

## ***Patent List NiKem Research 2003-2010***

1. **EP 2133334**  
HETEROCYCLIC DERIVATIVES AS HDAC INHIBITORS  
(2009-12-16)
2. **WO2009/149188**  
COMPOUNDS AND METHODS FOR TREATING INFLAMMATORY AND FIBROTIC DISORDERS  
(2009-12-10)
3. **WO2009/127320**  
TERTIARY AMINE DERIVATIVES AS PHOSPHODIESTERASE-4 INHIBITORS  
(2009-10-22)
4. **WO2009/112524**  
PYRIDOPYRIMIDINES AS PLK1 (POLO-LIKE KINASE) INHIBITORS  
(2009-09-17)
5. **WO2009/103176**  
NITROGEN-CONTAINING BICYCLIC COMPOUNDS ACTIVE ON CHRONIC PAIN CONDITIONS  
(2009-08-27)
6. **WO2009/027395**  
A NEW CLASS OF HISTONE DEACETYLASE INHIBITORS  
(2009-03-05)
7. **WO2009/010871**  
NOVEL HETEROARYL DERIVATIVES AS ANTAGONISTS OF ADENOSINE A3 RECEPTOR  
(2009-01-22)
8. **WO2008/125674**  
USE OF DIMIRACETAM IN THE TREATMENT OF CHRONIC PAIN  
(2008-10-23)
9. **WO2008/117175**  
NOVEL BENZAMIDE DERIVATIVES AS MODULATORS OF THE FOLLICLE STIMULATING HORMONE  
(2008-10-02)
10. **WO2008/056259**  
OXAZOLE DERIVATIVES AS POSITIVE ALLOSTERIC MODULATORS OF METABOTROPIC GLUTAMATE RECEPTORS  
(2008-05-15)
11. **WO2008/058988**  
PYRROLO[1,2-a]IMIDAZOLE DIONE EFFECTIVE IN THE TREATMENT OF PERIPHERAL NEUROTOXICITY INDUCED BY CHEMOTHERAPEUTIC AGENTS  
(2008-05-22)
12. **WO2008/053301**  
NOVEL TETRACYCLIC INHIBITORS OF CYSTEINE PROTEASES, THE PHARMACEUTICAL COMPOSITION THEREOF AND THEIR THERAPEUTIC APPLICATIONS  
(2008-05-08)
13. **WO2008/052078**  
BENZOXATHIINE AND BENZOXATHIOLE DERIVATIVES AND USES THEREOF  
(2008-05-02)
14. **WO2008/000818**  
FLUORINATED INDOLEAMIDES USEFUL AS LIGANDS OF THE ORL-1 RECEPTOR  
(2008-01-03)
15. **WO2007/113249**  
A NEW CLASS OF HISTONE DEACETYLASE INHIBITORS  
(2007-10-11)
16. **WO2007/066200**  
NOVEL INHIBITORS OF CYSTEINE PROTEASES, THE PHARMACEUTICAL COMPOSITIONS THEREOF AND THEIR THERAPEUTIC APPLICATIONS

(2007-06-14)

