

- 1 Goodman M.M., Kilts C.D., Keil R., Shi B., Martello L., Xing D., Votaw J., Ely T.D., Lambert P., Owens M.J., Camp V.M., Malveaux E. & Hoffman J.M. ¹⁸F-labeled FECNT: a selective radiotracer for PET imaging of brain dopamine transporters. *Nucl. Med. Biol.* (2000) 27, 1-12.
- 2 Deterding T.A., Votaw J.R., Wang C.K., Eshima D., Eshimam L., Keil R., Malveaux E., Kilts C.D., Goodman M.M. & Hoffman J.M. Biodistribution and radiation dosimetry of the dopamine transporter ligand [¹⁸F]FECNT. *J. Nucl. Med.* (2001) 42, 376-381.
- 3 Lu J.-Q., Rodriguez-Gomez J.A., Velasco I., Zoghbi S.S., Liow J.S., Musachio J.L., Shah J., Chin F.T., Toyama H., Seidel J., Green M.V., Ichise M., Pike V.W., McKay R. & Innis R.B. PET imaging of DAT with [¹⁸F]FECNT in naive and Parkinsonian rats following embryonic stem cell transplantation. *NeuroImage.* (2004) 22, T27-T28.
- 4 Ichise M., Fujita M., Seibyl J.P., Verhoeff N.P.L.G., Baldwin R.M., Zoghbi S.S., Rajeevan N., Charney D.S. & Innis R.B. Graphical analysis and simplified quantification of striatal and extrastriatal dopamine D₂ receptor binding with [¹²³I]epidepride SPECT. *J. Nucl. Med.* (1999) 40, 1902-1912.
- 5 Fujita M., Seibyl J.P., Verhoeff N.P.L.G., Ichise M., Baldwin R.M., Zoghbi S.S., Burger C., Staley J.K., Rajeevan N., Charney D.S. & Innis R.B. Kinetic and equilibrium analyses of [¹²³I]epidepride binding to striatal and extrastriatal dopamine D₂ receptors. *Synapse* (1999) 34, 290-304.
- 6 Ichise M., Zoghbi S.S., Vines D., Crescenzo M., Tipre D.N., Cropley V., Liow J.-S., Carson R.E., Musachio J.L., **Pike V.W.** & Innis R.B. Kinetic modeling strategies for PET quantification of [¹⁸F]FECNT binding to brain dopamine transporter in rhesus monkey. *J. Nucl. Med.* (2004) 45 (Suppl.), 128P. Abstract 353.
- 7 Zoghbi S.S., Shetty H.U., Ichise M., Fujita M., Imaizumi M., Liow J.-S., Shah J., Musachio J.L., Pike V.W. & Innis R.B. PET imaging of the dopamine transporter with [¹⁸F]FECNT: a polar radioactive metabolite confounds brain activity measurements. *J. Nucl. Med.* (2006). In press.
- 8 Halldin C., Erixon-Lindroth N., Pauli S., Chou Y.-H., Okubo Y., Kalrsson P., Lundkvist C., Olsson H., Guilloteau D., Emond P. & Farde L. [¹¹C]PE2I: a highly selective radiotracer for PET examination of the dopamine transporter in monkey and human brain. *Eur. J. Nucl. Med. & Mol. Imaging* (2003) 30, 1220-1230.
- 9 Zoghbi S.S., Shetty H.U., Liow J.-S., Ichise M., Hong J., Musachio J.L., Seneca N., Halldin C., Seidel J., Pike V.W. & Innis R.B. The dopamine transporter probe, [¹¹C]PE2I, shows active and inactive radioactive metabolites in rat brain. *J. Label. Compd. Radiopharm.* (2005) 48 (Suppl. 1), S98.
- 10 Shetty H.U., Zoghbi S.S., Liow J.-S., Ichise M., Hong J., Musachio J.L., Seneca N., Halldin C., Seidel J., Innis R.B. & Pike V.W. Identification and regional distribution in rat brain of radiometabolites of the dopamine transporter PET radiotracer, [¹¹C]PE2I. In preparation.
- 11 Hume S.P., Brown D.J., Ashworth S., Hirani E., Luthra S.K. & Lammertsma A.A. *In vivo* saturation kinetics of two dopamine transporter probes measured using a small animal PET scanner. *J. Neurosci. Meth.* (1997) 76, 45-51.
- 12 Fischman A.J., Bonab A.A., Babich J.W., Livni E., Alpert N.M., Meltzer P.C. & Madras B.K. [¹¹C, ¹²⁷I]Altoprane: a highly selective ligand for PET imaging of dopamine transporter sites. *Synapse* (2001) 39, 332-342.
