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## Organic Division: Heterocyclic and Synthesis Group

Web Site: <http://www.rsc.org/Membership/Networking/InterestGroups/Heterocyclic/index.asp>



### The Nineteenth Lakeland Symposium, Grasmere



#### Heterocyclic and Synthesis Group Grasmere Meeting, 7-11th May, 2009. Second Circular

The programme will include plenary lectures from Professor Jonathan Ellman (Berkeley, USA), Professor David MacMillan (Princeton, USA), Professor Mark Lautens (Toronto, Canada), Professor John Hartwig (Illinois, USA), Professor Peter Kündig (University of Geneva, Switzerland), Professor Carman Nájera (Alicante, Spain) and Professor Tim Gallagher (Bristol, UK); Professor Malcolm Stevens (Nottingham, UK) will deliver the 9th "Professor Charles Rees Lecture", Professor Jonathan Clayden (Manchester, UK) will deliver the 2nd "Young Heterocyclic Chemist Lecture". The plenary speakers will be supported by oral and poster contributions from registered delegates and confirmed speakers include Dr Stevan Djuric (Abbott Laboratories), Professor Bob Gawley (University of Arkansas), Professor Franklin Davis (Temple University), Dr Olivier Loiseleur (Syngenta), Professor Peter O'Brien (University of York) and Dr David Rees (Astex Therapeutics). Other speakers will be selected from the registered delegates and details of the submission deadline and a sample abstract appear below.

The scientific programme will run in the mornings and evenings (starting on the evening of the 7<sup>th</sup> and concluding on the morning of the 11<sup>th</sup>) with the afternoons free for discussions, networking and exploring the surrounding mountains.

Accommodation (full board) will be in the Wordsworth, Red Lion and Rothay Garden Hotels in the centre of Grasmere village, one of the most picturesque places in the UK. The scientific sessions will be held in the Grasmere Village Hall. Accompanying persons are especially welcome at this meeting. The total number of participants will be limited to around 140 in order to maintain the informal atmosphere.

***The Grasmere Meeting is extremely popular and early registration is advised – a registration form is attached. Please register as soon as possible. For those who registered after the first circular, please read the attached information about accommodation choices.***

***Note the final deadline for registration and accommodation choices is March 19<sup>th</sup> 2009.***

***The final deadline for oral abstracts (incl. registration and accommodation choices) is February 28<sup>th</sup> 2009.***

***The final deadline for poster abstracts is 19<sup>th</sup> March 2009.***

***The final deadline for student bursary applications (includes a poster abstract) is February 28<sup>th</sup> 2009.***

## **Registration Form for Grasmere, 7-11th May, 2009**

The registration fee for full participants is £140 for members of the Heterocyclic and Synthesis Group and for post-doctoral fellows, £190 for non-members of the Heterocyclic and Synthesis Group, £240 for non-members of the RSC, and £40 for students, retired or unemployed RSC members. Note that there is no registration fee for accompanying persons. Accommodation details are listed below and the packages cover full board from the Thursday evening (dinner) to the Monday (lunch) inclusive of VAT.

A deposit of £100 per person for the accommodation costs will be required with the registration fee. The balance for the board and accommodation costs will be payable directly to your Hotel on departure. Full details of Hotel costs are attached. ***The deadline for registrations will be March 19<sup>th</sup> 2009, but please note that this meeting usually fills before the deadline.*** Potential oral contributors should submit their registration form and abstract by February 28<sup>th</sup> 2009. Those wishing to contribute a poster should submit their abstracts with their registration form by March 19<sup>th</sup> 2009. All abstracts should be submitted electronically to [k.hemming@hud.ac.uk](mailto:k.hemming@hud.ac.uk) and should follow the style shown in the attached "sample abstract". ***A limited number of PhD student bursaries will be available at a TOTAL cost of £240 inclusive of accommodation and registration.*** Please indicate on the attached form if you are a student and wish to be considered for a bursary. Bursars must present a poster at the poster session. Successful bursars will be chosen on the basis of the poster abstract submitted. Potential bursars must submit their poster abstract by February 28<sup>th</sup> 2009. The cost for students otherwise is £40 for the registration plus accommodation costs.

