VIRTUAL SYMPOSIUM:

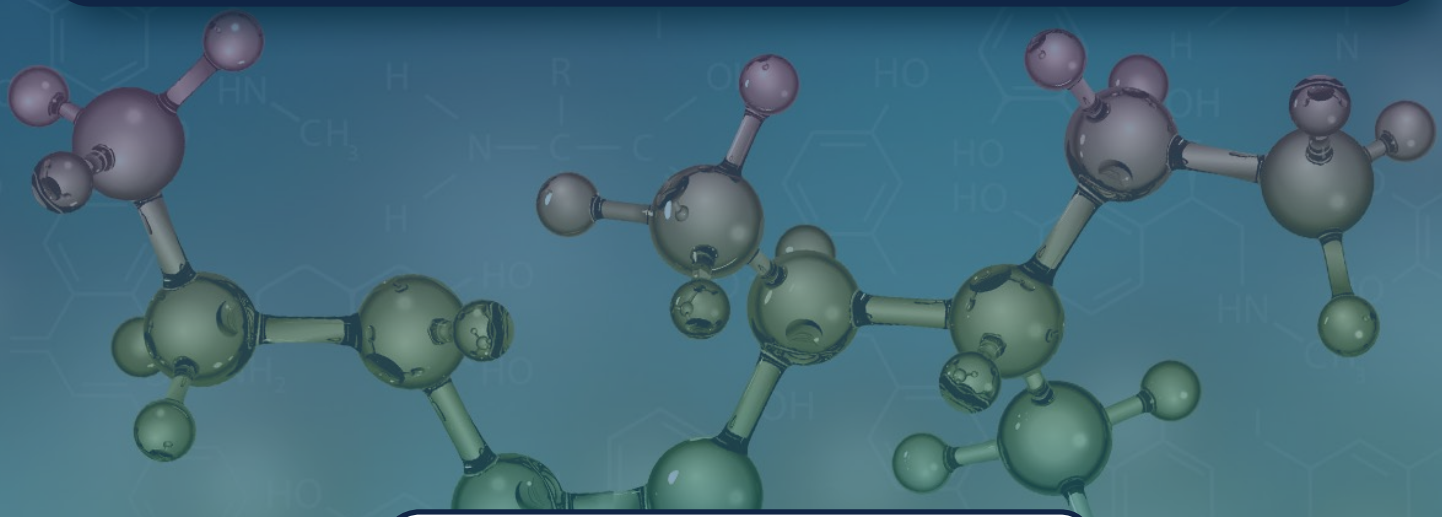
TARGETED PROTEIN DEGRADATION & PROTAC

16 - 17 February 2021 | GMT (UTC+0)

HEADLINE SPONSORED BY

Syngene

Symposium Brochure



KEY SPEAKERS INCLUDE

Fiona Pachl
AstraZeneca

Xavier Jacq
Almac Discovery Ltd

Georg Winter
CeMM Research Centre for Molecular Medicine

Giulia Caron
University of Torino

James Schiemer
Pfizer

Book Online: www.oxfordglobal.co.uk/virtual-symposium-targeted-protein-degradation/
Join the Conversation: @drugdiscovery1

OXFORD GLOBAL CONFERENCES

KNOWLEDGE SHARING & NETWORKING

FROM THE COMFORT OF YOUR HOME

- **Addressing traditionally undruggable targets** in areas of high patient need
- **Accelerate discovery & emerging strategies** in targeted protein degradation and PROTAC
- **Reconfiguring how drug discovery projects can be approached** within the context of Targeted Protein Degradation (TPD)
- **Effective use of mass spectrometry-based proteomics** profiling as a discovery tool for understanding the mechanisms of action of drug candidates, including small molecule protein degraders
- **Successfully degrade intracellular and extracellular targets**, leverage the power of the ubiquitin- proteasome system & autophagy-mediated degradation
- **Benefit from the development of degraders** from a medicinal chemistry perspective: application of structural biology and rational design strategies
- **Optimizing & Interrogating Protein Structures** With Small Molecules, Molecular Glues And PROTAC
- **Gain insights on E3 Ligase Recruiter** To Ubiquitinate And Mark Proteins Of Interest For Proteasomal Degradation
- **Optimize PK/PD properties** of next generation protein degraders for applications in oncology and beyond

