# RAVI B. UPASANI, Ph.D.

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## **PROFILE**

Biopharmaceutical Intellectual Property professional with extensive background and expertise in devising and implementing patent filing strategies that protect inventions and emerging technologies. Possess around 10 years of experience in IP, and >15 years of experience in medicinal chemistry. Experience includes:

- > >8 Years of experience in directing IP departments
- > Drafting, filing and prosecuting U.S. and foreign patent applications
  - >150 small molecule composition of matter patent applications
  - >10 US applications issued/allowed
  - Several in person "Examiner's Interview"
- ➤ Conducting structure-based IP searches and performing freedom-to-operate (FTO) analysis on small molecule drug candidates
- Developing and implementing
  - effective patent filing strategy based on SAR (Structure-Activity Relationship) and bioisosterism
  - effective and preemptive strategies with respect to potential third party conflicting IP
- Managing all IP aspects of collaboration with big pharma (Pfizer, AstraZeneca, and Roche)
- ➤ Performing Due Diligence of third party IP for in-licensing and collaboration activities
- ➤ Providing strategic intellectual property advice and intellectual property portfolio management (>400 patents and patent applications; US and foreign counterparts)
- ➤ Providing research and analysis of patent information pertaining to pharmaceutical projects
- Patent lifecycle management (patent term extension)
- ➤ Conducting IP analysis for licensing and M&A activities

#### PROFESSIONAL EXPERIENCE

S. M. IP Consulting

San Jose

10/2009 - Present

Founder and Chemistry Consultant

Evotec, AG

South San Francisco, CA

05/2008 - 09/2009

Senior Director of Intellectual Property

**Renovis, Inc.** South San Francisco, CA 2002 – 2008

Senior Director of Intellectual Property (2007 – 2008) Director of Intellectual Property (2002 – 2007)

Centaur Pharmaceuticals Santa Clara, CA 2000 – 2002

Director of Intellectual Property (2001 – 2002)

**Director of Chemistry** (2000 – 2001)

**CoCensys, Inc.** Irvine, CA 1992 – 2000

Director of Chemistry (1999 – 2000) Associate Director (1998 – 1999) Group Leader (1994 – 1998) Senior Scientist III (1992 – 1994)

## **EDUCATION & REGISTRATIONS**

Ph.D., Synthetic Organic Chemistry, University of Bombay, 1984
M.Sc., Organic Chemistry, University of Bombay, 1981
B.Sc., Chemistry, University of Bombay, 1977

Registered Patent Agent (Reg. No. 53,712)

## SCIENTIFIC MANAGEMENT AND TEAM MEMBERSHIP

Chair, Patent Committee	2001-
Project Leader, Myocardial Ischemia Program, Centaur	2000-2001
Principal Investigator, Nociceptin Project, CoCensys	1998-2000
Project Leader, Antiepileptic Program, CoCensys	1993-1995
Chemistry Leader/Coordinator, Parke-Davis/CoCensys SSNRA Program	1997-1999
Chemistry Leader/Coordinator, AMPA Program, CoCensys	1995-1997
Project Leader, ISIS/Host Scientific Information Management	1996-2000

## PTO EXAMINER'S COMMENTS

Application/Control Number: 11/375,692 Art Unit: 1625 **DETAILED ACTION** 1. Claims 1- 45 are canceled. Claims 46-68 are pending. 2. The instant application claims the benefit under 35 U.S.C. § 119 ...... **Reasons for allowance** 3. The following is an examiner's statement of reasons for allowance: The compounds of the instant case are novel. After a personal interview (July 17, 2007) and a series of phone conversations (attached summary of conversation of July 20, 2007) with the applicant's representative, agreement was reached in regard to the claims. 2nd rejection for the names of substituents, ...... Moreover the genus was constructed such that in no longer runs afoul of 35 U.S.C. 112 1st (enablement), The closest prior art of record is perhaps that of Codd U.S. Pre-Grant Pub 2004/0192728 which teaches TRPV1 ligands with a..... The examiner wishes to thank applicant's representative Mr. David Jackson as well as Mr. Rayi Upasani both of whom exhibited the utmost professionalism throughout prosecution.

## SELECTED ACCOMPLISHMENTS – MEDICINAL CHEMISTRY

Alzheimer's, Parkinson's and Brain Stroke

Designed and synthesized subtype selective NMDA antagonists (SSNRA) for neurodegenerative diseases. Project resulted in declaration of a CD (Co 200461) for Parkinson's Disease. Several patents issued/pending.

