

## Publications about Thiomers:

### Mucoadhesion:

- Albrecht, K., Greindl, M., Kremser, C., Wolf, C., Debbage, P., and Bernkop-Schnürch, A. (2006) Comparative *in vivo* mucoadhesion studies of thioimer formulations using magnetic resonance imaging and fluorescence detection. *J. Control. Release*, **115**, 78-84.
- Bernkop-Schnürch, A., Schwarz, V., and Steininger, S. (1999) Polymers with thiol groups: A new generation of mucoadhesive polymers? *Pharm. Res.*, **16**, 876-881.
- Bernkop-Schnürch, A. and Steininger, S. (2000) Synthesis and characterisation of mucoadhesive thiolated polymers. *Int. J. Pharm.*, **194**, 239-247.
- Bernkop-Schnürch, A., Clausen, A.E., and Hnatyszyn, M. (2001) Thiolated polymers: Synthesis and *in vitro* evaluation of polymer-cysteamine conjugates. *Int. J. Pharm.*, **226**, 185-194.
- Bernkop-Schnürch, A., Kast, C.E., and Richter, M.F. (2001) Improvement in the mucoadhesive properties of alginate by the covalent attachment of cysteine. *J. Control. Release*, **71**, 277-285.
- Bernkop-Schnürch, A. and Hopf, Th.E. (2001) Synthesis and *in vitro* evaluation of chitosan-thioglycolic acid conjugates. *Sci. Pharm.*, **69**, 109-118.
- Bernkop-Schnürch, A., Hornof, M. and Zoidl, T. (2003) Thiolated polymers – thiomers: modification of chitosan with 2-iminothiolane, *Int. J. Pharm.*, **260**, 229-237.
- Bernkop-Schnürch, A., Hornof, M.D. and Guggi, D. (2004) Thiolated chitosans. *Eur. J. Pharm. Biopharm.*, **57**, 9-17.
- Bernkop-Schnürch, A., Leitner, V., and Moser, V. (2004) Synthesis and *in vitro* characterisation of a poly(acrylic acid)-homocysteine conjugate, *Drug Dev. Ind. Pharm.*, **30**, 1-8.
- Bernkop-Schnürch, A. (2005) Mucoadhesive polymers: strategies, achievements and future challenges. *Adv. Drug Del. Rev.*, **57**, 1553-1555.
- Bernkop-Schnürch, A. (2005). Mucoadhesive systems in oral drug delivery. *Drug Discovery Today: Technologies*, **2**, 83-87.
- Bernkop-Schnürch, A. (2005) Thiomers: A new generation of mucoadhesive polymers. *Adv. Drug Del. Rev.*, **57**, 1569-1582.
- Bernkop-Schnürch, A. and Greimel, A. (2005). Thiomers: The next generation of mucoadhesive polymers. *Am. J. Drug. Deliv.*, **3**, 141-154.
- Bravo-Osuna, I., Vauthier, C., Farabollini, A., Palmieri, G.F., and Ponchel, G. (2007) Mucoadhesion mechanism of chitosan and thiolated chitosan-poly(isobutyl cyanoacrylate) core-shell nanoparticles. *Biomaterials*, **28**, 2233-2243.
- Cevher, E., Taha, M.A., Orlu, M., and Araman A. (2008) Evaluation of mechanical and mucoadhesive properties of clomiphene citrate gel formulations containing carbomers and their thiolated derivatives. *Drug Deliv.*, **15**, 57-67.
- Cevher, E., Sensoy, D., Taha, M.A., and Araman, A. (2008) Effect of thiolated polymers to textural and mucoadhesive properties of vaginal gel formulations prepared with polycarbophil and chitosan. *AAPS PharmSciTech.*, **9**, 953-965.
- Chopra, S., Mahdi, S., Kaur, J., Iqbal, Z., Talegaonkar, S., and Ahmad, F.J. (2006) Advances and potential applications of chitosan derivatives as mucoadhesive biomaterials in modern drug delivery. *J. Pharm. Pharmacol.* **58**, 1021-1032.
- Davidovich-Pinhas, M., Harari, O., and Bianco-Peled, H. (2009) Evaluating the mucoadhesive properties of drug delivery systems based on hydrated thiolated alginate. *J. Contr. Release*, **136**, 38-44.
- Grabovac, V., Guggi, D., and Bernkop-Schnürch, A. (2005) Comparison of the mucoadhesive properties of various polymers. *Adv. Drug Del. Rev.*, **57**, 1713-1723.
- Guggi, D., Marschütz, M.K., and Bernkop-Schnürch, A. (2004) Matrix tablets based on thiolated poly(acrylic acid): pH-dependent variation in disintegration and mucoadhesion. *Int. J. Pharm.*, **274**, 97-105.
- Hombach, J., Palmberger, T.F. and Bernkop-Schnürch, A. (2009) Development and *in vitro* evaluation of a mucoadhesive vaginal delivery system for nystatin. *J. Pharm. Sci.*, **98**, 555-564.
- Kast, C.E., and Bernkop-Schnürch, A. (2001) Thiolated polymers: Development and *in vitro* evaluation of chitosan-thioglycolic acid conjugates. *Biomaterials*, **22**, 2345-2352.
- Kast, C.E., and Bernkop-Schnürch, A. (2002) Polymer-cystamine conjugates: new mucoadhesive excipients for drug delivery? *Int. J. Pharm.*, **234**, 91-99.

