FINAL AGENDA

24TH ANNUAL

The Premier Event for Building Drug Discovery Innovations & Collaborations

Discovery on TARGET

SEPTEMBER 28 - OCTOBER 1, 2026

BOSTON, MA | SHERATON BOSTON + VIRTUAL

Plenary Keynote Program

Tackling Difficult Drug Targets: Having a Modality-Agnostic & Technology-Nimble Approach

Venture-Capitalist Insights on Trends in Drug Discovery

Starting Up: Translating Lab Ideas into Commercial Impact

Step Into The Future of Drug Discovery:

SECURE YOUR SPOT NOW FOR DISCOUNTED SAVINGS

Organized by Cambridge HEALTHTECH Institute

EVENT AT-A-GLANCE

MONDAY September 28

SYMPOSIA

- Induced Proximity-Based Drug Discovery
- Generative AI/Machine Learning-Driven Drug Design

TRAINING SEMINARS

- AI-Driven Design of Biologics: State-of-the-Art ML Models & Real-World Applications}
- The End Game: From Lead Optimization to Drug Candidate Selection
- Drug Exposure at the Target: The Role of ADME and Pharmacokinetics

SHORT COURSES

SMALL MOLECULES & PEPTIDES

TUESDAY September 29 — **THURSDAY** October 1

EMERGING DRUG TARGETS

- Cancer Targets: Degrader & Chemical Small Molecule Approaches
- Autoimmunity & CNS: PPI Modulators
- Obesity: Bound Peptides & Beyond

NOVEL DRUG MODALITIES

- PROTACs/Degraders, Molecular Glues and Proximity Inducers
- Peptides & Small Molecule Conjugates
- AI/ML and Screening Tools for Discovering New Drug Entities

LEAD GENERATION STRATEGIES

- Biophysical Approaches
- GPCR-Targeted Discovery
- Library Innovations: DEL, FBDD, Covalent, D2B

INNOVATIVE DISCOVERY TECHNOLOGIES

- AI/ML-Enabled Target Identification and Lead Discovery
- Functional Proteomics for Direct-to-Biology Applications
- Phenotypic and Complex *In Vitro* Models and Assays

BIOLOGICS & RADIOLIGANDS

TUESDAY September 29 — **THURSDAY** October 1

ANTIBODIES AGAINST CHALLENGING TARGETS

- AI, Structural Validation and Novel Screening
- Intelligent Modalities and Precision Engineering
- Targeting Membrane Proteins and Restrictive Barriers

NEXT-GENERATION CONJUGATES

- Next-Generation Targeting and Delivery
- Linker Chemistry and Conjugation Methods
- Emerging Modalities and Computational Approaches for Conjugates

RADIOLIGAND THERAPIES

- Engineering Optimized Ligands, Linkers, and Radionuclides
- New Targets, Mechanisms, and Combinations
- Personalized Dosimetry and Theranostics

#BostonDOT | DiscoveryonTARGET.com

1,100+ Attendees

220+ Presentations

115+ Research Posters

250+ Speakers

90 Exhibitors

22 Countries Represented

About the Event

24TH ANNUAL

Discovery on Target brings together the science, technology, and people driving the future of drug discovery. For more than 20 years, the meeting has showcased breakthroughs shaping therapeutic innovation across small molecules, antibodies, and emerging modalities—including peptides, conjugates, and radiopharmaceuticals.

Across four days and seven concurrent streams, 1,000+ attendees explore advances in target discovery, lead generation, screening technologies, AI/ML, and next-generation biologics—while connecting through presentations, exhibits, posters, and interactive sessions designed to spark collaboration and move discovery forward.

> "Of course I love to speak at your conferences – as I mentioned in my talk – I get a TON of value out of them and think it's important to keep the discussion going about our progress at Pfizer."

Timothy L. Foley, PhD, Senior Principal Scientist & Lab Head, DNA Encoded Library Selection & Pharmacology, Pfizer Global R&D Groton Labs

PLENARY KEYNOTE PROGRAM

#BostonDOT

Tackling Difficult Drug Targets: Having a Modality-Agnostic & Technology-Nimble Approach

MODERATOR:
Dennis Hu, PhD
CEO, Drug Hunter Inc.

PANELISTS:

Erin Davis, PhD
Vice President, Research Business Insights & Technology, Bristol Myers Squibb

Ryan Potts, PhD
Executive Director and Head, Induced Proximity Platform, Amgen, Inc.

John Tallarico, PhD
Global Head, Discovery Sciences, Novartis BioMedical Research

Klaus Urbahns, PhD
Head, Therapeutic Modalities, Biogen

Andrea Weston, PhD
Head of Discovery Biology and Pharmacology, Pfizer Inc.

David Wilson, PhD
Vice President & Global Head, Oncology Chemistry & DMPK, AstraZeneca

Venture-Capitalist Insights on Trends in Drug Discovery

MODERATOR:
Daniel A. Erlanson, PhD
Chief Innovation Officer, Frontier Medicines Corporation

PANELISTS:

Chris De Savi, PhD
CSO Partner, Curie Bio

Neil Kubica, PhD
Therapeutics Division Lead, General Inception

Pengpeng Li, PhD
Principal, Lilly Asia Ventures

Ken Lin
CEO & Founder, ABIES Capital

Starting Up: Translating Lab Ideas into Commercial Impact

MODERATOR:
Armon Sharei, PhD, *Founder & CEO, Portal Biotechnologies*

PANELISTS:

Sangeeta N. Bhatia
Professor & Director, Marble Center for Cancer Nanomedicine, Health Sciences & Technology, Massachusetts Institute of Technology

Ivan Cornella Taracido, PhD
Founder, New Stealth Co.

Kris Elverum
MBA, former President & CEO, AIRNA

Parastoo Khoshakhlagh, PhD
Co-Founder & CEO, GC Therapeutics

William Pao, MD, PhD
CEO, Revelio Therapeutics

Johnny Yu, PhD
CSO & Co-Founder, Tahoe Therapeutics

Follow our NEW LinkedIn page for all things Discovery on Target

Become a Sponsor or Exhibitor

SPONSORSHIP PROGRAMS

CHI's comprehensive sponsorship packages allow you to achieve your objectives before, during, and long after the event. Maximize exposure to hard-to-reach decision-makers through the following sponsorship opportunities:

Podium Presentations - Available within Main Agenda!

Showcase your solutions to a guaranteed, targeted audience through a 15- or 30-minute presentation during a specific conference program. Package includes exhibit space, on-site branding, and access to cooperative marketing efforts by CHI.

One-on-One Meetings

Work with us to identify your target prospects and we will schedule meetings for you. Think of us as your inside sales team with all your hottest leads in close reach. Opportunities sold on a very limited basis.

Invitation-Only Dinner/Hospitality Suite

Sponsors will select their top prospects from the conference preregistration list for an evening of networking at the hotel or at a choice local venue. CHI will extend invitations, conduct follow-up, and confirm attendees. The evening will be customized to meet with your specific objectives.

Corporate Support Exhibit Package

Includes 8'x10' exhibit space. Contact us for more information.

Additional sponsorship & branding opportunities include:

- Meter Boards
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- Tote Bag Insert
- And more!

