , in LABORATORY TECHNIQUES IN ELECTROANALYTICAL CHEMISTRY 469 (Peter T. Kissinger & William R. Heineman eds., 2d ed. 1996)
37	Charles Coughon & Jacques Simonet, <i>Cathodic Reactivity of Platinum and Palladium in Electrolytes in Superdry Conditions</i> , 46 PLATINUM METALS REV. 94 (2002)
38	Dian J. Gaffen et al., <i>Annual Cycles of Tropospheric Water Vapor</i> , 97 J. GEOPHYSICAL RES. 18185 (1992)
39	Svante Arrhenius, <i>On the Influence of Carbonic Acid in the Air Upon the Temperature of the Ground</i> , in CLIMATE CHANGE: CRITICAL CONCEPTS IN THE ENVIRONMENT 11 (Frank Chambers & Michael Ogle eds., 2002)
40	Mihaly V. Toth & Garland R. Marshall, <i>A Simple, Continuous Fluorometric Assay for HIV Protease</i> , 36 INT’L J. PEPTIDE & PROTEIN RES. 544 (1990)
41	Agenerase [®] Prescribing Information (April 1999)
42	Kenneth R. Morris, <i>Structural Aspects of Hydrates and Solvates</i> , in POLYMORPHISM IN PHARMACEUTICAL SOLIDS 125 (Harry G. Brittain ed., 1999)
43	Frank H. Allen et al., <i>Systematic Analysis of Structural Data as a Research Technique in Organic Chemistry</i> , 16 ACCOUNTS CHEMICAL RES. 146 (1983)
44	Trial Transcripts from March 18, 2014 – April 2, 2014 trial proceedings in <i>Janssen Products, L.P. et al. v. Lupin Ltd. et al.</i> , Consolidated Case No. 10-5954 (D.N.J.)
45	Declaration of Frederick J. Northrup, Ph.D. in Support of Lupin Limited’s Petition for <i>Inter Partes</i> Review of U.S. Patent No. 8,518,987 B2
46	Printout of Data File of Dr. Northrup’s Powder X-Ray Diffraction testing on “Compound 13” (Apr. 8, 2015)
47	Printout of Data File of Dr. Northrup’s Powder X-Ray Diffraction testing on “Compound 13 EtOH recrystallized” (Apr. 8, 2015)
48	Printout of Data File of Dr. Northrup’s Powder X-Ray Diffraction testing on “Compound 13 iPrOH recrystallized” (Apr. 8, 2015)
49	Printout of Data File of Dr. Northrup’s Thermogravimetric Analysis

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	sample mass testing on “Compound 13” (Apr. 8, 2015)
50	Printout of Data File of Dr. Northrup’s Thermogravimetric Analysis sample mass testing on “Compound 13 EtOH recrystallized” (Apr. 8, 2015)
51	Printout of Data File of Dr. Northrup’s Thermogravimetric Analysis sample mass testing on “Compound 13 iPrOH recrystallized” (Apr. 8, 2015)
52	Printout of Data File of Dr. Northrup’s Thermogravimetric Analysis / Mass Spectrometry testing on “Compound 13” (Apr. 8, 2015)
53	Printout of Data File of Dr. Northrup’s Thermogravimetric Analysis / Mass Spectrometry testing on “Compound 13 EtOH recrystallized” (Apr. 8, 2015)
54	Printout of Data File of Dr. Northrup’s Thermogravimetric Analysis / Mass Spectrometry testing on “Compound 13 iPrOH recrystallized” (Apr. 8, 2015)
55	Declaration of Aristotle G. Kalivretenos, Ph.D. in Support of Lupin Limited’s Petition for <i>Inter Partes</i> Review of U.S. Patent No. 8,518,987 B2
56	Packing Slip from Aurora Analytics, LLC to Frederick Northrup, Ph.D. (Apr. 4, 2015)
57	Certificate of Analysis of “Compound 13 Darunavir” (Apr. 8, 2015)
58	Certificate of Analysis of “Compound 13 Darunavir, ethanol recrystallized” (Apr. 8, 2015)
59	Certificate of Analysis of “Compound 13 Darunavir, isopropyl recrystallized” (Apr. 8, 2015)