



Event No  
221



XVth EFMC

INTERNATIONAL SYMPOSIUM  
ON

MEDICINAL  
CHEMISTRY

# FINAL PROGRAMME

INTERNATIONAL CONFERENCE CENTRE,  
EDINBURGH, SCOTLAND SEPTEMBER 1998



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## INTRODUCTION

All persons are cordially invited to attend the XVth European Federation of Medicinal Chemistry International Symposium on Medicinal Chemistry. The meeting will take place in Edinburgh, Scotland at the International Conference Centre from Sunday 6 September to Thursday 10 September 1998.

The series "International Symposia on Medicinal Chemistry" has been organised in Europe since the 1960's. Recent meetings in the series have taken place in

1992	Basel, Switzerland
1994	Paris, France
1996	Maastricht, The Netherlands

# SCIENTIFIC PROGRAMME

The scientific sessions will include 8 plenary lectures, and 52 invited lectures running in 3 parallel sessions, and 2 poster sessions.

The following themes will be included in the coverage

New Technologies for Drug Discovery  
 Ion Channels  
 Intracellular Signalling  
 Glycine Antagonists  
 Predicting DMPK  
 Growth Factors  
 Glycochemistry and Glycobiology  
 7TM Receptors  
 Protease Inhibition

## PLENARY LECTURES:

### Sunday 6 September

#### PENTLAND SUITE

16.00

Opening

Chairman: D Buckle Symposium Chairman & Chairman of the  
 Biological & Medicinal Chemistry Sector of the RSC

16.30

Pl.1

PLENARY LECTURE:  
 The Human Genome Project: from Man to Microbes  
 C Venter, NIH, USA *malade*

17.30

Pl.2

PLENARY LECTURE:  
 The Chemistry-Medicine Continuum: Synthetic, Computer,  
 Spectroscopic and Biological Studies on New Chemotherapeutic  
 Leads  
 P Wender Stanford University, USA

18.30

Buffet Reception Sponsored by Astra Charmwood

Monday 7 September

**PENTLAND SUITE**

Chairman: P Kocienski University of Glasgow & Perkin Division  
President, The Royal Society of Chemistry

09.00 P1.3 **PLENARY LECTURE:**  
**The Related Roles of Structure-Based Design and Library  
Chemistry**  
P A Bartlett University of California, Berkeley USA

10.00 Coffee

Tuesday 8 September

**PENTLAND SUITE**

Chairman: P A Bartlett University of California, Berkeley, USA

09.00 P1.4 **PLENARY LECTURE:**  
**Proteins and Medicinal Chemistry**  
R Ramage University of Edinburgh, UK

10.00 Coffee

Wednesday 9 September

**PENTLAND SUITE**

Chairman: J Mann University of Reading, UK

09.00 P1.5 **PLENARY LECTURE:**  
**Glycoconjugates as Tumor-Associated Antigens and Ligands in  
Regulatory Processes**  
H Kunz University of Mainz, Germany

10.00 Coffee

Thursday 10 September

**PENTLAND SUITE**

09.00 P1.6 **PLENARY LECTURE:**  
**The Royal Society of Chemistry's Rhône-Poulenc Lecture:  
New Methods and Strategies for Oligosaccharide Assembly**  
S V Ley University of Cambridge, UK

10.00 Coffee

10.30 P1.7 **PLENARY LECTURE:**  
**Proteomics, Structural Biology and Drug Discovery**  
Sir Tom Blundell University of Cambridge, UK

11.30 P1.8 **PLENARY LECTURE:**  
**Merging Rational Drug Design with Combinatorial Chemistry:  
Reasonable and Unreasonable Expectations**  
D Rich University of Wisconsin, USA

12.30 Closing Remarks

Lunch

**SYMPOSIUM A**  
**NEW TECHNOLOGIES FOR DRUG DISCOVERY**

**Monday 7 September**

**PENTLAND AUDITORIUM**

Chairman: R Ramage University of Edinburgh, UK

- 10.30 A.1 **Structure-Based Drug Design: Dealing with Flexible Proteins and their Ligands**  
W Guida Novartis Pharmaceuticals, Switzerland
- 11.15 A.2 **NMR as a Tool in Drug Research**  
S W Fesik Abbott Laboratories, USA
- 12.00 A.3 **New Paradigms for the Exploration of Molecular and Genetic Diversity**  
N H Sigal Pharmacocea, USA

12.45 Lunch

**PENTLAND AUDITORIUM**

Chairman: F King SmithKline Beecham, UK

- 14.00 A.4 **Software Developments for Structure-Based Design and Library Chemistry**  
M Murcko Vertex, USA
- 14.45 A.5 **Impact of Automation on Drug Discovery**  
E Pettilio Bristol-Myers Squibb, USA
- 15.30 A.6 **Drugs Arising from Structure-Based Design**  
M Varney Agouron, USA

16.20 Tea & Poster Session

**Tuesday 8 September**

**SIDLAW AUDITORIUM**

Chairman: A McElroy Pfizer, UK

- 10.30 A.7 **The Influence of Library Development on Synthesis Design**  
R Armstrong UCL/Amgen, USA
- 11.05 A.8 **Discovery of New Multicomponent Reactions by Combinatorial Approaches**  
L Weber Hoffmann-La Roche, Switzerland
- 11.40 A.9 **Lead Optimization Using Parallel Synthesis Techniques**  
S Kaldor Lilly, USA
- 12.15 A.10 **Informatics Tools for Combinatorial Chemistry and High Throughput Screening in Agrochemical Research**  
D Poppinger Novartis, Switzerland

13.00 Lunch



## SYMPOSIUM B ION CHANNELS

Monday 7 September

### SIDLAW AUDITORIUM

Chairman: P Machin SmithKline Beecham, UK

- 10.30 B.1 **Ion Channels as Targets: Problems and Possibilities**  
P Leeson Astra Charnwood, UK
- 11.15 B.2 **Structure and Function of Nicotinic Acetylcholine Receptors**  
N Unwin MRC Cambridge, UK
- 12.00 B.3 **Polyamines and Polyamine Amides as Channel Blockers**  
I S Blagbrough University of Bath, UK