For more information regarding sponsorship opportunities, please contact:

Kristin Skahan
Sr. Business Development Manager
781-429-9985
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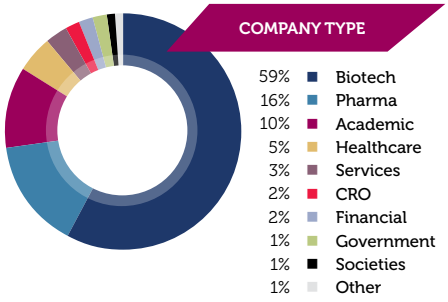
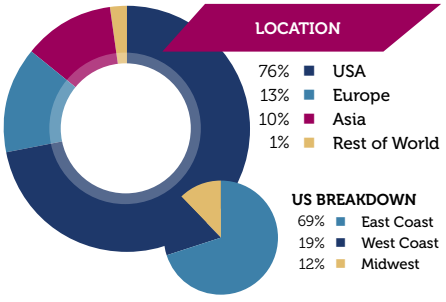
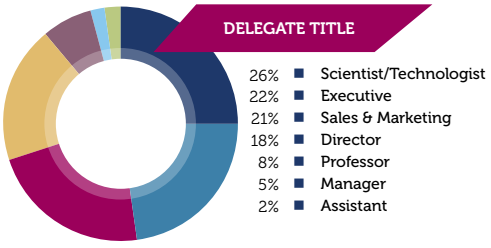
2025 ATTENDEE DEMOGRAPHICS







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Plenary Keynote Program

OPENING PLENARY KEYNOTE PANEL

5:00 PLENARY PANEL DISCUSSION: Tackling Difficult Drug Targets: Having a Modality-Agnostic & Technology-Nimble Approach

Moderator: Dennis Hu, PhD, CEO, Drug Hunter Inc.
This panel brings together senior leaders from pharma and biotech to explore how a modality-agnostic strategy is enabling progress against difficult yet high-value drug targets. Panelists will highlight how emerging modalities—from chimeras and conjugates to peptides and radioligands, along with ability to leverage technology innovations—are shaping drug discovery and redefining what is now druggable.
Panelists:
Erin Davis, PhD, Vice President, Research Business Insights & Technology, Bristol Myers Squibb
Ryan Potts, PhD, Executive Director and Head, Induced Proximity Platform, Amgen, Inc.
John Tallarico, PhD, Global Head, Discovery Sciences, Novartis BioMedical Research
Klaus Urbahns, PhD, Head, Therapeutic Modalities, Biogen
Andrea Weston, PhD, Head of Discovery Biology and Pharmacology, Pfizer Inc.
David Wilson, PhD, Vice President & Global Head, Oncology Chemistry & DMPK, AstraZeneca

CLOSING PLENARY KEYNOTE PANEL

2:25 PLENARY PANEL DISCUSSION: Starting Up: Translating Lab Ideas into Commercial Impact

Moderator: Armon Sharei, PhD, Founder & CEO, Portal Biotechnologies
This panel discussion brings together scientists from academia and biotech to share their stories on what it takes to translate transformative ideas into meaningful commercial outcomes. Panelists will delve into some of the critical inflection points, challenges encountered, key decisions that had to be made and their outcomes. The session will provide scientists with an understanding of what it takes to bring ideas from lab to market.
Panelists:
Sangeeta N. Bhatia, Professor & Director, Marble Center for Cancer Nanomedicine, Health Sciences & Technology, Massachusetts Institute of Technology
Ivan Cornella Taracido, PhD, Founder, New Stealth Co.
Kris Elverum, MBA, former President & CEO, AIRNA
Parastoo Khoshakhlagh, PhD, Co-Founder & CEO, GC Therapeutics
William Pao, MD, PhD, CEO, Revelio Therapeutics
Johnny Yu, PhD, CSO & Co-Founder, Tahoe Therapeutics

INSIGHTS FROM VENTURE CAPITALISTS

4:50 PLENARY PANEL DISCUSSION: Venture-Capitalist Insights on Trends in Drug Discovery

Moderator: Daniel A. Erlanson, PhD, Chief Innovation Officer, Frontier Medicines Corporation
Panelists representing the investor ecosystem share their thoughts and experiences working with a vast number of early- and late-stage biotechnology companies and academic labs. They highlight current trends in investments for drug discovery and anticipated changes. This discussion also provides scientists an understanding of how investment strategy works and how they can secure the right funding to bring their ideas from the lab to market.
Panelists:
Chris De Savi, PhD, CSO Partner, Curie Bio
Neil Kubica, PhD, Therapeutics Division Lead, General Inception
Pengpeng Li, PhD, Principal, Lilly Asia Ventures
Ken Lin, CEO & Founder, ABIES Capital

DiscoveryonTARGET.com/plenary-sessions

Short Courses*

*All Access Pricing or separate registration required

SHORT COURSES WILL BE OFFERED IN-PERSON ONLY.

MONDAY, SEPTEMBER 28 9:00-11:00 AM

SC1A: Protein Degraders from a Beyond-Rule-of-Five and an ADME Perspective

Instructors:

Prasoon Chaturvedi, PhD, Former Vice President & Head, DMPK, C4 Therapeutics, Inc.

Stefanus Steyn, PhD, Research Fellow, Pharmacokinetics Dynamics & Metabolism, Pfizer

This course focuses on proteolysis targeting chimeras (PROTACs) and will cover topics relevant to developing them as oral therapeutics. The course will include an in-depth look at their physicochemical properties and how these influence solubility and permeability. It will examine ADME topics focusing on *in vitro* assays for stability, polarity, transporters, drug-drug interactions (DDIs), Cytochrome P450 (CYP450) inhibition, and more. Topics will include looking at what is known about how PROTACs are metabolized *in vivo* and strategies to deliver them with adequate PK/PD.

SC2A: Biophysical Approaches for GPCRs

Instructors:

Jonathan Brooks, Principal Scientist, Inflammation & Remodeling, Pfizer Inc.

Kris A. Borzilleri, Principal Scientist, Structural Biology & Molecular Sciences, Pfizer Global R&D, Groton Labs

Matthew T. Eddy, PhD, Associate Professor, Chemistry, University of Florida, Gainesville

This course will cover NMR screening methods for membrane proteins, especially GPCRs; and provide an overview of current technologies for GPCR structure determination. The course will also cover the biophysical techniques of surface plasmon resonance (SPR) and SPR microscopy in the context of membrane-protein targeted drug discovery.

SC3A: Next-Gen ADCs, Advanced Linkers & Conjugates: Mastering Design, Linker Optimization & Stability

Instructors:

Sunny Zhou, PhD, Professor, Chemistry & Chemical Biology, Northeastern University

Amit Nayyar, PhD, General Manager, Cohance

Conjugated modalities such as antibody-drug conjugates (ADCs), oligonucleotide conjugates, and peptide-drug conjugates are revolutionizing precision medicine. However, their success relies on smart linker strategies that ensure stability, controlled payload release, and manufacturability. This intensive 2-hour course will explore the latest innovations in linker chemistry, site-specific conjugation, formulation and delivery considerations, and scalable manufacturing approaches. Participants will gain practical insights into optimizing linker design for enhanced efficacy, reduced toxicity, and regulatory compliance.