- 13 Pike V.W., McCarron J.A., Lammertsma A.A., Hume S.P., Poole K., Grasby P.M., Malizia A., Cliffe I.A., Fletcher A. & Bench C.J. First delineation of 5-HT_{1A} receptors in human brain with PET and [¹¹C]WAY-100635. *Eur. J. Pharmacol.* (1995) 283, R1-R3.
- 14 Pike V.W., McCarron J.A., Lammertsma A.A., Osman S., Bench C.J., Grasby P.M., Cliffe I.A. & Fletcher A. Exquisite delineation of 5-HT_{1A} receptors in human brain with PET and [¹¹C]WAY-100635. *Eur. J. Pharmacol.* (1996) 301, R5-R7.
- 15 Osman S., Lundkvist C., Pike V.W., Halldin C., McCarron J.A., Swahn C.-G., Ginovart N., Luthra S.K., Bench C.J., Grasby P.M., Wikström H., Barf T., Cliffe I.A., Fletcher A. & Farde L. Characterization of the radioactive metabolites of the 5-HT_{1A} receptor radioligand, [*O*-methyl-¹¹C]WAY-100635, in monkey and human plasma by HPLC - comparison of the behaviour of an identified radioactive metabolite with parent radioligand in monkey using PET. *Nucl. Med. Biol.* (1996) 23, 627-634.
- 16 Osman S., Lundkvist C., Pike V.W., Halldin C., McCarron J.A., Swahn C.-G., Ginovart N., Luthra S.K., Bench C.J., Grasby P.M., Cliffe I.A., Fletcher A. & Farde L. Characterisation of the appearance of radioactive metabolites in monkey and human plasma from the 5-HT_{1A} receptor radioligand, [*carbonyl*-¹¹C]WAY-100635 - explanation of high signal in PET and an aid to biomathematical modelling. *Nucl. Med. Biol.* (1998) 25, 215-223.

- 17 Pike V.W., Halldin C. & Wikstrom H.V. Radioligands for the study of 5-HT_{1A} receptors *in vivo*. *Prog. Med. Chem.* (2000) 38, 189-247.
- 18 Pike V.W., Halldin C., McCarron J.A., Lundkvist C., Hirani E., Olsson H., Hume S.P., Karlsson P., Osman S., Swahn C.-G., Hall H., Wikström H., Mensonidas M., Poole K.G. & Farde L. [*carbonyl*-¹¹C]Desmethyl-WAY-100635 (DWAY) is a potent and selective radioligand for central 5-HT_{1A} receptors *in vitro* and *in vivo*. *Eur. J. Nucl. Med.* (1998) 25, 338-346.
- 19 Andree B., Olsson J., Halldin C., Pike V.W. & Farde L. The PET radioligand [*carbonyl*-¹¹C]desmethyl-WAY-100635 binds selectively to 5-HT_{1A} receptors and induces a higher radioactive signal than [*carbonyl*-¹¹C]WAY-100635 in the living brain. *J. Nucl. Med.* (2002) 43, 292-303.
- 20 Lang L., Jagoda E., Schmall B., Vuong B-K., Adams H.R., Nelson D.L, Carson R.E. & Eckelman W.C. Development of ¹⁸F-labeled 5-HT_{1A} antagonists. *J. Med. Chem.* (1999) 42, 1576-1586.
- 21 Gunn R.N., Sargent P.A., Bench C.J., Rabiner E.A., Osman S., Pike V.W., Hume S.P., Grasby P.M. & Lammertsma A.A. Tracer kinetic modelling of the 5-HT_{1A} receptor ligand [*carbonyl*-¹¹C]WAY-100635 for PET. *NeuroImage* (1998) 8, 426-440.
- 22 Farde L., Ito H., Swahn C.-G., Pike V.W. & Halldin C. Quantitative analyses of [*carbonyl*-¹¹C]WAY-100635 binding to central 5-HT_{1A} receptors in man. *J. Nucl. Med.* (1998) 39, 1965-1971.
- 23 Carson R.E., Lang L., Spanaki M., Ma Y., Der M.G., Herscovitch P., Theodore W.H. & Eckelman W.C., Human 5-HT_{1A} PET studies with [¹⁸F]FCWAY. *NeuroImage* (2000) 11, S45.
- 24 Osman S., Lundkvist C., Pike V.W., Halldin C., McCarron J.A., Swahn C.-G., Ginovart N., Luthra S.K., Bench C.J., Grasby P.M., Wikström H., Barf T., Cliffe I.A., Fletcher A. & Farde L. Characterization of the radioactive metabolites of the 5-HT_{1A} receptor radioligand, [*O-methyl*-¹¹C]WAY-100635, in monkey and human plasma by HPLC - comparison of the behaviour of an identified radioactive metabolite with parent radioligand in monkey using PET. *Nucl. Med. Biol.* (1996) 23, 627-634.
