

COST BM0806 – Working Group 1 Report 2010

As the WG1 leader Andras Falus had to retire from his position during 2010 due to health problems and the new WG1 leader Hubert Schwelberger was elected just recently and did not have enough time to collect all the required information before the deadline, this report for 2010 is preliminary and a complete report will be prepared for 2011 including the information missing in this report for 2010.

WG1 is concerned with Methodological approaches for the investigation of the H4R systems including

- Assessment and validation of existing and novel methodologies (in vivo, in vitro, in situ, in silico models; genomic/proteomic/transcriptomic studies; molecular and cellular probes) targeting H4R distribution and characterisation
- Development of assays to identify the qualitative and quantitative modifications in H4R expression and distribution in disease states
- Determination of the optimal experimental conditions for the biochemical and pharmacological investigation of the mechanisms associated with H4R function in a range of cells and tissues under various environments that define physiology and pathophysiology
- Development and implementation of genomic/proteomic/bioinformatics-based scientific and technical tools to initiate the study of the interaction of the H4R with other cellular components

In the report period WG1 had 64 members mostly from academia but also from industry. There were 29 female members (45%) and 28 ESRs (43%). Below is a listing of action related activities of WG1 members in the report period.

COST Meetings

A joint WG1 and WG2 meeting was held on 14 July 2010 in Durham (UK) that was attended by ca. 40 participants. The meeting was held in association with the annual MC meeting and the Annual Meeting of the European Histamine Research Society.

COST Publications (related to COST BM0806 main topics)

- Abrighach H, Fajardo I, Sánchez-Jiménez F, Urdiales JL. Exploring polyamine regulation by nascent histamine in a human-transfected cell model. *Amino Acids*. 2010 Feb;38(2):561-73.
- Adami M*, Pozzoli C, Leurs R*, Stark H, Coruzzi G (2010) Histamine H3 receptors are involved in the protective effect of ghrelin against HCl-induced gastric damage in rats. *Pharmacology* 86: 259-266.
- Bäumer W, Rossbach K (2010). Histamine as an immunomodulator J .*Deutsch. Dermatol. Ges.* 8, 459-504.
- Delitheos B*, Papamichael K*, Tiligada E (2010) Histamine modulates the cellular stress response in yeast. *Amino Acids* 38:1219-26.
- Deml K.-F., Beermann S., Neumann D., Strasser A., Seifert R. (2009) Interactions of histamine H1-receptor agonists and antagonists with the human histamine H4-receptor. *Mol. Pharmacol.* 76: 1019-1030.
- García-Faroldi G, Carlos E. Rodriguez, Jose L. Urdiales, Jose M. Perez-Pomares, Jose C. Davila, Gunnar Pejler, Francisca Sanchez-Jimenez, Ignacio Fajardo. Polyamines Are Present in Mast Cell Secretory Granules and Are Important for Granule Homeostasis. *Plos One*, on line by November 30, 2010 (November 2010 | Volume 5 | Issue 11 | e15071).
- Ghorai P., Kraus A., Birnkammer T., Geyer R., Bernhardt G., Dove S., Seifert R., Elz S., Buschauer A (2010) Chiral NG-acylated hetarylpropylguanidine-type histamine H2 receptor agonists do not show significant stereoselectivity. *Bioorg. Med. Chem. Lett.* 20: 3173-3176
- Gschwandtner M, Rossbach K, Dijkstra D, Bäumer W, Kietzmann M, Stark H, Werfel T, and Gutzmer G (2010) Murine and Human Langerhans Cells Express a Functional Histamine H4 Receptor: Modulation of Cell Migration and Function. *Allergy* 65, 840-849.
- Gschwandtner, M., Schakel, K., Werfel, T., and Gutzmer, R. (2010). Histamine H(4) receptor activation on human skin-dendritic cells down-regulates their pro-inflammatory capacity. *Immunology*. Epub ahead of print DOI: 11/j.1365-2567.2010.03336.x (18. Aug 2010).