- Leitner, V., Marschütz, M., and Bernkop-Schnürch, A. (2003) Mucoadhesive and cohesive properties of poly(acrylic acid)-cysteine conjugates with regard to their molecular mass. *Eur. J. Pharm. Sci.*, **18**, 89-96.
- Leitner, V., Walker, G.F., and Bernkop-Schnürch, A. (2003) Thiolated polymers: Evidence for the formation of disulphide bonds with mucus glycoproteins. *Eur. J. Pharm. Biopharm.*, **56**, 207-214.
- Roldo, M., Hornof, M., Caliceti, P. and Bernkop-Schnürch, A. (2004) Mucoadhesive thiolated chitosans as platforms for oral controlled drug delivery: Synthesis and in vitro evaluation. *Eur. J. Pharm. Biopharm.*, **57**, 115-121.
- Schmitz, T., Grabovac, V., Palmberger, T.F., Hoffer, M.H., and Bernkop-Schnürch, A. (2008) Synthesis and characterization of a chitosan-N-acetyl cysteine conjugate. *Int. J. Pharm.*, **347**, 79-85.
- Shen, J., Wang, Y., Ping, Q., Xiao, Y., and Huang, X. (2009) Mucoadhesive effect of thiolated PEG stearate and its modified NLC for ocular drug delivery. *J. Contr. Release* Epub ahead of print.

#### Permeation enhancement:

- Bernkop-Schnürch, A. and Clausen A.E. (2002) Membranes as targets for drug design: Biomembrane permeability of peptides: Strategies to improve their mucosal uptake. *Mini Reviews in Medicinal Chemistry*, **2**, 295-305.
- Bernkop-Schnürch, A. Kast, C.E. and Guggi, D. (2003). Permeation enhancing polymers in oral delivery of hydrophilic macromolecules: Thiomers / GSH systems. *J. Control. Release*, **93**, 95-103.
- Bernkop-Schnürch, A., Clausen, A.E. and Guggi, D. (2004). The use of auxiliary agents to improve the mucosal uptake of peptides. *Med. Chem. Rev.*, **1**, 1-10.
- Bernkop-Schnürch, A., Guggi, D., and Pinter, Y. (2004). Thiolated chitosans: development and in vivo evaluation of a mucoadhesive permeation enhancing oral drug delivery system. *J. Control. Release*, **94**, 177-186.
- Clausen, A.E., and Bernkop-Schnürch, A. (2000) *In vitro* evaluation of the permeation-enhancing effect of thiolated polycarbophil. *J. Pharm. Sci.*, **89**, 1253-1261.
- Clausen, A.E., and Bernkop-Schnürch, A. (2001) Thiolated carboxy- methylcellulose: *In vitro* evaluation of its permeation enhancing effect on peptide drugs. *Eur. J. Pharm. Biopharm.*, **51**, 25-32.
- Clausen, A.E., Kast, C.E. and Bernkop-Schnürch, A. (2002) The role of glutathione in the permeation enhancing effect of thiolated polymers. *Pharm. Res.*, **19**, 602-608.
- Di Colo, G., Zambito, Y., and Zaino, C., (2008) Polymeric enhancers of mucosal epithelia permeability: synthesis, transepithelial penetration-enhancing properties, mechanism of action, safety issues. *J. Pharm. Sci.*, **97**, 1652-1680.
- Greimel, A., Bernkop-Schnürch, A., Del Curto, M.D., and D'Antonio, M. (2007) Transport characteristics of a beta sheet breaker peptide across excised bovine nasal mucosa. *Drug Dev. Ind. Pharm.*, **33**, 71-77.
- Guggi, D. and Bernkop-Schnürch, A. (2004). Improved paracellular uptake by the combination of permeation enhancers acting in different ways. *Int. J. Pharm.*, **288**, 141-50.
- Hornof, M.D. and Bernkop-Schnürch, A. (2002) *In vitro* evaluation of the permeation enhancing effect of polycarbophil - cysteine conjugates on the cornea of rabbits. *J. Pharm. Sci.*, **91**, 2588-2592.
- Kast, C.E. and Bernkop-Schnürch, A. (2002) Influence of the molecular mass on the permeation enhancing effect of different poly(acrylates). *STP pharma*, **12**, 351-356.
- Krauland, A. and Bernkop-Schnürch, A. (2004) Thiomers: Development and in vitro evaluation of a peroral microparticulate peptide delivery system. *Eur. J. Pharm. Biopharm.*, **57**, 181-187.
- Langoth, N., Kalbe, J. and Bernkop-Schnürch, A. (2005). Development of a mucoadhesive and permeation enhancing buccal delivery system for PACAP (pituitary adenylate cyclase-activating polypeptide). *Int. J. Pharm.*, **296**, 103-111.
- Palmberger, T.F., Albrecht, K., Loretz, B., and Bernkop-Schnürch, A. (2007) Thiolated polymers: evaluation of the influence of the amount of covalently attached L-cysteine to poly(acrylic acid). *Eur. J. Pharm. Biopharm.*, **66**, 405-412.
- Wang, X., Zheng, C., Wu, Z., Teng, D., Zhang, X., Wang, Z., and Li, C., (2009) Chitosan-NAC nanoparticles as a vehicle for nasal absorption enhancement of insulin. *J. Biomed. Mater. Res. B Appl. Biomater.*, **88**, 150-161.