12.45 Lunch

### SIDLAW AUDITORIUM

Chairman: I S Blagbrough University of Bath, UK

- 14.00 B.4 **Agonists and Functional Partial Agonists at Ionotropic Glutamate Receptors: Design and Therapeutic Prospects**  
P Krosgaard-Larsen Copenhagen, Denmark
- 14.45 B.5 **Inhibitory/Excitatory Ion Channels**  
A North Serono Pharmaceutical Research Institute, Switzerland

## SYMPOSIUM C INTRACELLULAR SIGNALLING

Monday 7 September

### FINTRY AUDITORIUM

Chairman: B Porter Pharmagene, UK

- 10.30 C.1 **Intracellular Signalling Targets: New Antiinflammatory Approaches**  
A J Lewis Signal Pharmaceuticals, USA
- 11.15 C.2 **Phosphatidylinositol 3,4,5-trisphosphate in Insulin Action: Studies at the Interface of Medicinal Chemistry and Cell Biology**  
C P Downes University of Dundee, UK
- 12.00 C.3 **The 6-Hydroxy Group of Inositol 1-Phosphate Serves as an H-Bond Donor in its Catalytic Hydrolysis by Inositol Monophosphatase: Design and Solid Phase Synthesis of Mechanism Based Inhibitors**  
D Gani University of St Andrews, UK

12.45 Lunch

### FINTRY AUDITORIUM

Chairman: B Porter Pharmagene, UK

- 14.00 C.4 **Inhibition of T-cell Tyrosine Kinases**  
D Moffat Cellect Therapeutics, UK
- 14.45 C.5 **P38 MAP Inhibitors: Progress, Pitfalls and Possibilities**  
J L Adams SmithKline Beecham, USA
- 15.30 C.6 **The Irreversible Impact of Irreversible Inhibitors: Can Erratic Contribute to the Tumouricidal of EGFR-Dependent Cancers?**  
A J Bridges Parke-Davis, USA

16.15 Tea & Poster Session

## SYMPOSIUM D

### GLYCINE ANTAGONISTS (GLAXO WELLCOME)

Monday 7 September

#### SIDLAW AUDITORIUM

Chairman: D Donati Glaxo Wellcome, Italy

- |       |     |   |
|-------|-----|---|
| 16.00 | D.1 | <b>Antagonists Acting at the NMDA Receptor Complex: Potential for Therapeutic Applications</b><br>D E Jane <i>University of Bristol, UK</i> |
| 16.30 | D.2 | <b>Recent Advances in Glycine Antagonists as Neuroprotective Agents</b><br>G Gaviraghi <i>Glaxo Wellcome, Italy</i>                         |
| 17.00 | D.3 | <b>Glycine Antagonists as Potent Analgesic Compounds</b><br>R Di Fabio <i>Glaxo Wellcome, Italy</i>   |

## SYMPOSIUM E

### PREDICTING DMPK

Tuesday 8 September

#### PENTLAND AUDITORIUM

Chairman: D Payling Astra Charmwood, UK

- |       |     |   |
|-------|-----|---|
| 10.30 | E.1 | <b>Design of Drugs with Optimised Absorption, Bioavailability and Distribution</b><br>D A Smith <i>Pfizer, UK</i>                                     |
| 11.05 | E.2 | <b>Drug Metabolism for the Medicinal Chemist: So What?</b><br>B Testa <i>University of Lausanne, Switzerland</i>                                      |
| 11.40 | E.3 | <b>Rapid Assessment of Drug Metabolism in the Pharmaceutical Discovery Process</b><br>M Bertrand <i>Biopharm Servier, France</i>                      |
| 12.15 | E.4 | <b>Computer-Prediction of Phase-1 Metabolism: The Case of Cytochrome P 450 2D6</b><br>N P E Vermeulen <i>University of Amsterdam, The Netherlands</i> |
| 12.50 | E.5 | <b>Oral Absorption and Solubility Screening in Early Drug Discovery</b><br>C A Lipinski <i>Pfizer, USA</i>  |
| 13.25 |     | <b>Lunch</b>  |



## SYMPOSIUM F

### GROWTH FACTORS

Tuesday 8 September

#### FINTRY AUDITORIUM

Chairman: B Ross Glaxo Wellcome, UK

10.30	F.1	<b>EGFR Tyrosine Kinase Inhibitors for the Treatment of Cancer</b> A J Barker Zeneca Pharmaceuticals, UK
11.05	F.2	<b>Discovery of a Small, Non-Peptidyl Mimic of Granulocyte-Colony Stimulating Factor</b> J I Luengo SmithKline Beecham, USA
11.40	F.3	<b>Small Peptides as Potent Mimetics of Hematopoietic Growth Factors</b> W J Dower Affymax, USA
12.15	F.4	<b>Intracellular Receptor Signaling Cascades: a Target for Selective Modulators</b> J Miner Ligand Pharmaceuticals, USA
13.00		Lunch

## SYMPOSIUM G

### GLYCOCHEMISTRY AND GLYCOBIOLOGY

Wednesday 9 September

#### FINTRY AUDITORIUM

Chairman: J-C Müller Synthelabo, France

10.30	G.1	<b>Protein Glycosylation in Immunochimistry and Neuropathology</b> E F Hounsell University College London, UK
11.15	G.2	<b>Bacterial Polysaccharides – Biologically Active Surface Polymers</b> P-E Jansson Karolinska Institute, Sweden
12.00	G.3	<b>The Role of Oligosaccharides in Molecular Recognition and Infectious Disease</b> S Homans University of St Andrews, UK
12.45		Lunch

#### FINTRY AUDITORIUM

Chairman: D Buckle DRB Associates, UK

14.00	G.4	<b>Glycopeptides: The Link Between Complex Carbohydrates and Glycoproteins</b> K Bock Carlsberg, Denmark
14.45	G.5	<b>Structure Activity Relationships and Design of Synthetic Heparin-like Oligosaccharides</b> C M Dreef-Tromp N V Organon, The Netherlands
15.30	G.6	<b>Selectin antagonists: Chemistry and Biology</b> B Ernst Novartis, Switzerland
16.20		Tea and Posters