- Igel P., Geyer R., Strasser A., Dove S., Seifert R., Buschauer A (2009) Synthesis and structure-activity relationships of cyanoguanidine-type and structurally related histamine H4 receptor agonists. *J. Med. Chem.* 52: 5297-5313.
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- Igel P., Schnell D., Bernhardt G., Seifert R., Buschauer A (2009) Tritium-labeled N1-[3-(1H-imidazol-4-yl)propyl]-N2-propionylguanidine ([³H]UR-PI294), a high-affinity histamine H3 and H4 receptor radioligand. *Chem. Med. Chem.* 4, 225-231.
- Kraus A., Ghorai P., Birnkammer T., Schnell D., Elz S., Seifert R., Dove S., Bernhardt G., Buschauer A. (2009) NG-Acylated aminothiazolylpropylguanidines as potent and selective histamine H2 receptor agonists. *Chem. Med. Chem.* 4, 232-240.
- Kuder KJ*, T. Kottke*, H. Stark, X. Ligneau, J.-C. Camelin, R. Seifert, and K. Kieć-Kononowicz (2010) Search for Novel, Highly Affine Histamine H3 Receptor Ligands with Fluorescent Properties. *Inflamm. Res.* 59 (Suppl. 2), S247 – S248.
- Kyriakidis DA, Tiligada E (2009) Signal-transduction and adaptive regulation through bacterial two-component systems: The Escherichia coli AtoSC paradigm. *Amino Acids* 37:443–58.
- Kyriakidis K*, Zampeli E*, Tiligada E (2009) Histamine levels in whole peripheral blood from women with ductal breast cancer: A pilot study. *Inflamm Res* 58(S1):73-4.
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- Lim HD, Adami M, Guaita E, Werfel T, Smits RA, De Esch IJ, Bakker RA, Gutzmer R, Coruzzi G, Leurs R (2009) Pharmacological characterization of the new histamine H4 receptor agonist VUF 8430. *Br J Pharmacol* 157: 34-43.
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- Melgarejo E, Medina MA, Sánchez-Jiménez F, Urdiales JL (2010) Targeting of histamine producing cells by EGCG: a green dart against inflammation? *J Physiol Biochem.* Sep;66(3):265-70.
- Melgarejo E, Urdiales JL, Sánchez-Jiménez F, Medina MA (2010). Targeting polyamines and biogenic amines by green tea epigallocatechin-3-gallate. *Amino Acids.* Feb;38(2):519-23.
- Mommert,S., Gschwandtner,M., Gutzmer,R., and Werfel,T. (2010). The Role of the Histamine H4 Receptor in Atopic Dermatitis. *Curr. Allergy Asthma Rep.* Epub ahead of print DOI 10.1007/s11882-010-0162-7.
- Neumann D., Beermann S., Seifert R (2010) Does the histamine H4 receptor have a pro- or anti-inflammatory role in murine bronchial asthma? *Pharmacology* 85: 217-223
- Papaioannou G*, Michelis F, Papamichael K*, Karga H, Tiligada E (2011) Lymphocyte blastogenesis in patients with thyroid dysfunction: ex vivo response to mitogen activation and cyclosporin A. *Inflamm Res* 60:265-70.
- Papathanassiou M, Giannoulaki V, Zampeli E*, Tiligada E (2010) Effect of aminoguanidine on the conjunctival histamine and nitric oxide levels in experimental conjunctivitis *J Ocular Pharmacol Ther* (in press).
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- Pozzoli C, Adami M, Smits RA, Coruzzi G (2009) Effect of histamine H4 receptor ligands on cholinergic neurotransmission of the rat duodenum. *Inflamm Res* 58 (Suppl 1): 59-60.
- Roszbach K, Stark H, Sander K, Leurs R., Kietzmann M., Bäumer W (2009). The histamine H4 receptor as a new target for treatment of canine inflammatory skin diseases *Vet. Dermatol.* 20, 555-561.
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- Sander K*, T. Kottke*, E. Proschak*, Y. Tanrikulu, E. H. Schneider, R. Seifert, G. Schneider, and H. Stark (2010) Lead Identification and Optimization of Diaminopyrimidines as Histamine H4 Receptor Ligands. *Inflamm. Res.* 59 (Suppl. 2), S249 – S251.