Zambito, Y., Fogli, S., Zaino, C., Stefanelli, F., Breschi, M.C., and Di Colo, G. (2009) Synthesis, characterization and evaluation of thiolated quaternary ammonium-chitosan conjugates for enhanced intestinal drug permeation *Eur. J. Pharm. Sci.* **38**, 112 – 120.

#### Controlled drug release:

- Bernkop-Schnürch, A., Scholler, S., and Biebel, R.G. (2000) Development of controlled drug release systems based on polymer-cysteine conjugates. *J. Control. Release*, **66**, 39-48.
- Bernkop-Schnürch, A., Guggi, D., and Pinter, Y. (2004) Thiolated chitosans: development and in vivo evaluation of a mucoadhesive permeation enhancing oral drug delivery system. *J. Control. Release*, **94**, 177-186.
- Clausen, A.E., and Bernkop-Schnürch, A. (2001) Development and *in vitro* evaluation of a peptide drug delivery system based on thiolated polycarbophil. *Pharm. Ind.*, **63**, 312-317.
- Kast, C.E., Valenta, C., Leopold, M. and Bernkop-Schnürch, A. (2002) Design and *in vitro* evaluation of a novel bioadhesive vaginal drug delivery system for clotrimazole. *J. Control. Release*, **81**, 347-354.
- Langoth, N., Kalbe, J. and Bernkop-Schnürch, A. (2003) Development of buccal drug delivery systems based on a thiolated polymer. *Int. J. Pharm.*, **252**, 141-148.
- Valenta, C., Walzer, A., Clausen, A.E., and Bernkop-Schnürch, A. (2001) Thiolated polymers: development and evaluation of transdermal delivery systems for progesterone. *Pharm. Res.*, **18**, 211-216.
- Valenta, C., Kast, E.C., Harich, I., and Bernkop-Schnürch, A. (2001) Development and *in vitro* evaluation of a mucoadhesive vaginal delivery system for progesterone. *J. Control. Release*, **77**, 323-332.
- Wu, Z.M., Zhang, X.G., Zheng, C., Li, C.X., Zhang, S.M., Dong, R.N., and Yu, D.M. (2009). Disulfide-crosslinked chitosan hydrogel for cell viability and controlled protein release. *Eur. J. Pharm. Sci.*, **37**, 198-206.

#### Enzyme inhibition:

- Bernkop-Schnürch, A. and Thaler, S. (2000) Polycarbophil-cysteine conjugates as platforms for oral (poly)peptide delivery systems. *J. Pharm. Sci.*, **89**, 901-909.
- Bernkop-Schnürch, A., Zarti, H., and Walker, G.F. (2001) Thiolation of polycarbophil enhances its inhibition of soluble and intestinal brush border membrane bound aminopeptidase N. *J. Pharm. Sci.*, **90**, 1907-1914.
- Bernkop-Schnürch A, Obermair K, Greimel A, Palmberger TF., (2006) In vitro evaluation of the potential of thiomers for the nasal administration of Leu-enkephalin. *Amino Acids*. **30**, 417-423.
- Leitner, V. and Bernkop-Schnürch, A. Polymer-enzyme inhibitor conjugates: Influence of the molecular mass on the inhibition of membrane-bound aminopeptidase N activity. *Drug Del. Sci. Tech.*, **14**, 495-498.
- Perera G, Greindl M, Palmberger TF, and Bernkop-Schnürch A. (2009) Insulin-loaded poly(acrylic acid)-cysteine nanoparticles: stability studies towards digestive enzymes of the intestine. *Drug Deliv.*, **16**, 254-260.
- Valenta, C., Marschütz, M., Egyed, Ch., and Bernkop-Schnürch, A. (2002) Evaluation of the inhibitory effect of thiolated poly(acrylates) on vaginal membrane bound aminopeptidase N. *J. Pharm. Pharmacol.*, **54**, 603-610.

#### Efflux pump inhibition:

- Bernkop-Schnürch, A. and Grabovac, V. (2006) Polymeric efflux pump inhibitors in oral drug delivery. *Am. J. Drug Del.*, **4**, 263-272.
- Föger, F., Schmitz, Th., and Bernkop-Schnürch, A. (2006) In vivo evaluation of an oral delivery system for P-gp substrates based on thiolated chitosan. *Biomaterials*, **27**, 4250-4255.