Topic Areas Include:

Day One: Therapeutic Modalities and Development of Degraders and Molecular Glues

- Interrogating Protein Structures With Molecular Glues And Developing New Therapeutic Modalities
- Developing New Therapeutic Modalities For Targeting The Protein Degradation Machinery
- Monovalent Degraders/Molecular Glue Degraders
- Design of Degraders and PROTAC In Cellular Development
- Bifunction Small Molecules For Degrading Extracellular Proteins
- Interrogating Protein Structures With Small Molecules, Molecular Glues And PROTACS
- Protein Degradation Workshop – Development of Degraders From A Medicinal Chemistry Perspective
- E3 Ligase Recruiter To Ubiquitinate And Mark Proteins Of Interest For Proteasomal Degradation
- Reimagining Druggability using Chemoproteomic Platforms

Day Two: Therapeutic Modalities and Protein Degradation in Clinical Development

- Panel Discussion: Protein Degradation – Strategies Beyond The Proof Of Concept Molecules
- PROTAC- Receptor Kinase Targets & PKPD
- Protein Degradation For Developing New Targets in Oncology
- The Impact Of Mass Spectrometry-Based Proteomics For Targeted Protein Degradation
- Enabling DNA Encoded Libraries as a High Content Discovery Tool for Protein Degradation Molecules
- Optical Control of Protein Degradation
- Delivering Clinical Candidate Drugs Using Degraders
- TRK Degraders for Oncology – New Modality For The Treatment Of Cancer
- Protein Degradation Seminar Workshop -Translation to the Clinic
- Optimize PK/PD properties of next generation protein degraders for applications in oncology and beyond

Featuring presentations by these companies and more

ALMAC · BioTheryX · Harvard Medical School · PHORMOST Drugging the Undruggable · Pfizer

Virtual Symposium: Targeted Protein Degradation & PROTAC

16 - 17 FEBRUARY 2021

All Times Shown are GMT (UTC+0)

DAY ONE: 16 FEBRUARY 2021

09:20 - 09:25

Opening Address

09:25 - 09:30

Opening Address By Syngene

09:30 - 10:00

Keynote Address: Discovery Of Degraders Targeting Pseudokinase IRAK-M For Cancer Immunotherapy

Kanae Gamo, Cheif Scientific Officer,
FIMECS

10:00 - 10:30

Reinventing ADME-PK Profiling Assays To Enable PROTAC Lead-Optimization

Leveraging modified In-vitro ADME profiling assays and orphan excipient-based formulations to develop compounds with suitable PK properties during lead identification to the optimization of PROTACs

VISHWOTTAM KANDIKERE, Deputy Research Director, Discovery Biology,
Syngene International Ltd

10:30 - 11:00

Identification And Characterization Of Molecular Glue Degraders

- Innovation and characterization of a cellular platform to identify molecular glue degraders via phenotypic screening
- Coupling said strategy with cutting-edge target-identification approach based on functional genomics and quantitative proteomics led to the identification of novel degraders of cyclin K
- These and other efforts have culminated in the foundation of Proxygen, a company dedicated to the scalable discovery of molecular glue degraders
- Orthogonal functional genomic approaches enable a quantitative description of resistance mechanisms to targeted protein degraders

GEORG WINTER, Principal Investigator,
CeMM Research Centre for Molecular Medicine

11:00 - 11:30

Discovery Of A Novel Function For USP7 Inhibitors: Remodelling The Tumour Microenvironment In Fibroblasts

