



**13<sup>th</sup> Swiss Course on Medicinal Chemistry in Leysin**  
**October 7 to 12, 2018**

# Program

Sunday, October 7 <sup>th</sup>			
time slot	speaker	topic	location
16.00 – 18.00	Course check in		
18.00 – 20.00	welcome reception, dinner		
20.00 – 20.15	Dr. Gerhard Müller	Welcome housekeeping introduction into program introduction into tutorials	auditorium
20.15 – 21.00	Dr. Gerhard Müller	Medicinal Chemistry, a personal view	auditorium



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Monday, October 8 <sup>th</sup>			
time slot	speaker	topic	Location
08.00 – 09.00	1	<b>Karin Briner</b> : To be announced	Auditorium
09.00 – 10.00	2	<b>Adrian Whitty</b> : Macrocycles and Other Beyond Rule-of-5 Chemotypes: Opportunities and Challenges	Auditorium
<b>10.00 – 10.30</b>	<b>Coffee break</b>		
10.30 – 11.30	3	<b>Andrea Decker</b> : "Drug-Likeness": Physicochemical Properties in Small-Molecule Drug Discovery	Auditorium
11.30 – 12.30	4	<b>Hugo Kubinyi</b> : ADME/Tox Problems? Rescue Your Drug	Auditorium
<b>12.30 – 14.00</b>	<b>Lunch</b>		
14.00 – 15.00	5	<b>KH Altmann</b> : Natural Product – Based Drug Discovery	
15.30 – 17.45	T1+T2	T1 S. Gremmen/J.Slootweg/ M. Carneiro: Hit Finding in Today's Target Space T1 M. Eerland/C. Commandeur: From Hit to New Drug	To be announced
15.30 – 17.45	T3+T4	T2 A. Mortlock: Developing a Lead T2 N. Meanwell/G. Commandeur: Applications of Bioisosteres in Drug Design	To be announced
15.30 – 17.45	T5+T6	T3 M. Whittaker/M. Mazanetz: Fragment Based Drug Discovery J.Vicklund/F. Karlsson: Structure-Based Drug Design	To be announced
18.00 – 19.00	6	<b>Gerhard Klebe</b> : To be announced	Auditorium



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<b>19.00 – 20.30</b>	<b>Dinner</b>		
20.30 – 21.30	7	<b>Jason McCartney:</b> Discovery of CFTR Modulators for Cystic Fibrosis	Auditorium
<b>Tuesday, October 9<sup>th</sup></b>			
<b>time slot</b>	<b>speaker</b>	<b>topic</b>	<b>Location</b>
08.00 – 09.00	8	<b>Gerhard Mueller:</b> Medicinal Chemistry Targeted Towards the Kinase Family	Auditorium
09.00 – 10.00	9	<b>Andrew Mortlock:</b> Targeting the hallmarks of cancer – medicinal chemistry beyond the kinome	Auditorium
<b>10.00 – 10.30</b>	<b>Coffee break</b>		
10.30 – 11.30	10	<b>Tjeerd Barf:</b> Irreversible Kinase Inhibitor Drugs	Auditorium
<b>11.30 – 13.00</b>	<b>Lunch</b>		
13.00 – 15.15	T1+T2	T1 S. Gremmen/J.Slootweg/M. Carneiro: Hit Finding in Today's Target Space T1 M. Eerland/C. Commandeur: From Hit to New Drug	To be announced
13.00 – 15.15	T3+T4	T2 A. Mortlock: Developing a Lead T2 N. Meanwell/G. Commandeur: Applications of Bioisosteres in Drug Design	To be announced
13.00 – 15.15	T5+T6	T3 M. Whittaker/M. Mazanetz: Fragment Based Drug Discovery J.Vicklund/F. Karlsson: Structure-Based Drug Design	To be announced
<b>15.30 – 23.30</b>	<b>Special event: Guided tour and wine tasting in Aigle Castle, course dinner</b>		



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Wednesday, October 10 <sup>th</sup>			
time slot	speaker	topic	Location
08.00 – 09.00	11	<b>Peter Tonge</b> : The Role of Drug-Target Kinetics in Drug Discovery	Auditorium
09.00 – 10.00	12	<b>Chun Wa Chung</b> : Getting biophysical-understanding MMOAs to help us find better drugs faster	Auditorium
<b>10.00 – 10.30</b>	<b>Coffee break</b>		
10.30 – 11.30	13	<b>Gregg Siegal</b> : Application of Biophysics in Modern Drug Discovery: Fragments to Structure	Auditorium
11.30 – 12.30	14	<b>Jonathan Mason</b> : GPCR Drug Design: A torrent of new approaches makes full structure-based drug design a reality, from fragment-based lead generation through the key role of waters to the prediction of binding affinity	Auditorium
<b>12.30 – 14.00</b>	<b>Lunch</b>		
14.00 – 15.00	15	<b>Alex Hird</b> : Discovery and Synthesis of a Series of Macrocyclic Indole Acids as Inhibitors of Mcl-1	Auditorium
15.00 – 16.00	16	<b>Nick Meanwell</b> : The Discovery of HCV NS5A Replication Complex Inhibitors Leading to Daclatasvir	Auditorium
<b>16.30 – 17.30</b>	<b>Bus ride to Bex</b>		
<b>18.00 – 20.00</b>	<b>Visit of the salt mines</b>		



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<b>20.00 – 22.00</b>	<b>Dinner underground</b>
<b>22.30 – 23.30</b>	<b>Bus ride back to Leysin</b>

<b>Thursday, October 11<sup>th</sup></b>			
<b>time slot</b>	<b>Speaker</b>	<b>topic</b>	<b>location</b>
08.00 – 09.00	17	<b>Andy Phillips</b> : Targeted Protein Degradation	auditorium
09.00 – 10.00	18	<b>Konrad Bleicher</b> : Nucleic Acid Therapeutics – A Medicinal Chemist's Perspective	auditorium
<b>10.00 – 10.30</b>	<b>Coffee break</b>		
10.30– 11.30	19	<b>Matthew Lamarche</b> : Allosteric Inhibition of SHP2 Phosphatase	auditorium
11.30 – 12.30	20	<b>Brian Shoichet</b> : Colloidal Aggregation and Chemical Space in Early Discovery	auditorium
<b>12.30 – 14.00</b>	<b>Lunch</b>		
14.30 – 17.00	T1+T2	T1 S. Gremmen/J.Slootweg/M. Carneiro: Hit Finding in Today's Target Space T1 M. Eerland/C. Commandeur: From Hit to New Drug	To be announced
14.30 – 17.00	T3+T4	T2 A. Mortlock: Developing a Lead T2 N. Meanwell/G. Commandeur: Applications of Bioisosteres in Drug Design	To be announced



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14.30 – 17.00	T5+T6	T3 M. Whittaker/M. Mazanetz: Fragment Based Drug Discovery J.Vicklund/F. Karlsson: Structure-Based Drug Design	To be announced
17.30 – 18.30	21	<b>Fides Benfatti</b> : Lead optimization in Crop Protection Research	auditorium
<b>19.30 – 22.00</b>	<b>Course Dinner</b> (raclette or fondue)		



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Friday, October 12 <sup>th</sup>			
time slot	speaker	topic	location
09.00 - 11.00	22	Yves Auberson: Histamine H3 inverse agonists for the treatment of narcolepsy: Optimizing with a clinical profile in mind	auditorium
<b>11.00 - 11.30</b>	<b>Coffee break</b>		
11.30 - 11.45	Gerhard Müller	concluding remarks	auditorium
<b>11.45 - 13.00</b>	<b>Lunch Departure</b>		