

Reading resources for 7.61 Graduate Cell Biology, Fall 2006

7.61 Receptors (Lectures 1 & 3)

Required Reading for "Receptors" and "G-protein Signaling":

Lodish 5th edition:

General: Chapter 13

Binding properties: p. 533-539

Purification: p. 539-541

Alberts et al (4rd ed.): Chapter 15

Additional References (not required):

Scatchard analysis of binding and data analysis:

Leatherbarrow, R. J. "Using linear and non-linear regression to fit biochemical data" TIBS 15:455-458 (1990).

Lierler, K. "Misuse of nonlinear Scatchard plots" TIBS 14:314-317 (1989).

D. A. Lauffenburger and J. J. Linderman "Receptors: Models for binding, trafficking, and signaling" Oxford University Press Oxford NY, 1993

GraphPad Prism software, <http://www.graphpad.com/welcome.htm> also *Intuitive Biostatistics* H. Motulsky, Publisher: Oxford University Press, New York, 1995 ISBN: 0-19-50-8607-4

Multiligand Receptors: J. Clin. Investigation Perspectives series, fall 2001, Series Introduction:

Krieger M, Stern DM. Multiligand receptors and human disease. J Clin Invest. 2001 Sep;108(5):645-7.

Receptor Purification:

Reeves, P. J., Thurmond, R. L., and Khorana, H. G. (1996) *Proc Natl Acad Sci U S A* **93**, 11487-92

Chelikani P, Reeves PJ, Rajbhandary UL, Khorana HG. The synthesis and high-level expression of a beta2-adrenergic receptor gene in a tetracycline-inducible stable mammalian cell line. *Protein Sci.* 2006 Jun;15(6):1433-40.

Reeves PJ, Callewaert N, Contreras R, Khorana HG. Structure and function in rhodopsin: high-level expression of rhodopsin with restricted and homogeneous N-glycosylation by a tetracycline-inducible N-acetylglucosaminyltransferase I-negative HEK293S stable mammalian cell line. *Proc Natl Acad Sci U S A.* 2002 Oct 15;99(21):13419-24.

Liu B, Krieger M. Highly purified scavenger receptor class B, type I reconstituted into phosphatidylcholine /cholesterol liposomes mediates high affinity HDL binding and selective lipid uptake. *J Biol Chem.* 2002 Jul 10 [epub ahead of print] (2002)

G-protein coupled receptors:

Reiter E, Lefkowitz RJ. GRKs and beta-arrestins: roles in receptor silencing, trafficking and signaling. *Trends Endocrinol Metab.* 2006 May-Jun;17(4):159-65..

Rajagopal K, Lefkowitz RJ, Rockman HA. When 7 transmembrane receptors are not G protein-coupled receptors. *J Clin Invest.* 2005 Nov;115(11):2971-4..

Shenoy SK, Lefkowitz RJ. Seven-transmembrane receptor signaling through beta-arrestin. *Sci STKE.* 2005 Nov 1;2005(308):cm10..

Lefkowitz RJ, Shenoy SK. Transduction of receptor signals by beta-arrestins. *Science.* 2005 Apr 22;308(5721):512-7..

Lefkowitz RJ. Historical review: a brief history and personal retrospective of seven-transmembrane receptors. *Trends Pharmacol Sci.* 2004 Aug;25(8):413-22 http://www.sciencedirect.com/science?_ob=ArticleURL&_udi=B6T1K-4CS4N42-1&_user=501045&_coverDate=08%2F31%2F2004&_alid=201961659&_rdoc=1&_fmt=full&_orig=search&_qd=1&_cdi=4893&_sort=d&_docanchor=&_view=c&_acct=C000022659&_version=1&_urlVersion=0&_userid=501045&_md5=9b87f7bd1905e7818e5080a9189e6d9f&_artImgPref=F