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- Sander K*, T. Kottke*, L. Weizel*, and H. Stark. Kojic Acid Derivatives as Histamine H3 Receptor Ligands. *Chem. Pharm. Bull.* 2010, 10, 1353-1361.
- Sander K*, Y. von Coburg*, J.-C. Camelin*, X. Ligneau, O. Rau*, M. Schubert-Zsilavecz, J.-C. Schwartz, and H. Stark. Acidic Elements in Histamine H3 Receptor Antagonists. *Bioorg. Med. Chem. Lett.* 2010, 20, 1581-1584.
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- Theodorou MC*, Tiligada E, Kyriakidis DA (2009) Extracellular Ca²⁺ transients affect poly-(R)-3-hydroxybutyrate regulation by the AtoS-AtoC system in *E. coli*. *Biochem J* 417:667-72.
- Tiligada E, Kyriakidis K*, Chazot PL, Passani MB (2010) Histamine pharmacology and new CNS drug targets. *CNS Neurosci Ther* (In press).
- Tiligada E, Zampeli E*, Sander K*, Stark H (2009) Histamine H3 and H4 receptors as novel drug targets. *Expert Opin Investig Drugs* 18:1519-31.
- Walter M*, K. Isensee*, T. Kottke*, X. Ligneau, J.-C. Camelin, J.-C. Schwartz, and H. Stark. Azole Derivatives as Histamine H3 Receptor Antagonists, Part 2: C-C and C-S Coupled Heterocycles. *Bioorg. Med. Chem. Lett.* 2010, 20, 5883-5886.
- Walter M*, Y. von Coburg*, K. Isensee*, K. Sander*, X. Ligneau, J.-C. Camelin, J.-C. Schwartz, and H. Stark. Azole Derivatives as Histamine H3 Receptor Antagonists, Part 1: Thiazol-2-yl Ethers. *Bioorg. Med. Chem. Lett.* 2010, 20, 5879-5882.
- Werner T, K. Sander*, Y. Tanrikulu*, T. Kottke*, E. Proschak*, H. Stark, and G. Schneider. In Silico Characterization of Different Ligand Binding Modes in the Human Histamine H4 Receptor and Their Impact on Receptor Activation. *ChemBioChem* 2010, 11, 1850-1855.
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- Zampeli E*, Thurmond RL#, Tiligada E (2009) The histamine H4 receptor antagonist JNJ7777120 induces increases in the histamine content of the rat conjunctiva. *Inflamm Res* 58: 285-91.

Zampeli E*, Tiligada E (2009) The role of H4 receptor in immune and inflammatory disorders. *Br J Pharmacol* 157:24-33.

Other Publications (by COST BM0806 members not related to main topics)