- 17. WO2007/048848**  
V-ATPASE INHIBITORS FOR USE IN THE TREATMENT OF SEPTIC SHOCK  
(2007-05-03)
- 18. WO2007/048847**  
INDOLE AND AZAINDOLE DERIVATIVES FOR THE TREATMENT OF INFLAMMATORY AND AUTOIMMUNE DISEASES  
(2007-05-03)
- 19. EP 1749822**  
INDENO[1,2-B]PYRAZINES AND RELATED DERIVATIVES AS CYSTEINE PROTEASE INHIBITORS, THEIR PREPARATION, PHARMACEUTICAL COMPOSITIONS, AND USE IN THERAPY  
(2007-02-07)
- 20. WO2006/129199**  
NOVEL HETEROCYCLIC COMPOUNDS AS POSITIVE ALLOSTERIC MODULATORS OF METABOTROPIC GLUTAMATE RECEPTORS  
(2006-12-07)
- 21. WO2006/123257**  
PYRROLE DERIVATIVES AS POSITIVE ALLOSTERIC MODULATORS OF METABOTROPIC RECEPTORS  
(2006-11-23)
- 22. WO2006/123255**  
SUBSTITUTED OXADIAZOLE DERIVATIVES AS POSITIVE ALLOSTERIC MODULATORS OF METABOTROPIC GLUTAMATE RECEPTORS  
(2006-11-23)
- 23. WO2006/123249**  
NOVEL OXADIAZOLE DERIVATIVES AND THEIR USE AS POSITIVE ALLOSTERIC MODULATORS OF METABOTROPIC GLUTAMATE RECEPTORS  
(2006-11-23)
- 24. WO2006/123244**  
CARBAMATE DERIVATIVES AS POSITIVE ALLOSTERIC MODULATORS OF METABOTROPIC GLUTAMATE RECEPTORS  
(2006-11-23)
- 25. WO2006/070001**  
SUBSTITUTED INDOLE LIGANDS FOR THE ORL-1 RECEPTOR  
(2006-07-06)
- 26. WO2006/048771**  
NOVEL TETRAZOLE DERIVATIVES AS POSITIVE ALLOSTERIC MODULATORS OF METABOTROPIC GLUTAMATE RECEPTORS  
(2006-05-11)
- 27. WO2006/066924**  
AZOLE DERIVATIVES WITH ANTIMUSCARINIC ACTIVITY  
(2006-06-29)
- 28. WO2006/016219**  
DERIVATIVES OF 1-PHENYLALKANECARBOXYLIC ACID FOR THE TREATMENT OF NEURODEGENERATIVE DISEASES  
(2006-02-16)
- 29. WO2005/105213**  
INDOLE AND AZAINDOLE DERIVATIVES WITH ANTITUMOUR ACTION  
(2005-11-10)
- 30. WO2005/105078**  
INDOLE DERIVATIVES USEFUL FOR TREATING RESISTANCE TO ANTITUMOUR AGENTS  
(2005-11-10)
- 31. WO2005/074939**  
COMBINATION OF CB2 MODULATORS AND PDE4 INHIBITORS FOR USE IN MEDICINE  
(2005-08-18)

- 32. WO2005/054190**  
3-AMINOPYRROLIDINE DERIVATIVES  
(2005-06-16)
- 33. WO2005/054189**  
N-ACYL-N'-BENZYL-ALKYLENDIAMINO DERIVATIVES  
(2005-06-16)
- 34. WO2005/054178**  
CYCLOPENTYL DERIVATIVES  
(2005-06-16)
- 35. WO2005/040138**  
SUBSTITUTED BENZYLAMINOALKYLENE HETEROCYCLES  
(2005-05-06)
- 36. WO2005/040108**  
PROLINAMIDE DERIVATIVES AS SODIUM AND/OR CALCIUM CHANNEL BLOCKERS OR  
SELECTIVE MAO-B INHIBITORS  
(2005-05-06)
- 37. WO2005/005411**  
SUBSTITUTED INDOLE LIGANDS FOR THE ORL-1 RECEPTOR  
(2005-01-20)
- 38. WO2005/000845**  
BICYCLIC DERIVATIVES AS NK-1 AND NK-2 ANTAGONISTS  
(2005-01-06)
- 39. WO2004/085438**  
COMPOUNDS WITH NOOTROPIC ACTION, THEIR PREPARATION, PHARMACEUTICAL  
COMPOSITIONS CONTAINING THEM, AND USE THEREOF  
(2004-10-07)
- 40. WO2004/074232**  
1-PHENYLALKANECARBOXYLIC ACID DERIVATIVES FOR THE TREATMENT OF  
NEURODEGENERATIVE DISEASES  
(2004-09-02)
- 41. WO2004/073705**  
1-PHENYL-2-MONOALKYL CARBOXYLIC ACID DERIVATIVES FOR THE TREATMENT OF  
NEURODEGENERATIVE DISEASES  
(2004-09-02)
- 42. WO2004/029027**  
PYRIDINE DERIVATIVES AS CB2 RECEPTOR MODULATORS  
(2004-04-08)
- 43. WO2004/029026**  
PYRIDINE DERIVATIVES AS CB2 RECEPTOR MODULATORS  
(2004-04-08)
- 44. WO2004/004715**  
PREPARATION OF PYRIDINE-2,4-DIAMINES AS DELTA OPIOID RECEPTOR MODULATORS  
(2004-01-15)
- 45. WO2003/040099**  
PREPARATION OF BENZOSUBERONYLPYPERIDINE COMPOUNDS  
(2003-05-15)