Designed and synthesized AMPA competitive and non-competitive antagonists as CNS agents.

Epilepsy, Anxiety, and Sleep Disorder

Proposed pharmacophore model for the neuroactive steroid modulatory site on GABA<sub>A</sub> receptor-ligand complex. Designed and synthesized neuroactive steroids as antiepileptic, anxiolytic and sedative/hypnotic drug candidates. Played a major role in elaborating SAR.

Received "CoCensys Winning Spirit Award" for this outstanding scientific contribution. This work resulted in several patents and two potential CDs.

Neuropathic Pain Coordinated chemistry and biology efforts for nociceptin project.

Established SAR. Developed a pharmacophore. Optimized hits.

Myocardial Ischemia Identified a potential CD (CPI-200052) for MI indications.

Organic Synthesis Solved a synthetic problem by inventing a new process to prepare

acetylenic carbinols. This invention resulted in a US patent. Developed a methodology for synthesis of new chemical entities using microwave irradiation assisted organic reactions on solid

support (patent to be filed).

## SELECTED MEDICINAL CHEMISTRY PUBLICATIONS (of >40)

- Discovery of Subtype-Selective NMDA Receptor Ligands: 4-Benzyl-1-piperidinylalkynylpyrroles, Pyrazoles and Imidazoles as NR1A/2B Antagonist, J. L. Wright, P. A. Boxer, T. F. Gregory, S. Hong-Bae, J.-C. Huang, S. R. Kesten, L. T. Meltzer, R. B. Upasani, E. R. Whittemore, L. D. Wise, R. M. Woodward, K. C. Yang, and Z.-L. Zhou, *Bioorg. Med. Chem. Lett.* 1999, 9, 2815-2818
- 1,2,3,4-Tetrahydro-9H-pyrido[3,4-b]indoles: Potent subtype-selective inhibitors of N-Methyl-D-aspartate (NMDA) Receptors. A. P. Tamiz, E. R. Whittemore, R. M. Woodward, R. B. Upasani, J. F. W. Keana *Bioorg. Med. Chem. Lett.* 1999, 9, 1619-1624
- 3. Substituted 3β-phenylethynyl derivatives of 3α-hydroxy-5α-pregnan-20-ones: remarkably potent neuroactive steroid modulators of the GABA<sub>A</sub> receptors. Jon E. Hawkinson, Manuel Acosta-Burruel, Kevin C. Yang, Derk H. Hogenkamp, Nancy C. Lan, E. R. Whittemore, Richard M. Woodward, Richard B. Carter and Ravi B. Upasani\*, *J. Pharm. and Exp. Therap.* **1998**, 287, 198-207
- 4. Characterization of the anticonvulsant properties of the novel neuroactive steroid Co 2-1068. R. B. Carter, K. C. Yang, J. E. Hawkinson, P. L. Wood and R. B. Upasani *Epilepsy Research*, under editorial review (preprint available)
- 5. Synthesis and SAR of 3β-substituted alkynyl pregnanes as allosteric modulators for GABA<sub>A</sub> receptors. R. B. Upasani, R. B. Carter, K. C. Yang, M. Acosta-Burruel, J. A. McLellan, D. Hogenkamp, N. C. Lan, and J. E. Hawkinson, *J. Med. Chem.* under editorial review (preprint available)
- 3α-Hydroxy-3β-Phenylethynyl-5β-Pregnan-20-Ones: Synthesis and Pharmacological Activity of Neuroactive Steroids with High Affinity for GABA<sub>A</sub> Receptors, R. B. Upasani, K. C. Yang, M. Acosta-Burruel, C. S. Konkoy, J. A. McLellan, R. M. Woodward, N. C. Lan, R. Carter, and J. E. Hawkinson, *J. Med. Chem.* 1997, 40, 73-84
- Synthesis and in vitro activity of 3β-substituted-3α-hydroxypregnan-20-ones: Allosteric modulators of the GABA<sub>A</sub> receptor, D. Hogenkamp, S. H. Tahir, J. E. Hawkinson, R. Upasani, M. Alauddin, C. L. Kimbrough, M. Acosta-Burruel. E. R. Whittermore, R. M. Woodward, N. C. Lan, K. W. Gee, and M. B. Bolger, *J. Med. Chem.* 1997, 40, 61-72
- 8. Anxiolytic and anticonvulsant activity of a synthetic neuroactive steroid Co 3-0593, S. Wieland, J. Bellushi, J. E. Hawkinson, D. Hogenkamp, R. Upasani, L. Stein, P. Wood, K. Gee, and N. C. Lan, *Psychopharmacology* **1997**, 134, 46-54