**Name (and title):**

**Name of accompanying person (if applicable):**

**Affiliation** (e.g. name of company, university etc):

**Address:**

**E-mail:**

**Phone:**

**Registration Fees and Payments:** I enclose my remittance as indicated:

RSC Heterocyclic and Synthesis Group Member/Post-docs	£140
Non-member of RSC Heterocyclic and Synthesis Group	£190
Non-member of RSC	£240
PhD Students, Retired or Unemployed Members	£40
Accommodation deposit (per person)	£100

### **TOTAL**

Please return this form and a cheque for the Registration Fee and Accommodation Deposit to: **Dr Karl Hemming, Division of Chemistry, University of Huddersfield, Huddersfield, HD1 3DH, UK.**

Cheques should be made payable to 'Heterocyclic Group'. The Heterocyclic and Synthesis Group is not registered for VAT.

If you require an invoice, a receipt or details about BACS payment please contact [k.hemming@hud.ac.uk](mailto:k.hemming@hud.ac.uk)

### ***Accommodation:***

The accommodation will be at the Red Lion, Wordsworth and Rothay Garden Hotels. For more information, please visit their web sites. Regulars will note the very modest price increases from 2007 costs (£5 per day) at the Red Lion and Wordsworth. The Rothay Garden has undergone a major recent upgrade to “four star” status but have managed to either maintain or reduce prices compared to the 2007 level (with the exception of the suites). Please contact me on [k.hemming@hud.ac.uk](mailto:k.hemming@hud.ac.uk) if you have any queries regarding accommodation.

Please indicate on the attached form your first three preferences for accommodation.

Red Lion: <http://www.grasmereredlionhotel.co.uk>  
Wordsworth: <http://www.grasmere-hotels.co.uk/>  
Rothay Garden: <http://www.rothaygarden.com>

Prices (which include *full board* from the Thursday evening (dinner) to the Monday (lunch) inclusive of VAT) will be as follows:

Wordsworth	singly occupied double/twin room	£600
	twin/double shared, per person	£480
	single (very few)	£480
Red Lion	singly occupied double/twin room	£510
	twin/double shared, per person	£390
	single (very few)	£390
Rothay Garden	singly occupied double/twin room	£568 and £688
	double shared, per person	£408 and £488
	twin shared, per person	£408 and £488
	Suite, per person	£808(single)/£568(double)

Please indicate on the attached form your first three preferences for accommodation.

Please indicate on the same form if you wish to attend the Banquet. For those who wish to attend the banquet on the Sunday evening, the cost (£49.50 per head, inclusive of wines) will be deducted from the accommodation deposit. Registered accompanying persons will be guests of the Group at the banquet at no charge.

We shall try to arrange that all participants are given the accommodation of their choice. You will be contacted if this is not possible. The majority of rooms in all the hotels are twin, and it will be necessary to ask some to share or otherwise take a more expensive double room. We are always under pressure from the hoteliers to avoid this wasteful use of their accommodation, so *volunteers to share rooms - particularly amongst participants from the same institution/company - are most welcome* and make the Secretary's job easier and your costs much lower! *Please return the registration/accommodation information requested in this circular to me as soon as possible, and to reach me no later than 19th March 2009, indicating your preference for the various types of accommodation.*

As for previous Grasmere meetings, participants will be asked to settle the balance of their accommodation costs directly with the hotels before leaving Grasmere. This will avoid the necessity for us to register for VAT. A statement of the balance will be given to each participant when confirmation of room allocation is sent out. The major credit cards will be acceptable.