MONDAY, SEPTEMBER 28 1:30-3:30 PM

SC4A: DNA-Encoded Libraries in Drug Discovery: Design, Screening, and Lead Development

Instructors:

Svetlana Belyanskaya, PhD, Co-Founder, DEL Source; former DEL Platform Manager, GSK; Vice President, Biology, Anagenex

Ghotas Evindar, PhD, Co-Founder & President, DEL Source; former DEL Platform Senior Manager, GSK; former Head of Research, Exo Therapeutics; former Head of Research, 1859

Ching-Hsuan Tsai, PhD, Executive Director, Structure Therapeutics

This course provides a comprehensive overview of DNA-Encoded Library (DEL) technology, including library design, synthesis workflows, selection methodologies, data analysis, and hit identification. Participants will learn best practices for constructing and curating DEL collections, along with effective strategies for screening, prioritizing, and advancing hits from DEL campaigns, including emerging DEL applications. The course will also cover interpretation of selection data and the use of AI/ML approaches to accelerate hit-to-lead progression and early-stage drug discovery.

SC5A: Advanced Molecular Pharmacology for Drug Discovery: Traps, Tips, and Tricks

Instructor:

Fabien Vincent, PhD, Consultant; formerly Pharmacology Lab Head, Pfizer Inc.

Characterizing and understanding the interactions of potential therapeutic agents with their targets is fundamental to drug discovery. After first reviewing the fundamentals of pharmacology, we will conduct an in-depth exploration of pharmacology assays and screening funnels as they apply to the validation of hits and their optimization into clinical candidates. A key aim will be to distill important, but often poorly known, pharmacology and screening information in a concise format. This course will surface pitfalls and offer mitigations strategies on a range of relevant topics with a goal of providing practical information to help prosecute drug discovery projects more effectively from project inception all the way to clinical trials.

SC6A: Chemical Biology for Covalent Drug Discovery, Phenotypic Screening, and Target Deconvolution

Instructors:

Paul Brennan, PhD, Professor, Nuffield Department of Medicine, University of Oxford

Angelo Andres, PhD, Associate Principal Scientist, Chemical Biology, AstraZeneca

This course is designed to provide an overview and best practices in the use of chemical biology probes and assays that have been developed for applications in early drug discovery. Next-generation chemoproteomic technologies such as proximity labeling proteomics (BioID, MicroMap, and MultiMap) and their application to drug discovery will also be discussed. Chemists and biologists working in lead generation, assay development, phenotypic screening, target discovery and deconvolution, target engagement and mechanism-of-action (MoA) studies will all benefit from attending this course. The instructors will share their knowledge and expertise around the use of various technologies and chemistries, and there will be time for open discussion and exchange of ideas.

> "Many thanks for the opportunity to present at and join the DOT conference. I really enjoyed the panel discussion, talks and breakout sessions. Kudos to organizing an excellent conference!"
>
> *PhD, Associate Director, Hematology, Novartis Institutes for BioMedical Research*

Short Courses*

*All Access Pricing or separate registration required

SHORT COURSES WILL BE OFFERED IN-PERSON ONLY.

MONDAY, SEPTEMBER 28 4:00-6:00 PM

SC7A: Building Agentic AI Workflows for Drug Discovery: From LLM Tools to Autonomous Discovery Pipelines

Instructors:

Parthiban Srinivasan, PhD, Professor and Director, Centre for AI in Medicine, Vinayaka Mission's Research Foundation, India

Petrina Kamya, PhD, Global Head of AI Platforms & Vice President, Insilico Medicine; President, Insilico Medicine Canada

This short course examines how recent advances in generative AI and large language models (LLMs) are evolving toward agentic systems capable of orchestrating complex drug discovery workflows. Early applications of AI in drug discovery focused primarily on predictive modeling and generative molecular design. Increasingly, however, attention is shifting toward AI agents that can coordinate multiple models, databases, and computational tools within integrated discovery pipelines.

The session will discuss how LLMs can serve as scientific copilots, enabling researchers to interact with cheminformatics tools, literature resources, and molecular design platforms through natural language interfaces. Building on this foundation, the course will explore how agentic frameworks can be designed and implemented to construct practical AI workflows for drug discovery. These workflows may integrate molecular generation models, synthesis planning systems, knowledge retrieval tools, and experimental feedback loops within coordinated multi-agent environments.

Using selected examples and recent developments in the field, the course will illustrate how multi-agent systems are beginning to move AI beyond isolated prediction models toward more integrated discovery assistants capable of supporting the full research cycle, from target understanding to molecule design and optimization. The session will also highlight practical architectures, emerging frameworks, and key considerations for scientists interested in building agentic AI workflows in real-world drug discovery environments.

SC8A: Best Practices for Targeting GPCRs, Ion Channels, and Transporters with Monoclonal Antibodies

Instructor:

Joseph Rucker, PhD, Vice President, Research and Development, Integral Molecular, Inc.

Complex membrane proteins are important therapeutic targets and together represent the majority of protein classes addressed by therapeutic drugs. Significant opportunities exist for targeting complex membrane proteins with antibodies, but it has been challenging to discover therapeutic antibodies against them. This course will examine emerging technologies and strategies for enabling the isolation of specific and functional antibodies against GPCRs, ion channels, and transporters, and highlight progress via case studies.

SC9A: Fragment-Based Drug Design: Advancing Tools and Technologies

Instructors:

Daniel A. Erlanson, PhD, Chief Innovation Officer, Frontier Medicines Corporation

Chaohong Sun, PhD, Senior Director, Target Enabling Technologies, AbbVie, Inc.

This course aims to introduce the fundamentals of Fragment-Based Lead Discovery (FBLD) to attendees. The first section will focus on the concepts of using fragments for hit generation. Special emphasis will be placed on practical pitfalls and the many ways to advance fragments to leads and drugs. The second part of the course will discuss the variety of fragment screening methods and when they are best applied. The composition of fragment libraries will also be discussed in detail. The attendees should come away from this course with a solid understanding of what FBLD is and how to apply it.

> "It was a wonderful conference. I walked away feeling very inspired."
>
> *PhD, Co-Founder & CEO, Talus Bioscience*

Join Us in Boston!

Conference Venue and Hotel:
Sheraton Boston
39 Dalton Street
Boston, MA 02199

Discounted Room Rate: $325 s/d

Discounted Room Rate Cut-off Date: September 1, 2026

For additional information please visit DiscoveryOnTarget.com/Travel

Symposia*

3rd Annual

Induced Proximity-Based Drug Discovery

MONDAY, SEPTEMBER 28

8:00 am Registration Open and Morning Coffee

9:00 Welcome Remarks

PROXIMITY-DRIVEN MODALITIES TARGETING CANCER

9:10 Chairperson's Remarks
Daniel A. Erlanson, PhD, Chief Innovation Officer, Frontier Medicines Corporation

9:15 ULK1/2 Inhibitors That Degrade ATG13 Effectively Target KRAS-Mutant Cancers
Patrick Hagan, Doctoral Candidate, Laboratory of Dr. Nicholas Cosford, NCI Designated Cancer Center, Sanford Burnham Prebys

9:30 Enhancing Inhibition via Chemically Induced Proximity: A RIPTAC Targeting BCL6 and BET Proteins
Mackenzie Krone, PhD, American Cancer Society Postdoctoral Fellow, Laboratory of Dr. Craig Crews, Yale University

