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Activity-based protein profiling in drug-discovery

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List of publications

Chemical proteomics maps brain region specific activity of endocannabinoid hydrolases

M.P. Baggelaar*, A.C.M. van Esbroeck*, E.J. van Rooden, B.I. Florea, H.S. Overkleeft, G. Marsicano, F. Chaouloff, and M. van der Stelt, **2017**, *ACS Chem. Biol.*, 12(3), p. 852-861.

Activity-based protein profiling reveals off-target proteins of the FAAH inhibitor BIA 10-2474

A. C. M. van Esbroeck*, A. P. A. Janssen*, A. B. Cognetta, D. Ogasawara*, G. Shpak, M. van der Kroeg, V. Kantae, M. P. Baggelaar, F. M. S. de Vrij, H. Deng, M. Allarà, F. Fezza, Z. Lin, T. van der Wel, M. Soethoudt, E. D. Mock, H. den Dulk, I. L. Baak, B. I. Florea, G. Hendriks, L. De Petrocellis, H. S. Overkleeft, T. Hankemeier, C. I. De Zeeuw, V. Di Marzo, M. Maccarrone, B. F. Cravatt, S. A. Kushner, and M. van der Stelt, **2017**, *Science*, 356 (6342), p. 1084-1087.

Activity-based protein profiling of the human failing ischemic heart

A. C. M. van Esbroeck*, Z.V. Varga*, X. Di, E.J. van Rooden, V.E. Toth, Z. Onódi, P. Leszek, M. Kuśmierczyk, P. Ferandinandy, T. Hankemeier, M. van der Stelt, and P. Pacher, *working title - manuscript in preparation*

Applications of activity-based protein profiling in developing zebrafish

A.C.M. van Esbroeck, A.F. Stevens, V. Kantae, L.T. Lelieveld, E.J. van Rooden, B.I. Florea, R.C. van Wijk, A.C. Harms, P.H. van der Graaf, J.M.F.G. Aerts, T. Hankemeier, H.S. Overkleeft, and M. van der Stelt, *working title - manuscript in preparation*

Identification of ABHD6 as diacylglycerol lipase

A.C.M. van Esbroeck, X. Di, V. Kantae, T. van der Wel, H. den Dulk, A.T. Bakker, B.I. Florea, H.S. Overkleeft, T. Hankemeier, and M. van der Stelt, *working title - manuscript in preparation*

* authors contributed equally

Development of an activity-based probe and in silico design reveal highly selective inhibitors for diacylglycerol lipase- α in brain

M. P. Baggelaar, F. J. Janssen, A. C. M. van Esbroeck, H. den Dulk, M. Allarà, S. Hoogendoorn, R. McGuire, B. I. Florea, N. Meeuwenoord, H. van den Elst, G. A. van der Marel, J. Brouwer, V. Dimarzo, H. S. Overkleeft, and M. van der Stelt, **2013**, *Angew. Chemie - Int. Ed.*, 52(46), p. 12081-12085.

Discovery of glycine sulfonamides as dual inhibitors of sn-1-diacylglycerol lipase α and α/β -hydrolase domain 6

F. J. Janssen, H. Deng, M. P. Baggelaar, M. Allarà, T. van der Wel, H. den Dulk, A. Ligresti, A. C. M. van Esbroeck, R. McGuire, V. Di Marzo, H. S. Overkleeft, and M. van der Stelt, **2014**, *J. Med Chem*, 57(15), p. 6610-6622.

Mapping *in vivo* target interaction profiles of covalent inhibitors using chemical proteomics with label-free quantification

E. J. van Rooden, B. I. Florea, H. Deng, M. P. Baggelaar, A. C. M. van Esbroeck, J. Zhou, H. S. Overkleeft, and M. van der Stelt, **2018**, *Nat. Protoc.*, 13(4), p. 752–767.

Chemical proteomic analysis of serine hydrolase activity in Niemann-Pick type C mouse brain

E. J. van Rooden, A. C. M. van Esbroeck, M. P. Baggelaar, H. Deng, B. I. Florea, A. R. A. Marques, R. Ottenhoff, R. G. Boot, H. S. Overkleeft, J. M. F. G. Aert, and M. van der Stelt, **2018**, *Front. Neurosci.*, 12, p. 440.

Design and synthesis of quenched activity-based probes for diacylglycerol lipase and α/β -hydrolase domain containing protein 6

E. J. van Rooden, M. Kohsiek, R. Kreekel, A. C. M. van Esbroeck, A. M. C. H. van den Nieuwendijk, A. P. A. Janssen, R. J. B. H. N. van den Berg, H. S. Overkleeft, and M. van der Stelt, **2018**, *Chem. - An Asian J.*, 13(22), p. 3491-3500

Two-step activity-based protein profiling of diacylglycerol lipase

E. J. van Rooden, R. Kreekel, T. Hansen, A. P. A. Janssen, A. C. M. van Esbroeck, H. den Dulk, R. J. B. H. N. van den Berg, J. D. C. Codée, and M. van der Stelt, **2018**, *Org. Biomol. Chem.*, 16(29), p. 5250-5253.