- 25 Osman S., Lundkvist C., Pike V.W., Halldin C., McCarron J.A., Swahn C.-G., Ginovart N., Luthra S.K., Bench C.J., Grasby P.M., Cliffe I.A., Fletcher A. & Farde L. Characterisation of the appearance of radioactive metabolites in monkey and human plasma from the 5-HT_{1A} receptor radioligand, [*carbonyl*-¹¹C]WAY-100635 - explanation of high signal in PET and an aid to biomathematical modelling. *Nucl. Med. Biol.* (1998) 25, 215-223.
- 26 Pike V.W., McCarron J.A., Lammertsma A.A., Osman S., Bench C.J., Grasby P.M., Cliffe I.A. & Fletcher A. Exquisite delineation of 5-HT_{1A} receptors in human brain with PET and [*carbonyl*-¹¹C]WAY-100635. *Eur. J. Pharmacol.* (1996) 301, R5-R7.
- 27 Marchais-Oberwinkler S., Nowicki B., Brennum L.T., Halldin C., Pike V.W. & Wikstrom H.V. N-Oxide analogues of WAY-100635 - new and potent 5-HT_{1A} receptor antagonists. *Bioorg. Med. Chem.* (2005) 13, 883-893.
- 28 McCarron J.A., Marchais-Oberwinkler S., Pike V.W., Tarkiainen J., Halldin C., Sovago J., Gulyas B., Wikstrom H.V. & Farde L. Two C-methyl derivatives of [¹¹C]WAY-100635 - effects of an amido α -methyl group on metabolism and brain 5-HT_{1A} receptor radioligand behavior in monkey. *Mol. Imaging & Biol.* (2005) 7, 209-219.
- 29 McCarron J.A., Chin F.T., Pike V.W., Hong J., Musachio J.L., Ichise M., Zoghbi S.S., Vermeulen E., Wikstrom H.V., Halldin C. & Innis R.B. New candidate PET radioligands for brain 5-HT_{1A} receptors based on 2,3,4,5,6,7-hexahydro-1{4-[1[4-(2-methoxyphenyl)-piperazin-yl]]-2-phenylbutyryl}-1H-azepine (RWAY). *NeuroImage* (2004) 22 (Suppl. 2), T34-T35.
- 30 McCarron J.A., Zoghbi S.S., Shetty S.S., Hong J., Ichise M., Musachio J.L., Vermeulen E., Wikstrom H.V., Halldin C., Innis R.B. & Pike V.W. Synthesis and preliminary evaluation of [¹¹C](*-*)RWAY in monkey - a new simply labeled PET radioligand for imaging brain 5-HT_{1A} receptors. In preparation.
- 31 Shetty H.U., Zoghbi S.S., McCarron J.A., Liow J-S., Hong J. & Pike V.W. Characterisation of *in vivo* rat metabolites of [*O-methyl*-¹¹C]RWAY by LC-MS. *J. Label. Compd. Radiopharm.* (2005) 48 (Suppl. 1), S278.
- 32 McCarron J.A., Zoghbi S.S., Liow J.S., Hong J., Lu S.Y., Vermeulen E.S., Wikström H.V., Seidel J., Innis R.B. & Pike V.W. 5-HT_{1A} receptor imaging with [¹¹C](*S*)-RWAY in rat brain shows a striking difference in Pgp effect compared to imaging in monkey. *J. Label. Compd. Radiopharm.* (2005) 48 (Suppl. 1), S73.
- 33 Karamkam M., Hinnen F., Berrehouma M., Hlavacek C., Vaufrey F., Halldin C., McCarron J.A., Pike V.W. & Dollé F. Synthesis of a [6-pyridinyl-¹⁸F]-labelled fluoro derivative of WAY-100635 as a candidate radioligand for brain 5-HT_{1A} receptor imaging with PET. *Bioorg. Med. Chem.* (2003) 11, 2769-2782.

- 34 Marchais S., Nowicki B., Wikstrom H.V., Halldin C., Brennum L.T. & Pike V.W. Short and efficient syntheses of analogues of WAY-100635: new and potent 5-HT_{1A} receptor antagonists. *Bioorg. Med. Chem.* (2001) 9, 695-702.
- 35 McCarron J.A., Pike V.W., Halldin C., Sandell J., Wikstrom H.V., Marchais S., Nowicki B., Dolle F. & Farde L. Evaluation of [¹⁸F]6FPWAY, a radiofluorinated analogue of WAY-100635, as a prospective PET radioligand for brain 5-HT_{1A} receptors. *Mol. Imaging & Biol.* (2004) 6, 17-26.