- Föger, F., Hoyer, H., Kafedjiiski, K., Thaurer, M., and Bernkop-Schnürch, A. (2006) In vivo comparison of various polymeric and low molecular mass inhibitors of intestinal P-glycoprotein. *Biomaterials*, **27**, 5855-5860.
- Föger, F., Malaivijitnond, S., Wannaprasert, T., Huck, C., Bernkop-Schnürch, A., and Werle, M. (2008) Effect of a thiolated polymer on oral paclitaxel absorption and tumor growth in rats. *J. Drug Target.*, **16**, 149-55.
- Föger, F., Kafedjiiski, K., Hoyer, H., Loretz, B., and Bernkop-Schnürch, A. (2007) Enhanced transport of P-glycoprotein substrate saquinavir in presence of thiolated chitosan. *J. Drug Target.*, **15**, 132-139.
- PalMBERGER TF, Hombach J, and Bernkop-Schnürch, A. (2008) Thiolated chitosan: development and in vitro evaluation of an oral delivery system for acyclovir. *Int. J. Pharm.* **348**, 54-60.
- Schmitz, T., Hombach, J. and Bernkop-Schnürch, A. (2008) Chitosan-N-acetyl cysteine conjugates: in vitro evaluation of permeation enhancing and P-glycoprotein inhibiting properties. *Drug Deliv.*, **15**, 245-252.
- Werle, M. and Hoffer, M. (2006) Glutathione and thiolated chitosan inhibit multidrug resistance P-glycoprotein activity in excised small intestine. *J. Control. Release*, **111**, 41-46.
- Werle, M. (2008) Natural and synthetic polymers as inhibitors of drug efflux pumps. *Pharm Res.*, **25**, 500-511.

#### Thiomer Micro- and Nanoparticles:

- Albrecht, K. and Bernkop-Schnürch, A. (2007) Thiomers: forms, functions and applications to nanomedicine. *Nanomedicine*, **2**, 41-50.
- Albrecht, K., Zirm, E.J., Palmberger, T.F., Schlocker, W. and Bernkop-Schnürch, A. (2006) Preparation of thiomers microparticles and in vitro evaluation of parameters influencing their mucoadhesive properties. *Drug Dev. Ind. Pharm.*, **32**, 1149-1157.
- Atyabi, F., Moghaddam, F.A., Doinarvand, R., Zohuriaan-Mehr, M.J., and Ponchel, G. (2008) Thiolated Chitosan coated poly hydroxyethyl methacrylate nanoparticles: Synthesis and characterization. *Carbohydrate Polymers* **74**, 59-67.
- Bernkop-Schnürch, A., Heinrich, A. and Greimel, A. (2006). Development of a novel method for the preparation of submicron particles based on thiolated chitosan. *Eur. J. Pharm. Biopharm.*, **63**, 166-172.
- Bernkop-Schnürch, A., Weithaler, A., Albrecht, K., and Greimel, A. (2006) Thiomers: Preparation and in vitro evaluation of a mucoadhesive nanoparticulate drug delivery system. *Int. J. Pharm.*, **317**, 76-81.
- Bilicic, M.B., Filipovic-Grcic, J., Hafner, A., Zorc, B., and Cetina-Cizmek, B. (2006) Development and characterization of mucoadhesive PHEA-TGA microspheres. *J. Drug Del. Sci. Tech.* **16**, 339-343.
- Bouchemal, K., Ponchel, G., Mazzaferro, S., Campos-Requena, V., Gueutin, C., Palmieri, G.-F., and Vauthier, C. (2008) A new approach to determine loading efficiency of Leu-enkephalin in poly (isobutylcyanoacrylate) nanoparticles coated with thiolated chitosan. *J Drug Del. Sci. Tech.* **18**, 392-397
- Bravo-Osuna, I., Schmitz, T., Bernkop-Schnürch, A., Vauthier, C., and Ponchel G. (2006) Elaboration and characterization of thiolated chitosan-coated acrylic nanoparticles. *Int. J. Pharm.*, **316**, 170-175.
- Bravo-Osuna, I., Teutonico, D., Arpicco, S., Vauthier, C., and Ponchel, G. (2007) Characterization of chitosan thiolation and application to thiol quantification onto nanoparticle surface. *Int. J. Pharm.*, **340**, 173-181.
- Bravo-Osuna, I., Millotti, G., Vauthier, C., and Ponchel, G. (2007) In vitro evaluation of calcium binding capacity of chitosan and thiolated chitosan poly(isobutyl cyanoacrylate) core-shell nanoparticles. *Int. J. Pharm.*, **338**, 284-290.
- Campos-Requena, V.H. Bouchemal, K., Vauthier, C., and Ponchel, G. (2008) Encapsulation of Leu-Enkephalin in core-shell isobutylcyanoacrylate – thiolated chitosan nanoparticles for oral administration. *Chilean Chemical Society* **53**, 1677-1681.
- Deutel, B., Greindl, M., Thaurer, M., and Bernkop-Schnürch, A. (2008) Novel insulin thiomers nanoparticles: in vivo evaluation of an oral drug delivery system. *Biomacromolecules*, **9**, 278-285.