## SYMPOSIUM H 7TM RECEPTORS

Wednesday 9 September

### PENTLAND AUDITORIUM

Chairman: D C Horwell Parke Davis, UK

- 10.30 H.1 **Bombesin, Tachykinins and Mimetics of Protein:Protein Interactions**  
D C Horwell Parke Davis, UK
- 11.15 H.2 **Discovery and Optimisation of Selective  $\alpha_{1A}$  Antagonists**  
B Lagu Synaptic, USA
- 12.00 H.3 **Potent Selective 5-HT<sub>6</sub> Receptor Antagonists**  
S M Bromidge SmithKline Beecham, UK

12.45 Lunch

### SIDLAW AUDITORIUM

Chairman: J Dixon Astra Charnwood, UK

- 14.00 H.4 **Interconversion of 7-TM Receptor States: Affinity and Occupancy for Agonists and Viral Exploitation of 7-TM Targets**  
T Schwartz Panum Inst Copenhagen, Denmark
- 14.45 H.5 **The Design, Synthesis and Pharmacology of Novel Neurokinin-2 Receptor Antagonists**  
D S Middleton Pfizer, UK
- 15.30 H.6 **Dual D<sub>2</sub>-Receptor and  $\beta_2$ -Adrenoceptor Agonists for the Treatment of Airways Diseases**  
F Ince Astra Charnwood, UK
- 16.15 H.7 **The Evolution and Synthesis of Eletriptan – A Selective 5-HT<sub>1D</sub> – Like Partial Agonist with Rapid Oral Absorption**  
M J Wythes Pfizer, UK

17.00 Tea and Posters

## SYMPOSIUM I PROTEASE INHIBITION

Wednesday 9 September

### SIDLAW AUDITORIUM

Chairman: D H Rich University of Wisconsin, USA

- 10.30 I.1 **Inhibition of the Osteoclast Specific Thiol Protease, Cathepsin K**  
D F Veber SmithKline Beecham, USA
- 11.15 I.2 **Delta Technology for Protease Inhibition**  
M C Venuti Axyx Pharmaceuticals, USA
- 12.00 I.3 **Inhibition of Zinc Metalloproteases as a Means of Controlling Peptidergic Functions or Neurotransmitter Exocytosis**  
B Roques Université Rene Descartes, France

12.45 Lunch

### PENTLAND AUDITORIUM

Chairman: D Adams Heriot-Watt University, UK

- 14.00 I.4 **Proteinase Inhibition as a Drug Discovery Strategy: Tackling the Challenges of Absorption, Clearance and Selectivity**  
A McElroy Pfizer, UK
- 14.45 I.5 **Structure-Based Design of Irreversible, Peptidomimetic Human Rhinovirus 3G Protease Inhibitors**  
P S Dragovich Agouron Institute, USA
- 15.30 SL **SPECIAL LECTURE**  
**Highly Selective Inhibition of Nitric Oxide Synthase**  
R B Silverman Northwestern University, USA

16.20 Tea and Posters

# POSTERS

Posters will be located in the Lombard Suite on Level 0 and in the Cromdale Hall on Level -2.

(\*denotes presenter to whom affiliation refers)

- P. 1 **Quantum-Chemical Study of Antioxidant Activity**  
A V Pogrebnyak\* *Pyatigorsk State Pharmaceutical Academy, Russia*
- P. 2 **Evaluation of Some Egyptian Plants as Molluscicides**  
M M El-Sayed\* and H A El-Nahas *Theodor Bilharz Research Institute, Egypt*
- P. 3 **Studies On Some Indian Medicinal Plants Against Candidal Infections**  
F Mohammad\*, Z Mehmood and I Ahmad *Aligarh Muslim University, India*
- P. 4 **GYKI 16084: A New Drug Candidate for Treatment of Benign Prostatic Hyperplasia**  
P Matyus\*, I Varga, M Tapfer, I Harsing, E Tomory and A Simay *Semmelweis University of Medicine, Hungary*
- P. 5 **Structure-Activity Relationships of P2-Receptor Antagonists Structurally Related To Reactive Blue 2**  
M Glänzel\*, F Tuluc, R Bültmann, K Starke and A W Frahm *University of Freiburg, Germany*
- P. 6 **EPC Syntheses And Structure-Activity Relationship Of Hypoglycemic Semicyclic Amidines**  
A W Frahm\*, S Ullrich and S Hartmann *University of Freiburg, Germany*
- P. 7 **Use of 2,7-Dioxo-2,3,4,5,6,7-Hexahydro-1H-1,4-Benzodiazonine as a Template For The Design Of CCK<sub>6</sub>/Gastrin Receptor Antagonists**  
I M McDonald\*, S B Kalindjian, I D Linney, C M R Low, M J Peither and K I M Steel *James Black Foundation, UK*
- P. 8 **Enzyme Inhibition XII: Relationship of Glutamic Acid Decarboxylase Activity with the Reaction Products Based On Chromatographic and Radioisotopic Methods**  
M L Sethi\* *Howard University, USA*
- P. 9 **Bioactivity Screening of Crude Extracts from *Tridax procumbens* and *Wedelia trilobata***  
A Tadel\* and A J Rosas-Romero *Universidad Simón Bolívar, Venezuela*
- P. 10 **New Pyrazolo[1,5-a]Pyrimidines as Potential Antiviral Agents**  
V Makarov\*, M Schmidtke and V Granik *State Scientific Centre of Russian Federation, Russia*

- P. 11 **New Five Member Heterocyclic Donors of Nitric Oxide**  
V Makarov\*, S Ryabova and V Granik *State Scientific Centre of Russian Federation, Russia*

- P. 12 **Acyloxymethylcarbamates as Prodrugs of Antitumour Triazenes**  
E Rosa\*, A P Francisco, J Iley and E Carvalho *Universidade de Lisboa, Portugal*

