

Symposium

# Developing **future** in antihistamines

Sunday, 6 June 2010

**17:30-19:00**

Platinum suite 3

ExCeL London

Symposium

# Developing future in antihistamines

## Chairmen

Martin K. Church (UK)

Ignacio J. Ansotegui (Spain)

## Speakers

Claus Bachert (Belgium).

**Antihistamines in allergic rhinitis:  
portraying a new family member.**

Torsten Zuberbier (Germany).

**Novel antihistamines and unmet needs in urticaria:  
efficacy, safety or both?**

Melchor Alvarez de Mon (Spain).

**Searching for anti-inflammatory properties  
with a new entity.**

***Dear colleague,***

It is a great pleasure for us to welcome you to the Symposium “Developing Future in Antihistamines”.

The prevalence of allergic diseases, mainly allergic rhinitis and urticaria, is progressively increasing all over the world and has a significant effect on health economics and patients’ quality of life. Histamine is the main mediator involved in the pathophysiology of these diseases, and that is why reputed evidence based medicine guidelines for allergic rhinitis and urticaria, clearly indicate antihistamines as the first therapeutic choice. The development of new and modern antihistamines, that will become shortly available, will increase our therapeutic options in benefit of allergic patients.

During this symposium, three worldwide highly reputed experts will bring the latest information regarding current knowledge and research on antihistamines. Prof. Claus Bachert will show us how the development of modern antihistamines contributes to a better control of allergic rhinitis. Prof. Torsten Zuberbier will talk about the necessity to implement evidence based medicine and will review the efficacy and safety of new antihistamines that will cover the unmet needs of urticaria. Finally, Prof. Melchor Alvarez-Mon will describe the anti-inflammatory properties of this new antihistaminic molecule that explain a broader mechanism of action for antihistamines.

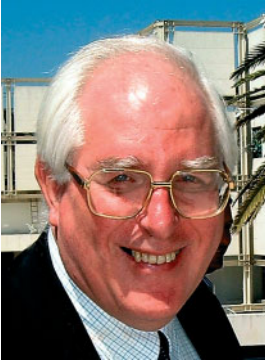
We are grateful to the Spanish pharmaceutical company FAES FARMA, highly involved in the field of antihistamine research, for sponsoring this event.

We wish you a wonderful and fruitful symposium.

Yours sincerely,

***Martin K Church  
Ignacio J. Ansotegui***

Symposium chairpersons.



Martin K. Church  
(UK)

Professor Martin K. Church qualified in Pharmacy from the University of Wales in 1964, gained his PhD in 1970 and was awarded a DSc in 1990. He has been Professor of Immunopharmacology at the University of Southampton since 1991. Since his retirement in 2008 he became Emeritus Professor at this institution and also Honorary Professor of Immunopharmacology at the Charité-Universitätsmedizin Berlin, Germany.

Professor Church is a member of numerous learned societies, past secretary of the British Society for Allergy and Clinical Immunology, past chair of the Mechanisms of Allergy Workshop Committee of the American Academy of Allergy, Asthma and Immunology, Fellow of the American Academy of Allergy and Clinical Immunology, member of the Collegium International Allergologicum and member of the British Society of Investigative Dermatology.

Professor Church's research focussed mainly on the cellular mechanisms of allergic disease in humans. More recently, he developed dermal microdialysis to extend his studies of allergic and inflammatory diseases in human skin into the in vivo environment.

Professor Church is the author of over 300 research papers and reviews in national and international peer reviewed journals. He is also editor of seven allergy books, including "Allergy" which is now in its third edition and was awarded the prize of "Book of the Year" by the British Medical Association in 2008.

Martin Church is Emeritus Professor of Immunopharmacology in the School of Medicine of the University of Southampton.



Ignacio J. Ansotegui  
(Spain)

Dr. Ignacio J. Ansotegui completed his medical studies at the University of Basque Country, Bilbao. He completed his PhD studies in the Immunology Department of the Karolinska Institute and Karolinska Hospital, Stockholm and further specialised in allergy and clinical immunology at the University of Rome "La Sapienza". In 1996, Dr. Ansotegui returned to Spain at the Santiago Apostol Hospital - Allergy and Immunology Department. In 2007, he became consultant in allergy and clinical immunology at the Royal Hospitals and Honorary Senior Lecturer at Queen's University of Belfast. Currently he is Head of the Allergy and Immunology Department at Quirón Bizkaia Hospital.

Dr. Ansotegui, is Vice Chair of the Congress Council and was recently elected member of the Board of Directors of the World Allergy Organization. He is Chair of Ethics Committee and past 2nd Vice President of the European Academy of Allergy and Clinical Immunology; General Secretary of the Association of Allergology Societies of Southern Europe and Secretary of the European CME Accreditation Committee. He is treasurer and past General Secretary of INTERASMA. In 2008, he was the President of the EAACI Congress in Barcelona. In 2007 he won the Distinguished Clinical Services Award from the Argentinean Association of Allergy and Clinical Immunology and the International Distinguished Fellow Award from the American College of Allergy, Asthma and Immunology.