9:45 Novel Heterobifunctional Modalities for Targeted Protein Degradation and Stabilization
H. Ümit Kaniskan, PhD, Associate Professor, Laboratory of Dr. Jian Jin, Pharmacological Sciences, Icahn School of Medicine at Mt. Sinai

10:15 A Bivalent Molecular Glue Linking Acetyltransferases to Oncogene-Induced Cell Death
Sai Gourisankar, PhD, NCI K99/R00 Postdoctoral Fellow, Laboratory of Dr. Nathanael Gray, Stanford Cancer Institute

10:45 Sponsored Presentation *(Opportunity Available)*

11:15 Transition to Lunch

11:25 Luncheon Presentation *(Sponsorship Opportunity Available)* **or Enjoy Lunch on Your Own**

11:55 Session Break

TARGETED PROXIMITY FOR REGULATING OUTCOMES

1:30 pm Chairperson's Remarks
Hua Xu, PhD, Director, Head of Chemical Biology and Proteomics, AstraZeneca

1:35 Targeting p53 Protein Abundance across TP53 Mutant Cancers with Proximity-Inducing Small Molecules
William Gibson, MD, PhD, Principal Investigator, Dana Farber Cancer Institute

2:05 FEATURED PRESENTATION: Not Your Average BRD4 Inhibitor-Selective Inhibitor and Degrader Approaches for Anti-Cancer and Anti-Inflammatory Epigenetic Therapy
William Pomerantz, PhD, Professor, Department of Medicinal Chemistry, University of Minnesota, Twin Cities

2:35 Discovery and Optimization of TRIM21 Molecular Glues That Induce Potent Nuclear Pore Complex Degradation
Steven Corsello, MD, Assistant Professor, Department of Medicine, Oncology, Stanford University

3:05 FEATURED PRESENTATION: Rewiring Transcription via Induced Proximity
Asad Taherbhoy, PhD, Senior Director, Discovery, Foghorn Therapeutics

3:35 Networking Refreshment Break
Join your colleagues for a cup of coffee or refreshments and make new connections

DISCOVERING NOVEL PROXIMITY INDUCERS

4:00 Selectively Targeting Cancer Dependency for Novel Therapy Development
Jun Qi, PhD, Associate Professor, Cancer Biology, Dana Farber Cancer Institute

4:30 Proximity-Informed Graph Learning Defines Spatial Protein Communities for Tumor-Associated Proximity Antigen Discovery
Cody Scandore, Head, Data Science & Machine Learning, InduPro Boston

5:00 GlueFinder: A Data-Driven Framework for the Rational Discovery of Molecular Glues
Jeffrey Skolnick, PhD, Professor, Biology, Director, Center for the Study of Systems Biology, Georgia Institute of Technology

5:30 BRAINSTORMING SESSION: Implementation of Computational Strategies for Driving Proximity-Induced Therapies
Moderator: Victor Guallar, PhD, Professor, Barcelona Supercomputing Center and Nostrum Biodiscovery
Panelists:
Cody Scandore, Head, Data Science & Machine Learning, InduPro Boston
M Nihan Ucisik, PhD, Principal Scientist, Computational Chemistry, Foghorn Therapeutics
Camilo Velez Vega, PhD, Senior Principal Scientist, Novartis BioMedical Research

6:00 Close of Symposium

All Access Pricing or separate registration required

"I want to thank you for inviting me to present at the conference. It was a great conference; I have learned a lot from the other speakers and enjoyed the experience very much."

PhD, Senior Vice President, Head of Research & Preclinical, Chief CMC Officer, Graviton Bioscience

Symposia*

Inaugural

Generative AI/Machine Learning-Driven Drug Design

MONDAY, SEPTEMBER 28

8:00 am Registration Open and Morning Coffee

9:00 Welcome Remarks

TRENDS IN AI-DRIVEN DRUG DISCOVERY

9:10 Chairperson's Remarks
Mallory Tollefson, PhD, Business Development and Project Manager, OpenFold and OpenADMET Consortiums

9:15 The Investor Perspective on AI in Drug Development
Andrew Galler, Senior Biopharma Analyst, Bloomberg Intelligence, Bloomberg LP

9:30 Recent Changes in the United States' Treatment of AI Patents, and How This Impacts Drug Development
Todd Bayne, JD, Attorney, Intellectual Property, Medler Ferro Woodhouse & Mills PLLC

9:45 Lilly TuneLab: Applying Federated Learning in Drug Discovery
Jonathan B. Gilbert, PhD, Senior Director, Ecosystem Growth and Contributor Partnerships, Eli Lilly and Company

10:15 FEATURED PRESENTATION: The Infinite Loop—Machine Learning for Discovery, Delivery, and Rapid Manufacturing of Potential Medicines
Bradley L. Pentelute, PhD, Professor, Department of Chemistry, Massachusetts Institute of Technology

10:45 Sponsored Presentation *(Opportunity Available)*

11:15 Transition to Lunch

11:25 Luncheon Presentation *(Sponsorship Opportunity Available)* **or Enjoy Lunch on Your Own**

11:55 Session Break

CASE STUDIES HIGHLIGHTING AI/ML-GUIDED DRUG DESIGN

1:30 pm Chairperson's Remarks
Erin Yang, PhD, Senior Scientist I, Generate Biomedicines

1:35 AI-Enabled Structure-Based Design of Next-Generation Protein Therapeutics
Erin Yang, PhD, Senior Scientist I, Generate Biomedicines

2:05 AI-Orchestrated Lab-in-the-Loop: Multi-Objective Optimization Delivering Oral Peptides
Alan Nafiiev, PhD, CEO & Founder, Receptor.AI

2:35 Multi-Objective Peptide Design for Programmable Therapeutics
Pranam Chatterjee, PhD, Assistant Professor, Bioengineering, University of Pennsylvania

3:05 FEATURED PRESENTATION: Beyond Language Models- Why Drug Discovery Needs Physical AI
Woody Sherman, PhD, Founder and Chief Innovation Officer, PsiThera

3:35 Networking Refreshment Break
Join your colleagues for a cup of coffee or refreshments and make new connections

IMPACT OF OPEN SOURCE LEARNING IN DRUG DEVELOPMENT

4:00 From Consortium to Code: Developing OpenFold3
Mallory Tollefson, PhD, Business Development and Project Manager, OpenFold and OpenADMET Consortiums

4:30 FEATURED PRESENTATION: OpenADMET- Blind Challenges Let Us See the Path Forward for Predictive Models
Patrick Walters, PhD, Chief Scientist, OpenADMET

5:00 BRAINSTORMING SESSION: Where and How Can Open Source AI/ML Learning Impact Drug Development?
Moderator: Woody Sherman, PhD, Founder and Chief Innovation Officer, PsiThera
Panelists:
John Androsavich, PhD, GM, Datapoints, Ginkgo BioWorks Inc
Todd Bayne, JD, Attorney, Intellectual Property, Medler Ferro Woodhouse & Mills PLLC
Jonathan B. Gilbert, PhD, Senior Director, Ecosystem Growth and Contributor Partnerships, Eli Lilly and Company
Josh Haimson, Co-Founder & CEO, Inductive Bio
Andy Kilianski, PhD, CTO, Quantitative Biosciences Institute, University of California San Francisco
Mallory Tollefson, PhD, Business Development and Project Manager, OpenFold and OpenADMET Consortiums
Patrick Walters, PhD, Chief Scientist, OpenADMET

