

7. LITERATURVERZEICHNIS

- Ackermann, E.J., Ang, E.T., Kanter, J.R., Tsigelny, I. & Taylor, P. (1998). Identification of pairwise interactions in the α -neurotoxin-nicotinic acetylcholine receptor complex through double mutant cycles. *J. Biol. Chem.* **273**(18), 10958-10964.
- Adams, M.E., Carney, R.L., Enderlin, F.E., Fu, E.T., Jarema, M.A., Li, J.P., Miller, C.A., Schooley, D.A., Shapiro, M.J. & Venema, V.J. (1987). Structures and biological activities of three synaptic antagonists from orb weaver spider venom. *Biochem. Biophys. Res. Commun.* **148**(2), 678-683.
- Addona, G.H., Sandermann, H. Jr., Kloczewiak, M.A., Husain, S.S. & Miller, K.W. (1998). Where does cholesterol act during activation of the nicotinic acetylcholine receptor? *Biochim. Biophys. Acta* **1370**(2), 299-309.
- Akabas, M.H., Stauffer, D.A., Xu, M. & Karlin, A. (1992). Acetylcholine receptor channel structure probed in cysteine-substitution mutants. *Science* **258**, 307-310.
- Akabas, M.H., Kaufmann, C., Archdeacon, P. & Karlin, A. (1994). Identification of acetylcholine receptor channel-lining residues in the entire M2 segment of the α -subunit. *Neuron* **13**(4), 919-927.
- Akabas, M.H. & Karlin, A. (1995). Identification of acetylcholine receptor channel-lining residues in the M1 segment of the α -subunit. *Biochemistry* **34**(39), 12496-12500.
- Anis, N., Sherby, S., Goodnow, R. Jr., Niwa, M., Konno, K., Kallimopoulos, T., Bukownik, R., Nakanishi, K., Usherwood, P., Eldefrawi, A. & Eldefrawi, M. (1995). Structure-activity relationships of philanthotoxin analogs and polyamines on N-methyl-D-aspartate and nicotinic acetylcholine receptors. *J. Pharmacol. Exp. Ther.* **254**(3), 764-773.
- Antollini, S.S. & Barrantes, F.J. (1998). Disclosure of discrete sites for phospholipid and sterols at the protein-lipid interface in native acetylcholine receptor-rich membrane. *Biochemistry* **37**(47), 16653-16662.
- Arias, H.R., Valenzuela, C.F. & Johnson, D.A. (1993a). Transverse localization of the quinacrine binding site on the *Torpedo* acetylcholine receptor. *J. Biol. Chem.* **268**(9), 6348-6355.
- Arias, H.R., Valenzuela, F. & Johnson, D.A. (1993b). Quinacrine and ethidium bind to different loci on the *Torpedo* acetylcholine receptor. *Biochemistry* **32**, 6237-6242.
- Arias, H.R. (1996). Luminal and non-luminal noncompetitive inhibitor binding sites on the nicotinic acetylcholine receptor. *Mol. Membr. Biol.* **13**(1), 1-17.
- Arias, H.R. (1997a). The high-affinity quinacrine binding site is located at a non-annular lipid domain of the nicotinic acetylcholine receptor. *Biochim. Biophys. Acta* **1347**, 9-22.

- Arias, H.R. (1997b).
Topology of ligand binding sites on the nicotinic acetylcholine receptor.
Brain Res. Brain Res. Rev. **25**(2), 133-91.
- Auerbach, A. & Sachs, F. (1983).
Flickering of the nicotinic ion channel to a subconductance state.
Biophys. J. **42**, 1-10.
- Auerbach, A., Sigurdson, W., Chen, J. & Akk, G. (1996).
Voltage dependence of mouse acetylcholine receptor gating: different charge movements in di-, mono- and unliganded receptors.
J. Physiol. **494**, 155-179.
- Auerbach, A. & Akk, G. (1998).
Desensitization of mouse nicotinic acetylcholine receptor channels. A two-gate mechanism.
J. Gen. Physiol. **112**(2), 181-197.
- Baenziger, J.E., Miller, K.W., McCarthy, M.P. & Rothschild, K.J. (1992).
Probing conformational changes in the nicotinic acetylcholine receptor by Fourier transform infrared difference spectroscopy.
Biophys. J. **62**(1), 64-66.
- Baenziger, J.E., Miller, K.W. & Rothschild, K.J. (1993).
Fourier transform infrared difference spectroscopy of the nicotinic acetylcholine receptor: evidence for specific protein structural changes upon desensitization.
Biochemistry **32**(20), 5448-5454.
- Baenziger, J.E. & Chew, J.P. (1997).
Desensitization of the nicotinic acetylcholine receptor mainly involves a structural change in solvent-accessible regions of the polypeptide backbone.
Biochemistry **36**(12), 3617-3624.
- Bertrand, D., Devillers-Thiery, A., Revah, F., Galzi, J.L., Hussy, N., Mulle, C., Bertrand, S., Ballivet, M. & Changeux, J.-P. (1992).
Unconventional pharmacology of a neuronal nicotinic receptor mutated in the channel domain.
Proc. Natl. Acad. Sci. USA **89**(4), 1261-1265.
- Bertrand, D., Galzi, J.L., Devillers-Thiery, A., Bertrand, S., & Changeux, J.-P. (1993).
Stratification of the channel domain in neurotransmitter receptors.
Curr. Opin. Cell. Biol. **5**(4), 688-693.
- Bertrand, J. & Changeux, J.-P. (1995).
Nicotinic receptor: An allosteric protein specialized for intercellular communication.
The Neurosciences **7**, 75-90.
- Betzel, C., Lange, G., Pal, G.P., Wilson, K.S., Maelicke, A. & Saenger, W. (1991).
The refined crystal structure of α -cobratoxin from *Naja naja siamensis* at 2.4-A resolution.
J. Biol. Chem. **266**(32), 21530-21536.
- Bhushan, A. & McNamee, M.G. (1993).
Correlation of phospholipid structure with functional effects on the nicotinic acetylcholine receptor. A modulatory role for phosphatidic acid.
Biophys. J. **64**(3), 716-723.
- Bixel, M.G., Krauss, M., Liu, Y., Bolognesi, M.L., Rosini, M., Mellor, I.S., Usherwood, P.N., Melchiorre, C., Nakanishi, K. & Hucho, F. (2000a).
Structure-activity relationship and site of binding of polyamine derivatives at the nicotinic acetylcholine receptor.
Eur. J. Biochem. **267**(1), 110-120.

Bixel M.G., Weise C., Bolognesi M.L., Rosini M., Brierly M.J., Mellor I.R., Usherwood P.N.R. Melchiorre C. & Hucho F. (2000b).

Highly negatively charged domain identified in the vestibule of the acetylcholine receptor's ion channel.
(*Manuscript eingereicht*)

Blanchard, S.G., Elliott, J. & Raftery, M.A. (1979).

Interaction of local anesthetics with *Torpedo californica* membrane-bound acetylcholine receptor.

Biochemistry **18**(26), 5880-5885.

Blanton, M., McCarty, E., Gallaher, T. & Wang, H.H. (1988).

Noncompetitive inhibitors reach their binding site in the acetylcholine receptor by two different paths.

Mol. Pharmacol. **33**(6), 634-642.

Blanton, M.P., Dangott, L.J., Raja, S.K., Lala, A.K. & Cohen, J.B. (1998).