**Form for Accommodation Choice, Banquet Booking and Student Bursary Application**

**Name (and title):**

**Name of accompanying person:**  
(if applicable)

**Affiliation** (e.g. name of company, university etc):

**Address:**

**Accommodation choice (Please list 1<sup>st</sup>, 2<sup>nd</sup>, 3<sup>rd</sup> or state “any” if you have no preference)**

<b>Hotel</b>	<b>Room Type</b>	<b>Cost per person</b>	<b>Preference</b>
Wordsworth	singly occupied double/twin room	<b>£600</b>	
Wordsworth	twin/double shared, per person	<b>£480</b>	
Wordsworth	single (very few)	<b>£480</b>	
Red Lion	singly occupied double/twin room	<b>£510</b>	
Red Lion	twin/double shared, per person	<b>£390</b>	
Red Lion	single (very few)	<b>£390</b>	
Rothay Garden	singly occupied double/twin room	<b>£568 or £688</b>	
Rothay Garden	twin/double shared, per person	<b>£408 or £488</b>	
Rothay Garden	Suite single occupancy	<b>£808</b>	
Rothay Garden	Suite double occupancy, per person	<b>£568</b>	
<b>“any”</b>		<b>£390 - £808</b>	

**Conference Banquet (Sunday – no dinner in hotels): please include me on the banquet list    Yes / No**  
(the cost of this [£49.50] will be deducted from the accommodation deposit)

*Please insert here any special dietary requirements that you have:*

**PhD students only:**

I wish to be considered for a student bursary,  
TOTAL cost £240 (includes all meals and accommodation) \_\_\_\_\_ (please tick)

**(potential bursars:** please submit your abstract [see the attached sample] electronically to [k.hemming@hud.ac.uk](mailto:k.hemming@hud.ac.uk) by February 28<sup>th</sup> 2009 – you will be informed of the outcome within two weeks of that date. Please indicate here if you are willing to attend if your application for a bursary is unsuccessful – the cost will be £40 plus accommodation cost as listed in the table above:    **YES / NO**).

## Outline of Grasmere 2009, the 19th Lakeland Heterocyclic Symposium, 7-11th May 2009

### Thursday 7th May, 2009

14.00-17.45	Delegate Registration (Wordsworth Hotel Foyer)
17.45	<i>Dinner in your hotel</i>
19.45-21.15	Scientific Session, Village Hall
21.15	Wine and Beer Mixer (Red Lion Hotel)

### Friday 8th May

08.00 onwards	<i>Breakfast in your hotel</i>
09.10-10.45	Scientific Session, Village Hall
10.45-11.15	Coffee, Village Hall
11.15-12.45	Scientific Session, Village Hall
13.00	<i>Lunch in your hotel</i>
afternoon free	
17.45	<i>Dinner in your hotel</i>
19.45-20.45	Scientific Session, Village Hall
20.45-23.00	Poster Session (Wordsworth Hotel)

### Saturday 9th May

08.00 onwards	<i>Breakfast in your hotel</i>
09.10-10.45	Scientific Session, Village Hall
10.45-11.15	Coffee, Village Hall
11.15-12.45	Scientific Session, Village Hall
13.00	<i>Lunch in your hotel</i>
afternoon free	
17.45	<i>Dinner in your hotel</i>
19.45-21.15	Scientific Session, Village Hall including Charles Rees Lecture

### Sunday 10th May

08.00 onwards	<i>Breakfast in your hotel</i>
09.10-10.45	Scientific Session, Village Hall
10.45-11.15	Coffee, Village Hall
11.15-12.45	Scientific Session, Village Hall
13.00	<i>Lunch in your hotel</i>
afternoon free:	Walk to Borrowdale
19.15 for 19.45	Conference Banquet
	<i>No dinner available in your hotel</i>

### Monday 11th May

08.00 onwards	<i>Breakfast in your hotel</i>
09.10-10.15	Scientific Session, Village Hall
10.15-10.45	Coffee, Village Hall
10.45-12.30	Scientific Session, Village Hall
12:30	<i>Lunch in your hotel</i>

## **ADDITIONAL INFORMATION.**

### ***Poster Session:***

There will be a poster session in the Wordsworth Hotel on Friday, after the evening lecture session. We are offering to all delegates the opportunity to submit posters; those interested in submitting a poster should email a one-page abstract (Word or pdf) based on the enclosed sample abstract, ***to reach me no later than 17th March (or 28<sup>th</sup> February for student bursary applicants)***. As we are limited to a maximum of 40 posters, final choice will be made by the organising committee and the abstracts for successful poster entrants will be included in the meeting abstract booklet.