- Grabovac, V., and Bernkop-Schnürch, A. (2007) Development and in vitro evaluation of surface modified poly(lactide-co-glycolide) nanoparticles with chitosan-4-thiobutylamidine. *Drug Dev. Ind. Pharm.*, **33**, 767-774.
- Greimel, A., Del Curto, M. D., D'Antonio, M., Palmberger, Th., Sprinzl, G. M. and Bernkop-Schnürch, A. In vitro evaluation of thiomers microparticles for nasal drug delivery. *J. Drug. Del. Sci. Tech.*, **16**, 103-108.
- Greimel A, Werle M, and Bernkop-Schnürch A. (2007) Oral peptide delivery: in-vitro evaluation of thiolated alginate/poly(acrylic acid) microparticles. *J. Pharm. Pharmacol.*, **59**, 1191-1198.
- Greindl, M., and Bernkop-Schnürch, A. (2006) Development of a novel method for the preparation of thiolated polyacrylic acid nanoparticles. *Pharm. Res.*, **23**, 2183-2189.
- Hoyer, H., Schlocker, W., Krum, K., and Bernkop-Schnürch, A. (2008) Preparation and evaluation of microparticles from thiolated polymers via air jet milling. *Eur. J. Pharm. Biopharm.*, **69**, 476-485.
- Imam, M.E. and Bernkop-Schnürch, A. (2005). Controlled drug delivery systems based on thiolated chitosan microspheres. *Drug Dev. Ind. Pharm.*, **31**, 557-565.
- Krauland, A. and Bernkop-Schnürch, A. (2004). Thiomers: Development and in vitro evaluation of a peroral microparticulate peptide delivery system. *Eur. J. Pharm. Biopharm.*, **57**, 181-187.
- Krauland, A.H., Guggi, D., and Bernkop-Schnürch, A. (2005). Thiolated chitosan microparticles: A vehicle for nasal peptide drug delivery. *Int. J. Pharm.*, **307**, 270-277.
- Lee, D.W., Shirley, S.A., Lockey, R.F., and Mohapatra, S.S. (2006) Thiolated chitosan nanoparticles enhance anti-inflammatory effects of intranasally delivered theophylline. *Respir. Res.* **7**, 112.
- Leitner, V.M., Guggi, D. and Bernkop-Schnürch, A. (2004). Nasal Delivery of human growth hormone: in vitro and in vivo evaluation of a thiomers/glutathione microparticulate delivery system. *J. Control. Rel.*, **100**, 87-95.
- Maculotti, K., Genta, I., Perugini, P., Imam, M., Bernkop-Schnürch, A. and Pavanetto, F. (2005) Preparation and in vitro evaluation of thiolated chitosan microparticles. *J. Microencapsul.*, **22**, 459-470.
- Moghaddam, F.A., Atyabi, F., and Dinarvand, R., (2009). Preparation and in vitro evaluation of mucoadhesion and permeation enhancement of thiolated chitosan-pHEMA core-shell nanoparticles. *Nanomedicine*, **5**, 208-215.
- Schmitz, T., Bravo-Osuna, I., Vauthier, C., Ponchel, G., Loretz, B., and Bernkop-Schnürch, A. (2007) Development and in vitro evaluation of a thiomers-based nanoparticulate gene delivery system. *Biomaterials*, **28**, 524-31.
- Thaurer, M.H., Deutel, B., Schlocker, W., and Bernkop-Schnürch, A. (2008) Development of nanoparticulate drug delivery systems based on thiolated poly(acrylic acid). *J. Microencapsul.*, **22**, 1-8.
- Weber, C., Reiss, S., and Langer, K. (2000) Preparation of surface modified protein nanoparticles by introduction of sulfhydryl groups. *Int. J. Pharm.* **211**, 67-78.
- Werle, M., Hironaka, K., Takeuchi, H., and Hoyer, H., (2009). Development and in vitro characterization of liposomes coated with thiolated poly(acrylic acid) for oral drug delivery. *Drug Dev. Ind. Pharm.*, **35**, 209-215.

#### In-situ gelation:

- Hornof, M.D., Kast, C.E., and Bernkop-Schnürch, A. (2003) In vitro evaluation of the viscoelastic properties of chitosan-thioglycolic acid conjugates. *Eur. J. Pharm. Biopharm.*, **55**, 185-190.
- Krauland, A.H., Leitner, V. M. and Bernkop-Schnürch, A. (2003) Improvement in the in situ gelling properties of deacetylated gellan gum by the immobilization of thiol groups. *J. Pharm. Sci.*, **92**, 1234-1241.
- Krauland, A.H., Hoffer, M.H., and Bernkop-Schnürch, A. (2005). Viscoelastic properties of a new in situ gelling thiolated chitosan conjugate. *Drug Dev. Ind. Pharm.*, **31**, 885-893.
- Marschütz, M.K., and Bernkop-Schnürch, A. (2002) Thiolated polymers: Advance in mucoadhesion by use of in-situ crosslinking poly(acrylic acid)-cysteine conjugates. *Eur. J. Pharm. Sci.*, **15**, 387-394.
- Sakloetsakun D, Hombach JM, and Bernkop-Schnürch A. (2009) In situ gelling properties of chitosan-thioglycolic acid conjugate in the presence of oxidizing agents. *Biomaterials*, in press.