Probing the structure of the nicotinic acetylcholine receptor ion channel with the uncharged photoactivatable compound [³H]-diazofluorene.

J. Biol. Chem. **273**(15), 8659-8668.

Blount, P. & Merlie, J.P. (1989).

Molecular basis of the two nonequivalent ligand binding sites of the muscle nicotinic acetylcholine receptor.

Neuron **3**(3), 349-357.

Blount, P. & Merlie, J.P. (1990).

Mutational analysis of muscle nicotinic acetylcholine receptor subunit assembly.

J. Cell. Biol. **111**(6 Pt 1), 2613-22.

Bourne, P.E., Sato, A., Corfield, P.W., Rosen, L.S., Birken, S. & Low, B.W. (1985).

Erbabutoxin b. Initial protein refinement and sequence analysis at 0.140-nm resolution.

Eur. J. Biochem. **153**(3), 521-527.

Bouzat, C. & Barrantes, F.J. (1996).

Modulation of muscle nicotinic acetylcholine receptors by the glucocorticoid hydrocortisone. Possible allosteric mechanism of channel blockade.

J. Biol. Chem. **271**(42), 25835-25841.

Burgermeister, W., Catterall, W.A. & Witkop, B. (1977).

Histrionicotoxin enhances agonist-induced desensitization of acetylcholine receptor.

Proc. Natl. Acad. Sci. USA **74**(12), 5754-5758.

Castresana, J., Fernandez-Ballester, G., Fernandez, A.M., Laynez, J.L., Arrondo, J.L., Ferragut, J.A. & Gonzalez-Ros, J.M. (1992).

Protein structural effects of agonist binding to the nicotinic acetylcholine receptor.

FEBS Lett. **314**(2), 171-175.

Changeux, J.-P. (1990).

Functional architecture and dynamics of the nicotinic acetylcholine receptor: an allosteric ligand-gated ion channel.

Fidia Res. Found. Neurosci. Award Lect. **4**, 21-168.

Changeux, J.-P. & Edelstein, S.J. (1998).

Allosteric receptors after 30 years.

Neuron **21**, 959-980.

Charnet, P., Labarca, C., Leonard, R.J., Vogelaar, N.J., Czyzyk, L., Gouin, A., Davidson, N. & Lester, H.A. (1990).

An open-channel blocker interacts with adjacent turns of α -helices in the nicotinic acetylcholine receptor.

Neuron **4**(1), 87-95.

- Chiara, D.C. & Cohen, J.B. (1997).
 Identification of amino acids contributing to high and low affinity d-tubocurarine sites in the *Torpedo* nicotinic acetylcholine receptor.
J. Biol. Chem. **272**(52), 32940-32950.
- Chiara, D.C., Xie, Y. & Cohen, J.B. (1999).
 Structure of the agonist-binding sites of the *Torpedo* nicotinic acetylcholine receptor: affinity-labeling and mutational analyses identify γ -Tyr111/ δ -Arg113 as antagonist affinity determinants.
Biochemistry **38**(20), 6689-6698.
- Choi, S.K., Kalivretenos, A.G., Usherwood, P.N. & Nakanishi, K. (1995).
 Labeling studies of photolabile philanthotoxins with nicotinic acetylcholine receptors: mode of interaction between toxin and receptor.
Chem. Biol. **2**(1), 23-32.
- Chung, L., Kaloyanides, G., McDaniel, R., McLaughlin, A. & McLaughlin, S. (1985).
 Interaction of gentamicin and spermine with bilayer membranes containing negatively charged phospholipids.
Biochemistry **24**(2), 442-452.
- Cohen, J.B., Weber, M. & Changeux, J.-P. (1974).
 Effects of local anaesthetics and calcium on the interaction of cholinergic ligands with the nicotinic acetylcholine receptor protein from *Torpedo marmorata*.
Mol Pharmacol. **10**, 904-932.
- Cohen, J.B. (1978).
 Ligand binding properties of membrane-bound cholinergic receptor from *Torpedo marmorata*. In: Solomon, A.K. & Karnovsky, M., Eds. *Membrane function*. Cambridge: Harvard University Press, 99.
- Cohen, B.N., Labarca, C., Davidson, N. & Lester, H.A. (1992).
 Mutations in M2 alter the selectivity of the mouse nicotinic acetylcholine receptor for organic and alkali metal cations.
J. Gen. Physiol. **100**(3), 373-400.
- Colquhoun, D. & Sakmann, B. (1985).
 Fast events in single-channel currents activated by acetylcholine and its analogues at the frog muscle end-plate.
J. Physiol. **369**, 501-557.
- Conti-Tronconi, B.M., Tang, F., Walgrave, S. & Gallagher, W. (1990).
 Nonequivalence of α -bungarotoxin binding sites in the native nicotinic receptor molecule.
Biochemistry, **29**, 1046-1054.
- Corbin, J., Wang, H.H. & Blanton, M.P. (1998).
 Identifying the cholesterol binding domain in the nicotinic acetylcholine receptor with [125 I]azido-cholesterol.
Biochim. Biophys. Acta **1414**(1-2), 65-74.
- Corringer, P.J., Bertrand, S., Galzi, J.L., Devillers-Thiery, A., Changeux, J.-P. & Bertrand, D. (1999).
 Mutational analysis of the charge selectivity filter of the $\alpha 7$ nicotinic acetylcholine receptor.
Neuron **22**(4), 831-843.
- Cox, R.N., Kaldany, R.R., DiPaola, M. & Karlin, A. (1985).
 Time-resolved photolabeling by quinacrine azide of a noncompetitive inhibitor site of the nicotinic acetylcholine receptor in a transient, agonist-induced state.
J. Biol. Chem. **260**(12), 7186-7193.
- Czajkowski, C., DiPaola, M., Bodkin, M., Salazar-Jimenez, G., Holtzman, E. & Karlin, A. (1989).
 The intactness and orientation of acetylcholine receptor-rich membrane from *Torpedo californica* electric tissue.
Arch. Biochem. Biophys. **272**(2), 412-420.