6:00 Close of Symposium

**All Access Pricing or separate registration required*

> This kind of meeting makes me think bigger and broader. It is inspiring and I'm learning a lot.
>
> *Shekar Mekala, PhD, Sr Research Scientist, Memorial Sloan Kettering Cancer Center*

PRE-CONFERENCE EVENTS

MONDAY
September 28

Training SEMINARS
By Cambridge Healthtech Institute

MONDAY, SEPTEMBER 28, 2026 9:00 AM - 6:00 PM

**All Access Pricing or separate registration required*

AI-Driven Design of Biologics: State-of-the-Art ML Models & Real-World Applications

Instructor:

David P. Nannemann, PhD, Vice President, Rosetta Commons Foundation

Artificial intelligence has driven remarkable breakthroughs in structure prediction, sequence design, and protein engineering. This course equips researchers – from those seeking a first foothold in the field to practitioners looking for deeper insight into emerging models and their application – with a rigorous, grounded understanding of foundational tools including AlphaFold, Boltz, ImmuneBuilder, ESM, AntiBERTy, ProteinMPNN, and RFDiffusion, as well as emerging pipelines such as BindCraft, RFAntibody and BoltzGen. Three building sessions move from foundational principles to real-world application, examining where the models come from, model selection and thoughtful application, and how performance benchmarks hold up – or don't – in active discovery pipelines.

The End Game: From Lead Optimization to Drug Candidate Selection

Instructor:

Terrence P. Kenakin, PhD, Professor, Pharmacology, University of North Carolina at Chapel Hill

Drugs interact with complex physiology to give different activities under different conditions. The discovery candidate selection process must demonstrate these different activities in anticipation of therapeutic outcomes; failure at this stage is the most costly and the translation of drug activity to human pathophysiology is a notorious graveyard for projects. Pharmacology is the unique discipline to prevent this. This course will take registrants through the unique aspects of Pharmacologic analysis of drug-target interaction to convert descriptive data (what we see) to predictive data (what will be seen in other systems). Data from a range of candidate targets (GPCRs, ion channels, enzymes) and ligands (small molecules, biologics, allosterics) will be used to demonstrate the techniques Pharmacology has to offer to quantify drug potency, efficacy and modulatory activity in a variety of settings. In addition to discussion of techniques, a series of case histories will be used to illustrate the concepts

Drug Exposure at the Target: The Role of ADME and Pharmacokinetics

Instructor:

Erland Stevens, PhD, James G. Martin Professor, Department of Chemistry, Davidson College

This training seminar describes how pharmacokinetics (PK) affects drug exposure at the intended target. The seminar opens with a foundation of clinical PK including the determination of key PK parameters from Cp-time data. Course materials also cover common preclinical ADME assays that allow estimation of a compound's human PK properties. The materials bridge the idea of a compound's PK and its observed pharmacodynamic effects (PD) through coverage of PK/PD modeling. Various drug modalities (e.g., small molecules, antibodies, and peptides) illustrate the concepts of the course.

TRAINING SEMINARS WILL BE OFFERED IN-PERSON ONLY.

Emerging Drug Targets

Disease-Related Molecular Complexes & Their Chemical Modulators

TUESDAY, SEPTEMBER 29

7:30 am Registration Open and Morning Coffee

8:25 Welcome Remarks

ORAL APPROACHES FOR AUTOIMMUNE TARGETS

8:30 Chairperson's Remarks

Thomas Sundberg, PhD, Principal Scientist, Immunology Discovery, Janssen Pharmaceuticals

8:35 Oral IL-17A Antagonist

Johnna D. Wesley, PhD, Vice President, Biology & Translational Science, Protagonist Therapeutics

Protagonist Therapeutics is pioneering a potential first-in-class oral peptide targeting the IL-17 pathway. While existing IL-17 therapies are primarily injectable biologics, our program demonstrates the unique therapeutic potential of an orally delivered peptide. Designed to block both IL-17A and IL-17F, this novel approach offers a highly targeted, potent, and patient-friendly oral alternative for the treatment of debilitating inflammatory diseases.

9:05 TNFα Small Molecule Lead Generation

Raphael Reinbold, PhD, Senior Scientist II, Platform, OMass Therapeutics

Biologics targeting cytokines have transformed immunology but have important limitations that motivate oral small-molecule alternatives. Discovery has been slow due to the lack of functional and mechanistic readouts for early hits. We present a function-first workflow integrating native MS to quantify affinity and stoichiometry during primary screening, and HDX-MS to prioritize hits based on mechanism-linked conformational signatures. Applied to TNFa, this approach provides a generalizable blueprint for oral cytokine discovery.

9:35 From Genetic Validation to Lead Generation: Targeting the CARD9 Signalosome

Jason Rush, PhD, Group Leader & Senior Research Scientist I, Center of Development of Therapeutics, Broad Institute

Genetic evidence links CARD9 to IBD risk, but a rare protective variant highlights its potential as a therapeutic target. We utilized a "binder-first" discovery strategy as a steppingstone for this challenging scaffolding protein. This approach ultimately yielded potent inhibitors that successfully suppress CARD9-mediated inflammatory signaling *in vitro* and *in vivo*, validating a new pharmacological strategy for treating immune-mediated inflammatory diseases.

10:05 Networking Refreshment Break

Join your colleagues for a cup of coffee or refreshments and make new connections.

DEGRADER v INHIBITOR APPROACHES FOR STAT6 AUTOIMMUNITY TARGET

10:35 Chairperson's Remarks

Wankyu Lee, PhD, Industry Research Analyst, Drug Hunter

10:35 Development of Exceptionally Potent, Selective and Orally Active STAT6 Degraders

Shaomeng Wang, PhD, Warner-Lambert/Parke-Davis Professor of Medicine, Pharmacology & Medicinal Chemistry; Co-Director, Molecular Therapeutics Program, University of Michigan

STAT6 is an attractive target for immune diseases such as asthma and COPD in addition to AD and certain lymphoma. We present development of exceptionally potent, selective, and orally active STAT6 degraders based upon allosteric small-molecule inhibitors of STAT6.

11:05 Discovery of Potent and Selective, VHL-Based STAT6 PROTACs for Oral Treatment of Type II Inflammatory Diseases

Jordi Bach, PhD, Principal Scientist, Small Molecules Discovery & Dev, Almirall SA

STAT6 is the transcription factor downstream of IL-4/IL-13 signaling, with IL-13 playing a key role in atopic dermatitis. In this talk, we report the first disclosure of a series of STAT6 PROTACs as a potential oral therapy for type II inflammatory diseases. Combining Almirall's selective STAT6 binders with diverse linkers and VHL ligands resulted in potent, selective PROTACs. Further optimization of their physicochemical properties led to orally bioavailable, subnanomolar PROTACs.

11:25 EPS-3903, A Potent and Selective STAT6 Inhibitor with Once-Daily Oral Dosing Potential in Humans

Lijuan Jiang, PhD, Vice President, Drug Metabolism, Pharmacokinetics & Bioanalysis, ENANTA Pharmaceuticals, Inc.