- 36 Tipre D.N., Zoghbi S.S., Liow J.-S., Green M.V., Seidel J., Ichise M., Innis R.B. & Pike V.W. PET imaging of brain 5-HT_{1A} receptors in rat *in vivo* with [¹⁸F]FCWAY and improvement by successful inhibition of defluorination with miconazole. *J. Nucl. Med.* (2006) 47, 1-9.
- 37 Pike V.W., Halldin C., Nobuhara K., Hiltunen J., Mulligan R.S., Swahn C.-G., Hall H., Karlsson P., Olsson H., Hume S.P., Hirani E., Whalley J., Pilowsky L.S., Larsson S., Schnell P.-O., Ell P. & Farde L. Radioiodinated SB 207710 as a radioligand *in vivo*: imaging of brain 5-HT₄ receptors with SPET. *Eur. J. Nucl. Med. & Mol. Imaging* (2003) 30, 1520-1528.
- 38 Varnas K., Halldin C., Pike V.W. & Hall H. Autoradiographic mapping of 5-HT₄ receptors in the post mortem human brain using [¹²⁵I]SB 207710. *Eur. Neuropsychopharmacol.* (2003) 13, 228-234.
- 39 Musachio J.L., Hong J., Ichise M., Seneca N., Brown A.K., Liow J.-S., Halldin C., Innis R.B. & Pike V.W., He R., Zhou J., Kozikowski A.P. Development of new brain imaging agents based upon nocaine-modafinil hybrid monoamine transporter inhibitors. In preparation.
- 40 Schou M., Sovago J., Pike V.W., Gulyas B., Bogeso K.P., Farde L. & Halldin C. Synthesis and PET evaluation of three norepinephrine transporter radioligands [¹¹C]desipramine, [¹¹C]talopram and [¹¹C]talsupram. *Mol. Imaging Biol.* (2005) 7, 1-8.
- 41 McConathy J., Owens M.J., Kilts C.D., Malveaux E.J., Camp V.M., Votaw J.R., Nemeroff C.B. & Goodman M.M. Synthesis and evaluation of [¹¹C]talopram and [¹¹C]talsupram: candidate PET ligands for the norepinephrine transporter. *Nucl. Med. Biol.* (2004) 31, 705-718.
- 42 Schou M., Halldin C., Sovago J., Pike V.W., Gulyas B., Mozley P.D., Johnson D.P., Hall H., Innis R.B. & Farde L. Specific *in vivo* binding to the norepinephrine transporter demonstrated with the PET radioligand, (S,S)-[¹¹C]MPBM. *Nucl. Med. Biol.* (2003) 30, 707-714.
- 43 Schou M., Pike V.W., Andrée B., Gulyás B., Farde L. & Halldin C. The NET radioligand (S,S)-[¹¹C]MeNER produces a lipophilic labeled metabolite in human. *J. Label. Compd. Radiopharm.* (2005) 48 (Suppl. 1), S273.
- 44 Schou M., Zoghbi S.S., Shetty U., Shchukin E., Andrée B., Gulyas B., Farde L., Pike V.W. & Halldin C. Comparison of the labeled metabolites of [¹¹C](S,S)-MeNER in rat, monkey and human subjects. In preparation.
- 45 Hamill T., Burns H., Eng W., Ryan C., Krause S., Gibson R. & Hargreaves R. An improved fluorine-18 labeled neurokinin-1 receptor ligand. *Mol. Imaging Biol.* (2002) 4 (Suppl.) S34.
- 46 Schou M., Halldin C., Sovago J., Pike V.W., Gulyas B., Mozley D., Dobson D., Johnson P.D., Innis R.B. & Farde L. Evaluation of two fluorinated reboxetine analogs as potential norepinephrine transporter probes in the monkey brain with PET. *Synapse* (2004) 53, 57-67.
- 47 Schou M., Halldin C., Pike V.W., Mozley P.D., Dobson D., Innis R.B., Farde L. & Hall H. Post mortem human brain autoradiography of the norepinephrine transporter using (S,S)-[¹⁸F]FMeNER-D₂. *Eur. Neuropsychopharmacol.* (2005) 15, 517-520.
- 48 Schou M., Halldin C., Pike V.W., Mozley P.D., Dobson D., Innis R.B., Farde L. & Hall H. Post mortem human brain autoradiography of the norepinephrine transporter using (S,S)-[¹⁸F]FMeNER-D₂. *Eur. Neuropsychopharmacol.* (2005) 15, 517-520.
- 49 Waldmeier P.C., Baumann P.A., Hauser K., Maitre L. & Storni A., Oxaprotiline, a noradrenaline uptake inhibitor with an active and an inactive enantiomer. *Biochem. Pharmacol.* (1982) 31, 2169-2176.