- P. 13 **Synthesis and Antituberculosis Activity of New Quinoxaline 1,4-Di-N-Oxides and 2-Quinoxalinecarbo Nitriles**  
A Monge\*, Y Sainz, M E Montoya, M A Ortega, F J Martínez-Crespo and A Lopez de Cerain *Universidad de Navarra, Spain*

- P. 14 **Bis-Quinolium Cyclophanes as Potent Non-Peptide Blockers of the Apamin-Sensitive SK<sub>Ca</sub> Channels**  
C R Ganelin\*, J Campos Rosa, P M Dunn, D Galanakis and D H Jenkinson *University College London, UK*

- P. 15 **Design of Protease Inhibitors from Structures of Substrate Products? Eg Butabindide, an Inhibitor of the Cholecystokinin (CCK-8)-Inactivating Peptidase**  
C R Ganelin\*, P B Bishop, B Leblond, L Zhao, C Rose and J C Schwartz *University College London, UK*

- P. 16 **Structure-Activity Relationships of Ceftedil Analogues as Blockers of The Ca<sup>2+</sup>-Activated K<sup>+</sup> Channel (IK<sub>Ca</sub>) in Erythrocytes**  
C R Ganelin\*, S Athmani, C J Roxburgh, D C H Benton, M A R Shiner and D H Jenkinson *University College London, UK*

- P. 17 **Aziridinylpeptides as Inhibitors of Cysteine Proteases**  
T Schirmeister\* *University of Freiburg, Germany*

- P. 18 **Synthesis and Binding Profile of a New  $\alpha_1$ -Adrenoceptor Antagonist Derived from the Pyrrole [1,2-b:3,4-d']BIS-Pyridazine Skeleton**  
D Barlocco\*, G Cignarella, F Montesano, A Leonardi, M Mella and L Toma *Università Degli Studi Di Milano, Italy*

- P. 19 **Isoxazolo-[3,4-d]-Pyridazin-7-(6H)-Ones as Potential Aldose Reductase Inhibitors**  
L Costantino\*, D Barlocco, G Rastelli, M P Giovannoni, V Dal Piaz, P Vianello and G Cignarella *Università Degli Studi Di Milano, Italy*

- P. 20 **3,8-Diazabicyclo-[3,2,1]-Octane Derivatives as Analogs of Ambastide, A Class III Antiarrhythmic Agent**  
S Villa\*, G Cignarella, D Barlocco, G J Papp, B Balati, A Varro and P Matyus *Università Degli Studi Di Milano, Italy*



- P. 21 **From Diazabicyclooctanes to Diazatricyclodecanes**  
P Vianello\*, G Cignarella, P Borea and P Fadda *Università Degli Studi Di Milano, Italy*
- P. 22 **Solution-Phase Combinatorial Synthesis of 2,6,9-Trisubstituted Purine Libraries.**  
M T Fiorini\* and C Abell *University of Cambridge, UK*
- P. 23 **Fused Aminotetralins: Novel Antagonists With High Selectivity for the Dopamine D<sub>3</sub> Receptor**  
S A Smith\*, K Y Avenell, I Boyfield, M C Coldwell, M S Hadley, M A M Healy, P M Jeffrey, C N Johnson, D J Nash, G J Riley, E E Scott, R Stacey, G Stemp and K M Thewlis *SmithKline Beecham Pharmaceuticals, UK*
- P. 24 **Synthesis and Cardiovascular Effects of 1,3,4-Thiadiazole Derivatives**  
J Reiter\*, J Balkóczy, G Szénási, K Meyeri, A Kovács, G Simig, P Trinka and G Berecz *EGIS Pharmaceuticals, Hungary*
- P. 25 **Non-Natural Analogues of Arginine and Lysine in Peptide-Based Drug Design**  
T A Dix\*, K J Kennedy, J T Lundquist IV, K P Kokko and T Simandan *Medical University of South Carolina, USA*
- P. 26 **Synthesis, Anticonvulsant and Anxiolytic Profile of Imidazolinones**  
K Unverferth\*, C Tober, H J Lankau, A Rostock, T Arnold and C Rundfeldt *ASTA Medica AG, Germany*
- P. 27 **Synthesis of Acetylcholine Mimics and Their Role as Uncompetitive Inhibitors of Esterases**  
C Whiteley\* *Rhodes University, South Africa*
- P. 28 **Synthesis and Biological Evaluation of a Novel Series of Potent Serotonergic Compounds**  
D Bózsing\*, I Jakoczi, I Simonek, G Németh, Gy Simig, Gy Lévy, K Tihanyi, I Gacsályi and É Schmidt *EGIS Pharmaceuticals Ltd, Hungary*
- P. 29 **Synthesis and Biological Activity of Novel Piperazines**  
D Bózsing\*, G Lax, I Jakoczi, R I Simonek, G Simig, G Levay, K Tihanyi, I Gacsályi, E Schmidt *EGIS Pharmaceuticals Ltd, Hungary*
- P. 30 **Potent Endothelin Receptor A-Selective Antagonists: Development of a Class of Polysubstituted Aryl Thiophenecarboxamides**  
C Wu\*, E Radford Decker, N Blok, H Bui, B Raju, R J Biediger, S Lin, R V Market, B Dupre, R A F Dixon, J A Brock and T P Kogan *Texas Biotechnology Corporation, USA*