- 9. In vitro and in vivo activity of 16,17-dehydro-epipregnanolones:17,20-bond torsional energy analysis and D-ring conformation, M. B. Bolger, S. Wieland, J. E. Hawkinson, H. Xia, R. B. Upasani and N. C. Lan *Pharmaceutical Research*, **1996**, 13(10), 1486-92
- 10. Conformational similarity of diazepam-sensitive and -insensitive benzodiazepine receptors determined by chiral pyrroloimidazobenzodiazepines, R. I. Fryer, P. Zhang, K. Y. Lin R. B. Upasani, G. Wong, P. Skolnik, *Med. Chem. Res.* **1993**, 3(3), 183-91
- 11. Studies related to alkylations of enolate anions of 1,4-benzodiazepinones R. I. Fryer, J. C. Pinto and R. B. Upasani *J. Heterocycl. Chem.* **1993**, 30(4), 945-51

#### SELECTED PATENTS

- 1. Substituted 1(2H)-phthalazinones and pharmaceuticals, ---, Ravi Upasani, ---, US7,652,006
- 2. Amide derivatives as ion-channel ligands and pharmaceutical compositions and methods of using the same, ---, Ravi Upasani, ---, US 7,576,099
- 3. Amide derivatives as ion-channel ligands and pharmaceutical compositions and methods of using the same, ---, Ravi Upasani, ---, US 7,432,281
- 4. Nitrone compounds, pharmaceutical compositions containing the same and methods for treating inflammation and neuropathic pain, ---, Ravi Upasani, ---, US 7,115,666
- 5. Use of aryl nitrone compounds in methods for treating neuropathic pain, D. L. Waterbury, P. L. Wood, M. A. Khan, R. Upasani, US 6,835,754
- 6. Neuroactive steroids of the androstane and pregnane series, Upasani et al., US 6,780,853
- 7. Substituted quinazolines and analogs and the use thereof, R. Upasani, S. X. Cai, 6,765,006
- 8. Aryl substituted pyrazoles, imidazoles, oxazoles, thiazoles and pyrroles, and the use thereof, P. Nguyen, D. J. Hogenkamp, R. B. Upasani, 6,737,418
- 9. Substituted quinazolines and analogs and use thereof, R. Upasani, S. X. Cai, N. Lan, Y. Wang, G. Field, D. B. Fick, US 6,465,472
- 10. Aryl substituted pyrazoles and pyrroles, and the use thereof, D. J. Hogenkamp, R. B. Upasani, P. Nguyen, US 6,414,011

- 11. Methods for allosteric modulation of the GABA receptor by members of the androstane and pregnane series (3β-alkynyls), R. B. Upasani, H. J. Xia, D. J. Hogenkamp, US 6,277,838
- 12. Methods, compositions, and compounds for allosteric modulation of the GABA receptor by members of the androstane and pregnane series (21-heterocycles and 3β-phenylethynylpregnanes), R. B. Upasani, H. J. Xia, D. J. Hogenkamp, US 6,143,736
- 13. 4-Benzylpiperidine alkylsulfoxide heterocycles and their use as subtype-selective NMDA receptor antagonists, J. L. Wright, R. B. Upasani, R. M. Woodward, N. C. Lan, US 6,284,774
- 14. Methods, compositions, and compounds for Allosteric modulation of the GABA receptor by members of the androstane and pregane series (3β-phenylethynylpregnanes), R. B. Upasani, H. J. Xia, D. J. Hogenkamp, US 5,939,545
- 15. Neuroactive steroids of the androstane and pregane series (17β-alkoxypregnanes), R. B. Upasani, D. J. Hogenkamp, D. B. Fick, N. C. Lan, US 5,925,630
- 16. Process for synthesis of acetylenic carbinols, R. B. Upasani US 5,567,830
- 17. Polysubstituted fullerenes and their preparation (C-2608), L. Y. Chiang, R. B. Upasani, and J. W. Swirczeski US 5,416,188
- 18. Polysubstituted fullerenes and their preparation, L. Y. Chiang, R. B. Upasani, and J. W. Swirczeski US 5,294,732
- 19. Formation of polysubstituted fullerenes, L. Y. Chiang, R. B. Upasani, and J. W. Swirczeski US 5,177,248