- 50 Schou M., Pike V.W., Sovago J., Gulyas B., Farde L. & Halldin C. Synthesis and PET evaluation of (R)-[¹¹C]OHDMI - a candidate radioligand for the brain norepinephrine transporter. *Eur. J. Nucl. Med. & Mol. Imaging* (2005) 32 (Suppl. 1), S53 (Abstract 159).
- 51 Schou M., Sovago J., Pike V.W., Gulyas B., Dobson D., Farde L. & Halldin C. Synthesis of ¹¹C-labeled hydroxylated analogs of DMI and (S,S)-MeNER and their evaluation as candidate radioligands for imaging norepinephrine transporters with PET. Submitted to *Bioorg. Med. Chem.*
- 52 Richelson E., & Carlier P.R. Amine compounds and inhibiting neurotransmitter uptake. *PCT Int. Appl.* (2003) WO 2003/007929. US Pat. 6,700,018.
- 53 Tejani-Butt S.M. [³H]Nisoxetine: a radioligand for quantitation of norepinephrine uptake sites by autoradiography or by homogenate binding. *J. Pharmacol. Exp. Ther.* (1992) 260, 427-436.
- 54 Haka S. & Kilbourn M.R. Synthesis and regional mouse distribution of [¹¹C]nisoxetine, a norepinephrine uptake inhibitor. *Nucl. Med. Biol.* (2004) 31, 705-718.

- 55 Gehlert D.R., Hemrick-Luecke S.K., Schober D.A., Krushinski J., Howbert J.F., Robertson D.W., Wong D.T. & Fuller R.W. (*R*)-Thionisoxetine, a potent and selective inhibitor of central and peripheral norepinephrine uptake. *Life Sci.* (1995) 56, 1915-1920.
- 56 Andree B., Seneca N., Schou M., Mozley P.D., Potter W.Z., Farde L., Gulyas B., & Halldin C. [¹¹C]MeNER human PET-study: high occupancy of the central norepinephrine transporter induced by reboxetine at clinical doses. *J. Nucl. Med.* (2004) 45 (Suppl.), 68P (Abstract 192).
- 57 Wilson A.A., Johnson D.P., Mozley D., Hussey D., Ginovart N., Nobrega J., Garcia A., Meyer J. & Houle S. Synthesis and in vivo evaluation of novel radiotracers for the in vivo imaging of the norepinephrine transporter. *Nucl. Med. Biol.* (2003) 30, 85-92.
- 58 Ding Y.-S., Lin K.-S., Garza V., Carter P., Alexoff D., Logan J., Shea C., Xu Y. & King P. Evaluation of a new norepinephrine transporter PET ligand in baboons, both in brain and peripheral organs. *Synapse* (2003) 50, 345-352.
- 59 Ding Y.-S. & Fowler J. New radiotracers for nAChR and NET. *Nucl. Med. Biol.* (2005) 32, 707-718.
- 60 Schou M., Halldin C., Sovago J., Pike V.W., Hall H., Gulyas B., Mozley P.D., Dobson D., Innis R.B., Shchukin E. & Farde L. A PET comparison of the NET tracers (*S,S*)-[¹¹C]MeNER and (*S,S*)-[¹⁸F]FMeNER-D₂ in monkeys. *NeuroImage* (2004) 22 (Suppl. 2), T32.
- 61 Niswender C.M., Jones C.K. & Conn P.J. New therapeutic frontiers for metabotropic glutamate receptors. *Curr. Top. Med. Chem.* (2005) 5, 847-857.
- 62 Slassi A., Isaac M., Edwards L., Minidis A., Wensbo D., Mattson J., Nilsson K., Raboisson P., McLeod D., Stromann T.M., Hamerland G. & Johnson E. Recent advances in non-competitive mGluR5 receptor antagonists and their potential therapeutic applications. *Curr. Top. Med. Chem.* (2005) 5, 897-911.
- 63 Gasparini F., Lingenhoel K., Stoehr N., Flor P.J., Heinrich M., Vranesic I., Biollaz M., Allgeier H., Heckendorn R., Urwyler S., Johnson E.C., Hess S.D., Rao S.P., Sacaan A.I., Santori E.M., Velicelebi G. & Kuhn R. 2-Methyl-6-(phenylethynyl)-pyridine (MPEP), a potent, selective and systemically active mGlu5 receptor antagonist. *Neuropharmacology* (1999) 38, 1493-1503.
- 64 Cosford N.D.P., Roppe J., Tehrani L., Schweiger E.J., Seiders T.J., Chaudary A., Rao S. & Varney M.A. [³H]-Methoxymethyl-MTEP and [³H]-Methoxy-PEPy: potent and selective radioligands for the metabotropic glutamate subtype 5 (mGlu5) receptor. *Bioorg. Med. Chem. Lett.* (2003) 13, 351-354.