### Nucleic acid delivery:

- Kommareddy S, and Amiji M. (2007) Poly(ethylene glycol)-modified thiolated gelatin nanoparticles for glutathione-responsive intracellular DNA delivery. *Nanomedicine*, **3**, 32-42.
- Lee, D., Zhang, W., Shirley, S.A., Kong, X., Hellermann, G.R., Lockey, R.F., and Mohapatra, S.S. (2007). Thiolated chitosan/DNA nanocomplexes exhibit enhanced and sustained gene delivery. *Pharm. Res.*, **24**, 157-167.
- Loretz B, Thaler M, and Bernkop-Schnürch A. (2007) Role of sulfhydryl groups in transfection? A case study with chitosan-NAC nanoparticles. *Bioconjug Chem.*, **18**, 1028-1035.
- Martien, R., Loretz, B., Thaler, M., Majzoub, S., and Bernkop-Schnürch, A. (2007) Chitosan-thioglycolic acid conjugate: An alternative carrier for oral nonviral gene delivery? *J. Biomed. Mater. Res. A*, **82**, 1-9.
- Peng, Q., Zhong, Z., and Zhuo, R., (2008). Disulfide cross-linked polyethylenimines (PEI) prepared via thiolation of low molecular weight PEI as highly efficient gene vectors. *Bioconjug. Chem.*, **19**, 499-506.
- Schmitz, T., Bravo-Osuna, I., Vauthier, C., Ponchel, G., Loretz, B., and Bernkop-Schnürch, A. (2007) Development and in vitro evaluation of a thioimer-based nanoparticulate gene delivery system. *Biomaterials*, **28**, 524-31.
- Vetter A., Martien R., and Bernkop-Schnürch A. (2009) Thiolated polycarbophil as an adjuvant for permeation enhancement in nasal delivery of antisense oligonucleotides. *J. Pharm. Sci.*, in press.

### Proof of efficacy (in vivo studies):

- Albrecht, K., Greindl, M., Kremser, C., Wolf, C., Debbage, P., and Bernkop-Schnürch, A. (2006) Comparative in vivo mucoadhesion studies of thioimer formulations using magnetic resonance imaging and fluorescence detection. *J. Control. Release*, **115**, 78-84.
- Bernkop-Schnürch, A. Kast, C.E. and Guggi, D. (2003). Permeation enhancing polymers in oral delivery of hydrophilic macromolecules: Thioimer / GSH systems. *J. Control. Release*, **93**, 95-103.
- Bernkop-Schnürch, A., Pinter, Y., Guggi, D., Kahlbacher, H., Schöffmann, G., Schuh, M., Schmerold, I., Del Curto, M.D., D'Antonio, M., Esposito, P. and Huck, Ch. (2005) The use of thiolated polymers as carrier matrix in oral peptide delivery - Proof of concept. *J. Control. Release*, **106**, 26-33.
- Bernkop-Schnürch, A., Krauland, A.H., Leitner, V.M. and Palmberger, Th. (2004) Thioimers: potential excipients for non-invasive peptide delivery systems. *Eur. J. Pharm. Biopharm.*, **58**, 253-263.
- Caliceti, P. Salmaso, S., Walker, G. and Bernkop-Schnürch, A. (2004) Development and in vivo evaluation of an oral insulin-PEG delivery system. *Eur. J. Pharm. Sci.*, **22**, 315-323.
- Föger, F., Schmitz, Th., and Bernkop-Schnürch, A. (2006) In vivo evaluation of an oral delivery system for P-gp substrates based on thiolated chitosan. *Biomaterials*, **27**, 4250-4255.
- Föger, F., Hoyer, H., Kafedjiiski, K., Thaurer, M., and Bernkop-Schnürch, A. (2006) In vivo comparison of various polymeric and low molecular mass inhibitors of intestinal P-glycoprotein. *Biomaterials*, **27**, 5855-5860.
- Greindl M, Föger F, Hombach J, and Bernkop-Schnürch A. (2009) In vivo evaluation of thiolated poly(acrylic acid) as a drug absorption modulator for MRP2 efflux pump substrates. *Eur. J. Pharm. Biopharm.*, **72**, 561-566.
- Guggi, D., Krauland, A.H., and Bernkop-Schnürch, A. (2003) Systemic peptide delivery via the stomach: in vivo evaluation of an oral dosage form for salmon calcitonin. *J. Control. Rel.* **92**, 125-135.
- Hongyok, T., Chae, J.J., Shin, Y.J., Na, D., Li, L., and Chuck, R.S., (2009). Effect of chitosan-N-acetylcysteine conjugate in a mouse model of botulinum toxin B-induced dry eye. *Arch. Ophthalmol.*, **127**, 525-532.
- Hornof, M.D., Weyenberg, W., Ludwig, A., and Bernkop-Schnürch, A. (2003) A mucoadhesive ocular insert: Development and in vivo evaluation in humans. *J. Control. Release*, **89**, 419-428.