The discovery and characterization of EPS-3903, a novel STAT6 inhibitor, will be presented. EPS-3903 demonstrates nanomolar potency across multiple cell types and has shown *in vivo* efficacy comparable to dupilumab in preclinical models. Moreover, EPS-3903 displays optimized pharmacokinetic properties with excellent target tissue penetration. The favorable profile of EPS-3903 suggests its potential as a best-in-class oral STAT6 inhibitor with once-daily dosing in humans for the treatment of allergic diseases.

12:05 pm Sponsored Presentation *(Opportunity Available)*

12:35 Transition to Lunch

12:45 Luncheon Presentation *(Sponsorship Opportunity Available)* **or Enjoy Lunch on Your Own**

1:15 Session Break

OBESITY: SMALL MOLECULE & PEPTIDE DRUG LEADS

1:45 Chairperson's Remarks

Huixian Wu, PhD, Director, Structural Biology, Medicine Design, Pfizer Research & Development

1:50 Triple Agonism at FGF21, GLP1, and GIP Receptors to Combat Obesity

Florence Brunel, PhD, Senior Principal Scientist, Novo Nordisk Inc.

We engineered a balanced, long-acting unimolecular triagonist simultaneously targeting FGF21, GLP-1, and GIP receptors. Through strategic N- and C-terminal modifications and lipid conjugation, we first optimized FGF21 alone to achieve enhanced potency, stability, and sustained pharmacokinetics. Then, we engineered the GLP1R, GIPR and FGF21R triagonist achieving near-normalization of body weight with significant reductions in body fat and improved glucose tolerance, positioning it as a next-generation metabolic disease therapy.

2:20 Discovery of Potent and Brain-Penetrant Inverse Agonists for GPR61, an Orphan GPCR

Yuan Zhang, PhD, Senior Principal Scientist, Pfizer Inc.

GPR61 is a brain-enriched class A orphan GPCR that may be involved in appetite and body-weight modulation. Herein we describe our medicinal-chemistry efforts in discovering a class of potent, selective, and brain-penetrant GPR61 inverse agonists from an HTS hit. Cryo-EM structures reveal this class of inverse agonists binds to an induced intracellular allosteric pocket and abolishes GPR61 activity by disrupting its interactions with G protein.

2:50 An Orally Bioavailable GLP-1 Lead: Engineered Signaling Bias to Reduce Side Effects

Stephen Odaibo, MD, MS, CEO, Deep EigenMatics, Inc.

Emerging Drug Targets

Disease-Related Molecular Complexes & Their Chemical Modulators

Oral small-molecule GLP-1 agonists with engineered signaling bias could deliver the metabolic efficacy of injectable GLP-1s with reduced side effects. DEEP DISCOVERY (U.S. Patent nos. 12,450,409 & 12,424,300) learns structure-function correlation across the GPCR superfamily, then uses CAM-guided saliency to infer receptor conformations biased toward Gs-coupled signaling. From these conformations, the platform generates ligands designed to stabilize the desired receptor state. We present our lead candidate advancing toward pre-clinical testing.

3:20 Presentation to be Announced by HitGen Inc

3:50 Grand Opening Refreshment Break in the Exhibit Hall with Poster Viewing and Best of Show Voting Begins

Don't miss the opportunity to meet the Discovery on Target community, including leading service providers and poster presenters in our first Exhibit Hall break! Grab a cup of coffee or refreshment, vote for Best of Show Poster and Exhibitor awards, and explore booths to fill the Game Card for a chance to win raffle prizes.

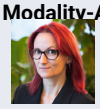
OPENING PLENARY KEYNOTE PANEL

4:45 Welcome Remarks by DOT Team Lead

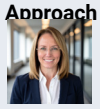
4:55 Chairperson's Remarks

Dennis Hu, PhD, CEO, Drug Hunter Inc.

5:00 PLENARY PANEL DISCUSSION: Tackling Difficult Drug Targets: Having a Modality-Agnostic & Technology-Nimble Approach






Moderator: Dennis Hu, PhD, CEO, Drug Hunter Inc.

This panel brings together senior leaders from pharma and biotech to explore how a modality-agnostic strategy is enabling progress against difficult yet high-value drug targets. Panelists will highlight how emerging modalities—from chimeras and conjugates to peptides and radioligands, along with ability to leverage technology innovations—are shaping drug discovery and redefining what is now druggable.

Panelists:

Erin Davis, PhD, Vice President, Research Business Insights & Technology, Bristol Myers Squibb

Ryan Potts, PhD, VP and Head, Induced Proximity Platform, Amgen, Inc.

John Tallarico, PhD, Global Head, Discovery Sciences, Novartis BioMedical Research

Klaus Urbahns, PhD, Head, Therapeutic Modalities, Biogen

Andrea Weston, PhD, Head of Discovery Biology and Pharmacology, Pfizer Inc.

Ian Storer, PhD, VP, Hit Discovery, AstraZeneca

6:00 GAME ON! Welcome Reception in the Exhibit Hall with Poster Viewing

Join us for a sports-themed reception and all-star networking with the DOT community. Feel free to wear your team jerseys, favorite tees, caps, sneakers—anything sporty & fun! Fill out a Game Card to win a raffle. Vote to choose our Best of Show Poster and Best of Show Exhibitor winners. Join a Pop-Up Group! These impromptu topic-specific meetups will take place in the exhibit hall throughout the event.

7:00 Close of Day

WEDNESDAY, SEPTEMBER 30

7:15 am Registration Open

7:30 In-Person Breakfast Breakouts

In-Person Breakouts are informal, moderated discussions to exchange ideas and develop future collaborations around a focused topic. Please come prepared to be part of a collective, problem-solving session and participate in active idea sharing. Visit the Breakouts page for a complete listing of ALL discussion topics, including ones related to setting up collaborations, finding funding, and more.

8:15 Networking Coffee Break

Join your colleagues for a cup of coffee or refreshments and make new connections.

TACKLING DIFFICULT CANCER TARGETS

9:00 Chairperson's Remarks

Chaohong Sun, PhD, Senior Director, Target Enabling Technologies, AbbVie, Inc.

9:05 FEATURED PRESENTATION: Targeting MYC for Cancer Therapy: Drugging the Undruggable

Stephen W. Fesik, PhD, Professor of Biochemistry, Pharmacology & Chemistry; Orrin H. Ingram II Chair in Cancer Research, Vanderbilt University

MYC is a highly validated cancer target that has been difficult or impossible to drug. Using fragment-based methods and structure-based design, we discovered orally active small molecules that target the MYC cofactor WDR5, disrupt chromatin engagement, and are now clinical candidates. We have also targeted the MYC/MAX dimer and found small compounds with drug-like characteristics that potently block DNA binding. Both approaches are promising for treating patients with MYC-driven tumors.

10:05 Targeting KRAS: Structural Insights into Signaling and Resistance Mechanisms

Dhirendra Simanshu, PhD, Principal Scientist, NCI RAS Initiative

Targeting KRAS remains challenging due to its dynamic signaling and rapid emergence of resistance to Switch-II pocket inhibitors. I will present structural insights into how KRAS conformational dynamics shape effector engagement and pathway output. I will also discuss how recurrent resistance mutations remodel the Switch-II pocket by weakening key drug interactions or by occluding the binding site. These findings provide a framework for understanding resistance and guiding next-generation therapies.