- Czajkowski, C. & Karlin, A. (1991).
 Agonist binding site of *Torpedo* electric tissue nicotinic acetylcholine receptor. A negatively charged region of the δ -subunit within 0.9 nm of the α -subunit binding site disulfide.
J. Biol. Chem. **266**(33), 22603-22612.
- Czajkowski, C. & Karlin, A. (1995).
 Structure of the nicotinic receptor acetylcholine-binding site. Identification of acidic residues in the δ -subunit within 0.9 nm of the α -subunit-binding site disulfide.
J. Biol. Chem. **270**(7), 3160-3164.
- Dennis, M., Giraudat, J., Kotzyba-Hilbert, F., Goeldner, M., Hirth, C., Chang, J.Y. & Changeux, J.-P. (1986).
 A photoaffinity ligand of the acetylcholine binding site predominantly labels the region 179-207 of the α -subunit on native acetylcholine receptor from *Torpedo marmorata*.
FEBS Lett. **207**, 243-249.
- Dennis, M., Giraudat, J., Kotzyba-Hibert, F., Goeldner, M., Hirth, C., Chang, J.Y., Lazure, C., Chretien, M. & Changeux, J.-P. (1988).
 Amino acids of the *Torpedo marmorata* acetylcholine receptor α -subunit labeled by a photoaffinity ligand for the acetylcholine binding site.
Biochemistry **27**(7), 2346-2357
- DiPaola, M., Kao, P.N. & Karlin, A. (1990).
 Mapping the α -subunit site photolabeled by the noncompetitive inhibitor [3 H]-quinacrine azide in the active state of the nicotinic acetylcholine receptor.
J. Biol. Chem. **265**(19), 11017-11029.
- Doyle, D.A., Morais Cabral, J., Pfuetzner, R.A., Kuo, A., Gulbis, J.M., Cohen, S.L., Chait, B.T. & MacKinnon, R. (1998).
 The structure of the potassium channel: molecular basis of K^+ conduction and selectivity.
Science **280**, 69-77.
- Dreger, M., Krauss, M., Herrmann, A. & Hucho, F. (1997).
 Interactions of the nicotinic acetylcholine receptor transmembrane segments with the lipid bilayer in native receptor-rich membranes.
Biochemistry, **36**, 839-847.
- Dreyer, F. & Peper, K. (1975).
 Density and dose-response curve of acetylcholine receptors in frog neuromuscular junction.
Nature **253**, 641-643.
- Drisdel, R.C. & Green, W.N. (2000).
 Neuronal α -bungarotoxin receptors are $\alpha 7$ subunit homomers.
J. Neurosci. **20**(1), 133-139.
- Duke, T.A. & Bray, D. (1999).
 Heightened sensitivity of a lattice of membrane receptors.
Proc. Natl. Acad. Sci. USA **96**(18), 10104-10108.
- Earnest, J.P., Wang, H.H. & McNamee, M.G. (1984).
 Multiple binding sites for local anesthetics on reconstituted acetylcholine receptor membranes.
Biochem. Biophys. Res. Commun. **123**(2), 862-868.
- Earnest, J.P., Limbacher, H.P. Jr, McNamee, M.G. & Wang, H.H. (1986).
 Binding of local anesthetics to reconstituted acetylcholine receptors: effect of protein surface potential.
Biochemistry **25**(19), 5809-5818.
- Eckenhoff, R.G. (1996).
 An inhalational anesthetic binding domain in the nicotinic acetylcholine receptor.
Proc. Natl. Acad. Sci. U.S.A. **93**(7), 2807-2810.

- Eldefrawi, A.T., Eldefrawi, M.E., Konno, K., Mansour, N.A., Nakanishi, K., Oltz, E. & Usherwood, P.N. (1988).
Structure and synthesis of a potent glutamate receptor antagonist in wasp venom.
Proc. Natl. Acad. Sci. U.S.A. **85**(13), 4910-4913.
- Ellena, J.F., Blazing, M.A. & McNamee, M.G. (1983).
Lipid-protein interactions in reconstituted membranes containing acetylcholine receptor.
Biochemistry **22**(24), 5523-5535.
- Fernandez-Ballester, G., Castresana, J., Fernandez, A.M., Arrondo, J.L., Ferragut, J.A. & Gonzalez-Ros, J.M. (1994).
A role for cholesterol as a structural effector of the nicotinic acetylcholine receptor.
Biochemistry **33**(13), 4065-4071.
- Filatov, G.N. & White, M.M. (1995).
The role of conserved leucines in the M2 domain of the acetylcholine receptor in channel gating.
Mol. Pharmacol. **48**(3), 379-384.
- Fong, T.M. & McNamee, M.G. (1986).
Correlation between acetylcholine receptor function and structural properties of membranes.
Biochemistry **25**(4), 830-840.
- Fong, T.M. & McNamee, M.G. (1987).
Stabilization of acetylcholine receptor secondary structure by cholesterol and negatively charged phospholipids in membranes.
Biochemistry **26**(13), 3871-3880.
- Franke, C., Hatt, H., Parnas, H. & Dudel, J.
Recovery from the rapid desensitization of nicotinic acetylcholine receptor channels on mouse muscle.
Neurosci. Lett. **140**(2), 169-172.
- Franke, C., Parnas, H., Hovav, G. & Dudel, J. (1993).
A molecular scheme for the reaction between acetylcholine and nicotinic channels.
Biophys. J. **64**(2), 339-356.
- Fu, D.X. & Sine, S.M. (1994).
Competitive antagonists bridge the α/γ subunit interface of the acetylcholine receptor through quaternary ammonium-aromatic interactions.
J. Biol. Chem. **269**(42), 26152-26157.
- Gallagher, M.J. & Cohen, J.B. (1999).
Identification of amino acids of the *Torpedo* nicotinic acetylcholine receptor contributing to the binding site for the noncompetitive antagonist [3 H]-tetracaine.
Mol. Pharmacol. **56**, 300-307.
- Galzi, J.L., Revah, F., Black, D., Goeldner, M., Hirth, C. & Changeux, J.-P. (1990).
Identification of a novel amino acid α -Tyr93 within the cholinergic ligands-binding sites of the acetylcholine receptor by photoaffinity labeling. Additional evidence for a three-loop model of the cholinergic ligands-binding sites.
J. Biol. Chem. **265**(18), 10430-10437.
- Galzi, J.L., Bertrand, D., Devillers-Thiery, A., Revah, F., Bertrand, S. & Changeux, J.-P. (1991).
Functional significance of aromatic amino acids from three peptide loops of the $\alpha 7$ neuronal nicotinic receptor site investigated by site-directed mutagenesis.
FEBS Lett. **294**(3), 198-202.

- Galzi, J.L., Revah, F., Bouet, F., Menez, A., Goeldner, M., Hirth, C. & Changeux, J.-P. (1991). Allosteric transitions of the acetylcholine receptor probed at the amino acid level with a photolabile cholinergic ligand. *Proc. Natl. Acad. Sci. U.S.A.* **88**(11), 5051-5055.
- Galzi, J.L., Devillers-Thiery, A., Hussy, N., Bertrand, S., Changeux, J.-P. & Bertrand, D. (1992). Mutations in the channel domain of a neuronal nicotinic receptor convert ion selectivity from cationic to anionic. *Nature* **359**, 500-505.
- Galzi, J.L., Bertrand, S., Corringer, P.J., Changeux, J.-P. & Bertrand, D. (1996). Identification of calcium binding sites that regulate potentiation of a neuronal nicotinic acetylcholine receptor. *EMBO J.* **15**(21), 5824-5832.
- Garcia-Borrón, J.C., Chinchelru, M.A. & Martinez-Carrion, M. (1990). Selective labeling of α -bungarotoxin with fluorescein isothiocyanate and its use for the study of toxin-acetylcholine receptor interactions. *J. Protein Chem.* **9**(6), 683-693.
- Gershoni, J.M., Hawrot, E. & Lentz, T.L. (1983). Binding of α -bungarotoxin to isolated α -subunit of the acetylcholine receptor of *Torpedo californica*: quantitative analysis with protein blots. *Proc. Natl. Acad. Sci. U.S.A.* **80**(16), 4973-4977.
- Giersig, M., Kunath, W., Pribilla, I., Bandini, G. & Hucho, F. (1989). Symmetry and dimensions of membrane-bound nicotinic acetylcholine receptors from *Torpedo californica* electric tissue: rapid rearrangement to two-dimensional ordered lattices. *Membr. Biochem.* **8**(2), 81-93.
- Giraudat, J., Dennis, M., Heidmann, T., Chang, J.Y. & Changeux, J.-P. (1986). Structure of the high-affinity binding site for noncompetitive blockers of the acetylcholine receptor: Ser262 of the δ -subunit is labeled by [3 H]-chlorpromazine. *Proc. Natl. Acad. Sci. U.S.A.* **83**(8), 2719-2723.
- Giraudat, J., Dennis, M., Heidmann, T., Haumont, P.Y., Lederer, F. & Changeux, J.-P. (1987). Structure of the high-affinity binding site for noncompetitive blockers of the acetylcholine receptor: [3 H]-chlorpromazine labels homologous residues in the β and δ chains. *Biochemistry* **26**(9), 2410-2418.
- Giraudat, J., Gali, J., Revah, F., Changeux, J.-P., Haumont, P. & Lederer, F. (1989). The noncompetitive blocker [3 H]-chlorpromazine labels segment M2 but not segment M1 of the nicotinic acetylcholine receptor α -subunit. *FEBS Lett.* **253**(1-2), 190-198.
- Golovanov, A.P., Lomize, A.L., Arseniev, A.S., Utkin, Y.N. & Tsetlin, V.I. (1993). Two-dimensional 1H-NMR study of the spatial structure of neurotoxin II from *Naja naja oxiana*. *Eur. J. Biochem.* **213**(3), 1213-1223.
- Görne-Tschelnokow, U., Strecker, A., Kaduk, C., Naumann, D. & Hucho, F. (1994). The transmembrane domains of the nicotinic acetylcholine receptor contain α -helical and β -structures. *EMBO J.* **13**(2), 338-341.
- Gotti, C., Fornasari, D. & Clementi, F. (1997). Human neuronal nicotinic receptors. *Prog. Neurobiol.* **53**(2), 199-237.
- Greenwood, F.C., Hunter, W.M. & Glover, J.S. (1963). The preparation of [131 I]-labeled human growth hormone of high specific radioactivity. *J. Biochem.* **89**, 114ff.