- 65 Cosford N.D.P., Tehrani L., Roppe J., Schweiger E., Smith N.D., Anderson J., Bristow L., Brodtkin J., Jiang X., McDonald I., Rao S., Washburn M. & Varney M.A. 3-[(2-Methyl-1,3-thiazol-4-yl)ethynyl]-pyridine: a potent and highly selective metabotropic glutamate subtype 5 receptor antagonist with anxiolytic activity. *J. Med. Chem.* (2003) 46, 204-206.
- 66 Musachio J.L., Ghose S., Toyama H., Kozikowski A.M., Kläs T., Ichise M., Hong J., Zoghbi S.M., Liow J.S., Innis R.B. & Pike V.W. Synthesis of two potential mGluR5 ligands: C-11 M-MPEP and C-11 methoxy-PEPy and in vivo evaluation in rats and monkeys. *Mol. Imaging & Biol.* (2003) 5, 168. (Abstract 146).
- 67 Simeon F.G., Hong J., Patterson V.M., Musachio J.L., Ichise M., Ghose S., Innis R.B. & Pike V.W. Synthesis of [¹¹C]5-Me-MTEP and initial evaluation in monkey as a candidate radiotracer for imaging brain mGluR5. *Mol. Imaging* (2004) 3, 184 (Abstract 024).
- 68 Lambert D.M. & Fowler C.J. The endocannabinoid system: drug targets, lead compounds, and potential therapeutic applications. *J. Med. Chem.* (2005) 48, 5059-5087.
- 69 Berding G., Müller-Vahl K., Schneider U., Gielow P., Fitschen J., Stuhmann M., Harke H., Buchert R., Donnerstag F., Hoffman M., Knoop B.O., Brooks D.J., Emrich H.M. & Knapp W.H. [¹²³I]AM281 single-photon emission computed tomography imaging of central cannabinoid CB₁ receptors before and after Δ⁹-tetrahydrocannabinol therapy and whole-body scanning for assessment of radiation dose in Tourette patients. *Biol. Psychiatry* (2004) 55, 904-915.
- 70 Donohue S., Halldin C. & Pike V.W. Synthesis and structure-activity relationships (SARs) of 1,5-diarylpyrazole cannabinoid type-1 (CB₁) receptor ligands for potential use in molecular imaging. *Bioorg. Med. Chem.* In press.
- 71 Katoch-Rouse R., Pavlova O.A., Caulder T., Hoffman A.F., Muhkin A.G. & Horti A.G. Synthesis, structure-activity relationship, and evaluation of SR141716 analogues: development of central cannabinoid receptor ligands with lower lipophilicity. *J. Med. Chem.* (2003) 46, 642-645.
- 72 Donohue S., Halldin C., Finnema S., Gulyas B., Innis R.B. & Pike V.W. Synthesis and evaluation of candidate radioligands for brain cannabinoid type-1 (CB₁) receptor based on 1,5-diarylpyrazoles. *J. Label. Compd. Radiopharm.* (2005) 48 (Suppl. 1), S160.
- 73 Lange J.H.M., Coolen H.K.A.C., van Stuijvenberg H.H., Dijkman J.A.R., Herremans A.H.J., Ronken E., Keizer H.G., Tipker K., McCreary A.C., Veerman W., Wals H.C., Stork B., Verveer P.C., den Hartog A.P., de Jong N.M.J., Adolfs T.J.P., Hoogendoorn J. & Kruse C.G. Synthesis, biological

- properties, and molecular modeling investigations of novel 3,4-diarylpyrazolines as potent and selective CB₁ cannabinoid receptor antagonists. *J. Med. Chem.* (2004) 47, 627-643.
- 74 Donohue S., Halldin C., Hong J., Musachio J.L., Innis R.B. & Pike V.W. Synthesis, radiosynthesis and initial biological evaluation of a new class of candidate brain cannabinoid type-1 (CB₁) receptor radioligand. *J. Label. Compd. Radiopharm.* (2005) 48 (Suppl. 1), S185.
- 75 Hardy J.A. & Higgins G.A. Alzheimer's disease: the amyloid cascade hypothesis. *Science* (1992) 256, 184-185.
- 76 Reviewed in Cai L., Innis R.B. & Pike V.W. Radioligand development for PET imaging of β -amyloid (A β) - current status. (Submitted). Also in Wu C., Pike V.W. & Wang Y. Amyloid imaging: from benchtop to bedside. *Curr. Top. Dev. Biol.* (2005) 70, Ch. 8, pp 171-213.
- 77 Nichols L., Pike V.W., Cai L. & Innis R.B. Imaging and *in vivo* quantitation of β -amyloid: an exemplary biomarker for Alzheimer's disease? *Biol. Psychiatry.* (2006) In press.