- Kast, C.E., Guggi, D., Langoth, N. and Bernkop-Schnürch, A. (2003) Development and *in vivo* evaluation of an oral delivery system for low molecular weight heparin based on thiolated polycarbophil. *Pharm. Res.*, **20**, 931-936.
- Krauland, A., Guggi, D. and Bernkop-Schnürch, A. (2004) Oral insulin delivery: The potential of thiolated chitosan-insulin tablets on non-diabetic rats. *J. Control. Rel.*, **95**, 547-555.
- Krauland, A.H., Leitner, V.M., Grabovac V., and Bernkop-Schnürch, A. (2006) *In vivo* evaluation of a nasal insulin delivery system based on thiolated chitosan. *J. Pharm. Sci.*, **95**, 2463-2472.
- Langoth, N., Kahlbacher, H., Schöffmann, G., Schmerold, I., Schuh, M., Franz, S., Kurka, P. and Bernkop-Schnürch A. (2006) Thiolated chitosans: design and *in vivo* evaluation of a mucoadhesive buccal peptide drug delivery system. *Pharm. Res.*, **23**, 573-579.
- Leitner, V., Guggi, D. and Bernkop-Schnürch, A. (2004) Thiomers in noninvasive polypeptide delivery: *in vitro* and *in vivo* characterization of a polycarbophil-cysteine/glutathione gel formulation for human growth hormone. *J. Pharm. Sci.*, **93**, 1682-1691.
- Leitner, V.M., Guggi, D. and Bernkop-Schnürch, A. (2004) Nasal Delivery of human growth hormone: *in vitro* and *in vivo* evaluation of a thioimer/glutathione microparticulate delivery system. *J. Control. Rel.*, **100**, 87-95.
- Schmitz, T., Leitner, V., and Bernkop-Schnürch, A. (2005) Oral heparin delivery: Design and *in vivo* evaluation of a stomach-targeted mucoadhesive delivery system. *J. Pharm. Sci.*, **94**, 966-973.
- Yin, L., Ding, J., He, C., Cui, L., Tang, C. and Yin, C. (2009) Drug permeability and mucoadhesion properties of thiolated trimethyl chitosan nanoparticles in oral insulin delivery. *Biomaterials*, **30**, 5691-5700.

#### Miscellaneous:

- Barbaric, M., Kralj, M., Marjanovic, M., Husnjak, I., Pavelic, K., Filipovic-Grcic, J., Zorc, D. and Zorc B. (2007) Synthesis and *in vitro* antitumor effect of diclofenac and fenoprofen thiolated and nonthiolated polyaspartamide-drug conjugates. *Eur. J. Med. Chem.*, **42**, 20-29.
- Bernkop-Schnürch, A., Brandt, U.-M. and Clausen A.E. (1999) Synthesis and *in vitro* evaluation of chitosan-cysteine conjugates. *Sci. Pharm.*, **67**, 197-208.
- Bernkop-Schnürch, A., Hornof, M.D., Kast, C.E. and Langoth N., (2002) Thiolated polymers: Stability of thiol moieties under different storage conditions. *Sci. Pharm.*, **70**, 331-339.
- Bernkop-Schnürch, A. and Hornof, M.D. (2003). Intravaginal delivery: design, challenges and solutions. *Am. J. Drug. Deliv.*, **1**, 241-254.
- Bernkop-Schnürch, A., König, V., Leitner, V., Krauland, A. and Brodnik, I. (2004) Preparation and characterisation of thiolated poly(methacrylic acid) - starch compositions. *Eur. J. Pharm. Biopharm.*, **57**, 219-224.
- Bernkop-Schnürch, A., Hoffer, M. and Kafedjiiski, K. (2004). Thiomers for oral delivery of hydrophilic macromolecular drugs. *Expert Opinion Drug Deliv.*, **1**, 87-98.
- Bernkop-Schnürch, A., Hornof, M.D. and Guggi, D. (2004). Thiolated Chitosans. *Eur. J. Pharm. Biopharm.*, **57**, 9-17.
- Bernkop-Schnürch, A., Krauland, A.H., Leitner, V.M. and Palmberger, Th. (2004) Thiomers: potential excipients for non-invasive peptide delivery systems. *Eur. J. Pharm. Biopharm.*, **58**, 253-263.
- Bilicic, M.B., Filipovic-Grcic, J., Martinac, A., Barbaric, M., Zorc, B., Cetina-Cizmek, B., and Tudja, P. (2005) Synthesis and characterization of thiomers of polyaspartamide type. *Int. J. Pharm.* **291**, 211-219.
- Grabovac V, Föger F, and Bernkop-Schnürch A. (2008) Design and *in vivo* evaluation of a patch delivery system for insulin based on thiolated polymers. *Int. J. Pharm.* **348**, 169-174.
- Guggi, D., Langoth, N., Hoffer, M.H., Wirth, M. and Bernkop-Schnürch, A. (2004) Comparative evaluation of cytotoxicity of a glucosamine-TBA conjugate and a chitosan-TBA conjugate. *Int. J. Pharm.*, **278**, 353-360.
- Hahn, S.K., Park, J.K., Tomimatsu, T., and Shimoboji, T., (2007). Synthesis and degradation test of hyaluronic acid hydrogels. *Int. J. Biol. Macromol.*, **40**, 374-380.
- Hombach J, Hoyer H, and Bernkop-Schnürch A. (2008) Thiolated chitosans: development and *in vitro* evaluation of an oral tobramycin sulphate delivery system. *Eur. J. Pharm. Sci.*, **33**, 1-8.
- Horn, E.M., Beaumont, M., Shu, X.Z., Harvey, A., Prestwich, G.D., Horn, K.M., Gibson, A.R., Preul, M.C., and Panitch, A., (2007). Influence of cross-linked hyaluronic acid hydrogels on neurite outgrowth and recovery from spinal cord injury. *J. Neurosurg. Spine*, **6**, 133-140.