- Hamill, O.P. & Sakman, B. (1981).
 Multiple conductance states of single acetylcholine receptor channel in embryonic muscle cells.
Nature **294**, 462-464.
- Haring, R., Kloog, Y., Kalir, A. & Sokolovsky, M. (1983).
 Species differences determine azido phenylcyclidine labeling pattern in desensitized nicotinic acetylcholine receptors.
Biochem. Biophys. Res. Commun. **113**(2), 723-729.
- Hartig, P. & Raftery, M. (1979).
 Preparation of right-side-out, acetylcholine receptor enriched intact vesicles from *Torpedo californica* electroplaque membranes.
Biochemistry **18**, 1146-1150.
- Heidmann, T. & Changeux, J.-P. (1979).
 Fast kinetic studies on the interaction of a fluorescent agonist with the membrane-bound acetylcholine receptor from *Torpedo marmorata*.
Eur. J. Biochem. **94**(1), 255-279.
- Heidmann, T., Bernhardt, J., Neumann, E. & Changeux, J.-P. (1983a).
 Rapid kinetics of agonist binding and permeability response analyzed in parallel on acetylcholine receptor rich membranes from *Torpedo marmorata*.
Biochemistry **22**(23), 5452-5459.
- Heidmann, T., Oswald, R.E. & Changeux, J.-P. (1983b)
 Multiple sites of action for noncompetitive blockers on acetylcholine receptor rich membrane fragments from *Torpedo marmorata*.
Biochemistry **22**(13), 3112-3127.
- Herz, J.M., Johnson, D.A. & Taylor, P. (1987).
 Interaction of noncompetitive inhibitors with the acetylcholine receptor.
J. Biol. Chem. **262** (15), 7238-7242.
- Herz, J.M., Johnson, D.A. & Taylor, P. (1989).
 Distance between the agonist and noncompetitive inhibitor sites on the nicotinic acetylcholine receptor.
J. Biol. Chem. **264**(21), 12439-12448.
- Herz, J.M., Kolb, S.J., Erlinger, T. & Schmid, E. (1991).
 Channel permeant cations compete selectively with noncompetitive inhibitors of the nicotinic acetylcholine receptor.
J. Biol. Chem. **266**(25), 16691-16698.
- Herz, J.M. & Atherton, S.J. (1992).
 Steric factors limit access to the noncompetitive inhibitor site of the nicotinic acetylcholine receptor.
 Fluorescence studies.
Biophys. J. **62**(1), 74-76.
- Holtzman, E., Wise, D., Wall, J. & Karlin, A. (1982).
 Electron microscopy of complexes of isolated acetylcholine receptor, biotinyl-toxin, and avidin.
Proc. Natl. Acad. Sci. U.S.A. **79**(2), 310-314.
- Horvath, L.I., Arias, H.R., Hankovszky, H.O., Hideg, K., Barrantes, F.J. & Marsh, D. (1990).
 Association of spin-labeled local anesthetics at the hydrophobic surface of acetylcholine receptor in native membranes from *Torpedo marmorata*.
Biochemistry **29**(37), 8707-8713.

- Huang, X., Borhan, B., Matile, S. & Nakanishi, K. (1999).
 Spectroscopic studies of PhTX facilitated cation movement across membranes.
Bioorg. Med. Chem. **7**(5), 811-814.
- Hucho, F., Bandini, G. & Suarez-Isla, B.A. (1978).
 The acetylcholine receptor as part of a protein complex in receptor-enriched membrane fragments from *Torpedo californica* electric tissue.
Eur. J. Biochem. **83**(2), 335-340.
- Hucho, F., Oberthür, W. & Lottspeich, F. (1986).
 The ion channel of the nicotinic acetylcholine receptor is formed by the homologous helices M2 of the receptor subunits.
FEBS Lett. **205**, 137-142.
- Hucho, F., Tsetlin, V.I. & Machold, J. (1996).
 The emerging three-dimensional structure of a receptor. The nicotinic acetylcholine receptor.
Eur. J. Biochem. **239**, 539-557.
- Imoto, K., Busch, C., Sakmann, B., Mishina, M., Konno, T., Nakai, J., Bujo, H., Mori, Y., Fukuda, K. & Numa, S. (1988).
 Rings of negatively charged amino acids determine the acetylcholine receptor channel conductance.
Nature **335**, 645-648.
- Imoto, K., Konno, T., Nakai, J., Wang, F., Mishina, M. & Numa, S. (1991).
 A ring of uncharged polar amino acids as a component of channel constriction in the nicotinic acetylcholine receptor.
FEBS Lett. **289**(2), 193-200.
- Jackson, M.B. (1984).
 Spontaneous openings of the acetylcholine receptor channel.
Proc. Natl. Acad. Sci. U.S.A. **81**, 3901-3904.
- Jackson, M.B. (1986).
 Kinetics of unliganded acetylcholine receptor channel gating.
Biophys. J. **49**, 663-672.
- Jayaraman, V., Usherwood, P.N. & Hess, G.P. (1999).
 Inhibition of nicotinic acetylcholine receptor by philanthotoxin-343: kinetic investigations in the microsecond time region using a laser-pulse photolysis technique.
Biochemistry **38**(35), 11406-11414.
- Johnson, D.A., Voet, J.G. & Taylor, P. (1984).
 Fluorescence energy transfer between cobra α -toxin molecules bound to the acetylcholine receptor.
J. Biol. Chem. **259**, 5717-5725.
- Johnson, D.A., Brown, R.D., Herz, J.M., Berman, H.A., Andreasen, G.L. & Taylor, P. (1987).
 Decidium. A novel fluorescent probe of the agonist/antagonist and noncompetitive inhibitor sites on the nicotinic acetylcholine receptor.
J. Biol. Chem. **262**(29), 14022-14029.
- Johnson, D.A., Cushman, R. & Malekzadeh, R. (1990).
 Orientation of cobra α -toxin on the nicotinic acetylcholine receptor. Fluorescence studies.
J. Biol. Chem. **265**(13), 7360-7368.
- Johnson, D.A. & Nuss, J.M. (1994).
 The histrionicotoxin-sensitive ethidium binding site is located outside of the transmembrane domain of the nicotinic acetylcholine receptor: a fluorescence study.
Biochemistry **33**(31), 9070-9077.