10:35 Sponsored Presentation *(Opportunity Available)*

11:05 Networking Coffee Break in the Exhibit Hall with Poster Viewing

E3 LIGASES AS CANCER TARGETS: STRUCTURAL & FUNCTIONAL INSIGHTS

11:50 FEATURED PRESENTATION: Probing Cereblon Through Allostery

Christina M Woo, PhD, Professor, Chemistry & Chemical Biology, Harvard University

This talk will discuss a new binding site on cereblon and its implications for targeted protein degradation.

12:20 pm Template-Driven Scaffolding of SCF^{FBXO42} Regulates PP2A Degradation

Peter L. Hsu, PhD, Principal Scientist, Structural Biology, Genentech Inc.

PP2A is a Ser/Thr phosphatase that regulates the phosphorylation of virtually all cellular processes. Despite its importance, mechanisms that regulate its turnover is poorly understood. Here, we find that the E3 ligase SCF^{FBXO42} degrades PP2A

Emerging Drug Targets

Disease-Related Molecular Complexes & Their Chemical Modulators

in complex with the coiled-coil protein CCDC6 to maintain cancer cell fitness. The cryoEM structure of this complex reveals a template-driven mechanism mediated by CCDC6 and represents a new mode of E3:substrate recogntion.

12:50 Networking luncheon in the Exhibit Hall with Poster Viewing

SMALL MOLECULE TUMOR-DIRECTED STRATEGIES

2:05 PLN-101095: Dual Integrin Blockade of Local TGF-ß Activation to Enhance Anti-Tumor Immunity

Timothy Machajewski, PhD, Senior Vice President, Head of Research, Pliant Therapeutics

This presentation describes the discovery of PLN-101095, a dual avß8/avß1 integrin inhibitor that blocks TGF-ß activation in the tumor microenvironment. It highlights the integrin chemistry and biology expertise underlying the program, the rationale for dual targeting, and translational data supporting clinical development. Preliminary Phase 1 data will be presented, including pharmacodynamic changes during a 14-day monotherapy lead-in, with increases in plasma IFN-ɣ and PD-L1 expression observed in the responders.

2:35 Targeting GITR (Glucocorticoid-Induced TNF Receptor) for Immune Action against Tumor Progression

Neil A. Bhowmick, CSO, Kairos Pharma Ltd.

The Glucocorticoid-Induced TNF Receptor (GITR) modulates both innate and adaptive immune responses. As antibody-based agonists have faced limitations, we engineered a small molecule, KROS-101, through a structure-based approach. KROS-101 expanded effector T cells and downregulated immunosuppressive Treg cells, with potent anti-tumor activity as a single agent in syngeneic colon tumor models. Further clinical development as a next-generation checkpoint agonist for first-in-man studies are forthcoming.

3:05 Targeting the DDR Pathway: Cancer Drug Discovery Approaches Using Synthetic Lethality

Debanu Das, PhD, Co-Founder, XPose Therapeutics, Mid-Atlantic BioTherapeutics (MABT)

DNA-damage response (DDR) proteins are prime targets in combinatorial therapies and cases of synthetic lethality. We discover and develop novel target-specific DDR therapeutics targeting multiple DDR proteins, including small molecule inhibitors and targeted protein degraders dependent on fragment- and structure-based drug discovery. Our approach reveals locations and poses of ligands and details of protein-ligand interactions, target ligandability, orthosteric, and potential allosteric sites, allowing rapid assessment of synthetic tractability and intellectual property.

3:35 Sponsored Presentation *(Opportunity Available)*

4:05 Refreshment Break in the Exhibit Hall with Poster Viewing (Last Chance to Vote for Best of Show Awards)

Recharge during our refreshment break! Meet the Venture Capitalists who will be part of our VC Insights panel, visit booths, view posters, connect with peers, and turn in your Game Cards for a chance to win a raffle prize.

INSIGHTS FROM VENTURE CAPITALISTS

4:50 PLENARY PANEL DISCUSSION: Venture-Capitalist Insights on Trends in Drug Discovery





Moderator: Daniel A. Erlanson, PhD, Chief Innovation Officer, Frontier Medicines Corporation

Panelists representing the investor ecosystem share their thoughts and experiences working with a vast number of early- and late-stage biotechnology companies and academic labs. They highlight current trends in investments for drug discovery and anticipated changes. This discussion also provides scientists an understanding of how investment strategy works and how they can secure the right funding to bring their ideas from the lab to market.

Panelists:
Chris De Savi, PhD, CSO Partner, Curie Bio
Neil Kubica, PhD, Therapeutics Division Lead, General Inception
Pengpeng Li, PhD, Principal, Lilly Asia Ventures
Ken Lin, CEO & Founder, ABIES Capital

5:50 In-Person Breakouts (40 min)

In-Person Breakouts are informal, moderated discussions to exchange ideas and develop future collaborations around a focused topic. To get the most out of this discussion, please come prepared to be part of a collective, problem-solving session and participate in active idea sharing. Visit the Breakouts page for a complete listing of ALL discussion topics.

6:30 Close of Day

THURSDAY, OCTOBER 1

7:15 am Registration Open and Morning Coffee

7:30 In-Person Breakfast Breakouts

In-Person Breakouts are informal, moderated discussions to exchange ideas and develop future collaborations around a focused topic. Please come prepared to be part of a collective, problem-solving session and participate in active idea sharing. Visit the Breakouts page for a complete listing of ALL discussion topics, including ones related to setting up collaborations, finding funding, and more.

8:15 Networking Coffee Break

Join your colleagues for a cup of coffee or refreshments and make new connections.

NEURODEGENERATION TARGETS: SMALL-MOLECULE APPROACHES

9:00 Chairperson's Remarks

Bhaumik A. Pandya, PhD, Director, Chemistry, Vigil Neuroscience

9:05 Potent, Fast, and Brain-Penetrant VHL LRRK2 Degraders for Treating Parkinson's Disease

Jack Robertson, PhD, University of Dundee

Targeted protein degradation of LRRK2 offers an exciting opportunity to drug this Parkinson's disease relevant protein. First, we will demonstrate an SPR system to look at LRRK2-VHL ternary complexes and identify potent LRRK2 PROTACs before optimizing compound DMPK. Secondly, using a direct-to-biology approach, we identify new VHL PROTACs that can degrade LRRK2. This talk showcases the advancement in LRRK2 VHL PROTACs towards an *in vivo* active PROTAC.

9:35 Identification of Potent, Selective, Orally Bioavailable and CNS-penetrant LRRK2 PROTAC Protein Degraders for the Treatment of Neurodegenerative Diseases

Steven Sparks, PhD, Executive Director, Medicinal Chemistry, Arvinas

Leucine-rich repeat kinase 2 (LRRK2) regulates cellular processes including endo-lysosomal function, autophagy, and neuroinflammation. Elevated LRRK2 activity and expression are linked to familial and sporadic Parkinson's disease and implicated in progressive supranuclear palsy (PSP). We developed LRRK2 PROTAC degraders as potential disease-modifying therapies. Here, we highlight optimized LRRK2 degraders that are potent, orally bioavailable, blood-brain barrier penetrant, and capable of reaching deep brain regions impacted by neurological disease.