- Targeting deubiquitinating enzymes to modulate the UPS
- Targeting the UPS in the TME
- Small molecule inhibitors for target validation

XAVIER JACQ, Vice President Biology,
Almac Discovery

11:30 - 11:45

Live Q&A Session & Ask The Experts

VISHWOTTAM KANDIKERE, Deputy Research Director, Discovery Biology, **Syngene International Ltd**

GEORG WINTER, Principal Investigator, **CeMM Research Centre for Molecular Medicine**

XAVIER JACQ, Vice President Biology, **Almac Discovery**

11:45 - 12:15

Lunch Break & '4-Minute Mingles'

Ever bump into someone at an event and end up having a great conversation? Take part in our 4-minute mingles, where you're matched with other attendees for a short 1-on-1 video call. You can take part in as few or as many of these chats as you would like across the break, maximising your networking opportunities at the conference

12:15 - 13:00

Lunch Break

13:00 - 13:30

Snapshots And Ensembles Of BTK And cIAP1 Protein Degrader Ternary Complexes

- Two novel IAP/BTK/Degrader Ternary Complex crystal structures
- Using NMR, BLI, and modeling to supplement crystallographic information of Ternary Complexes
- Exploring the role of cooperativity and degradation efficacy

JAMES SCOTT SCHIEMER, Senior Scientist,
Pfizer

13:30 - 14:00

Enabling Technologies For Bifunctional Molecule Discovery And Characterisation

- Identification and characterisation of ligands
- Mechanistic studies
- Pharmacological characterisation
- Extending the repertoire of ligases

DAVE MADGE, Vice President, Research Service Division,
Wuxi AppTec

DAY ONE: 16 FEBRUARY 2021

14:00 - 14:15

Live Q&A Session & Ask The Experts

JAMES SCOTT SCHIEMER, Senior Scientist, **Pfizer**

DAVE MADGE, Vice President, Research Service Division, **Wuxi AppTec**

14:15 - 14:45

Afternoon Break & 'Half-Time Huddles'

Join us for our half-time huddles. Whether you'd like to debate the challenges of flow cytometry or just grab a coffee and chat to your colleagues, the huddles are the perfect place to catch up with you peers and take a break from the more formal programme. With a number of themed areas (to be confirmed in the run-up to the event) you can drop into group video chats with others that have similar interests, or join a discussion covering something completely different- it's up to you!

14:45 - 16:30

Protein Degradation Workshop:

Development Of Degraders From A Medicinal Chemistry Perspective

The workshop outlines the approaches to Protein Degradation, and its potential to tackle the 'undruggable' proteome and overcome common resistance mechanisms to current therapies. It outlines the Development of Degraders from a medicinal chemistry perspective: application of structural biology and rational design strategies. The workshop will consist of 2 presentations and a panel discussion.

Presentation 1: Design Of PROTAC Targeting Undruggable KRAS

- Design of KRAS PROTAC from a Medicinal Chemistry perspective

JASON HU, Post Doctoral Associate, Crews lab,
MCDB, Yale University

Presentation 2: Design Of Permeable Degraders – Where Are We?

GIULIA CARON, Associate Professor in Medicinal Chemistry,
University of Torino

Syngene

Panel Discussion: Protein Degradation (45 minutes)

- Protac vs molecular glues
- What ligase might be ideal for target of interests
- How we can design a degrader with good bioavailability

Moderator:

SUBHENDU KUMAR, Deputy Research Director, Discovery Services, **Syngene International Ltd**

Panellists:

MAGNUS WALTER, Senior Director Drug Discovery, Medicinal Chemistry and Screening Biology, **AbbVie**
RICK DAVIES, Associate Director, **AstraZeneca**
IRIT RAPPLEY, Associate Director, **Bristol Myers Squibb**
JOE PATEL, Senior Director of Biochemistry, Biophysics & Crystallography, **C4 Therapeutics**
JASON HU, Post Doctoral Associate, **Crews lab, MCDB, Yale University**
GIULIA CARON, Associate Professor in Medicinal Chemistry, **University of Torino**