International Distinguished Fellow Dr. Ansotegui is Consultant Immunologist and Allergist at the Immunology & Allergy Services of Belfast Royal Hospitals.

# Antihistamines in allergic rhinitis: portraying a new family member

Symposium

Developing future in antihistamines



Claus Bachert  
UZG Ghent (Belgium)

Antihistamines remain a first line treatment option for allergic rhinoconjunctivitis and urticaria according to the most recent evidence-based international guidelines. They help millions of patients with such complaints worldwide to reduce their symptoms and increase their quality of life; they also reduce the socioeconomic impact of these diseases on the society.

Bilastine is a novel non-sedating histamine H1-receptor antagonist developed for the treatment of allergic rhinoconjunctivitis and urticaria. This presentation will present the scientific evidence of the pharmacologic properties and clinical effectiveness of bilastine, underlying its clinical antihistaminic potential.

In vitro binding studies and investigations in animal tissue have demonstrated the high specificity of bilastine for H1-receptors, and have also yielded promising results of reduction of histamine-mediated inflammatory effects, including increased capillary permeability and bronchospasm.

In vivo investigations indicate no accumulation of bilastine in the CNS. Indeed, several studies have confirmed minimal effects on psychomotor performance in healthy volunteers when up to four times the usual dose was administered. Clinical studies have found no effect of bilastine on the QTc interval, even at suprathreshold dosages, confirming the good cardiac safety profile.

Clinical trials have shown that bilastine has similar efficacy to other second-generation H1-receptor antagonists such as cetirizine, desloratadine, fexofenadine and levocetirizine, in reducing allergic symptoms in allergic rhinitis and urticaria. Clinical findings also indicate that bilastine has a rapid onset of action and a 20 mg single dose is effective throughout 24 hours. Furthermore, bilastine has been associated with improved quality of life in allergic rhinoconjunctivitis and urticaria patients. Adverse effects have generally been minimal and doses up to twice the proposed dose did not exhibit differences in adverse events compared to placebo.

Given its pharmacodynamic and clinical profile, which appears to be similar to other second-generation H1-receptor antagonists, and its favourable safety profile, bilastine has the attributes of a potentially clinically useful non-sedating antihistamine.

Professor Claus Bachert, born in 1957 in Mannheim, Germany, studied Human Medicine at the Ruprecht-Karls-University in Heidelberg and did his training as ENT-resident and allergologist in Mannheim, University of Heidelberg. He then moved to the University of Düsseldorf, where he received the *Venia legendi* in 1989 and was nominated Extraordinary Professor in 1994.

Since 1996, he serves as Chief of Clinics at the ENT-Dept., University of Ghent, Belgium and he specialised in rhinology, sinus surgery and skull base surgery. Up to date he performed more than 8000 surgical operations in this medical field; he also leads a yearly, highly respected International Course on Sinus Surgery in Ghent. Prof Bachert invented several instruments, including the "Bachert punch" for frontal sinus surgery.

Prof Bachert received several scientific prizes, including the Research Prize of the European Rhinologic Society 1990 in London and the Research Prize of the Royal Belgian ENT Society in Belgium 2000.

He is guest professor in Korea, Vietnam and China, and received the highest degree of professorship in Ghent 2008. Prof Bachert served as editor of international journals, as organizer of International Symposia, as member of international guideline panels, and currently is President of the German Society of Allergy and Clinical Immunology.

His publication lists comprises more than 260 International publications and more than 60 books or book chapters.

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Innovating in antihistamine research



# Novel antihistamines and unmet needs in urticaria: efficacy, safety or both?

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Developing future in antihistamines



Torsten Zuberbier  
Charité-Universitätsmedizin  
Berlin (Germany)

Urticaria is a frequent chronic disorder of the skin with many subtypes. Novel data show that the burden of urticaria is very high in affected patients, leading not only to limitations in the quality of life comparable to that of diabetes but also to sleep loss, reduction in presentism and work productivity up to 30%. In 2009, the international guidelines on urticaria were published by GA<sub>LEN</sub>, EAACI, EDF and WAO offering clear and effective treatment choices. Modern non-sedating antihistamines up to four-fold the daily recommended doses are indicated as first-line therapy. The guidelines also clearly state that first generation sedating antihistamines should no longer be employed, even in the evening, as recent data show a strong hangover residual effect and important changes in REM sleep phases.