- Basler T, Holtmann H, Abel J, Eckstein T, Bäumer W, Valentin-Veigand P, Goethe R (2010): Reduced transcript stabilisation restricts TNF α expression in RAW264.7 macrophages infected with pathogenic mycobacteria: Evidence for an involvement of lipomannan. *J. Leukoc. Biol.* 87, 173-183.
- Bäumer, W, Roßbach, K, Mischke, R, Reines I., Langbein-Detsch, I, Lüth, A., Kleuser, B. (2010) Decreased concentration and enhanced metabolism of sphingosine-1-phosphate in lesional skin of dogs with atopic dermatitis –disturbed sphingosine-1-phosphate homeostasis in atopic dermatitis. *J. Invest. Dermatol.* [Epub ahead of print]
- Bäumer, W, Wlaz, P, Jennings, G, Rundfeldt, C (2010). The putative lipid raft modulator miltefosine displays immunomodulatory action in T cell dependent dermal inflammation models. *Eur. J. Pharmacol* 628, 226-232.
- Feser K, Kietzmann M, Krause C, Bach FW, Bäumer W*. (2010) Effects of Degradable Mg-Ca Alloys on Dendritic Cell Function. *J Biomater Appl.* [Epub ahead of print]
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- Papadopoulos G, Grigoroudis AI*, Kyriakidis DA (2010) Dimerization of the AtoC response regulator and modelling of its binding to DNA. *J Mol Graphics Modelling* 29:565-72.
- Ranea JA, Morilla I, Lees JG, Reid AJ, Yeats C, Clegg AB, Sanchez-Jimenez F, Orengo C. Finding the "dark matter" in human and yeast protein network prediction and modelling. *PLoS Comput Biol.* 2010 Sep 23;6(9).
- Schlüter A, Real-Chicharro A, Gabaldón T, Sánchez-Jiménez F, Pujol A. PeroxisomeDB 2.0: an integrative view of the global peroxisomal metabolome. *Nucleic Acids Res.* 2010 Jan;38(Database issue):D800-5.
- Schneider E. H., Seifert, R.: Sf9 cells: a versatile model system to investigate the pharmacological properties of G protein-coupled receptors. *Pharmacol Ther* 128: 387-418 (2010).
- Schneider E. H., Seifert, R.: Coexpression systems as models for the analysis of constitutive GPCR activity. *Methods Enzymol* 485: 527-557 (2010).
- Schneider E. H., Seifert, R.: Fusion proteins as model systems for the analysis of constitutive GPCR activity. *Methods Enzymol* 485: 459-480 (2010).
- Schwelberger HG. Structural organization of mammalian copper-containing amine oxidase genes. *Inflamm Res* 2010; 59 Suppl 2: S223-225.
- Tschernig T, Bäumer W, Pabst, R (2010). Controversial data on simvastatin in asthma - What about the rat model? *J. Asthma Allergy* 3, 57-63.
- Urreizti R, Moya-García AA, Pino-Ángeles A, Cozar M, Langkilde A, Fanhoe U, Esteves C, Arribas J, Vilaseca MA, Pérez-Dueñas B, Pineda M, González V, Artuch R, Baldellou A, Vilarinho L, Fowler B, Ribes A, Sánchez-Jiménez F, Grinberg D, Balcells S. Molecular characterization of five patients with homocystinuria due to severe methylenetetrahydrofolate reductase deficiency. *Clin Genet.* 2010 Nov;78(5):441-8.

COST Reports/Abstracts (related to COST BM0806 main topics)

- Coruzzi G, R. Leurs, H. Stark, and M. Adami. Involvement of Histamine in the gastroprotection Induced by Ghrelin in the Conscious Rat. *Inflamm. Res.* 2010, 59 (Suppl. 4), S357.
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- Gschwandtner M, Schäkel K, Werfel T, Gutzmer R: Histamine H4 Receptor activation on human 6-sulfo LacNAc-expressing dendritic cells downregulates their proinflammatory capacity. *Inflamm Res* 2010; 59 Suppl 4: S...
- Karcz T, J. Handzlik, D. Lazewska, T. Kottke*, R. Seifert, H. Stark, and K. Kiec-Kononowicz. 2-Amino-4-(4-methylpiperazino)-1,3,5-triazine Derivatives as Ligands of Histamine H4 Receptor. *Inflamm. Res.* 2010, 59 (Suppl. 4), S351.

- Kieć-Kononowicz K, J. Ner, M. Więcek, S. Schwed*, L. Weizel*, T. Kottke*, H. Stark, R. Seifert, J. Karolak-Wojciechowska, J. Handzlik, D. Łażewska, and T. Karcz. Search for Histamine H4 Receptor Ligands in the Group of 4-(4-Methylpiperazino) Derivatives of 1,3,5-Triazine. *Drugs Fut.* 2010, 35 (Suppl. A), 215.
- Kuder KJ*, D. Lazewska, H. Stark, X. Ligneau, J.-C. Camelin, and K. Kiec-Kononowicz. para-t-Pentylphenoxyalkyl Piperidine Derivatives as Potent Histamine H3 Receptor Ligands. *Inflamm. Res.* 2010, 59 (Suppl. 4), S352.
- Kumawat AK, Götlind YY, Fredin MF, Willén R, Strid H, Hultgren Hörnquist E. Expression Patterns Of Histamine Receptors In The Gai2-Deficient Mouse Model Of Colitis. *Inflammation Research* 2010, Vol. 59, Suppl 4; p.S358-S359.
- Sander K*, Y. von Coburg*, J.-C. Camelin, X. Ligneau, O. Rau*, M. Schubert-Zsilavec, J.-C. Schwartz, and H. Stark Acidic Elements in Histamine H3 Receptor Antagonists. *Inflamm. Res.* 2010, 59 (Suppl. 4), S352.
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- Tiligada E (2010) Meeting report of the COST action BM0806 'Recent advances in histamine receptor H4R research' *Inflamm Res* 59(Suppl 4):S308–S309