- Johnson, D.A. & Ayres, S. (1996).
 Quinacrine noncompetitive inhibitor binding site localized on the *Torpedo* acetylcholine receptor in the open state.
Biochemistry **35**, 6330-6336.
- Jones, O.T. & McNamee, M.G. (1988).
 Annular and nonannular binding sites for cholesterol associated with the nicotinic acetylcholine receptor.
Biochemistry **27**(7), 2364-2374.
- Kao, P.N., Dwork, A.J., Kaldany, R.R., Silver, M.L., Wideman, J., Stein, S. & Karlin, A. (1984).
 Identification of the α -subunit half-cystine specifically labeled by an affinity reagent for the acetylcholine receptor binding site.
J. Biol. Chem. **259**(19), 11662-11665.
- Karlin, A. & Akbas, M.H. (1995).
 Toward a structural basis for the function of nicotinic acetylcholine receptors and their cousins.
Neuron **15**(6), 1231-1244.
- Karlsson, E., Eaker, D. & Ponterius, G. (1972).
 Modification of amino groups in *Naja naja* neurotoxins and the preparation of radioactive derivatives.
Biochim. Biophys. Acta **257**(2), 235-248.
- Katz, B. & Thesleff, S. (1957).
 A study of the "desensitization" produced by acetylcholine at the motor end-plate.
J. Physiol. **138**, 63-80.
- Ke, L. & Lukas, R.J. (1996).
 Effects of steroid exposure on ligand binding and functional activities of diverse nicotinic acetylcholine receptor subtypes.
J. Neurochem. **67**(3), 1100-1112.
- Keleshian, A.M., Edeson, R.O., Liu, G.J. & Madsen, B.W. (2000).
 Evidence for cooperativity between nicotinic acetylcholine receptors in patch clamp records.
Biophys. J. **78**(1), 1-12.
- Kilsdonk, E.P., Yancey, P.G., Stoudt, G.W., Bangerter, F.W., Johnson, W.J., Phillips, M.C. & Rothblat, G.H. (1995).
 Cellular cholesterol efflux mediated by cyclodextrins.
Biol. Chem. **270**(29), 17250-17256.
- Koshland, D.E., Némethy, G. & Filmer, D. (1966).
 Comparison of experimental binding data and theoretical models in proteins containing subunits.
Biochemistry **5**, 365-385.
- Kubalek, E., Ralston, S., Lindstrom, J. & Unwin, N. (1987).
 Location of subunits within the acetylcholine receptor by electron image analysis of tubular crystals from *Torpedo marmorata*.
J. Cell. Biol. **105**(1), 9-18.
- Kurosaki, T., Fukuda, K., Konno, T., Mori, Y., Tanaka, K., Mishina, M. & Numa, S. (1987).
 Functional properties of nicotinic acetylcholine receptor subunits expressed in various combinations.
FEBS Lett. **214**(2), 253-258.
- Kuryatov, A., Gerzanich, V., Nelson, M., Olale, F. & Lindstrom, J. (1997).
 Mutation causing autosomal dominant nocturnal frontal lobe epilepsy alters Ca²⁺ permeability, conductance, and gating of human $\alpha 4\beta 2$ nicotinic acetylcholine receptors.
J. Neurosci. **17**(23), 9035-9047.

- Labarca, C., Nowak, M.W., Zhang, H., Tang, L., Deshpande, P. & Lester, H.A. (1995). Channel gating governed symmetrically by conserved leucine residues in the M2 domain of nicotinic receptors. *Nature* **376**, 514-516.
- Lauffer, L. & Hucho, F. (1982). Triphenylmethylphosphonium is an ion channel ligand of the nicotinic acetylcholine receptor. *Proc. Natl. Acad. Sci. U.S.A.* **79**(7), 2406-2409.
- Le Novère, N. & Changeux, J.-P. (1995). Molecular evolution of the nicotinic acetylcholine receptor: an example of multigene family in excitable cells. *J. Mol. Evol.* **40**(2), 155-172.
- Leonard, R.J., Labarca, C.G., Charnet, P., Davidson, N. & Lester, H.A. (1988). Evidence that the M2 membrane-spanning region lines the ion channel pore of the nicotinic receptor. *Science* **242**, 1578-1581.
- Love, R.A. & Stroud, R.M. (1986). The crystal structure of α -bungarotoxin at 2.5 Å resolution: relation to solution structure and binding to acetylcholine receptor. *Protein Engineering* **1**, 37-46.
- Lurtz, M.M., Hareland, M.L. & Pedersen, S.E. (1997). Quinacrine and ethidium bromide bind the same locus on the nicotinic acetylcholine receptor from *Torpedo californica*. *Biochemistry* **36**(8), 2068-2075.
- Machold, J., Utkin, Y., Kirsch, D., Kaufmann, R., Tsetlin, V., & Hucho, F. (1995a). Photolabelling reveals proximity of the α -neurotoxin binding site to the M2-helix of the ion channel in the nicotinic acetylcholine receptor. *Proc. Natl. Acad. Sci. U.S.A.* **92**, 7282-7286.
- Machold, J., Weise, C., Utkin, Y., Tsetlin, V. & Hucho, F. (1995b). The handedness of the subunit arrangement of the nicotinic acetylcholine receptor from *Torpedo californica*. *Eur. J. Biochem.* **234**(2), 427-430.
- Maelicke, A. & Reich, E. (1976). On the interaction between α -neurotoxin and the acetylcholine receptor. *Cold Spring Harbor Symp. Quant. Biol.*, 203-210.
- Magleby, K.L. & Stevens, C.F. (1972). The effect of voltage on the time course of end-plate currents. *J. Physiol. Lond.* **223**, 151-171.
- Martin, B.M., Chibber, B.A. & Maelicke, A. (1983). The sites of neurotoxicity in α -cobratoxin. *J. Biol. Chem.* **258**(14), 8714-8722.
- Martin, M., Czajkowski, C. & Karlin, A. (1996). The contributions of aspartyl residues in the acetylcholine receptor γ - and δ - subunits to the binding of agonists and competitive antagonists. *J. Biol. Chem.* **271**(23), 13497-13503.
- McCarthy, M.P. & Stroud, R.M. (1989a). Changes in conformation upon agonist binding, and nonequivalent labeling, of the membrane-spanning regions of the nicotinic acetylcholine receptor subunits. *J. Biol. Chem.* **264**(18), 10911-10916.