- P. 31 **Synthesis and Antichagasic Properties of New 1,2,6-Thiadiazin-3,5-Dione 1,1-Dioxides<sup>1</sup>**  
R Di Maio\*, H Cerecetto, G Seoane, A Gómez-Barrio, S Muelas and C Ochoa *Universidad de la República, Uruguay*
- P. 32 **7-Substituted [1,6]Naphthyridin-2-Amines and Ureas - Potent and Selective Inhibitors of the FGFR-1 Receptor Tyrosine Kinase**  
A M Thompson\*, W A Denny, J M Hamby, C J C Connolly, A J Kraker, B Hart and H D H Showalter *The University of Auckland, New Zealand*
- P. 33 **Structure-Activity Relationships for Bis(Acridine-4-Carboxamides): A New Class of Mixed Topoisomerase I/II Inhibitors<sup>1</sup>**  
J A Spicer\*, S A Gamage, G J Atwell, G J Finlay, B C Baguley and W A Denny *The University of Auckland, New Zealand*
- P. 34 **Investigation of the Stereospecificity of the Histamine H<sub>3</sub>-Receptor With a Series of New Enantiomeric Ligands**  
J T Kovalainen\*, J A M Christaans, J Laitinen, L Tuomisto and J Gynther *University of Kuopio, Finland*
- P. 35 **<sup>1</sup>H NMR Study of Putative Intramolecular Hydrogen Bonding in Histamine H<sub>3</sub>-Receptor Agonists**  
J T Kovalainen\*, J Christaans, A Poso, J Vespäläinen, R Laatikainen and J Gynther *University of Kuopio, Finland*
- P. 36 **Synthesis and MDR-Modulating Activity of a Series of Benzopyran-6-Carbonitrils Structurally Related to Cromakalim**  
G Ecker\*, C Wolf, E Richter, U Sansenböcker, M Hitzler, P Chiba and W Fleischacker *University of Vienna, Austria*
- P. 37 **Artificial Neural Networks in Drug Design: Free-Wilson Analysis of Propafenone-Type Modulators of Multifdrug Resistance**  
G Ecker\*, C Tmej, P Chiba and W Fleischacker *University of Vienna, Austria*
- P. 38 **Influence of Structural Modifications of Conformationally Restricted Butyrophenones on 5-HT<sub>2A</sub>, 5-HT<sub>2B</sub> and 5-HT<sub>2C</sub> Receptors Selectivity**  
M I Loza\*, M I Gadavid, J M Calleja, H Tristán, E Gómez, M J Enquix, M Mancebo, M Villazón, C F Masaguer, E Lozoya, G Mengod, E Ravina and F Sanz *Universidad de Santiago, Spain*
- P. 39 **Conformationally Restricted Carbazole Butyrophenones as Potential Antipsychotic Agents and as Active Agents in the Serotonergic Neurotransmission**  
E Ravina\*, C F Masaguer, J A Fontenla, H Tristán and I Loza *Universidad de Santiago, Spain*

- P. 40 **Towards the Understanding of Species Selectivity and Resistance of Antimalarial DHFR Inhibitors**  
I T Christensen\*, T Lemcke and F S Jørgensen *Royal Danish School of Pharmacy, Denmark*
- P. 41 **Effect of 1,4-Dihydropyridine (DHP) Derivatives on Glucose Level in Normotensive Rats**  
G Duburs\*, J Briede, M Stivina, D Stoldere, E Bisenieks, J Uldriks, J Polikāns and N Makarova *Latvian Institute of Organic Synthesis, Latvia*
- P. 42 **Benzimidazole-2-Carboxylic Acid Derivatives with Affinity for 5-HT<sub>3</sub> Receptor Sites**  
A Orjales\*, P L López-Tudanca, I Tapia, R Mosquera, L Labeaga and L Alonso-Cires *FAES, Spain*
- P. 43 **A New Series of 2,3-Dihydro-2-Oxo-1H-Benzimidazole-1-Carboxamides with Affinity for the 5-HT<sub>4</sub> Receptor**  
A Orjales\*, L Alonso-Cires, P L López-Tudanca, R Mosquera, A Innerarity, L Labeaga and I Tapia *FAES, Spain*
- P. 44 **A Novel Series of Substituted 4-(Indol-3-yl) Piperidines with Combined 5-HT<sub>1A</sub> and 5-HT Re-Uptake Inhibitory Activity**  
B Andersson\*, C Sonesson, C Seyfried, H E Greiner, G D Bartoszyk and H Böttcher *Göteborg University, Sweden*
- P. 45 **Enzyme-Catalyzed Diels-Alder Reactions: Mevinolin Polyketide Synthase and Commercially Available Enzymes**  
K Auchair\* and J C Vederas *University of Alberta, Canada*
- P. 46 **The Design and Synthesis of Cycloheptylurea Inhibitors of Factor Xa**  
R A Galemmo, Jr., T P Maduskuie, C Dominguez, P F W Stouten, R S Alexander, B L Wells, K A Rossi, S Drummond, E A Hausner, M R Wright, R M Knabb, P C Wong and R R Wexler *DuPont Merck Pharmaceutical Company, USA*
- P. 47 **Poster Withdrawn**
- P. 48 **Preferred Conformation of Ace Inhibitors for Interaction With Their Binding Site**  
M Renko\* and M Smiesko *Comenius University, Slovakia*
- P. 49 **Cation Binding Effect on the Antiarrhythmic - Receptor Interaction**  
M Renko\* and B M Rode *Comenius University, Slovakia*

- P. 50 **Regulation of Pre-Activated Human CD4+ Lymphocytes Functional Characteristics by Guanine Derivatives**  
M Madre\*, N Osna, L Samoshenkova, E Gaina, A Sochnev, A Zviblene and R Zhuk *Latvian Institute of Organic Synthesis, Riga, Latvia*
- P. 51 **Homoharringtonine and Kaguasu as Anti-Oncogene Agents**  
Y Liu\* L Y Research Corporation, USA
- P. 52 **Potent 5-HT Reuptake Inhibitors With 5-HT<sub>1B/D</sub> Antagonistic Activity - New Potential Antidepressants**  
H Böttcher\*, C V Amsterdam, W Rautenberg, H Greiner, J Harting, C A Seyfried and L Matzen *Merck KGaA, Germany*
- P. 53 **Novel Stilbene Derivatives as LTD<sub>4</sub> Antagonists**  
D Mauleón\*, J Pascual, L Borràs, M Cabré, G González, X Monserrat, J A Andreu, M Fernández, M Gasull, A Palomer, A Carabaza, D Tost, F Cabré and M L García *Laboratorios Menarini, SA, Spain*
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# GENERAL INFORMATION

## REGISTRATION AND INFORMATION DESK

The registration desk is situated in Strathblane Hall on Level 0 adjacent to the main entrance to the Conference Centre. The Desk will be open from 12.00 – 18.00 on Sunday 6 September and will remain open during the week whilst the scientific sessions are in progress.