16:30 - 17:00

Developing Novel Cereblon-Directed PHMs® As First-In-Class Targeted Protein Degradation Therapies

- BioTheryX's protein homeostatic modulator (PHM®) library comprises an extensive range of proprietary molecular glues that uniquely engage and regulate the function of Cereblon
- This broad class of molecular glues also enables the discovery of proteolysis targeting chimeras (PHM-PTCs™) for disease-causing proteins not readily degraded with PROTACs containing conventional E3 binders
- We will present preclinical data from BioTheryX's lead molecular glue PHM® clinical candidate, BTX1188, which degrades GSPT1, Ikaros and CK1α, and is expected to have a superior efficacy and safety profile over pure GSPT1 degraders. PHM-PTCsTM that degrade distinctive targets in oncology and inflammation will also be presented

APARAJITA HOSKOTE CHOURASIA, Associate Director, Biology,
BioTheryX

BioTheryX

17:00 - 17:30

Synthetic Bifunctional Molecules To Rewire Kinases

- A brief introduction to synthetic compounds that rewire enzymes (e.g., E3 ligases, kinases)
- Design and application of Phosphorylation Inducing Chimeric Small Molecules (PHICS)
- Inducing native and non-native (neo) post-translational modifications

ASHLEY MODELL & SACHINI SIRIWARDENA, Post-Doctoral Associates, Choudhary Lab,
Broad Institute of MIT & Harvard and Harvard Medical School

DAY ONE: 16 FEBRUARY 2021

17:30 - 18:00

Reimagining Druggability Using Chemoproteomic Platforms

- Using chemoproteomic platforms to tackle undruggable protein targets
- Using chemoproteomic platforms to expand the scope of targeted protein degradation
- Using chemoproteomic platforms for discovering induced proximity paradigms

DANIEL NOMURA, Professor of Chemical Biology in the Department of Chemistry, **University of California, Berkeley**

18:00 - 18:15

Live Q&A Session & Ask The Experts

ASHLEY MODELL & SACHINI SIRIWARDENA, Post-Doctoral Associates, Choudhary Lab, **Broad Institute of MIT & Harvard and Harvard Medical School**

APARAJITA HOSKOTE CHOURASIA, Associate Director, Biology, **BioTheryX**

18:15 — **End Of Day One**

DAY TWO: 17 FEBRUARY 2021

10:00 - 10:30

Keynote Address: Extended Pharmacodynamic Response Upon Protac-Induced Degradation Of RIP2

- Lead optimisation summary
- In vitro activity
- Ex vivo activity
- In vivo activity

ALINA MARES, Senior Scientist,
GlaxoSmithKline

10:30 - 11:00

Panel Discussion: Protein Degradation – Strategies Beyond The Proof Of Concept Molecules

- Off target degradation
- Translatability from genetic knockdown to protein therapy
- Safety consideration dosing and PKPD
- Safety- pharmacokinetics
- Challenges in resistance

Moderator: IAN CHURCHER, Chief Scientific Officer, **Amphista Therapeutics Limited**

Panellists:

MARKUS SCHADE, Principal Investigator, **AstraZeneca**

JOHANNA KASTL, Team Leader, High Throughput Screening Centre, Hit Discovery, **AstraZeneca**

ALINA MARES, Senior Scientist, **GlaxoSmithKline**

11:00 - 11:30

Unpicking The E3 Ligase Ligandable Space With PROTEINi Screening

- Small molecule exploitation of TPD is hampered by a low complexity matrix of E3 recruitment modules, and hindered by a high degree of substrate specificity
- PhoreMost have developed SITESEEKER, an ultra-high throughput pooled phenotypic screening platform, exploiting high-diversity programmable drug mimetics
- Systematic application of the SITESEEKER tools to ligand discovery has yielded a substantial cache of novel E3 ligase binders and peptide-based degraders which have been deployed to degrade key therapeutic targets

