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- **HAGGARTY, Stephen, J.**
Dorchester, MA 02125 (US)
- **PATNAIK, Debasis**
Quincy, MA 02171 (US)

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(74) Representative: **Cornish, Kristina Victoria Joy et al**
Kilburn & Strode LLP
Lacon London
84 Theobalds Road
London WC1X 8NL (GB)

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(56) References cited:
EP-A2- 0 263 438 WO-A1-2010/044342
WO-A1-2010/044342 WO-A1-2010/114116
WO-A1-2010/114116 WO-A2-2010/011318
JP-A- 2000 229 972 JP-A- 2000 229 972
JP-A- 2010 215 759 JP-A- 2010 215 759
JP-A- 2010 267 847 JP-A- 2010 267 847
US-A- 4 617 316

(73) Proprietors:
• **Massachusetts Institute of Technology**
Cambridge, MA 02139 (US)
• **The General Hospital Corporation d/b/a**
Massachusetts General Hospital
Boston, MA 02114 (US)

(72) Inventors:
• **TSAL, Li-Huei**
Cambridge, MA 02138 (US)
• **PAN, Ling**
Charlestown, MA 02129 (US)

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EP 2 734 510 B8

- WEIXIAO BAO ET AL: "Carbazole nematic liquid crystals", LIQUID CRYSTALS: AN INTERNATIONAL JOURNAL OF SCIENCE AND TECHNOLOGY, TAYLOR & FRANCIS, GB, vol. 37, no. 10, 1 October 2010 (2010-10-01), pages 1289-1303, XP001558136, ISSN: 0267-8292, DOI: 10.1080/02678292.2010.504862
- KATHARINE GERAMITA ET AL: "2,7-Substituted Hexafluoroheterofluorenes as Potential Building Blocks for Electron Transporting Materials", THE JOURNAL OF ORGANIC CHEMISTRY, vol. 74, no. 2, 16 January 2009 (2009-01-16), pages 820-829, XP055189883, ISSN: 0022-3263, DOI: 10.1021/jo802171t
- PENG GAO ET AL: "Conjugated Ladder-Type Heteroacenes Bearing Pyrrole and Thiophene Ring Units: Facile Synthesis and Characterization", THE JOURNAL OF ORGANIC CHEMISTRY, vol. 73, no. 23, 5 December 2008 (2008-12-05), pages 9207-9213, XP055188442, ISSN: 0022-3263, DOI: 10.1021/jo801898g
- PRASAD APPUKKUTTAN ET AL: "Microwave-Enhanced Cadogan Cyclization: An Easy Access to the 2-Substituted Carbazoles and other Fused Heterocyclic Systems", SYNLETT, no. 1, 1 January 2005 (2005-01-01), pages 127-133, XP055200196, ISSN: 0936-5214, DOI: 10.1055/s-2004-836030
- QINHUA HUANG ET AL: "Synthesis of Substituted Naphthalenes and Carbazoles by the Palladium-Catalyzed Annulation of Internal Alkynes", THE JOURNAL OF ORGANIC CHEMISTRY, vol. 68, no. 19, 1 September 2003 (2003-09-01), pages 7342-7349, XP055200198, ISSN: 0022-3263, DOI: 10.1021/jo034449x
- KUMAR U K S ET AL: "Benzoannulation of 2-methylindole via 1-N-Carboxy-2-methylindole Dianion: A Direct Regiospecific Route to Substituted and Annulated Carbazoles", TETRAHEDRON LETTERS, PERGAMON, GB, vol. 39, no. 14, 2 April 1998 (1998-04-02), pages 2029-2032, XP004109614, ISSN: 0040-4039, DOI: 10.1016/S0040-4039(98)00164-6
- ALAN R. KATRITZKY ET AL: "Efficient Syntheses of Substituted Carbazoles and Cyclopent[b]indoles from 1-Methyl-3-(benzotriazol-1-ylmethyl)indole", THE JOURNAL OF ORGANIC CHEMISTRY, vol. 61, no. 21, 1 January 1996 (1996-01-01), pages 7558-7563, XP055200201, ISSN: 0022-3263, DOI: 10.1021/jo9604954
- P. VAN DOREN ET AL: "Diels-alder reactions of pyrano[3,4-b]indol-3-ones with olefinic compounds : Synthesis of (1,2-dihydro)carbazoles", TETRAHEDRON, vol. 45, no. 21, 1 January 1989 (1989-01-01), pages 6761-6770, XP055200202, ISSN: 0040-4020, DOI: 10.1016/S0040-4020(01)89146-8
- KEUMI, TAKAHASHI ET AL: "Studies on dibenzofuran and its derivatives. XXVIII. Electrophilic substitutions of 2,8-dimethoxy- and 2,8-diethyldibenzofurane", NIPPON KAGAKU KAISHI, vol. 1, 1987, pages 35-39, XP002741905,
- KEUMI, TAKASHI ET AL: "Derivatives of dibenzofuran. XVIII. Orientation in the Friedel-Crafts benzoylation of 2- and 3-methyldibenzofurans", NIPPON KAGAKU KAISHI, vol. 9, 1974, pages 1708-1711, XP002741906,
- WIRTH H O ET AL: "SYNTHESE UND EIGENSCHAFTEN VON OXYDO-P-OLIGOPHENYLENEN 18. MITTEILUNG UEBER POLY- UND OLIGOPHENYLENE", MAKROMOLEKULARE CHEMIE, MACROMOLECULAR CHEMISTRY AND PHYSICS, WILEY - V C H VERLAG GMBH & CO. KGAA, vol. 86, no. 16, 1 January 1965 (1965-01-01), pages 139-167, XP009012341, ISSN: 0025-116X, DOI: 10.1002/MACP.1965.020860115
- WEIXIAO BAO ET AL: "Carbazole nematic liquid crystals", LIQUID CRYSTALS: AN INTERNATIONAL JOURNAL OF SCIENCE AND TECHNOLOGY, TAYLOR & FRANCIS, GB, vol. 37, no. 10, 1 October 2010 (2010-10-01), pages 1289-1303, XP001558136, ISSN: 0267-8292, DOI: 10.1080/02678292.2010.504862
- KATHARINE GERAMITA ET AL: "2,7-Substituted Hexafluoroheterofluorenes as Potential Building Blocks for Electron Transporting Materials", THE JOURNAL OF ORGANIC CHEMISTRY, vol. 74, no. 2, 16 January 2009 (2009-01-16), pages 820-829, XP055189883, ISSN: 0022-3263, DOI: 10.1021/jo802171t
- PENG GAO ET AL: "Conjugated Ladder-Type Heteroacenes Bearing Pyrrole and Thiophene Ring Units: Facile Synthesis and Characterization", THE JOURNAL OF ORGANIC CHEMISTRY, vol. 73, no. 23, 5 December 2008 (2008-12-05), pages 9207-9213, XP055188442, ISSN: 0022-3263, DOI: 10.1021/jo801898g
- PRASAD APPUKKUTTAN ET AL: "Microwave-Enhanced Cadogan Cyclization: An Easy Access to the 2-Substituted Carbazoles and other Fused Heterocyclic Systems", SYNLETT, no. 1, 1 January 2005 (2005-01-01), pages 127-133, XP055200196, ISSN: 0936-5214, DOI: 10.1055/s-2004-836030
- QINHUA HUANG ET AL: "Synthesis of Substituted Naphthalenes and Carbazoles by the Palladium-Catalyzed Annulation of Internal Alkynes", THE JOURNAL OF ORGANIC CHEMISTRY, vol. 68, no. 19, 1 September 2003 (2003-09-01), pages 7342-7349, XP055200198, ISSN: 0022-3263, DOI: 10.1021/jo034449x