Any queries such as financial matters (not including ticket sales) will not be dealt with until lunchtime on Monday 7 September.

## MAIL AND MESSAGES

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## BADGES

Each participant has been supplied with a clip-on name badge. For security reasons, participants are asked to wear their badges at all times whilst in the EICC.

For the purpose of identification, the following colour scheme has been used:

Symposium Participants	Green
Executive Committee	Blue
Accompanying Persons	Peach
Stewards	Yellow
RSC Staff	Pink

Press *Lilac*  
Exhibitors *White*

## TELEPHONES

**Participants are kindly requested to switch off mobile phones during the Scientific Sessions.**

There are card and coin operated telephones located throughout the Centre. Incoming telephone calls will be received at the Information Desk. Messages will be taken but it will not be possible to summon participants to take incoming calls.

## GRATIS SHUTTLE BUS

The shuttle bus between Pollock Halls and the EICC will operate between the following times

**SUNDAY 6 SEPTEMBER**  
20.15 EICC to Pollock Halls

**MONDAY 7 SEPTEMBER**  
08.00 – 08.30 Pollock Halls to EICC

17.15 EICC to Pollock Halls  
18.45 EICC to Edinburgh Castle Reception  
18.45 Pollock Halls to Edinburgh Castle Reception

**TUESDAY 8 SEPTEMBER**  
08.00 – 08.30 Pollock Halls to EICC

13.45 – 14.15 EICC to Excursion Destinations  
On return journeys coaches will stop at Waverley Bridge and Pollock Halls  
NO RETURNS TO EICC

**WEDNESDAY 9 SEPTEMBER**  
08.00 – 08.30 Pollock Halls to EICC

17.15 EICC to Pollock Halls  
19.00 Pollock Halls to Assembly Rooms for Symposium Dinner  
19.15 Pollock Halls to assembly points for Pub Meals & Ghost Walks  
19.45 Pollock Halls to Fat Sam's for Pizza & Jazz  
Waverley Bridge to Fat Sam's for Pizza & Jazz

**THURSDAY 10 SEPTEMBER**  
08.00 – 08.30 Pollock Halls to EICC

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## **MEALS AND REFRESHMENTS**

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### **LUNCHESES**

The cost of lunches on Monday, Tuesday and Wednesday has been included in the Registration Fee for the meeting. All lunches should be taken in the Cromdale and Strathblane Halls at the times stated in the programme and admission is by ticket only.

The cost of lunches is not included in the fee for Accompanying Persons. A limited number of tickets may be on sale at the Registration Desk. (Cost: £17.50 per day).

Lunch on Thursday 10 September is an optional extra for all participants. A limited number of tickets may be on sale at the Registration Desk. (Cost: £17.50)

### **DINNERS**

Dinner on Sunday will take the form of a finger buffet with wine sponsored by Astra Charmwood at the EICC to which all active participants and accompanying persons are invited.

No formal arrangements have been made for dinner on Monday night because of the Reception at Edinburgh Castle sponsored by Cambridge Combinatorial. It is assumed that participants will make individual arrangements for eating.

Dinners for Pollock Hall residents on Tuesday and Wednesday, admission to which is governed by ticket only will be taken in the John McIntyre Centre at Pollock Halls. A limited number of tickets may be on sale at the Registration Desk. (£8.00 per day).

### **TEAS AND COFFEES**

Teas and coffees will be available in Strathblane and Cromdale Halls at the times specified in the scientific programme.

### **SPECIAL DIETS**

Special diets are being provided for those participants who have notified organisers in advance. Anybody who requires a special diet should identify themselves to the catering staff.

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## **EXHIBITION**

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There is an Exhibition associated with the Symposium. All registered participants are encouraged to visit the Exhibition, which will be located in the Cromdale Hall. Information on the companies present is included in the Registration pack. The organisers are grateful to these companies for their support of the symposium.

NB. THE EXHIBITION AND POSTERS WILL BE CLOSED ON THE AFTERNOON OF  
TUESDAY 8 SEPTEMBER, WHILST THE EXCURSIONS ARE IN PROGRESS.

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## **BOOK EXHIBITION**

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Apart from the scientific equipment exhibition, there will also be an exhibition of scientific books mounted in Strathblane Hall during the conference.

The Royal Society of Chemistry's Publications and Membership will have a stand throughout the meeting and this will be situated in Strathblane Hall.

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## **ABSTRACTS**

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A book of abstracts of all papers presented at the Symposium has been handed out to participants at registration.

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## **PUBLICATIONS**

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Proceedings of the plenary and invited lectures will be published by The Royal Society of Chemistry and should be available by Spring 1999. The proceedings will be sent to all registered participants.

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## **BUSINESS CENTRE**

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The EICC Business Centre is located in Strathblane Hall and offers a range of services including photocopying and faxing. Participants who wish to use these services should contact the Centre and settle any payments for services directly with the Business Centre staff. The Organisers of the Symposium will not be responsible for payment of work carried out by the Business Centre on behalf of participants.

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## **SPONSORS**

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The principal sponsor for the Symposium is Astra Charmwood whose support the Organisers would like gratefully to acknowledge.

Other sponsors whose support is gratefully acknowledged include

Biological and Medicinal Chemistry Sector of The Royal Society of Chemistry



British Biotech Pharmaceuticals  
 Cambridge Combinatorial  
 Carbohydrate Group of The Royal Society of Chemistry  
 Glaxo Wellcome  
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 Novartis  
 Organon  
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 Pfizer  
 Pharmacia & Upjohn  
 Rhône-Poulenc Rorer  
 Roche Products  
 Scotia Pharmaceuticals  
 SmithKline Beecham  
 Synthelabo Recherche (LERS)  
 Zeneca Pharmaceuticals

## COMMITTEES

### INTERNATIONAL ADVISORY COMMITTEE

M Allen, M Ashton, R Baker, P A Bartlett, S Benkovic, I Blagbrough, Sir Tom Blundell, W Bode, G Buchanan, S F Campbell, P Cohen, A Doherty, D Donati, A Ferscht, J B C Findlay, A W Ford-Hutchinson, T Kennakin, J-C Müller, A North, P Potter, B Porter, D H Rich, G Roberts, B C Ross, S Schreiber and B Testa.