## NiKem Research Publications 2001-2010

### Respiratory disease related

1. Medicinal chemistry and therapeutic potential of muscarinic M3 antagonists. *I. Peretto, P. Petrillo, B.P. Imbimbo. Med. Res. Rev.*, 2009, 29(6), 821-842.  
<http://www3.interscience.wiley.com/journal/122366175/abstract>
2. Identification of an Orally Efficacious Matrix Metalloprotease 12 Inhibitor for Potential Treatment of Asthma. *W. Li, J. Li, Y. Wu, F. Rancati, S. Vallese, L. Raveglia, J. Wu, R. Hotchandani, N. Fuller, K. Cunningham, P. Morgan, S. Fish, R. Krykbaev, X. Xu, S. Tam, S.J. Goldman, W. Abraham, C. Williams, J. Sypek, T.S. Mansour. J. Med. Chem.*, 2009, 52, 5408–5419. <http://dx.doi.org/10.1021/jm900809r>
3. Discovery of Diaryl Imidazolidin-2-one Derivatives, a Novel Class of Muscarinic M3 Selective Antagonists (Part 2). *I. Peretto, C. Fossati, G.A.M. Giardina, A. Giardini, M. Guala, E. LaPorta, P. Petrillo, S. Radaelli, L. Radice, L.F. Raveglia, E. Santoro, R. Scudellaro, F. Scarpitta, A. Cerri, S. Menegon, G.M. Dondio, A. Rizzi, E. Armani, G. Amari, M. Civelli, G. Villetti, R. Patacchini, M. Bergamaschi, F. Bassani, M. Delcanale, B.P. Imbimbo. J. Med. Chem.* 2007, 50, 1693-1697. <http://dx.doi.org/10.1021/jm061160>
4. Discovery of Diaryl Imidazolidin-2-one Derivatives, a Novel Class of Muscarinic M3 Selective Antagonists (Part 1). *I. Peretto, R. Forlani, C. Fossati, G.A.M. Giardina, A. Giardini, M. Guala, E. LaPorta, P. Petrillo, S. Radaelli, L. Radice, L.F. Raveglia, E. Santoro, R. Scudellaro, F. Scarpitta, C. Bigogno, P. Misiano, G.M. Dondio, A. Rizzi, E. Armani, G. Amari, M. Civelli, G. Villetti, R. Patacchini, M. Bergamaschi, M. Delcanale, C. Salcedo, A.G. Fernandez, B.P. Imbimbo. J. Med. Chem.* 2007, 50, 1571-1583. <http://dx.doi.org/10.1021/jm061159a>
5. Diarylimidazolidin-2-one derivatives: a novel class of selective muscarinic M3 receptor antagonists. *I. Peretto, C. Fossati, G.A.M. Giardina, A. Giardini, E. La Porta, P. Petrillo, S. Radaelli, L. Radice, L.F. Raveglia, E. Santoro, F. Scarpitta, A. Rizzi, E. Armani, G. Amari, B.P. Imbimbo. Drugs of the Future*, 2006, 31(Suppl. A – XIX<sup>th</sup> Int. Symp. Med. Chem.), 42 (O10).

