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Data Article

Dataset on Galanin Receptor 3 mutants that improve recombinant receptor expression and stability in an agonist and antagonist bound form

Thao T. Ho [a,1], Jasmine T. Nguyen [a,1], Juping Liu [b], Pawel Stanczak [a], Aaron A. Thompson [a], Yingzhuo G. Yan [c], Jasmine Chen [c], Charles K. Allerston [a], Charles L. Dillard [a], Hao Xu [a], Nicholas J. Shoger [a], Jill S. Cameron [c], Mark E. Massari [c], Kathleen Aertgeerts [a,*]

[a] Department of Structural Biology, Dart Neuroscience, 12278 Scripps Summit Drive, San Diego, CA 92131, USA
[b] Department of Preclinical Development, Dart Neuroscience, 12278 Scripps Summit Drive, San Diego, CA 92131, USA
[c] Department of Biology, Dart Neuroscience, 12278 Scripps Summit Drive, San Diego, CA 92131, USA

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ABSTRACT

Galanin Receptor 3 (GALR3) is a G-protein-coupled receptor with a widespread distribution in the brain and plays a role in a variety of physiologic processes including cognition/memory, sensory/pain processing, hormone secretion, and feeding behavior. Therefore, GALR3 is considered an attractive CNS drug target (Freimann et al., 2015) [1]. This dataset contains GALR3 point mutants that improve recombinant protein expression and thermal stability of the receptor contained in virus-like particles (VLPs) or obtained by detergent-purification of baculovirus-infected insect cells. The mutations listed can be grouped in those that improve the stability of the agonist-bound and the antagonist-bound form of the receptor. Protein characteristics in terms of protein expression and thermal stability were comparable between GPCR-VLP and GPCR overexpressing Sf9 cultures. The further analysis and detailed results of these mutants as well as their impact on biophysical assay development for drug discovery can be found in “Method for

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* Corresponding author.
E-mail address: kaertgeerts@dartneuroscience.com (K. Aertgeerts).
[1] Authors equally contributed to the manuscript.

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Rapid Optimization of Recombinant GPCR Protein Expression and Stability using Virus-Like Particles" (Ho et al., 2017) [2].

Specifications Table

Subject area	Biology
More specific subject area	GPCR Protein Engineering and Stabilization
Type of data	Table, figure
How data was acquired	Western Blot, Radioligand binding assay, HPLC, thiol-specific fluorochrome N-[4-(7-diethylamino-4-methyl-3-coumarinyl)phenyl]maleimide (CPM) assay [3], Electrospray ionization (ESI)-Mass Spectrometry (MS), hybrid quadrupole time-of-flight mass spectrometer (Q-ToF Ultima, Waters, Manchester, UK)
Data format	Filtered and analyzed
Experimental factors	Does not apply
Experimental features	GALR3 mutants were produced and screened in virus-like particles using label and label-free assay formats; recombinant receptor protein expression and stability was obtained in virus-like particles and after detergent-solubilization from recombinant Sf9 expressions.
Data source location	Does not apply
Data accessibility	The data are included in this article

Value of the data

- The GALR3 mutants listed here aid in increasing the recombinant protein expression yield and stabilization of the receptor in either the agonist or antagonist-bound form.
- Mutational analysis of the GALR3 variants was performed in VLPs. The chemically stabilizing environment of the phospholipid bilayer in a VLP eliminates the need for recombinant overexpression, purification and detergent solubilization during the iterative protein engineering process.
- GALR3 protein quality from VLPs was comparable to detergent-purified receptors from overexpressing Sf9 cultures.

1. Data

The dataset of this article provides information on GALR3 mutants that stabilize the receptor in either an agonist-bound or antagonist-bound form. Table 1 shows how 23 of the 210 point mutants expressed on VLPs increase [^{125}I]-galanin binding and thermal stability compared to WT. In addition, a direct correlation of the B_{max} values with recombinant expression yields of the mutants in Sf9 cultures was shown (Table 1 and Fig. 1). Combinations of these mutants were made to find the best thermostabilizing GALR3 agonist-bound (Table 2) and GALR3 antagonist-bound (Table 3) variants.