Despite the clarity and availability of these guidelines, recent surveys show that in reality there is poor guideline adherence and that urticaria remains largely under-treated in European countries.

Regarding the choice of antihistamines it must be remembered that besides the problem of sedation induced by the first generation antihistamines, there is also a difference in pharmacological activity between the first and the second generation representatives. First generation antihistamines target only the histamine receptor whereas novel compounds are able to additionally influence cytokine release and adhesion molecule expression interacting with other important inflammatory pathways which are mast cell dependent. Bilastine is a novel antihistamine expressing these additional properties. At a dosage of 20mg, Bilastine has been shown to be as efficacious and safe as Levoceterizine 5mg in chronic urticaria patients. Taking into consideration that in many European countries the surveys show that more than 50% of patients are either not treated or are treated with old sedating antihistamines there is a high demand to introduce novel antihistamines in the field of urticaria.

Professor Torsten Zuberbier studied medicine at the Free University of Berlin. He received his board certificate in dermatology in 1994. In 1995 he joined the department of Dermatology at Charité Virchow Clinics, Berlin and in 1996 he became the Head of the Allergy-Centre-Charité. In 2003 he became Professor of Dermatology at the Charité-Universitätsmedizin Berlin. Currently he is also Co-Director at the department of Dermatology, Charité and scientific Head of the Charité School for Dieticians. Professor Zuberbier has conducted research in the field of mast cell and basophil biology; causes, mechanisms and treatment of urticaria; food allergy and atopic dermatitis. He is one of the initiators of EAACI/GA<sub>LEN</sub>/EDF urticaria guidelines. He published over 200 papers in national and international peer reviewed journals and is editor and author of many allergy and dermatology books and book chapters. He is also member of the editorial board of several international allergy and dermatology journals. Professor Zuberbier is involved with numerous prestigious medical organisations. He is Head of the European Centre for Allergy Research Foundation (ECARF); Secretary General of the Global Allergy and Asthma European Network (GA<sub>2</sub>LEN); member of the European Academy of Allergy and Clinical Immunology and of the EAACI Dermatology section; WAO Communications Council; Collegium Internationale Allergologicum; European Academy of Dermatology and Venerology and European Society for Dermatological Research.



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# Searching for anti-inflammatory properties with a new entity

Symposium

Developing future in antihistamines



Melchor Alvarez del Mon  
(Spain)

Anti-H1 histamine receptor drugs play a key role in the treatment of allergic diseases. These drugs have been widely used on the basis of their functional effect blocking the histamine induced pathogenic mechanisms in allergy. However, the number of cells that express H1 receptor is larger than initially thought including immune cells such as granulocytes and mast cells. Advances in pharmacological design have led to the discovery of a new generation of anti-H1 receptor drugs that have an inverse agonist mechanism of action. These drugs, besides the established antihistaminic effects may additionally reduce the allergic inflammation through functional modulation of the cells expressing H1 receptors. It is possible to hypothesize that these drugs may regulate the production of inflammatory mediators by the cells expressing H1 receptors. We investigated the effects of a new second generation H1-antihistamine, Bilastine, on the production of inflammatory mediators by mast cells and granulocytes and analyzed the immunomodulatory effects of Bilastine on circulating T lymphocytes from patients with allergic rhinitis and chronic urticaria.

Bilastine is able to inhibit both spontaneous and activation-induced (Vancomycine, Compound 48/80) release of histamine, IL-4 and TNF-alpha from human mast cell line 1 and human granulocytes. Bilastine is also able to significantly reduce the secretion of IL-4, IL-5 and IL-13 from T cells of patients with allergic rhinitis and chronic urticaria stimulated with anti-CD3 plus CD28 monoclonal antibodies.

These biological modifier effects shown by Bilastine open up new insights in the field of translational research of allergic diseases. These effects might have clinical relevance in the control of the pathogenic mechanisms of allergy. Current studies investigate the underlying cellular mechanism of the immunoregulatory effects of Bilastine. Further research is required to define the clinical impact of this findings.

Melchor Alvarez-Mon is Professor of Medicine and Head of the Service of Immune System Diseases (Allergy, Rheumatology) and Oncology at University Hospital Principe de Asturias and Chair of the Department of Medicine at the University of Alcalá, Madrid. He has been visiting professor at the Universities of Stanford, Texas, California, Rochester and Mayo Clinic. He obtained his MD and PhD at the University of Navarra, his residency training was at Puerta de Hierro Hospital, Madrid, and his fellowship at the National Institute of Allergy and Infectious Diseases, INH, Bethesda, USA. His principal research areas are the pathophysiology of immunoregulation and the translational analysis of the immune-inflammatory process and its therapeutic modulation in the development of individualized medicine. He has more than 200 international publications in the field. Along with his research group, he has received several international and national research awards.





Innovating in antihistamine research