### EXECUTIVE ORGANISING COMMITTEE

Derek Buckle, Malcolm Campbell, John Dixon, Nicola Durkan, John Gibson, Keith James, Peter Machin, Jean-Claude Müller, Barry Porter, Barry Ross and Elaine Wellingham.

### RSC SECRETARIAT

Nicola Durkan, John Gibson, Diana Hort, Jane Irvine, Penny Mohamed, Nicole Morgan, Shazia Riaz and Andrea Taylor.

## WHAT'S ON AND WHERE

The following is intended as a guide to which rooms within the Conference Centre are being used and for what purpose. Detailed timings for those being used for the scientific sessions can be found in the section devoted to the Scientific Programme.

### ★ LEVEL -2

#### CROMDALE HALL

Exhibition  
Posters  
 Teas/ Coffees  
 Lunches

### ★ LEVEL 0

#### STRATHBLANE HALL

Registration/Information Desk  
 Business Centre  
 Lunches  
 Book Exhibition  
 RSC Publications & Membership  
Posters  
 Teas/Coffees  
 Accompanying Persons Coffee Morning

#### LOMOND SUITE

#### LOMOND FOYER

### LEVEL 1

#### OCHIL 1

#### OCHIL 2

#### OCHIL 3

#### CARRICK SUITE

#### HARRIS SUITE

### LEVEL 3

#### PENTLAND SUITE

#### PENTLAND AUDITORIUM

Slide Preview Room  
 Press Office  
 Meeting/Conference Room  
 Meeting/Conference Rooms  
 Meeting/Conference Rooms

All Plenary Lectures

Session A.1 – A.3

Session A.4 – A.6

Session E.1 – E.5

Session H.1 – H.3

Session I.4 – I.5 & SL

Session B.1 – B.3

Session B.4 – B.6

Session D.1 – D.3

Session A.7 – A.10

Session I.1 – I.3

Session H.4 – H.7

Session C.1 – C.3

Session C.4 – C.6

Session F.1 – F.4

Session G.1 – G.3

Session G.4 – G.6

#### SIDLAW AUDITORIUM

#### FINTRY AUDITORIUM

## SOCIAL PROGRAMME

Tickets for social events have been issued to those participants who requested them via the Application Form. A limited number of tickets for some events may be available from the Registration Desk.

The number of places available for the Tuesday and Wednesday social events was limited and tickets have been allocated on a 'first come first served' basis. Where a second choice was given we have reallocated persons to this. In the event of no reallocation being possible, a refund can be collected from the Registration/Information Desk during the Meeting. We apologise for any disappointment this may cause.

### ENTRANCE FOR ALL EVENTS IS BY TICKET ONLY

#### EVENING EVENTS

##### SUNDAY 6 SEPTEMBER

**WELCOME BUFFET RECEPTION AT THE EICC**  
*Sponsored by Astra Charmwood*

18.30  
Tickets: Grats

Following the Opening and Plenary Lectures, a Welcome Buffet will be held in Cromdale Hall.

##### MONDAY 7 SEPTEMBER

**WINE RECEPTION AT EDINBURGH CASTLE**  
*Sponsored by Cambridge Combinatorial*

19.00-20.45  
Tickets: Grats

Coaches will depart from outside the EICC and from Pollock Halls at 18.45.

#### Participants are advised

- i) Due to security at the Castle participants will not be allowed to enter the Reception without a ticket.
- ii) The Reception will be mainly outside and the road leading up to the Castle is cobbled so suitable clothing should be worn (ie stiletto heels are not advised).

##### WEDNESDAY 9 SEPTEMBER

**SYMPOSIUM DINNER AT THE ASSEMBLY ROOMS**

19.15 for 19.45  
Tickets: £55.00

Coaches will depart from Pollock Halls at 19.00.

##### **PUB MEAL & GHOST WALK**

19.30  
Tickets: £25.00

Participants should meet at 19.30 at the designated meeting points given below. Guides will be responsible for collecting individual groups, using a colour-coded ticket system.

Bannermans  
The Bank  
The Beehive  
Biddy Mulligans

Outside the Crowne Plaza Hotel on The Royal Mile  
Outside the Crowne Plaza Hotel on The Royal Mile  
Outside the Apex Hotel in The Grassmarket  
Outside the Apex Hotel in The Grassmarket

Coaches will depart from Pollock Halls at 19.15.

##### **PIZZA AND JAZZ AT FAT SAM'S**

20.00  
Tickets: £20.00 (includes one-way transfer only)

"Ribs and Wings", Pizza and a live band in Fat Sam's Downtown Diner. Lively atmosphere guaranteed!

Coaches will depart from Pollock Halls and Waverley Bridge at 19.45.

#### TUESDAY AFTERNOON AND EVENING EXCURSIONS

As already indicated, tickets are required for all social events, which need to be surrendered to the Duty Steward(s) at the functions.

The times quoted are the departure times of the coaches from outside the EICC. Participants are requested to assemble 5 minutes before the scheduled time of departure.

A limited number of tickets may be still on sale at the Registration Desk.

#### PACKED LUNCHES WILL BE AVAILABLE FOR ALL THOSE ON EXCURSIONS E AND G

#### AFTERNOON ONLY TOURS

##### **EXCURSION A GLASGOW**

14.00  
Tickets: £25.00

An afternoon visit to Scotland's largest city to include a City Tour, a visit to the twelfth century St Mungo's Cathedral, and free time for shopping in glamorous Princes Square.



**EXCURSION B**  
**GLENTURRET DISTILLERY**

**14.15**  
Tickets: £29.00

A drive past Stirling Castle to Glenturret Distillery, nestling in the foothills of the Highlands. After a tour of the distillery and a tasting of three different ages of Malt Whisky, return to Edinburgh via the Ochil Hills and the Forth Road Bridge.