Lefkowitz RJ, Whalen EJ. beta-arrestins: traffic cops of cell signaling. *Curr Opin Cell Biol.* 2004 Apr;16(2):162-8

http://www.sciencedirect.com/science?_ob=ArticleURL&_udi=B6VVRW-4BJ2FV9-1&_coverDate=04%2F30%2F2004&_alid=201964332&_rdoc=1&_fmt=&_orig=search&_qd=1&_cdi=6245&_sort=d&_view=c&_acct=C000022659&_version=1&_urlVersion=0&_userid=501045&_md5=f9b03dece3f0ebbd4e6ef2f1129dda7b

Gainetdinov RR, Premont RT, Bohn LM, Lefkowitz RJ, Caron MG. Desensitization of G protein-coupled receptors and neuronal functions. *Annu Rev Neurosci.* 2004;27:107-44.

http://arjournals.annualreviews.org/doi/full/10.1146/annurev.neuro.27.070203.144206.jsessionid=jaO_tuGqnEF8

Shenoy SK, Lefkowitz RJ. *Biochem J.* 2003 Nov 1;375(Pt 3):503-15. Multifaceted roles of beta-arrestins in the regulation of seven-membrane-spanning receptor trafficking and signalling. Department of Medicine, Howard Hughes Medical Institute, Duke University Medical Center, Box 3821, Durham, NC 27710, USA <http://www.biochemj.org/bj/375/0503/bj3750503.htm>

- Marchese A, Chen C, Kim YM, Benovic JL. The ins and outs of G protein-coupled receptor trafficking. *Trends Biochem Sci.* 2003 Jul;28(7):369-76
- Robert J. Lefkowitz A Magnificent Time With the "Magnificent Seven" Transmembrane Spanning Receptors *Circ Res* 2003 92: 342 – 344 History of BAR discoveries in Lefkowitz lab
- Klabunde T, Hessler G. Drug design strategies for targeting G-protein-coupled receptors. *Chembiochem.* 2002 Oct 4;3(10):928-44. <http://www3.interscience.wiley.com/cgi-bin/fulltext/99015697/HTMLSTART>
- Kristen L. Pierce, Richard T. Premont & Robert J. Lefkowitz *Nature Reviews Molecular Cell Biology* 3, 639-650 (2002); Signalling SEVEN-TRANSMEMBRANE RECEPTORS http://www.nature.com/cgi-taf/DynaPage.taf?file=/nrm/journal/v3/n9/full/nrm908_fs.html
- Pitcher JA, Freedman NJ, Lefkowitz RJ. G protein-coupled receptor kinases *Annu Rev Biochem.*;67:653-92. (1998).
- Darren R. Flower Modelling G-protein-coupled receptors for drug design *Biochimica et Biophysica Acta Reviews on Biomembranes* **1422**, (3) 207-234(1999)
- C. D. Strader, T. M. Fong, M. R. Tota and D. Underwood. Structure and function of G protein-coupled receptors. *Annu. Rev. Biochem.* 63:101-132 (1994).
- Kobilka, B. K., Kobilka, T.S., Daniel, K, Regan, J.W., Caron, M.G. & Lefkowitz, R. J. (1988) *Science* 240: 1310-1316. "Chimeric α_2 - β_2 -Adrenergic Receptors: Delineation of Domains Involved in Effector Coupling and Ligand Binding Specificity
- C. D. Strader, M. R. Candelore, W. S. Hill, I. S. Sigal, R. A. F. Dixon (1989) Identification of two serine residues involved in Agonist activation of the β -Adrenergic Receptor. *J. Biol. Chem.* 264: 13572-13578.
- Kjelsberg et al. "Constitutive activation of the $\alpha_1\beta$ -adrenergic receptor by all amino acid substitutions at a single site: evidence for a region which constrains receptor activation." *J. Biol. Chem.* 267:1430-1433 (1992).
- Liggett, S. B., N. J. Freedman, D. A. Schwinn and R. J. Lefkowitz. "Structural basis for receptor subtype-specific regulation revealed by a chimeric β_3/β_2 -adrenergic receptor. *PNAS* 90: 3665-3669 (1993).