### CNS related

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<http://www.ncbi.nlm.nih.gov/pubmed/19579178>
7. Synthesis and biological evaluation of novel dimiracetam derivatives useful for the treatment of neuropathic pain. *C. Farina, S. Gagliardi, C. Ghelardini, M. Martinelli, M. Norcini, C. Parini, P. Petrillo, S. Ronzoni. Bioorganic & Medicinal Chemistry*, 2008, 16, 3224-3232.  
<http://dx.doi.org/10.1016/j.bmc.2007.12.015>
8. New fused benzazepine as selective D<sub>3</sub> receptor antagonists. Synthesis and biological evaluation. Part one: [h]-fused tricyclic systems. *F. Micheli, G. Bonanomi, S. Braggio, M. Capelli, P. Celestini, F. Damiani, R. Di Fabio, D. Donati, S. Gagliardi, G. Gentile, D. Hamprecht, M. Petrone, S. Radaelli, G. Tedesco, S. Terreni, A. Worby, C. Heidbreder. Bioorganic & Medicinal Chemistry Letters*, 2008, 18, 901-907.  
<http://dx.doi.org/10.1016/j.bmcl.2007.12.066>
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10. Nature-inspired indolyl-2-azabicyclo[2.2.2]oct-7-ene derivatives as promising agents for the attenuation of withdrawal symptoms. *D. Passarella, A. Barilli, S. M. N. Efange, E. Elisabetsky, M. B. Leal, G. Lesma, V. M. Linck, D. C. Mash, M. Martinelli, I. Peretto, A. Silvani, B. Danieli.*

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12. New Flurbiprofen analogues, devoid of cyclooxygenase activity, selectively lower  $\beta$ -amyloid-42 secretion. *B. Imbimbo, I. Peretto, S. Radaelli, C. Parini, L. Raveglia, G. Villetti, A. Rizzi, S. Ghirardi, B. Riccardi, S. Marchetti, P. Puccini, S. Catinella, I. Rondelli, R. Volta.* **Neurobiology of Aging**, 2004, *25* (supplement 2), S64-S65. [http://dx.doi.org/10.1016/S0197-4580\(04\)80218-5](http://dx.doi.org/10.1016/S0197-4580(04)80218-5)
13. Modification of nociception and morphine tolerance by the selective opiate receptor-like orphan receptor antagonist (-)-cis-1-methyl-7-[[4-(2,6-dichlorophenyl)piperidin-1-yl]methyl]-6,7,8,9-tetrahydro-5H-benzocyclohepten-5-ol (SB-612111). *P.F. Zaratini, G. Petrone, M. Sbacchi, M. Garnier, C. Fossati, P. Petrillo, S. Ronzoni, G.A.M. Giardina, M.A. Scheideler.* **J. Pharmacol. Exp. Ther.**, 2004, *308*, 454. <http://jpet.aspetjournals.org/cgi/content/full/308/2/454>
14. Morphinan derivatives - A review of the recent patent literature. *S. Gagliardi, G. Dondio, G.A.M. Giardina.* **IDrugs**, 2003, *6(2)*, 276. <http://www.ncbi.nlm.nih.gov/pubmed/12789616>

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15. Synthesis and biological evaluation of *N*-hydroxyphenylacrylamides and *N*-hydroxypyridin-2-ylacrylamides as novel histone deacetylase inhibitors. *F. Thaler, A. Colombo, A. Mai, R. Amici, C. Bigogno, R. Boggio, A. Cappa, S. Carrara, T. Cataudella, F. Fusar, E. Gianti, S. Joppolo di Ventimiglia, M. Moroni, D. Munari, G. Pain, N. Regalia, L. Sartori, S. Vultaggio, G. Dondio, S. Gagliardi, S. Minucci, C. Mercurio, M. Varasi.* **J. Med. Chem.**, 2010, *53(2)*, 822-829. <http://pubs.acs.org/doi/abs/10.1021/jm901502p>
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### Inflammatory related & miscellaneous therapeutic targets

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21. Inhibition of *Plasmodium falciparum* pH regulation by small molecule indole derivatives results in rapid parasite death. *van Schalkwyk DA, Chan X.W.A., Misiano P, Gagliardi S., Farina C,*

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### Physical & ADMET techniques

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