**EXCURSION C**  
**EDINBURGH CRYSTAL & GLENKINCHIE DISTILLERY**

**14.15**  
Tickets: £29.00

Drive south of Edinburgh to Penicuik to see how the famous Edinburgh Crystal is blown and out. Continue through the rolling East Lothian countryside to visit the Glenkinchie Distillery where you can tour the distillery and have whisky tasting before returning to Edinburgh.

**EXCURSION D**  
**GOLF**

**14.15**  
Tickets: £50.00

Tee times have been prereserved at Dalmahoy, a Championship Course on the outskirts of Edinburgh. (*Golf trolley and buggies can be hired on the spot and clubs can be reserved by prior arrangement*).

**AFTERNOON AND EVENING TOURS WITH DINNER**

**EXCURSION E**  
**SCENIC TOUR WITH DISTILLERY VISIT & CRUISE**

**13.45**  
Tickets: £44.00

Drive north past Stirling Castle to visit Glenturret, one of Scotland's oldest distilleries deep in the Perthshire hills. After a tour and a "wee dram", drive through the Trossachs, a picturesque region of lakes and hills, to Loch Lomond where there will be time for a short cruise on the Loch before dinner.

**EXCURSION F**  
**GREAT HOUSES OF THE BORDERS**

**14.00**  
Tickets: £44.00

Visit two great eighteenth century mansions in the rolling Borders region, south of Edinburgh – both designed by the famous Adam family – Floors Castle, home of the Duke of Roxburghe and location for the film 'Greyhound', and Mellerstoun House with exceptionally beautiful interior decoration and plasterwork. Dinner at Dryburgh Abbey Hotel, a secluded country house hotel set on a bluff above the River Tweed.

**EXCURSION G**  
**GLAMIS CASTLE AND ST ANDREWS**

**13.45**  
Tickets: £44.00

An afternoon visit to Glamis Castle, childhood home of Queen Elizabeth the Queen Mother. The castle dates mainly from the seventeenth century and has many connections with Scottish royalty including King Duncan, murdered by Macbeth. Dinner on the way back at the University town of St Andrews where there will be time to visit the famous golf course.

**EXCURSION H**  
**FALKLAND PALACE**

**14.00**  
Tickets: £44.00

An afternoon visit to sixteenth-century Falkland Palace, hunting lodge of the Stuart Kings, set in the heart of Fife countryside in a historic small market town. Continue to the University Town of St Andrews where there will be time to explore the town and visit the famous golf course before dinner.

**ACCOMPANYING PERSONS PROGRAMME**

**COFFEE MORNING & TALK FOLLOWED BY CITY TOUR**  
Lomond Foyer

**09.00**  
Tickets: *Gratis*

*Coaches for the City Tour will depart at 10.00 from the outside the EICC.*

# SUMMARY TIME TABLE

## SUNDAY 6 SEPTEMBER

16.00 OPENING  
16.30 P1.1 C VENTER  
17.30 P1.2 P WENDER

## MONDAY 7 SEPTEMBER

09.00 P1.3 P BARTLETT  
COFFEE  
10.00  
10.30 A: New Technologies  
A.1 W GUIDA  
11.15 A.2 S FESIK  
12.00 A.3 N SIGAL  
12.45 LUNCH  
14.00 A.4 M MURCKO  
14.45 A.5 E PETRILLO  
15.30 A.6 M VARNEY  
16.00  
16.20 TEA AND POSTERS  
16.30  
17.00  
B: Ion Channels  
B.1 P LEESON  
B.2 N UNWIN  
B.3 I BLAGBROUGH  
B.4 P KROGSGAARD-LARSEN  
B.5 A NORTH  
C: Intracellular Signalling  
C.1 A LEWIS  
C.2 P DOWNES  
C.3 D GANI  
C.4 D MOFFAT  
C.5 J ADAMS  
C.6 A BRIDGES  
D: Glycine Antagonists  
D.1 D JANE  
D.2 G GAVIRAGHI  
D.3 R DI FABIO  
TEA AND POSTERS

## TUESDAY 8 SEPTEMBER

09.00 P1.4 R RAMAGE  
COFFEE  
10.00  
10.30 A: New Technologies  
A.7 R ARMSTRONG  
11.05 A.8 L WEBER  
11.40 A.9 S KALDOR  
12.15 A.10 D POPPINGER  
12.50  
13.00 LUNCH  
13.25  
E: Predicting DMPK  
E.1 D SMITH  
E.2 B TESTA  
E.3 M BERTRAND  
E.4 N VERMEULEN  
E.5 C LIPINSKI  
F: Growth Factors  
F.1 A BARKER  
F.2 J LUENGO  
F.3 W DOWER  
F.4 J MINER  
LUNCH

## WEDNESDAY 9 SEPTEMBER

09.00 P1.5 H KUNZ  
COFFEE  
10.00  
10.30 G: Glycochemistry/Glycobiology  
G.1 E HOUNSELL  
11.15 G.2 P-E JANSSON  
12.00 G.3 S HOMANS  
12.45 LUNCH  
14.00 G.4 K BOCK  
14.45 G.5 C M DREEF-TROMP  
15.30 G.6 BERNST  
16.15  
16.20 TEA AND POSTERS  
17.00  
H: ZTM Receptors  
H.1 D HORWELL  
H.2 B LAGU  
H.3 S BROMIDGE  
H.4 T SCHWARTZ  
H.5 D MIDDLETON  
H.6 F INCE  
H.7 M WYTHES  
I: Protease Inhibition  
I.1 D VEBER  
I.2 M VENUTI  
I.3 B ROQUES  
I.4 A McELROY  
I.5 P DRAGOVICH  
SL: R SILVERMAN  
TEA & POSTERS

## THURSDAY 10 SEPTEMBER

09.00 P1.6 S LEY  
COFFEE  
10.00  
10.30 P1.7 Sir Tom BLUNDELL  
11.30 P1.8 D RICH  
12.30 CLOSING REMARKS