Nuclear Receptor superfamily:

- Barish GD, Narkar VA, Evans RM. PPAR delta: a dagger in the heart of the metabolic syndrome. *J Clin Invest.* 2006 Mar;116(3):590-7.
- Evans RM. 2003 Keio Medical Science Prize commemorative lecture. PPARs and the complex journey to obesity. *Keio J Med.* 2004 Jun;53(2):53-8.
- Olefsky JM. *J Biol Chem.* 2001 Oct 5;276(40):36863-4. Epub 2001 Jul 17. Nuclear receptor minireview series.
- Folkertsma S, van Noort P, Van Durme J, Joosten HJ, Bettler E, Fleuren W, Oliveira L, Horn F, de Vlieg J, Vriend G. A family-based approach reveals the function of residues in the nuclear receptor ligand-binding domain. *J Mol Biol.* 2004 Aug 6;341(2):321-35. http://www.sciencedirect.com.libproxy.mit.edu/science?_ob=ArticleURL&_udi=B6WK7-4CP4M85-D&_user=501045&_handle=B-WA-A-W-WY-MSAYWA-UUW-AUEYUYBDCU-AUECZZVCCU-ZWDVUEEUW-WY-U&_fmt=full&_coverDate=08%2F06%2F2004&_rdoc=1&_orig=browse&_srch=%23toc%236899%232004%23996589997%23512113!&_cdi=6899&view=c&_acct=C000022659&_version=1&_urlVersion=0&_userid=501045&md5=1ab21ac8fa754ee6ba2a21cda910153e&artImgPref=F
- Julie M. Hall, John F. Couse, and Kenneth S. Korach J. The Multifaceted Mechanisms of Estradiol and Estrogen Receptor Signaling *Biol. Chem.*, Vol. 276, Issue 40, 36869-36872, October 5, 2001

Crystal structures:

- Palczewski K, Kumasaka T, Hori T, Behnke CA, Motoshima H, Fox BA, Le Trong I, Teller DC, Okada T, Stenkamp RE, Yamamoto M, Miyano M. Crystal structure of rhodopsin: A G protein-coupled receptor. *Science.* 289(5480):739-45. (2000)
- Henry R. Bourne and Elaine C. Meng Rhodopsin Sees the Light *Science* 289: 733-734 (2000)
- Werner Kuhlbrandt, Bacteriorhodopsin -- the movie *Nature* 406 (6796) Page 569 - 570 (2000)
- Subramaniam S, Henderson R. Molecular mechanism of vectorial proton translocation by bacteriorhodopsin. *Nature.*;406(6796):653-7 (2000)
- Hans Jurgen Sass, Georg Buldt, Ralf Gessenich, Dominic Hehn, Dirk Neff, Ramona Schlesinger, Joel Berendzen, Pal Ormos, Structural alterations for proton translocation in the M state of wild-type bacteriorhodopsin *Nature* 406 (6796) 649 - 653 (2000)
- Antoine Royant, Karl Edman, Thomas Ursby, Eva Pebay-Peyroula, Ehud M. Landau, Richard Neutze, Helix deformation is coupled to vectorial proton transport in the photocycle of bacteriorhodopsin *Nature* 406 (6796) 645 - 648 (2000)
- De Vos, A. M., Ultsch, M. and Kossiakoff, A.A. "Human Growth Hormone and Extracellular Domain of its Receptor: Crystal Structure of the Complex" *Science* 255 306-312 (1992).
- Milburn, M. V. et al "Three-Dimensional structures of the ligand-binding domain of the bacterial aspartate receptor with and without a ligand" *Science* 254 1342-1347 (1991)
- V. M. Unger, P. A. Hargrave, J. M. Baldwin & G. F. X. Schertler "Arrangement of rhodopsin transmembrane α -helices" *Nature* 389:203-206.
- Y. Kimura et al "Surface of bacteriorhodopsin revealed by high-resolution electron crystallography" *Nature* 389:206-211.