COST Presentations (related to COST BM0806 main topics)

- Adami M*, Pozzoli C*, Smits R, Leurs R*, Coruzzi G* Gastric effects of histamine: any role for H4 receptors? 34th meeting of the Italian Pharm Soc, Rimini (Italy), 2009.
- Adami M*, Smits R, De Esch IJ, Leurs R*, Coruzzi G* H4 receptor ligands on rodent models of acute inflammation. 39th Annual Meeting of the European Histamine Research Society, Durham (UK), July 13-16, 2010.
- Bäumer W, J. Stahl, K. Sande*r, H. Stark, M. Kietzmann, and T. Olivry. Lack of Preventing Effect of Systemically and Topically Administered Histamine H4 Receptor Antagonists in a Canine Model of Atopic Dermatitis. *International Symposium on Atopic Dermatitis / New Trends in Allergy VII*, Munich/Germany, July 22-24, 2010.
- Capet M., J.-M. Arrang, J.M., M. Garbarg, X. Ligneau, I. Berrebi-Bertrand, P. Robert, H. Stark, W. Schunack, C.R. Ganellin, J.-C. Schwartz, and J.-M Lecomte. Discovery and Development of BF2.649 (PitolisantINN), an Inverse Agonist at the H3 Receptor. 2nd Biennial International Conference on New Developments in Drug Discovery from Natural Products and Traditional Medicines (DDNP-TM 2010), NIPER, SAS Nagar (Mohali), Punjab/India, November 20-24, 2010.
- Coruzzi G*, Adami M* Histamine and the stomach: damage and protection. COST meeting: Histamine H4 receptors. Where we are and where we are going? Florence, Italy, January 29-30, 2010.
- Coruzzi G*, Adami M*, Pozzoli C*, Smits R, Leurs R* Effects of histamine H3 and H4 receptor ligands in the rodent gastric mucosa. 18th United European Gastroenterology Week, Barcelona (Spain), October 23-27, 2010.
- Coruzzi G*, Leurs R*, Stark H*, Adami M* Involvement of histamine H3 receptors in the gastro-protection induced by ghrelin in the conscious rat. 39th Annual Meeting of the European Histamine Research Society, Durham (UK), July 13-16, 2010.
- Dymek A, E. Pękala, M. Więcek, T. Kottke, H. Stark, R. Seifert, K. Kieć-Kononowicz. Evaluation of mutagenic properties of some triazine derivatives, ligands of histamine H4 receptor, using *Vibrio harveyi* test. 3rd Polish Meeting on Medicinal Chemistry, 20-22.09.2010, Lublin.
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- Gschwandtner M, Schäkel K, Werfel T, Gutzmer R: The proinflammatory capacity of human slan-dendritic cells is impaired by activation of the histamine H4 receptor; New Trends in Allergy VII & 6th Georg Rajka Symposium, Juli 2010.
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- Isensee K, M. Walter, X. Ligneau, J.-C. Camelin, M. Capet, J.-C. Schwartz, and H. Stark. Novel Fluorinated Non-Imidazole Histamine H3 Receptor Antagonists of the Diamine Class. Rhine-Main Neuroscience Network, RMN2-Meeting, Oberwesel/Germany, November 24-26, 2010.
- Karcz T, J. Handzlik, D. Lazewska, T. Kottke*, R. Seifert, H. Stark, and K. Kiec-Kononowicz. 2-Amino-4-(4-methylpiperazino)-1,3,5-triazine Derivatives as Ligands of Histamine H4 Receptor. 39th Annual Meeting of the European Histamine Research Society (EHRS), Durham/UK, July 13-16, 2010.
- Kieć-Kononowicz K, J. Ner, M. Więcek, S. Schwed*, L. Weizel*, T. Kottke*, H. Stark, R. Seifert, J. Karolak-Wojciechowska, J. Handzlik, D. Łażewska, and T. Karcz Search for Histamine H4 Receptor Ligands in the Group of 4-(4-Methylpiperazino) Derivatives of 1,3,5-Triazine. EFMC - ISMC 2010, 21st International Symposium on Medicinal Chemistry, Brussels/Belgium, September 5-9, 2010.