- McCarthy, M.P. & Stroud, R.M. (1989b). Conformational states of the nicotinic acetylcholine receptor from *Torpedo californica* induced by the binding of agonists, antagonists, and local anesthetics. Equilibrium measurements using tritium-hydrogen exchange. *Biochemistry* **28**(1), 40-48.
- Méthot, N., McCarthy, M.P. & Baenziger, J.E. (1994). Secondary structure of the nicotinic acetylcholine receptor: implications for structural models of a ligand-gated ion channel. *Biochemistry* **33**(24), 7709-7717.
- Méthot, N., Demers, C.N. & Baenziger, J.E. (1995). Structure of both the ligand- and lipid-dependent channel-inactive states of the nicotinic acetylcholine receptor probed by FTIR spectroscopy and hydrogen exchange. *Biochemistry* **34**(46), 15142-15149.
- Middleton, R.E., Strnad, N.P. & Cohen, J.B. (1999). Photoaffinity labeling the *Torpedo* nicotinic acetylcholine receptor with [(3)H]tetracaine, a nondesensitizing noncompetitive antagonist. *Mol. Pharmacol.* **56**(2), 290-299.
- Mielke, D.L. & Wallace, B.A. (1988). Secondary structural analyses of the nicotinic acetylcholine receptor as a test of molecular models. *J. Biol. Chem.* **263**(7), 3177-3182.
- Milone, M., Wang, H.L., Ohno, K., Fukudome, T., Pruitt, J.N., Bren, N., Sine, S.M. & Engel, A.G. (1997). Slow-channel myasthenic syndrome caused by enhanced activation, desensitization, and agonist binding affinity attributable to mutation in the M2 domain of the acetylcholine receptor α -subunit. *J. Neurosci.* **17**(15), 5651-5665.
- Mishina, M., Tobimatsu, T., Imoto, K., Tanaka, K., Fujita, Y., Fukuda, K., Kurasaki, M., Takahashi, H., Morimoto, Y., Hirose, T., Kuno, M. & Numa, S. (1985). Location of functional regions of acetylcholine receptor α -subunit by site-directed mutagenesis. *Nature* **313**, 364-369.
- Miyazawa, A., Fujiyoshi, Y., Stowell, M. & Unwin, N. (1999). Nicotinic acetylcholine receptor at 4.6 Å resolution: transverse tunnels in the channel wall. *J. Mol. Biol.* **288**(4), 765-786.
- Monod, J., Changeux, J.-P. & Jacob, F. (1963). Allosteric proteins and cellular control systems. *J. Mol. Biol.* **6**, 306-329.
- Monod, J., Wyman, J. & Changeux, J.-P. (1965). On the nature of allosteric transitions: a plausible model. *J. Mol. Biol.* **12**, 88-118.
- Moore, M.A. & McCarthy, M.P. (1995). Snake venom toxins, unlike smaller antagonists, appear to stabilize a resting state conformation of the nicotinic acetylcholine receptor. *Biochim. Biophys. Acta* **1235**(2), 336-342.
- Muhn, P. & Hucho, F. (1983). Covalent labeling of the acetylcholine receptor from *Torpedo* electric tissue with the channel blocker [³H]triphenylmethylphosphonium by ultraviolet irradiation. *Biochemistry* **22**(2), 421-425.

- Mustonen, P., Lehtonen, J.Y. & Kinnunen, P.K. (1998).
 Binding of quinacrine to acidic phospholipids and pancreatic phospholipase A2. Effects on the catalytic activity of the enzyme.
Biochemistry **37**(35), 12051-12057.
- Nakanishi, K., Huang, X., Jiang, H., Liu, Y., Fang, K., Huang, D., Choi, S.K., Katz, E. & Eldefrawi, M. (1997).
 Structure-binding relation of philanthotoxins from nicotinic acetylcholine receptor binding assay.
Bioorg. Med. Chem. **5**(10), 1969-1988.
- Narayanaswami, V. & McNamee, M.G. (1993).
 Protein-lipid interactions and *Torpedo californica* nicotinic acetylcholine receptor function. 2. Membrane fluidity and ligand-mediated alteration in the accessibility of γ -subunit cysteine residues to cholesterol.
Biochemistry **32**(46), 12420-12427.
- Neher, E. & Sakmann, B. (1976).
 Single channel currents recorded from membrane of denervated frog muscle fibers.
Nature **260**, 799-802.
- Nelson, N., Anholt, R., Lindstrom, J. & Montal, M. (1980).
 Reconstitution of purified acetylcholine receptors with functional ion channels in planar lipid bilayers.
Proc. Natl. Acad. Sci. U.S.A. **77**(5) 3057-3061.
- Neubig, R.R. & Cohen, J.B. (1979).
 Equilibrium binding of [³H]-tubocurarine and [³H]-acetylcholine by *Torpedo* postsynaptic membranes: stoichiometry and ligand interactions.
Biochemistry **18**, 5464-5475.
- Neubig, R.R., Boyd, N.D., & Cohen, J.B. (1982).
 Conformations of *Torpedo* acetylcholine receptor associated with ion transport and desensitization.
Biochemistry **21**(14), 3460-3467.
- Neumann, D., Barchan, D., Safran, A., Gershoni, J.M. & Fuchs, S. (1986).
 Mapping of the α -bungarotoxin binding site within the α -subunit of the acetylcholine receptor.
Proc. Natl. Acad. Sci. U.S.A. **83**(9), 3008-3011.
- Noda, M., Takahashi, H., Tanabe, T., Toyosato, M., Furutani, Y., Hirose, T., Asai, M., Inayama, S., Miyata, T. & Numa, S. (1982).
 Primary structure of α -subunit precursor of *Torpedo californica* acetylcholine receptor deduced from cDNA sequence.
Nature **299**, 793-797.
- Noda, M., Takahashi, H., Tanabe, T., Toyosato, M., Kikyotani, S., Furutani, Y., Hirose, T., Takashima, H., Inayama, S., Miyata, T. & Numa, S. (1983).
 Structural homology of *Torpedo californica* acetylcholine receptor subunits.
Nature **302**, 538-532.
- Oberthür, W., Muhn, P., Baumann, H., Lottspeich, F., Wittmann-Liebold, B. & Hucho, F. (1986).
 The reaction site of a noncompetitive antagonist in the δ -subunit of the nicotinic acetylcholine receptor.
EMBO J. **5**(8), 1815-1819.
- Oberthür, W. & Hucho, F. (1988).
 Photoaffinity labeling of functional states of the nicotinic acetylcholine receptor.
J. Protein Chem. **7**(2), 141-150.