- KUMAR U K S ET AL: "Benzoannelation of 2-methylindole via 1-N-Carboxy-2-methylindole Dianion: A Direct Regiospecific Route to Substituted and Annelated Carbazoles", TETRAHEDRON LETTERS, PERGAMON, GB, vol. 39, no. 14, 2 April 1998 (1998-04-02) , pages 2029-2032, XP004109614, ISSN: 0040-4039, DOI: 10.1016/S0040-4039(98)00164-6
- ALAN R. KATRITZKY ET AL: "Efficient Syntheses of Substituted Carbazoles and Cyclopent[b]indoles from 1-Methyl-3-(benzotriazol-1-ylmethyl)indole ", THE JOURNAL OF ORGANIC CHEMISTRY, vol. 61, no. 21, 1 January 1996 (1996-01-01), pages 7558-7563, XP055200201, ISSN: 0022-3263, DOI: 10.1021/jo9604954
- P. VAN DOREN ET AL: "Diels-alder reactions of pyrano[3,4-b]indol-3-ones with olefinic compounds : Synthesis of (1,2-dihydro)carbazoles", TETRAHEDRON, vol. 45, no. 21, 1 January 1989 (1989-01-01), pages 6761-6770, XP055200202, ISSN: 0040-4020, DOI: 10.1016/S0040-4020(01)89146-8
- KEUMI, TAKAHASHI ET AL: "Studies on dibenzofuran and its derivatives. XXVIII. Electrophilic substitutions of 2,8-dimethoxy- and 2,8-diethyldibenzfurane", NIPPON KAGAKU KAISHI, vol. 1, 1987, pages 35-39, XP002741905,
- KEUMI, TAKASHI ET AL: "Derivatives of dibenzofuran. XVIII. Orientation in the Friedel-Crafts benzoylation of 2- and 3-methyldibenzofurans", NIPPON KAGAKU KAISHI, vol. 9, 1974, pages 1708-1711, XP002741906,
- WIRTH H O ET AL: "SYNTHESE UND EIGENSCHAFTEN VON OXYDO-P-OLIGOPHENYLENEN 18. MITTEILUNG UEBER POLY- UND OLIGOPHENYLENE", MAKROMOLEKULARE CHEMIE, MACROMOLECULAR CHEMISTRY AND PHYSICS, WILEY - V C H VERLAG GMBH & CO. KGAA, vol. 86, no. 16, 1 January 1965 (1965-01-01), pages 139-167, XP009012341, ISSN: 0025-116X, DOI: 10.1002/MACP.1965.020860115