### ***Social Activities:***

The usual format of the meeting will be maintained with lectures in the mornings and evenings and afternoons free to discuss chemistry, relax or to explore the surrounding hills. There will be a wine and beer reception in the Red Lion on the Thursday evening and the banquet will be held in the Wordsworth on the Sunday.

The central location of the village allows the possibility of a variety of outdoor activities during the afternoons. These range from a stroll by the Lake, to more demanding adventures above the 900m contour for which, of course, sturdy footwear and waterproof clothing (!) are essential. No organised excursions have been arranged, but some fellwalking 'opportunities' will be available, led by one of our regular delegates, and it is hoped to hold the traditional 'Katritzky Memorial Walk' on the Sunday afternoon. Those new to Grasmere can be assured of a warm invitation to join in.

An informal programme of activities for accompanying persons will also be arranged.

### ***Travel:***

The easiest way to reach Grasmere is to drive and there is ample parking at all of the hotels.

If travelling by air, by far the most convenient airport is Manchester Airport. From here, it is possible to take the train directly from the airport to Windermere. The journey time is 2 hours and there are direct trains every 2 hours through the day. Alternatively, it is possible to take other trains from the airport but it will be necessary to change at Manchester Piccadilly, Preston or Oxenholme (Lake District) and the journey time will be up to 3 hours.

If travelling by train from the north or south (or from airports other than Manchester), it is necessary to travel to Windermere by changing trains at Preston or Oxenholme (Lake District).

For the ~9 mile journey from Windermere to Grasmere, there are regular buses with a journey time of 35 minutes typically (see website below for bus times). Alternatively, it is possible to travel by taxi although this will be considerably more expensive.

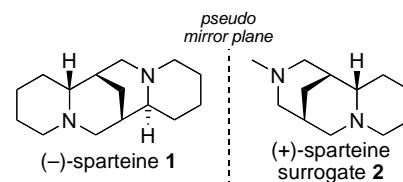
# Back to Basics: Catalytic Asymmetric Synthesis using Organolithiums

Dr Peter O'Brien [paobl@york.ac.uk](mailto:paobl@york.ac.uk)

Department of Chemistry, University of York, Heslington, York YO10 5DD, UK

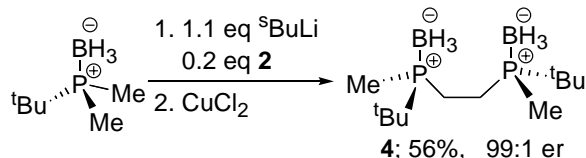
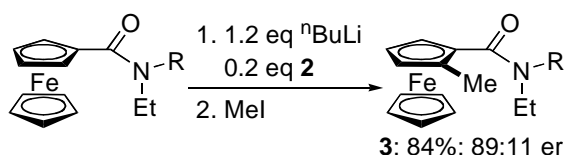
## Background

The chiral base derived from *s*-BuLi and (–)-sparteine **1** is widely used as a stoichiometric reagent in asymmetric synthesis.<sup>1</sup> We have recently introduced an easily synthesised (+)-sparteine surrogate **2**<sup>2,3</sup> which behaves in an enantiocomplementary fashion to (–)-sparteine.<sup>2,4</sup> Currently, we are developing catalytic asymmetric deprotonation methodology using organolithium reagents and sub-stoichiometric amounts of **1** and **2**.