BENEDICT CROSS, Chief Technology Officer,
PhoreMost

11:30 - 11:45

Live Q&A Session & Ask The Experts

ALINA MARES, Senior Scientist, **GlaxoSmithKline**

IAN CHURCHER, Chief Scientific Officer, **Amphista Therapeutics Limited**

BENEDICT CROSS, Chief Technology Officer, **PhoreMost**

11:45 - 12:15

Lunch Break & 'Half-Time Huddles'

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12:15 - 13:00

Lunch Break

13:00 - 13:30

Protein Degradation For Developing New Targets In Oncology: STK33, A Case Study

- Protein Degrader molecules allow us to explore the biology of targets in new ways
- STK33 was identified as a potential target for treating human mutant KRAS tumours, however small molecule inhibitors did not show expected efficacy
- Degrader molecules of STK33 have allowed exploration of the biology and the potential for identification of synergies

JOANNE WAYNE, Senior Scientist,
Vernalis

13:30 - 14:00

The Impact Of Proteomics For Protein Quantification And Targeted Protein Degradation

- Proteomics strategies to assess E3 ligase (and target protein) levels across efficacy and safety models to drive degradation selectivity
- Identify unexplored E3 ligases with desired expression profiles to improve therapeutic window
- Assessment of target protein turnover rate: an essential early activity in the degrader drug discovery process

FIONA PACHL, Senior Scientist,
AstraZeneca

DAY TWO: 17 FEBRUARY 2021

PROTACs As Biological Tools & Achieving Bioavailability Beyond The Rule Of Five

14:00 - 14:30

- Proof-of-concept for degradation of associated proteins within a complex
- PROTACs (de)validation of emerging drug targets
- First evidence of pseudokinase degradation by targeting the ATP binding site
- PROTACs in 'beyond rule-of-five' chemical space: Recent progress and future challenges

CHARLENE FALLAN, Associate Principal Scientist,
AstraZeneca

Live Q&A Session & Ask The Experts

14:30 - 14:45

CHARLENE FALLAN, Associate Principal Scientist, **AstraZeneca**
JOANNE WAYNE, Senior Scientist, **Vernalis**
FIONA PACHL, Senior Scientist, **AstraZeneca**

14:55 - 15:00

Afternoon Break & '4-Minute Mingles'
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Optical Control Of Protein Degradation

15:00 - 15:30

- Adding a Photoswitch to PHOTACs affords PHOTACs
- PHOTACs are inactive in the dark and can be activated with violet or blue light
- BRD4, FKBP, BRD4/6, MDM2and many other targets can be degraded with the temporal and spatial precision that light affords

DIRK TRAUNER, Janice Cutler Professor of Chemistry,
NYU

Discovery Of Potent And Selective STAT3 Targeted Protein Degraders With Excellent In Vitro And In Vivo ADME Properties

15:30 - 16:00

- Potently and selective degraders for STAT3
- In vitro and in vivo ADME profile of a STAT3 degrader
- Efficacy and PK/PD in a mouse xenograft model of a STAT3 degrader

BIN YANG, Director, Chemistry,
Kymera Therapeutics

Sequence-Based Design Of Small Molecules Targeting RNA

16:00 - 16:30

- Description of group's pioneering progress in the design of novel small molecules targeting disease-causing RNA
- Specifically, this entails the design of bioactive small molecules targeting RNA in a transcriptome-wide manner and only from sequence
- The Disney laboratory's recent and innovative discoveries related to targeted degradation and target validation indicate the potent potential of small molecules to successfully target and eradicate disease-causing RNA

MATTHEW DISNEY, Professor of Chemistry & Neuroscience,
Scripps Research

TRKing Down An Old Oncogene In A New Era Of Targeted Protein Degradation

16:30 - 17:00

- Discovery and evaluation of potent and selective degraders of tropomyosin receptor kinases (TRK)
- Development of orally bioavailable degraders
- In vivo efficacy in TRK driven xenograft models