Mutagenesis studies of Growth Hormone and its receptor by Wells and colleagues:

- Cunningham, B. C. and J. A. Wells. "Comparison of a Structural and a Functional Epitope" *J. Mol. Biol.* 234: 554-563 (1993) - (also see accompanying article 564-578)
- Cunningham, B. C. and J. A. Wells. "High-resolution epitope mapping of hGH-receptor interactions by alanine-scanning mutagenesis." *Science* 244 (4908 1989): 1081-5.

- Cunningham, B. C., S. Bass, G. Fuh, and J. A. Wells. "Zinc mediation of the binding of human growth hormone to the human prolactin receptor." *Science* 250 (4988 1990): 1709-12.
- Bass, S. H., M. G. Mulkerrin, and J. A. Wells. "A systematic mutational analysis of hormone-binding determinants in the human growth hormone receptor." *Proc Natl Acad Sci U S A* 88 (10 1991): 4498-502.
- Wells, J. A. and B. C. Cunningham. "Identification and design of binding determinants in proteins." *Biochem Soc Symp* 57 (1990): 143-5.
- Cunningham, B. C., M. G. Mulkerrin, and J. A. Wells. "Dimerization of human growth hormone by zinc." *Science* 253 (5019 1991): 545-8.
- Cunningham, B. C., M. Ultsch, Vos A. M. De, M. G. Mulkerrin, K. R. Clauser, and J. A. Wells. "Dimerization of the extracellular domain of the human growth hormone receptor by a single hormone molecule." *Science* 254 (5033 1991): 821-5.
- Fuh, G., B. C. Cunningham, R. Fukunaga, S. Nagata, D. V. Goeddel, and J. A. Wells. "Rational design of potent antagonists to the human growth hormone receptor." *Science* 256 (5064 1992): 1677-80.
- De Vos, A. M., Ultsch, M. and Kossiakoff, A.A. "Human Growth Hormone and Extracellular Domain of its Receptor: Crystal Structure of the Complex" *Science* 255 306-312 (1992).

Additional References, mainly for G-protein and other signaling:

Excellent older reviews:

- A. G. Gilman (1987) *Ann. Rev. Biochem.* 56: 615-649, or
 L. Stryer and H. Bourne (1986) *Ann. Rev. Cell Biol.* 2: 391-419
 J. W. Putney et. al. (1989) *FASEB J.* 3: 1899-1905

other reviews of β -adrenergic system and G proteins:

- Lee S. Weinstein, Shuhua Yu, and Carolyn A. Ecelbarger Variable imprinting of the heterotrimeric G protein Gs -subunit within different segments of the nephron *AJP - Renal* 2000 278: F507-F514.
- Morris, Andrew J. and Craig C. Malbon. Physiological Regulation of G Protein-Linked Signaling. *Physiol. Rev.* 79: 1373-1430, 1999.
- David M. Berman and Alfred G. Gilman Mammalian RGS Proteins: Barbarians at the Gate *J. Biol. Chem.* 1998 273: 1269-1272.
- Henry R Bourne "How receptors talk to trimeric G proteins." *Current Opinion in Cell Biology* 1997, 9: 134-142.
- Michael R Koelle: A new family of G-protein regulators – the RGS proteins *Current Opinion in Cell Biology* 1997 9: 143-147.
- W. Roush "Regulating G protein signaling" *Science* 271:1056-1058 (1996)
- K. J. Blumer & G. L. Johnson *TIBS* 19:236-240 (1994)
- Bourne, H. R., D. A. Sanders, and F. McCormick. "The GTPase superfamily: a conserved switch for diverse cell functions." *Nature* 348 (6297 1990): 125-32.
- Bourne, H. R., D. A. Sanders, and F. McCormick. "The GTPase superfamily: conserved structure and molecular mechanism." *Nature* 349 (6305 1991): 117-27.