- 78 Klunk W.E., Engler H., Nordberg A., Wang Y., Blomqvist G., Holt D.P., Bergström M., Savitcheva I., Hunag G.F., Estrada S., Aussen B., Debnath M.L., Barletta J., Price J.C., Sandell J., Lopresti B.J., Wall A., Koivisto P., Antoni G., Mathis C.A. & Långström B. Imaging brain amyloid in Alzheimer's disease with Pittsburgh compound-B. *Ann. Neurol.* (2004) 55, 306-319.
- 79 Kung M.P., Hou C., Zhuang Z-P., Zhang B., Skovronsky D., Trojanowski J.Q., Lee V M.Y. & Kung H.F. IMPY: an improved thioflavin T derivative for *in vivo* labeling of β -amyloid plaques. *Brain Res.* (2002) 956, 202-210.
- 80 Cai L., Nichols L., Temme S., Park K., Herman M.M., Pike V.W. & Innis R.B. An *in vitro* assay to evaluate β -amyloid ligands using isolated human amyloid plaques of Alzheimer's disease brain. *J. Label. Compd. Radiopharm.* (2005) 48 (Suppl. 1), S42.
- 81 Cai L., Nichols L., Temme S., Park K., Herman M.M., Pike V.W. & Innis R.B. An *in vitro* assay to evaluate β -amyloid ligands using isolated human amyloid plaques of Alzheimer's disease brain. *J. Label. Compd. Radiopharm.* (2005) 48 (Suppl. 1), S42.
- 82 Roher A.E., Lowenson J.D., Clarke S., Wolkow C., Wang R., Cotter R.J., Reardon I.M., Zurcher-Neely H.A., Heinrichson R.L. & Ball M.J.. Structural alterations in the peptide backbone of beta-amyloid core protein may account for its deposition and stability in Alzheimer's disease. *J. Biol. Chem.* (1993) 268, 3072-3083.
- 83 Soderberg L., Zhukareva V., Bogdanovic N., Hashimoto T., Iwasubo T., Lee V.M., Trojanowski J.Q. & Naslund J. Molecular identification of AMY, an Alzheimer disease amyloid-associated protein. *J. Neuropath. Exp. Neur.* (2003) 62, 1108-1117.
- 84 Kung M.P., Hou C., Zhuang Z-P., Zhang B., Skovronsky D., Trojanowski J.Q., Lee V M.Y. & Kung H.F. IMPY: an improved thioflavin T derivative for *in vivo* labeling of β -amyloid plaques. *Brain Res.* (2002) 956, 202-210.
- 85 Cai L., Cuevas J., Peng, Y.Y. & Pike V.W. Rapid palladium-catalyzed cross-coupling in the synthesis of aryl thioethers under microwave conditions. Submitted to *Tetrahedron Letters*.
- 86 Cai L., Brouwer C., Sinclair K., Cuevas J. & Pike V.W. Titanium(IV) chloride promoted synthesis of new imidazo[1,2-a]pyridine derivatives under microwave conditions. *Synthesis* (2006) 133-145.
- 87 Cai L., Chin F.T., Pike V.W., Toyama H., Liow J.-S., Zoghbi S.S., Modell K., Briard E., Shetty H.U., Sinclair K., Donohue S., Tiple D., Kung M.-P., Dagostin C., Widdowson D.A., Green M., Gao W., Herman M.M., Ichise M. & Innis R.B. Synthesis and evaluation of two ¹⁸F-Labeled IMPY derivatives as prospective radioligands for β -amyloid in Alzheimer's disease. *J. Med. Chem.* (2004) 47, 2208-2218.
- 88 Cai L., Nichols L., Cuevas J., Temme S., Herman M.M., Innis R.B. & Pike V.W. Structure-activity relationship study of IMPY derivatives as candidate radioligands for β -amyloid. *J. Label. Compd. Radiopharm.* (2005) 48 (Suppl. 1), S151.
- 89 Cai L., Ye D., Pike V.W., Liow J.-S., Hong J., Tiple D., Crescenzo M., Zoghbi S.S., Vines D.C., Park K., Cohen R., Ichise M. & Innis R.B. Synthesis and evaluation of [¹¹C]MeBrMPY in the development of radiotracers for β -amyloid. *NeuroImage* (2004) 22 (Suppl. 2), T171-T172.
- 90 Cai L., Ye D., Pike V.W., Liow J.-S., Hong J., Tiple D., Crescenzo M., Zoghbi S.S., Vines D.C., Park K., Cohen R., Ichise M. & Innis R.B. Synthesis and evaluation of [¹¹C]MeBrMPY in the development of radiotracers for β -amyloid. *NeuroImage* (2004) 22 (Suppl. 2), T171-T172.