- Hoyer H, Föger F, Kafedjiiski K, Loretz B, Bernkop-Schnürch A. (2008) Design and evaluation of a new gastrointestinal mucoadhesive patch system containing chitosan-glutathione. *Drug Dev. Ind. Pharm.* **33**, 1289-1296.
- Hoyer, H., Greindl, M., and Bernkop-Schnürch, A. (2009) Design and in vivo evaluation of a patch system based on thiolated polymers. *J. Pharm. Sci.*, **98**, 620-627.
- Kafedjiiski, K., Hoffer, M. and Bernkop-Schnürch, A. (2005) Synthesis and in vitro evaluation of a novel thiolated chitosan. *Biomaterials*, **26**, 819-26.
- Kafedjiiski, K. (2004; Autumn/Winter). Multifunctional polymeric excipients in non-invasive delivery of hydrophilic macromolecular drugs: The thioimer-technology. *Drug Del. Comp. Rep.*, 47-50.
- Kafedjiiski, K., Föger, F., Werle, M. and Bernkop-Schnürch, A. (2005) Synthesis and in vitro evaluation of a novel chitosan-glutathione conjugate. *Pharm. Res.*, **22**, 1480-1488.
- Kafedjiiski, K., Hoffer, M., Werle, M., and Bernkop-Schnürch, A. (2006) Improved synthesis and in vitro characterization of chitosan-thioethylamidine conjugate. *Biomaterials*, **27**, 127-35.
- Kafedjiiski, K., Werle, M., Föger, F. and Bernkop-Schnürch, A. (2005) Synthesis and in vitro characterization of a novel poly(acrylic acid)-glutathione conjugate. *J. Drug. Del. Sci. Tech.*, **15**, 411-417.
- Kafedjiiski K, Jetti RK, Föger F, Hoyer H, Werle M, Hoffer M, and Bernkop-Schnürch A. (2007) Synthesis and in vitro evaluation of thiolated hyaluronic acid for mucoadhesive drug delivery. *Int. J. Pharm.*, **343**, 48-58.
- Kafedjiiski, K., Föger, F., Hoyer, H., and Bernkop-Schnürch, A., and Werle, M. (2007) Evaluation of in vitro enzymatic degradation of various thiomers and cross-linked thiomers. *Drug Dev Ind. Pharm.*, **33**, 199-208
- Kast, C.E., Frick, W., Losert, U. and Bernkop-Schnürch, A. (2003) Chitosan-thioglycolic acid conjugate: A new scaffold material for tissue engineering? *Int. J. Pharm.*, **256**, 183-189.
- Langoth, N. and Bernkop-Schnürch, A. (2005) The use of multifunctional polymers as auxiliary agents in non-invasive peptide delivery. *American Pharmaceutical Review*, **8**, 80-84.
- Langoth, N., Guggi, D. and Bernkop-Schnürch, A. Investigations on the cytotoxicity of (thiolated) polyacrylates. *Toxicology in vitro*, in press?????
- Li, Z., Cen, L., Zhao, L., Cui, L., Liu, W., and Cao, Y. (2009) Preparation and evaluation of thiolated chitosan scaffolds for tissue engineering. *J. Biomed Mater Res.*, A Epub ahead of print.
- Masuko T., Minami A., Iwasaki N., Majima T., Nishimura S., and Lee Y.C. (2005) Thiolation of chitosan. Attachment of proteins via thioether formation. *Biomacromolecules*. **6**, 880-884.
- Majzoob S, Atyabi F, Dorkoosh F, Kafedjiiski K, Loretz B, and Bernkop-Schnürch A. (2006) Pectin-cysteine conjugate: synthesis and in-vitro evaluation of its potential for drug delivery. *J. Pharm. Pharmacol.*, **58**, 1601-1610.
- Orlandi, R.R., Shu, X.Z., McGill, L., Petersen, E., and Prestwich, G.D., (2007). Structural variations in a single hyaluronan derivative significantly alter wound-healing effects in the rabbit maxillary sinus. *Laryngoscope*, **117**, 1288-1295.
- Werle, M. and Bernkop-Schnürch, A. (2008) Thiolated chitosans: useful excipients for oral drug delivery. *J. Pharm. Pharmacol.*, **60**, 273-281.
- Werle, M., Takeuchi, H., and Bernkop-Schnürch, A. (2009) Modified chitosans for oral drug delivery. *J Pharm Sci.*, **98**, 1643-1656.