- Kubas H, M. Amon, M. Walter, X. Ligneau, J.-C. Schwartz, H. Stark. Fluorophore-Tagged Highly Affine Histamine Receptor Ligands. Rhine-Main Neuroscience Network, RMN2-Meeting, Oberwesel/Germany, November 24-26, 2010.
- Kuder K, T. Kottke, H. Stark, X. Ligneau, J.-C. Camelin, R. Seifert, K. Kieć-Kononowicz. Docking of para-t-pentylphenoxyalkyl piperidine derivatives and fluorescent receptor ligand KF-1 to histamine H3 receptor homology model. 3rd Polish Meeting on Medicinal Chemistry, 20-22,09,2010, Lublin.
- Kuder KJ*, D. Lazewska, H. Stark, X. Ligneau, J.-C. Camelin, and K. Kiec-Kononowicz. Para-t-Pentylphenoxyalkyl Piperidine Derivatives as Potent Histamine H3 Receptor Ligands. 39th Annual Meeting of the European Histamine Research Society (EHRS), Durham/UK, July 13-16, 2010.
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- Kyriakidis K*, Zampeli E*, Papamichael K*, Tiligada E (2010) Effects of the H3 receptor inverse agonist GSK334429 on the histamine levels of cartilage, oesophagus and peripheral blood vessels in rats with adjuvant arthritis, 39th Meeting of the EHRS, Durham, UK.
- Łażewska D, J. Karolak-Wojciechowska, B. Kolesińska, Z. Kamiński, K. Kieć-Kononowicz. Convenient way of synthesis and crystal structure of JNJ 777120. 3rd Polish Meeting on Medicinal Chemistry, 20-22,09,2010, Lublin.
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- Tiligada E (2010) Immunopharmacology of the histamine H4 receptor, World Immune Regulation Meeting–IV, Davos, Switzerland.
- Tiligada E (2010) Novel drug targets in airway disease, round table 'Asthma-Allergy: Current Diagnostic and Therapeutic Approaches, 1st International Symposium on 'Asthma and Chronic Obstructive Pulmonary Disease - Clinical Challenges, Therapeutic Approaches and Perspectives' Damascus, Syria.
- Tiligada E (2010) Preclinical testing, round table "The role of Experimental and Clinical Pharmacology in Pharmacotherapy", 1st International Forum on 'Pharmakon': Safe and effective use of medicines, Instabul, Turkey.
- Tiligada E (2010) Histamine receptors in allergic inflammation: current views, 1st Hellenic Paidoallergy Meeting, Nauplio, Greece.
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STSMs

- BM0806-STSM4627: Stott Jennifer (The Queen's University of Belfast, UK) - Host Institute: Paul Chazot School of Biological & Biomedical Sciences Durham University, Durham UK - Date: 05-10.07.2009
- BM0806-STSM5519: Simon Tünde (Semmelweis University, Budapest, Hungary) - Host Institute: Swiss Institute for Allergy and Asthma Research (SIAF), Davos, Switzerland - Date: 01.03.-30.04.2010
- BM0806-STSM....: Kumawat Ashok Kumar (School of Health and Medical Sciences, Örebro University, Sweden) - Host Institute: Paul Chazot, School of Biological and Biomedical Sciences, Durham University, South Road, Durham, UK - Date: 04.2011

Other activities

- Collaborations have been initiated among many participating institutions
- Advanced Science Communication Training Course, COST and Ecsite, The Arctic Centre, Rovaniemi, Finland, 2010, participation of Katherine Tiigada as BM0806 Chair
- Establishment of a Skype Internet Telephone Network for COST Action BM0806, initiated by Hubert Schwelberger, completed, 40 participants
- Establishment of a database of methods and tools related to H4R research for COST Action BM0806, initiated by Hubert Schwelberger, in progress, 26 participating institutions