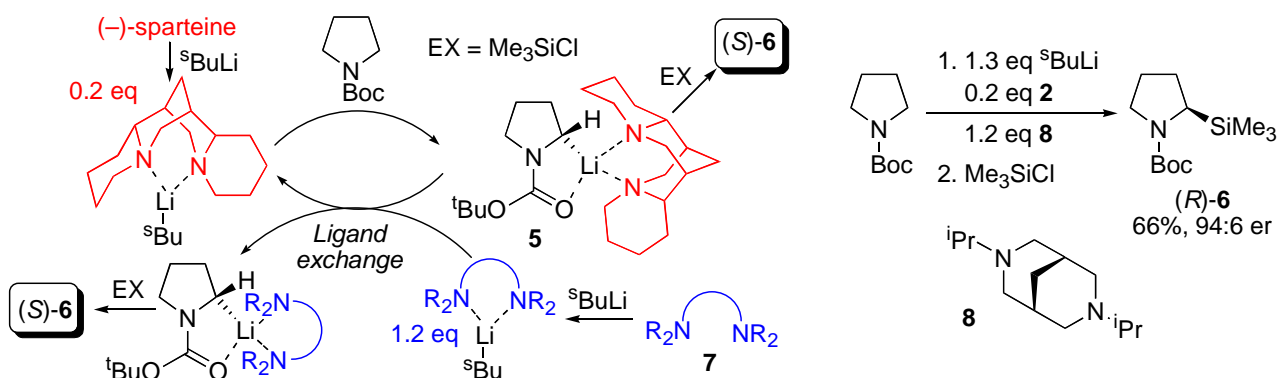
## One-ligand catalytic asymmetric deprotonation

We have recently found two deprotonation reactions that can be carried out successfully using *n*-BuLi or *s*-BuLi with sub-stoichiometric amounts of diamines **1** and **2**. Thus, ferrocene amide **3** and bisphosphine borane **4** can each be generated with high enantioselectivity (essentially the same as the stoichiometric versions) using only 0.2 equiv. of the (+)-sparteine surrogate **2**.<sup>5</sup> Compounds **3** and **4** are precursors to important chiral catalysts and we have thus developed catalytic asymmetric synthesis of chiral catalysts.



## Two-ligand catalytic asymmetric deprotonation (ligand exchange approach)

Unfortunately, the one-ligand approach to catalytic asymmetric deprotonation is not always successful. In some cases (notably *N*-Boc pyrrolidine and *O*-alkyl carbamates), the chiral diamine is not recycled presumably as it does not dissociate from the lithiated intermediate **5**. For this reason, we developed a novel ligand exchange approach as outlined below for (–)-sparteine **1**. The key design feature is that the second diamine **7** (which is needed to recycle the (–)-sparteine) must not produce a reactive base when complexed with *s*-BuLi and sterically hindered bis-isopropyl bispidine **8** proved optimal in this regard. Thus, using *s*-BuLi with 0.2 equiv. diamine **2** and 1.2 equiv. diamine **8**, we achieved a catalytic asymmetric Beak reaction to give (*R*)-**6** of 94:6 er.<sup>6</sup>



1 Hoppe, D.; Hense, T. *Angew. Chem. Int. Ed. Engl.* **1997**, *36*, 2282.

2 Dearden, M. J.; Firkin, C. R.; Hermet, J.-P. R.; O'Brien, P. *J. Am. Chem. Soc.* **2002**, *124*, 11870.

3 Dixon, A. J.; McGrath, M. J.; O'Brien, P. *Org. Synth.* **2006**, *83*, 141.

4 (a) Dearden, M. J.; McGrath, M. J.; O'Brien, P. *J. Org. Chem.* **2004**, *69*, 5789. (b) Genet, C.; McGrath, M. J.; O'Brien, P. *Org. Biomol. Chem.* **2006**, *4*, 1376.

5 Genet, C.; Canipa, S. J.; O'Brien, P.; Taylor, S. *J. Am. Chem. Soc.* **2006**, *128*, 9336.

6 McGrath, M. J.; O'Brien, P. *J. Am. Chem. Soc.* **2005**, *127*, 16378.