- 91 Cai L., Cuevas J., Nichols L., Temme S., Herman M.M., Dagostin C., Widdowson D.A., Innis R.B. & Pike V.W. Structure-activity relationship study of IMPY derivatives as candidate radioligands for β -amyloid. In preparation.
- 92 Toyama H., Ye D., Ichise M., Liow J.-S., Cai L., Jacobowitz D., Musachio J.L., Hong J., Crescenzo M., Tiple D., Lu J.-Q., Zoghbi S., Vines D.C., Seidel J., Katada K., Green M.V., Pike V.W., Cohen

- R.M. & Innis R.B. PET imaging of brain with the β -amyloid probe, [^{11}C]6-OH-BTA-1, in a transgenic mouse model of Alzheimer's disease. *Eur. J. Nucl. Med. & Mol. Imaging* (2005) 32, 593-600.
- 93 Kepe V., Cole G.M., Liu J., Flood D.G., Trusko S.P., Satyamurthy N., Huang S.-C., Small G.W., Petric A., Phelps M.E. & Barrio J.R. Visualization of β -amyloid deposit in the living brain of a triple transgenic rat model of β -amyloid deposition using [^{18}F]FDDNP-micro-PET imaging. *J. Label. Compd. Radiopharm.* (2005) 48, S43.
- 94 Gavish M., Bachman I., Shoukin R., Katz Y., Veenman L., Weisinger G. & Weizman A. Enigma of the peripheral benzodiazepine receptor. *Am. Soc. Pharmacol. Exp. Ther.* (1999) 51, 629-650.
- 95 Shah F., Hume S.P., Pike V.W., Ashworth S. & McDermott J. Synthesis of the enantiomers of [*N*-methyl- ^{11}C]PK 11195 and comparison of their behaviours as PK (peripheral benzodiazepine) binding site radioligands in rats. *Nucl. Med. Biol.* (1994) 21, 573-581.
- 96 Nakazato A., Okubo T., Nakamura T., Chaki S., Tomizawa K., Najamine M., Yamamoto K., Harada K. & Yoshida M. Preparation of aryloxyaniline derivatives as therapeutic agents with high affinity for the MDR receptors. *PCT Intl. Appl.* (1999) WO 9906353. *US Patent* 6,476,056.
- 97 Zhang M-R., Maeda J., Furutsuku K., Yoshida Y., Ogawa M., Suhara T. & Suzuki K. [^{18}F]FMDAA1106 and [^{18}F]FEDAA1106: two positron-emitter labeled ligands for peripheral benzodiazepine receptor (PBR). *Bioorg. Med. Chem. Lett.* (2003) 13, 201-204.
- 98 Zhang M-R., Kida T., Noguchi J., Furutsuku K., Maeda J., Suhara T. & Suzuki K. [^{11}C]DAA1106: radiosynthesis and *in vivo* binding to peripheral benzodiazepine receptors in mouse brain. *Nucl. Med. Biol.* (2003) 30, 513-519.
- 99 Zhang M-R., Maeda J., Ogawa M., Noguchi J., Ito T., Yoshida Y., Okauchi T., Obayashi S., Suhara T. & Suzuki K. Development of a new radioligand, *N*-(5-fluoro-2-phenoxyphenyl)-*N*-(2-[^{18}F]fluoroethyl-5-methoxybenzyl)-acetamide, for PET imaging of peripheral benzodiazepine receptor in primate brain. *J. Med. Chem.* (2004) 47, 2228-2238.
- 100 Briard E., Shah J., Musachio J.L., Zoghbi S.S., Fujita M., Imaizumi M., Cropley V., Innis R.B. & Pike V.W. Synthesis and evaluation of a new ^{18}F -labeled ligand for PET imaging of brain peripheral benzodiazepine receptors. *J. Label. Compd. Radiopharm.* (2005) 48 (Suppl. 1), S4.
- 101 Briard E., Hong J., Zoghbi S., Fujita M., Imaizumi M., Ichise M., Innis R.B. & Pike V.W. A novel radioligand for imaging the "peripheral benzodiazepine receptor" in brain with PET. *Mol. Imaging* (2004) 3, 217-218. (Abstract 145).
- 102 Briard E., Hong J., Musachio J.L., Zoghbi S.S., Fujita M., Imaizumi M., Cropley V., Innis R.B. & Pike V.W. Synthesis and evaluation of two candidate ^{11}C -labeled radioligands for brain peripheral benzodiazepine receptors. *J. Label. Compd. Radiopharm.* (2005) 48 (Suppl. 1), S71.
- 103 Briard E., Hong J., Musachio J.L., Zoghbi S.S., Fujita M., Imaizumi M., Cropley V., Innis R.B. & Pike V.W. Evaluation of two candidate ^{11}C -labeled radioligands for brain peripheral benzodiazepine receptors. In preparation.