MICHAEL PLEWE, Senior Vice President of Medicinal Chemistry,
Cullgen

Live Q&A Session & Ask The Experts

17:00 - 17:15

BIN YANG, Associate Director, Medicinal Chemistry, **Kymera Therapeutics**
MATTHEW DISNEY, Professor of Chemistry & Neuroscience, **Scripps Research**
MICHAEL PLEWE, Vice President of Medicinal Chemistry, **Cullgen**
DIRK TRAUNER, Janice Cutler Professor of Chemistry, **NYU**

17:15 — **End Of Conference**

2021 EVENT SCHEDULE

Biologics Series
www.oxfordglobal.co.uk/biologics

Biologics Europe: Online
26 - 27 April 2021 | BST (UTC+1)

Oligonucleotides: Chemistry & Therapeutics Symposium
28 April 2021 | BST (UTC+1)

Biologics UK: In-Person
06 - 07 September 2021 | London, UK

Biotherapeutics US: Online
17 - 18 November 2021 | EST (UTC-5)

Biomarkers Series
www.oxfordglobal.co.uk/biomarkers

Flow Cytometry & Multiplex Tools Symposium
08 April 2021 | BST (UTC+1)

Biomarkers Week: Online
17 – 21 May 2021 | BST (UTC+1)

Digital Biomarkers US: Online
01 - 02 September 2021 | EDT (UTC-4)

Biomarkers US: In-Person
21 - 22 October 2021 | San Diego, USA

Biomarkers UK: In-Person
November 2021 | London, UK

Cell Series
www.oxfordglobal.co.uk/cell

Gene Therapy Europe: Online
05 - 06 May 2021 | BST (UTC+1)

Cell UK: In-Person
28 - 29 October 2021 | London, UK

3D Cell Culture Symposium
02 December 2021 | GMT (UTC+0)

Discovery Series
www.oxfordglobal.co.uk/discovery

Virtual Symposium: Targeted Protein Degradation & PROTAC
16 - 17 February 2021 | GMT (UTC+0)

Organoid Discovery Symposium
13 April 2021 | BST (UTC+1)

Discovery Week: Online
01 – 04 June 2021 | BST (UTC+1)

Discovery UK: In-Person
October 2021 | London, UK

Discovery Chemistry US: Online
15 - 16 November 2021 | EST (UTC-5)

Formulation & Delivery Series
oxfordglobal.co.uk/formulation

Drug Delivery Devices Symposium
10 March 2021 | GMT (UTC+0)

Formulation & Delivery Europe: Online
20 - 21 April 2021 | BST (UTC+1)

Formulation & Delivery US: In-Person
29 - 30 June 2021 | San Diego, USA

Formulation & Delivery UK: In-Person
21 - 22 September 2021 | London, UK

Pharma Manufacturing Europe: Online
10 - 11 November 2021| GMT (UTC+0)

Immuno Series
www.oxfordglobal.co.uk/immuno

Immuno UK: In-Person
18 - 19 May 2021 | London, UK

Oncolytic Viruses Symposium
25 May 2021 | BST (UTC+1)

Immuno US: In-Person
21 - 22 October 2021 | San Diego, USA

NextGen Omics Series
www.oxfordglobal.co.uk/omics

Spatial Biology Europe: Online
14 - 16 April 2021 | BST (UTC+1)

NextGen Omics US: In-Person
08 - 09 July 2021 | Boston, USA

Spatial Biology US: Online
14 - 16 April 2021 | EST (UTC-5)

NextGen Omics UK: In-Person
20 - 23 September 2021 | EST (UTC-5)

PharmaTec Series
www.oxfordglobal.co.uk/pharmatec

PharmaTec UK: In-Person
08 - 09 September 2021 | London, UK

Networking Dinner Events
October / November / December 2021

In-Person Event | Online Event | Online Symposium

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