- Ohno, K., Hutchinson, D.O., Milone, M., Brengman, J.M., Bouzat, C., Sine, S.M. & Engel, A.G. (1995). Congenital myasthenic syndrome caused by prolonged acetylcholine receptor channel openings due to a mutation in the M2 domain of the ϵ -subunit.
Proc. Natl. Acad. Sci. USA **92**(3), 758-762.
- Ohtani, Y., Irie, T., Uekama, K., Fukunaga, K. & Pitha, J. (1989). Differential effects of α -, β - and γ -cyclodextrins on human erythrocytes.
Eur. J. Biochem. **186**(1-2), 17-22.
- Osaka, H., Malany, S., Kanter, J.R., Sine, S.M. & Taylor, P. (1999). Subunit interface selectivity of the α -neurotoxins for the nicotinic acetylcholine receptor.
J. Biol. Chem. **274**(14), 9581-9586.
- Oswald, R. & Changeux, J.-P. (1981). Ultraviolet light-induced labeling by noncompetitive blockers of the acetylcholine receptor from *Torpedo marmorata*.
Proc. Natl. Acad. Sci. U.S.A. **78**(6), 3925-3929.
- Palma, A., Herz, J.M., Wang, H.H. & Taylor, P. (1986). Association of a spin-labeled local anesthetic with the allosterically coupled noncompetitive inhibitor site on the acetylcholine receptor.
Mol. Pharmacol. **30**(3), 243-251.
- Palma, A.L. & Wang, H.H. (1991). Molecular environment of the phencyclidine binding site in the nicotinic acetylcholine receptor membrane.
J. Membrane Biol. **122**, 143-153.
- Pascual, J.M. & Karlin, A. (1998). Delimiting the binding site for quaternary ammonium lidocaine derivatives in the acetylcholine receptor channel.
J. Gen. Physiol. **112**(5), 611-621.
- Pedersen, S.E. & Cohen, J.B. (1990). d-Tubocurarine binding sites are located at α/γ and α/δ subunit interfaces of the nicotinic acetylcholine receptor.
Proc. Natl. Acad. Sci. U.S.A. **87**(7), 2785-2789.
- Pedersen, S.E. (1995). Site-selective photoaffinity labeling of the *Torpedo californica* nicotinic acetylcholine receptor by azide derivatives of ethidium bromide.
Mol. Pharmacol. **47**(1), 1-9.
- Perozo, E., Cortes, D.M. & Cuello, L.G. (1999). Structural rearrangements underlying K⁺-channel activation gating.
Science **285**, 73-78.
- Prince, R.J. & Sine, S.M. (1999). Acetylcholine and epibatidine binding to muscle acetylcholine receptors distinguish between concerted and uncoupled models.
J. Biol. Chem. **274**(28), 19623-19629.
- Ragsdale, D., Gant, D.B., Anis, N.A., Eldefrawi, A.T., Eldefrawi, M.E., Konno, K. & Miledi, R. (1989). Inhibition of rat brain glutamate receptors by philanthotoxin.
J. Pharmacol. Exp. Ther. **251**(1), 156-163.
- Rakhilin, S., Drisdell, R.C., Sagher, D., McGehee, D.S., Vallejo, Y. & Green, W.N. (1999). α -bungarotoxin receptors contain $\alpha 7$ subunits in two different disulfide-bonded conformations.
J. Cell. Biol. **146**(1), 203-218.

- Raines, D.E. & Miller, K.W. (1993).
 The role of charge in lipid selectivity for the nicotinic acetylcholine receptor.
Biophys. J. **64**(3), 632-641.
- Rangwala, F., Drisdel, R.C., Rakhilin, S., Ko, E., Atluri, P., Harkins, A.B., Fox, A.P., Salman, S.S. & Green, W.N. (1997).
 Neuronal α -bungarotoxin receptors differ structurally from other nicotinic acetylcholine receptors.
J. Neurosci. **17**(21), 8201-8212.
- Rankin, S.E., Addona, G.H., Kloczewiak, M.A., Bugge, B. & Miller, K.W. (1997).
 The cholesterol dependence of activation and fast desensitization of the nicotinic acetylcholine receptor.
Biophys. J. **73**(5), 2446-2455.
- Revhah, F., Galzi, J.L., Giraudat, J., Haumont, P.Y., Lederer, F. & Changeux, J.-P. (1990).
 The noncompetitive blocker [3 H]chlorpromazine labels three amino acids of the acetylcholine receptor γ subunit: implications for the α -helical organization of regions MII and for the structure of the ion channel.
Proc. Natl. Acad. Sci. USA **87**(12), 4675-4679.
- Rosini, M., Budriesi, R., Bixel, M.G., Bolognesi, M.L., Chiarini, A., Hucho, F., Krosgaard-Larsen, P., Mellor, I.R., Minarini, A., Tumiatti, V., Usherwood, P.N. & Melchiorre, C. (1999).
 Design, synthesis, and biological evaluation of symmetrically and unsymmetrically substituted methocramine-related polyamines as muscular nicotinic receptor noncompetitive antagonists.
J. Med. Chem. **42**(25), 5212-5223.
- Rozental, R., Scoble, G.T., Albuquerque, E.X., Idriss, M., Sherby, S., Sattelle, D.B., Nakanishi, K., Konno, K., Eldefrawi, A.T. & Eldefrawi, M.E. (1989).
 Allosteric inhibition of nicotinic acetylcholine receptors of vertebrates and insects by philanthotoxin.
J. Pharmacol. Exp. Ther. **249**(1), 123-130.
- Saez-Briones, P., Krauss, M., Dreger, M., Herrmann, A., Tsetlin, V.I. & Hucho, F. (1999).
 How do acetylcholine receptor ligands reach their binding sites?
Eur. J. Biochem. **265**(3), 902-910.
- Sakmann, B., Patlak, J. & Neher, E. (1980).
 Single acetylcholine-activated channels show burst-kinetics in presence of desensitizing concentrations of agonist.
Nature **286**, 71-73.
- Schiebler, W. & Hucho, F. (1978)
 Membranes rich in acetylcholine receptor: characterization and reconstitution to excitable membranes from exogenous lipids.
Eur. J. Biochem. **85**, 55-63.
- Scott, R.H., Sutton, K.G. & Dolphin, A.C. (1993).
 Interactions of polyamines with neuronal ion channels.
Trends Neurosci. **16**(4), 153-160.
- Shao, Z., Mellor, I.R., Brierley, M.J., Harris, J. & Usherwood, P.N. (1998).
 Potentiation and inhibition of nicotinic acetylcholine receptors by spermine in the TE671 human muscle cell line.
J. Pharmacol. Exp. Ther. **286**(3), 1269-1276.
- Sine, S.M. (1993).
 Molecular dissection of subunit interfaces in the acetylcholine receptor: identification of residues that determine curare selectivity.
Proc. Natl. Acad. Sci. U.S.A. **90**(20), 9436-9440.