#### SELECTED PRESENTATIONS

- 1. Synthesis and SAR of 4-Benzyl piperidinyl alkyl heteroatom linked heterocycles as subtype-selective NMDA receptor antagonists. *Presented at the 219<sup>th</sup> ACS national meeting, March 2000*
- Orally active subtype-selective NMDA receptor antagonists for the treatment of Parkinson's desease. J. L. Wright, P. A. Boxer, T. F. Gregory, S. Hong-Bae, J. C. Huang, M. R. Kaller, S. R. Kesten, L. T. Meltzer, R. B. Upasani, E. R. Whittemore, L. D. Wise, R. M. Woodward, K. C. Yang, and Z. L. Zhou, *Presented at the 219<sup>th</sup>* ACS national meeting, March 2000
- 3. The development of orally active subtype-selective NMDA receptor antagonists for the treatment of Parkinson's disease, J. L. Wright, P. A. Boxer, T. F. Gregory, S. Hong-Bae, J. C. Huang, M. R. Kaller, S. R. Kesten, L. T. Meltzer, R. B. Upasani, E.

- R. Whittemore, L. D. Wise, R. M. Woodward, K. C. Yang, and Z. L. Zhou, *Presented at the 218<sup>th</sup> ACS national meeting, Aug.* **1999**
- 4. Design and synthesis of the anticonvulsant Co 2-1068: A novel neuro-active steroid modulator of the GABA<sub>A</sub> receptor, <u>R. B. Upasani</u>, K. C. Yang, J. E. Hawkinson, M.Acosta-Burruel, J.A. McLellan, and R. B. Carter, *Presented at the 213 <sup>th</sup> ACS national meeting*, *April* **1997** (*Med-174*)
- 5. Synthesis and SAR of 3β-substituted alkynyl pregnanes as allosteric modulators for GABA<sub>A</sub> receptors, R. B. Upasani, R. B. Carter, <u>K. C. Yang</u>, M. Acosta-Burruel, J. A. McLellan, D. Hogenkamp, N. C. Lan, and J. E. Hawkinson, *Presented at the 213* <sup>th</sup> *ACS national meeting, April* **1997** (*Med-038*)
- 6. Characterization of the anticonvulsant properties of Co 2-1068: A novel neuro-active steroid modulator of the GABA<sub>A</sub> receptor, <u>R. B. Carter</u>, K. C. Yang, S. Robledo, M. Suruki, H. S. White and R. B. Upasani, *Presented at the 26<sup>th</sup> Neuroscience National Meeting*, *Nov.* **1996** (824.6)
- Co-5-2791: A remarkably potent neuroactive steroid GABA<sub>A</sub> receptor potentiator, J. E. Hawkinson, M. Acosta-Burruel, K. C. Yang, C. S. Konkoy, E. R. Whittemore, R. M. Woodward, R. B. Carter, and R. B. Upasani *Presented at the 26<sup>th</sup> Neuroscience national meeting*, Nov. 1996 (512.5)
- 8. 3β-Phenylethynyl-3α-hydroxy-5β-pregnan-20-ones: Synthesis and pharmacological activity of neuroactive steroids with high affinity for GABA<sub>A</sub> receptors, <u>R. B. Upasani</u>, K. C. Yang, M. Acosta-Burruel, C. S. Konkoy, J. A. McLellan, R. M. Woodward, N. C. Lan, R. Carter, and J. E. Hawkinson, *Presented at the 212 th ACS national meeting*, *August* **1996** (*Med-096*)
- Synthesis and binding of 3β-substituted-3α-hydroxypregnan-20-ones to the GABA<sub>A</sub> receptor, <u>D. Hogenkamp</u>, S. H. Tahir, J. E. Hawkinson, R. Upasani, M. B. Bolger, N. C. Lan, and K. W. Gee, *Presented at the 209 <sup>th</sup> ACS national meeting, April 1995 (Med-095)*
- **10.** Synthesis and solid state magnetic properties of Polyphenoxy radicals derived from poly[2-(3,5-di-t-butyl-4-hydroxyphenyl)isobutyl methacrylate], <u>R. B. Upasani</u>, L. Y. Chiang and D. P. Goshorn *Presented at the ACS national meeting, April* **1990**
- 11. Polyphenoxy radicals: synthesis and homopolymerization of 2-(3,5-di-t-butyl-4-hydroxyphenyl)iso-butyl methacrylate, <u>R. B. Upasani</u>, L. Y. Chiang and D. P. Goshorn *Presented at the Mat. Res. Soc. meeting, Nov.* **1989**