Affinity-based profiling of the adenosine receptors

Beerkens, B.L.H.

List of Publications

Part of this thesis

B. L. H. Beerkens, X. Wang, M. Avgeropoulou, L. N. Adistia, J. P. D. van Veldhoven, W. Jespers, R. Liu, L. H. Heitman, A. P. IJzerman, D. van der Es. Development of subtype-selective covalent ligands for the adenosine A_{2B} receptor by tuning the reactive group, *RSC Medicinal Chemistry* **2022**, *13*, 850–856.

B. L. H. Beerkens, Ç. Koç, R. Liu, B. I. Florea, S. E. Le Dévédec, L. H. Heitman, A. P. IJzerman and D. van der Es, A Chemical Biological Approach to Study G Protein-Coupled Receptors: Labeling the Adenosine A1 Receptor Using an Electrophilic Covalent Probe, *ACS Chemical Biology* **2022**, *17*, 3131–3139.

B. L. H. Beerkens, I. M. Snijders, J. Snoeck, R. Liu, A. T. J. Tool, S. E. Le Dévédec, W. Jespers, T. W. Kuijpers, G. J. P. van Westen, L. H. Heitman, A. P. IJzerman and D. van der Es, Development of an Affinity-Based Probe to Profile Endogenous Human Adenosine A3 Receptor Expression, *Journal of Medicinal Chemistry* **2023**, *16*, 11399-11413.

Other publications

L.S. den Hollander[§], S. Dekkers[§], **B.L.H. Beerkens**, J.P.D. van Veldhoven, N.V.O. Ortiz-Zacharías, C. van der Horst, I. Sieders, B. de Valk, J. Wang, A.P. IJzerman, D. van der Es, L.H. Heitman. Labeling of endogenously expressed CC chemokine receptor 2 with a versatile intracellular allosteric probe. (manuscript submitted)

K. Bach[§], **B.L.H. Beerkens**[§], P.R.A. Zanon[§], S.M. Hacker. Light-Activatable, 2,5-Disubstituted Tetrazoles for the Proteome-wide Profiling of Aspartates and Glutamates in Living Bacteria, ACS Central Science **2020**, 6, 4, 546–554.

[§]These authors contributed equally

Poster and oral communications

Event	**Location**
LACDR Spring Symposium **2019** (poster)	Leiden, The Netherlands
Figon **2019** (poster)	Leiden, The Netherlands
CHAINS **2019** (poster)	Veldhoven, The Netherlands
LACDR Spring Symposium **2020** (poster)	Online
LACDR Spring Symposium **2021** (poster)	Online
CHAINS **2021** (oral)	Online
LACDR Spring Symposium **2022** (oral)	Leiden, The Netherlands
ULLA Summer School **2022** "*Challenges and opportunities in drug development*" (poster)	Upsala, Sweden
EFMC International Symposium on Medicinal Chemistry **2022** (poster)	Nice, France
EFMC Young Medicinal Chemists Symposium **2022** (oral)	Nice, France
LACDR Spring Symposium **2023** (poster)	Leiden, The Netherlands

Curriculum Vitae

Bert was born in Venray on the 12th of August of 1993, the Netherlands. He graduated from the Bouwens van der Boijecollege in Panningen in 2012. Having a broad interest in chemistry, Bert started the BSc study Molecular Science & Technology at Leiden University and the Technical University of Delft. As part of his studies, Bert also attended a semester at the University of Southern Denmark (SDU) in Odense. From these experiences, Bert gained interest in the use of chemistry to perturbate biological systems. In 2015 he graduated from the BSc Molecular Science and Technology and in the successive year became a fulltime board member of the Chemisch Dispuut Leiden (CDL), the study association for chemistry students. In the meantime Bert started his MSc studies in Chemistry at Leiden University with a specialization in chemical biology. As part of his studies, Bert performed an internship in the group of prof. Mario van der Stelt, where he worked on the synthesis and evaluation of chemical probes for phosphatases. Bert also performed a research internship together with dr. Stephan Hacker at the Technical University of Munich, where he developed photo-activatable probes to site-specifically label bacterial proteins. The latter resulted in a publication in ACS Central Science. Bert obtained his MSc Chemistry degree at the end of 2018 and immediately continued with his PhD studies at the Leiden Academic Centre for Drug Research (LACDR).

Within his PhD studies, Bert continued to develop chemical probes for biologically relevant proteins, now for the four adenosine receptors. This research was carried out under the supervision of prof. Ad IJzerman and dr. Daan van der Es at the division of Drug Discovery and Safety. Altogether, his efforts resulted in the development of a covalent ligand for the adenosine A_{2B} receptor, affinity-based probes for the adenosine A_1 and A_3 receptors and a ligand-directed probe for the adenosine A_{2B} receptor, as described in the individual chapters of this dissertation, as well as published in RSC Medicinal Chemistry, ACS Chemical Biology and Journal of Medicinal Chemistry. Within the various projects, Bert learned how to perform and interpret a broad range of assay types, ranging from chemistry, to biochemistry, to pharmacology and computational experiments. Parts of these chapters have also been presented at (inter)national congresses, such as CHAINS (2019 and 2021), FIGON (2019), the ULLA summer school (2022) and the EFMC International Symposium on Medicinal Chemistry (ISMC) and Young Medicinal Chemists' Symposium (YMCS) (2022).

Bert is currently a post-doctoral researcher at the Division of Drug Discovery and Safety of the LACDR, working together with prof. Laura Heitman and dr. Daan van der Es on the molecular characterization of GPCRs in cancer. This research is being carried out as part of the ONCODE institute.

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I would like to thank all co-authors that contributed to the various chapters of this thesis. Especially Rongfang: I have always joked that this is also your thesis. Thank you for testing all compounds in radioligand binding assays! Thank you also Xuesong for the nice (ongoing) collaborations on the A_{2B} receptor.

During my PhD, I got acquainted with a broad range of assay types. I would like to thank every person that helped me understand and carry out these assays. Thank you, Bobby, for your help in setting up pull-down experiments, Olivier and Willem for helping with docking experiments, Thomas and Sylvia for your help with microscopy experiments, and Anton and Wieke for helping me understand flow cytometry!

Most crucial to my PhD were the social interactions with my colleagues, from coffee breaks to Science Club Thursdays. I would like to thank Sebastian and Jaco, but also Majlen and Khaled: from the beginning of my PhD studies the chemistry lab felt like home. I am also grateful for the colleagues in my office: Natalia, Chenlin and especially Lisa, for always providing a listening ear. Further thanks to all colleagues from the MolPharm lab: Laura, Huub, Jara, Cas, Tamara and Yao; the Pomplun lab and of course the CDD group. You've all contributed greatly to the nice atmosphere in and outside of the GE4 wing!

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