- Sine, S.M., Kreienkamp, H.J., Bren, N., Maeda, R. & Taylor, P. (1995). Molecular dissection of subunit interfaces in the acetylcholine receptor: identification of determinants of α -conotoxin M1 selectivity. *Neuron* **15**(1), 205-211.
- Sterz, R., Hermes, M., Peper, K. & Bradley, R.J. (1982). Effects of ethidium bromide on the nicotinic acetylcholine receptor. *Eur. J. Pharm.* **80**, 393-399.
- Strømgaard, K., Brierley, M.J., Andersen, K., Sløk, F.A., Mellor, I.R., Usherwood, P.N., Krosgaard-Larsen, P. & Jaroszewski, J.W. (1999). Analogues of neuroactive polyamine wasp toxins that lack inner basic sites exhibit enhanced antagonism toward a muscle-type mammalian nicotinic acetylcholine receptor. *J. Med. Chem.* **42**(25), 5224-5234.
- Sugiyama, N., Boyd, A.E. & Taylor, P. (1996). Anionic residue in the α -subunit of the nicotinic acetylcholine receptor contributing to subunit assembly and ligand binding. *J. Biol. Chem.* **271**(43), 26575-26581.
- Sugiyama, N., Marchot, P., Kawanishi, C., Osaka, H., Molles, B., Sine, S.M. & Taylor, P. (1998). Residues at the subunit interfaces of the nicotinic acetylcholine receptor that contribute to α -conotoxin M1 binding. *Mol. Pharmacol.* **53**(4), 787-794.
- Sumikawa, K. & Gehle, V.M. (1992). Assembly of mutant subunits of the nicotinic acetylcholine receptor lacking the conserved disulfide loop structure. *J. Biol. Chem.* **267**(9), 6286-6290.
- Sunshine, C. & McNamee, M.G. (1994). Lipid modulation of nicotinic acetylcholine receptor function: the role of membrane lipid composition and fluidity. *Biochim. Biophys. Acta* **1191**(1), 59-64.
- Tamamizu, S., Todd, A.P. & McNamee, M.G. (1995). Mutations in the M1 region of the nicotinic acetylcholine receptor alter the sensitivity to inhibition by quinacrine. *Cell. Mol. Neurobiol.* **15**(4), 427-438.
- Taylor, P. & Lappi, S. (1975). Interaction of fluorescence probes with acetylcholinesterase. The site and specificity of propidium binding. *Biochemistry* **14**(9), 1989-1997.
- Tomaselli, G.F., McLaughlin, J.T., Jurman, M.E., Hawrot, E. & Yellen, G. (1991). Mutations affecting agonist sensitivity of the nicotinic acetylcholine receptor. *Biophys. J.* **60**(3), 721-727.
- Tsetlin, V.I., Karlsson, E., Arseniev, A.S., Utkin, Y.N., Surin, A.M., Pashkov, V.S., Pluzhnikov, K.A., Ivanov, V.T., Bystron, V.F. & Ovchinnikov, Y.A. (1979). EPR and fluorescence study of interaction of *Naja naja oxiana* neurotoxin II and its derivatives with acetylcholine receptor protein from *Torpedo marmorata*. *FEBS Lett.* **106**(1), 47-52.
- Tsetlin, V. (1999). Snake venom α -neurotoxins and other 'three-finger' proteins. *Eur. J. Biochem.* **264**(2), 281-286.

- Unwin, N., Toyoshima, C. & Kubalek, E. (1988).
 Arrangement of the acetylcholine receptor subunits in the resting and desensitized states, determined by cryoelectron microscopy of crystallized Torpedo postsynaptic membranes.
J. Cell. Biol. **107**(3), 1123-1138.
- Unwin, N. (1993).
 Nicotinic acetylcholine receptor at 9 Å resolution.
J. Mol. Biol. **229**(4), 1101-1124.
- Unwin, N. (1995).
 Acetylcholine receptor channel imaged in the open state.
Nature **373**, 37-43.
- Usherwood, P.N. & Blagbrough, I.S. (1991).
 Spider toxins affecting glutamate receptors: polyamines in therapeutic neurochemistry.
Pharmacol. Ther. **52**(2), 245-268.
- Valenzuela, C.F., Kerr, J.A. & Johnson, D.A. (1992).
 Quinacrine binds to the lipid-protein interface of the *Torpedo* acetylcholine receptor: a fluorescence study.
J. Biol. Chem. **267**(12), 8238-8244.
- Valenzuela, C.F., Weign, P., Yquerabide, J. & Johnson, D.A. (1994).
 Transverse distance between the membrane and the agonist binding sites on the *Torpedo* acetylcholine receptor: A fluorescence study.
Biophys.J. **66**, 674-682.
- Valera, S., Ballivet, M. & Bertrand, D. (1992).
 Progesterone modulates a neuronal nicotinic acetylcholine receptor.
Proc. Natl. Acad. Sci. U.S.A. **89**(20) 9949-9953.
- Villarroel, A. & Sakmann, B. (1992).
 Threonine in the selectivity filter of the acetylcholine receptor channel.
Biophys. J. **62**(1), 196-205.
- Waksman, G., Oswald, R., Changeux, J.-P. & Roques, B.P. (1980).
 Synthesis and pharmacological activity on *Electrophorus electricus* electroplaque of photoaffinity labelling derivatives of the noncompetitive blockers di- and tri-methisoquin.
FEBS Lett. **111**(1), 23-28.
- Watty, A., Methfessel, C. & Hucho, F. (1997a).
 Fixation of allosteric states of the nicotinic acetylcholine receptor by chemical cross-linking.
Proc. Natl. Acad. Sci. U.S.A. **94**, 8202-8207.
- Watty, A. (1997b).
 Untersuchungen zur Struktur und Funktion des peripheren nikotinischen Acetylcholinrezeptors durch chemische Vernetzung.
 Dissertation, FU Berlin, FB Chemie.
- Watty, A., Weise, C., Dreger, M., Franke, P. & Hucho, F. (1998).
 The accessible surface of the nicotinic acetylcholine receptor. Identification by chemical modification and cross-linking with ¹⁴C-dimethyl suberimidate.
Eur. J. Biochem. **252**(2), 222-228.
- Weber, M. & Changeux, J.-P. (1974).
 Binding of *Naja nigricollis* [³H]-α-toxin to membrane fragments from *Electrophorus* and *Torpedo* electric organs. 1. Binding of the tritiated α-neurotoxin in absence of effector.
Mol. Pharmacol. **10**, 1-14.

Weiland, S., Witzemann, V., Villarroel, A., Propping, P. & Steinlein, O. (1996).
An amino acid exchange in the second transmembrane segment of a neuronal nicotinic receptor causes partial epilepsy by altering its desensitization kinetics.
FEBS Lett. **398**(1), 91-96.

White, B.H., Howard, S., Cohen, S.G. & Cohen, J.B. (1991).
The hydrophobic photoreagent 3-(trifluoromethyl)-3-m-([125I] iodophenyl) diazirine is a novel noncompetitive antagonist of the nicotinic acetylcholine receptor.
J. Biol. Chem. **266**(32), 21595-21607.

White, B.H. & Cohen, J.B. (1992).
Agonist-induced changes in the structure of the acetylcholine receptor M2 regions revealed by photoincorporation of an uncharged nicotinic noncompetitive antagonist.
J. Biol. Chem. **267**(22), 15770-15783.

Williamson, P.T., Grobner, G., Spooner, P.J., Miller, K.W. & Watts, A. (1998).
Probing the agonist binding pocket in the nicotinic acetylcholine receptor: a high-resolution solid-state NMR approach.
Biochemistry **37**(30), 10854-10859.

Wilson, P.T., Gershoni, J.M., Hawrot, E. & Lentz, T.L. (1984).
Binding of α -bungarotoxin to proteolytic fragments of the α -subunit of *Torpedo* acetylcholine receptor analyzed by protein transfer on positively charged membrane filters.
Proc. Natl. Acad. Sci. U.S.A. **81**(8), 2553-2557.

Wilson, G.G. & Karlin, A. (1998).
The location of the gate in the acetylcholine receptor channel.
Neuron **20**(6), 1269-1281.

Wirjantoro, D.A. (1996).
Struktur-Funktions-Untersuchungen am nikotinischen Acetylcholinrezeptor mit Hilfe der FTIR-Differenzspektroskopie.
Dissertation, FU Berlin, FB Chemie.

Young, A.P., & Sigman, D.S. (1981).
Allosteric effects of volatile anesthetics on the membrane-bound acetylcholine receptor protein. I. Stabilization of the high-affinity state.
Mol. Pharmacol. **20**(3), 498-505.

Zhang, H. & Karlin, A. (1997).
Identification of acetylcholine receptor channel-lining residues in the M1 segment of the β -subunit.
Biochemistry **36**(50), 15856-15864.

Zhang, H. & Karlin, A. (1998).
Contribution of the β -subunit M2 segment to the ion-conducting pathway of the acetylcholine receptor.
Biochemistry **37**(22), 7952-7964.