Discovery of an *in vivo* active NAPE-PLD inhibitor that reduces brain anandamide levels and pain behavior

E. D. Mock, M. Mustafa, R. Cinar, V. Kantae, X. Di, Z. V. Varga, J. Paloczi, G. Donvito, A. C. M. van Esbroeck, A. M. F. van der Gracht, I. Kotsogianni, J. K. Park, A. Martella, T. van der Wel, M. Soethoudt, M. Jiang, T. J. Wendel, A. P. A. Janssen, A. T. Bakker, B. I. Florea, J. Wat, H. van der Hurk, M. Wittwer, U. Grether, M. W. Buczynski, C. A. A. van Boeckel, T. Hankemeier, P. Pacher, A. H. Lichtman and M. van der Stelt, *manuscript under review*

A selective activity-based probe reveals mitochondrial localization of monoacylglycerol lipase

H. Deng, M. Jiang, A. C. M. van Esbroeck, A. C. P. Zottola, T. van der Wel, D. M. van Elsland, N. Klaassen, S. Eshuis, H. den Dulk, Z. V. Varga, R. J. B. H. N. van der Berg, G. Marsicano, P. Pacher, S. I. van Kasteren, S. E. Le Dévédec, and M. van der Stelt, *working title - manuscript in preparation*

Discovery of *in vivo* selective sn-1-diacylglycerol lipase α inhibitors

A.P.A. Janssen*, F.J. Janssen*, M.P. Baggelaar, A.C.M. van Esbroeck, H. den Dulk, H. Deng, E. van Doornmalen, N. Smits, A. Morrison, E. Russel, J. Schultz, L. Brown, J. Hewitt, F. Macleod, J. Robinson, P.P. Geurink, H. Ovaa, B.I. Florea, H.S. Overkleeft, S.P.I. McElroy, C.A.A. van Boeckel, H. Rutjes, P.S. Jones, M. van der Stelt, *working title – manuscript in preparation*



Curriculum vitae

- 2003 ● **VWO** | Alfrink College Zoetermeer, NL
LAPP-TOP | Pre-university program
Leiden University, NL
- 2009 ● **BSc** | Life Science & Technology
Leiden University, Delft University of Technology, NL
Internship | Molecular genetics
Prof. dr. M. Noteborn, Leiden University, NL
- 2012 ● **MSc** | Life Science & Technology 🌱
Research track, Leiden University, NL
Internship | Bio-organic synthesis
Prof. dr. M. van der Stelt, Leiden University, NL
Internship | Endocannabinoids & neuroadaptation
Dr. G. Marsicano, Neurocentre Magendie, FR
- 2014 ● **PhD** | Molecular physiology
Prof. Dr. M. van der Stelt, Leiden University, NL

Posters | 2016: CHAINS, Veldhoven, NL
2016: Reedijk, Leiden, NL
2018: ICRS, Leiden, NL

Presentations | 2017: ABPP-BOC, Leiden, NL
2017: ICRS, Montreal, CA 🇨🇦
2017: BPS, London, UK 🇬🇧
- 2019 ●

Annelot Clementine Mathilda van Esbroeck was born on November 2, 1990 in Zoetermeer, the Netherlands. During her elementary education she developed a strong interest in genetics and biology, which was further nurtured during her high school period by extracurricular activities at Leiden University. In 2009 she obtained her high school diploma (VWO) at the Alfrink College in Zoetermeer. The same year she started the Bachelor program of Life Science & Technology at Leiden University and Delft University of Technology. After a minor in *disease, signaling and drug targets* in Leiden, she performed a research internship on *Live cell imaging of FAM96A and FAM96B during mitosis* under supervision of prof. dr. Mathieu Noteborn and dr. Claude Backendorf in the Molecular Genetics research group at Leiden University.

After obtaining her Bachelor degree in 2012, Annelot proceeded her academic education with a research master in Life Science & Technology at Leiden University. In the department of Bio-organic synthesis, she did a research internship on *Assay development for identification and characterization of novel DAG-Lipase inhibitors* under supervision of prof. dr. Mario van der Stelt. Supported with an Erasmus grant, she joined the Endocannabinoid & neuroadaptation research group at the Neurocentre Magendie in Bordeaux, France for a second research internship. Supervised by dr. Giovanni Marsicano and dr. Giovanni Bénard, Annelot investigated *The role of the cannabinoid receptor type 1 on mitochondrial turnover*. She obtained her Master of Science degree with honours (*cum laude*) in 2014.

After graduating, Annelot started her PhD under prof. dr. Mario van der Stelt in the Bio-organic synthesis group at Leiden university, which later continued as Molecular physiology. She conducted research on various aspects of the endocannabinoid system and explored activity-based protein profiling as a versatile tool in drug discovery and cell biology. She presented parts of her research at various national and international conferences, including poster presentations at CHAINS (2016, Veldhoven, The Netherlands), the Reedijk symposium (2016, Leiden, Netherlands), the yearly meeting of the International Cannabinoid Research Society (2018, Leiden, The Netherlands) and oral presentations during the annual Activity-Based Protein Profiling and Bio-Orthogonal Chemistry meeting (2017, Leiden, The Netherlands). Her oral presentation at the yearly meeting of the International Cannabinoid Research Society (2017, Montreal, Canada) was awarded best pre-doctoral presentation and her presentation at the European Cannabinoid Workshop (2017, London, United Kingdom) was awarded best oral presentation by the British Pharmaceutical Society.

Her belief that in complex systems new questions arise from each answer, has been a motivation throughout her research. With this curious mindset she will continue her work in science in the research group of prof. dr. Steven Kushner at the Erasmus Medical Centre in Rotterdam.

*Elk antwoord is het begin
Elk antwoord is het begin van een nieuwe vraag.
van een nieuwe vraag.*