Emerging Drug Targets

Disease-Related Molecular Complexes & Their Chemical Modulators

10:05 Phospho-Ubiquitin Molecular Glue for Neurogenerative Drugs
Tauseef Butt, PhD, President & CEO, Progenra, Inc.
Economic burden of neurodegenerative diseases exceeded trillions of dollars in 2025. Recently launched biologicals provide marginal benefit. Parkinson's and Alzheimer's diseases (PD/AD) are driven by protein aggregation—such as a-synuclein and tau—that disrupts mitochondrial function. Damaged mitochondrial kinase PINK1 phosphorylate ubiquitin activates parkin ligase to promote mitophagy and autophagy. A potent PINK1/Parkin molecular-glue drug to remove protein aggregates and damaged mitochondria and restore mitobiogenesis will be discussed.

10:35 Sponsored Presentation *(Opportunity Available)*

11:05 Coffee Break in the Exhibit Hall (Best of Show Awards Announced)
Meet new collaborators, and network with clients, colleagues, and exhibitors. Make your vote count for the People's Choice Best of Show Poster and Exhibitor awards and plan to stay and cheer the winners!

11:50 Discovery of Novel Activators for Lysosome Ion Channel TMEM175, a Parkinson's-Disease Target
Tianbo Li, PhD, Principal Scientist, Drug Discovery, Genentech Inc.
TMEM175 is a K and H channel that regulates lysosomal pH and a-synuclein degradation. Studies indicate that TMEM175 gain-of-function protects against PD progression. In this study, we developed high-throughput FLIPR and electrophysiological assays to screen for novel TMEM175 activators. We characterized potential hits by developing a world-first high-throughput lysosomal patch-clamp assay to determine on target potency. We also validated hits effects on native lysosomal pH in human neural progenitor cells.

12:20 pm TREM2 Activation: Harnessing the Brain's Immune System to Treat Neuroinflammation
Agnes Cheong, PhD, Senior Scientist, Neuorprotection & Resilience cluster, Sanofi
TREM2 loss-of-function variants are strongly associated with neurodegeneration, including Alzheimer's disease and frontotemporal dementia. Therapeutic TREM2 activation in AD mouse models reduces Aß plaques and improves memory deficits. Using a human iPSC-derived tri-culture system, transcriptomic analysis showed that a TREM2 small molecule agonist partially reversed disease-associated signatures and attenuated inflammatory responses both *in vitro* and *in vivo*, reducing astrogliosis and inflammatory cytokine production, supporting its anti-inflammatory therapeutic potential.

12:50 Transition to Lunch

1:00 Luncheon Presentation *(Sponsorship Opportunity Available)* **or Enjoy Lunch on Your Own**

1:30 Dessert Break in the Exhibit Hall and Last Chance for Poster Viewing
Did you connect with all our service providers and poster presenters? You never know what you may have missed! Did you get a chance to congratulate our Best of Show Poster and Exhibitor Award winners? Stay till the end during this final break to meet everyone and say your goodbyes!

CLOSING PLENARY KEYNOTE PANEL

2:15 Closing Remarks by DOT Team Lead

2:20 Chairperson's Remarks
Armon Sharei, PhD, Founder & CEO, Portal Biotechnologies

2:25 PLENARY PANEL DISCUSSION: Starting Up: Translating Lab Ideas into Commercial Impact

Moderator: Armon Sharei, PhD, Founder & CEO, Portal Biotechnologies
This panel discussion brings together scientists from academia and biotech to share their stories on what it takes to translate transformative ideas into meaningful commercial outcomes. Panelists will delve into some of the critical inflection points, challenges encountered, key decisions that had to be made and their outcomes. The session will provide scientists with an understanding of what it takes to bring ideas from lab to market.
Panelists:
Sangeeta N. Bhatia, Professor & Director, Marble Center for Cancer Nanomedicine, Health Sciences & Technology, Massachusetts Institute of Technology
Ivan Cornella Taracido, PhD, Founder, New Stealth Co.
Kris Elverum, MBA, former President & CEO, AIRNA
Parastoo Khoshakhlagh, PhD, Co-Founder & CEO, GC Therapeutics
William Pao, MD, PhD, CEO, Revelio Therapeutics
Johnny Yu, PhD, CSO & Co-Founder, Tahoe Therapeutics

3:20 Transition to Sessions

NEUROINFLAMMATION TARGETS

3:30 Chairperson's Remarks
Tauseef Butt, PhD, President & CEO, Progenra, Inc.

3:35 Discovery of BMS-986196: A Brain-Penetrant, Covalent Inhibitor of Bruton's Tyrosine Kinase (BTK)
Scott H Watterson, PhD, Scientific Director, Bristol Myers Squibb Co
Bruton's tyrosine kinase (BTK) is required for antigen-specific BCR signaling and Fc-gamma receptor signaling in monocytic cells. In multiple sclerosis (MS), CNS B-cell pathways in meningeal ectopic follicles and Fc-gamma-mediated microglial pathways are thought to drive disease pathogenesis and progression. BTK inhibition is expected to reduce MS-related immune inflammation. This presentation describes the identification of clinical compound BMS-986196, a brain-penetrant, covalent BTK inhibitor for the treatment of MS.

4:05 Lysosomal Dysfunction May Be an Early Driver for Dysregulated Neuronal Activity and Neurodegeneration in Parkinson's Disease
Katie Ennis, Senior Scientist, NeuroInflammation, Sanofi Group
Lysosomal dysfunction and alpha-synuclein aggregation drive Parkinson's disease (PD) onset and progression. A human iPSC tri-culture system of neurons, microglia, and astrocytes was developed to explore how lysosomal alterations influence neuroinflammation and neurodegeneration. Following a-synuclein pre-formed fibril treatment, these disease-relevant models showed robust pathway alterations and dysregulated neuronal function, suggesting lysosomal defects are upstream drivers of PD pathology. This platform enables evaluation of therapeutics targeting lysosomal restoration for drug development.

5:05 Close of Conference

Novel Drug Modalities

Tackling Difficult-to-Drug Targets with Glues, Chimeras, Condensates, Conjugates, Peptides

TUESDAY, SEPTEMBER 29

7:30 am Registration Open and Morning Coffee

8:25 Welcome Remarks

DIVERSE MODALITIES FOR TACKLING CANCER TARGETS

8:30 Chairperson's Remarks

Ivan Cornella Taracido, PhD, Founder, New Stealth Co.

8:35 Modality Optionality: Expanding Druggability, Pushing the Limits of Chemical Space

Ivan Cornella Taracido, PhD, Founder, New Stealth Co.

Breakthroughs in biology, omics, AI/ML, data, and structural sciences are converging with novel chemistries to expand both the drug modality repertoire and accessible target space. These advances promise a future in which every target, regardless of structural class, may be matched to the optimal modality at unprecedented speed and precision. In turn, molecular modality matching to target and indication, has become a critical early strategic decision in drug discovery.

8:45 Next-Generation Small-Molecule Drug Conjugate (SMDC) to Target Tumor Microenvironment and Maximize Anti-Tumor Efficacy

Jooyun Byun, PhD, Director, Preclinical Pharmacology, Hanmi Pharmaceutical Co Ltd.

We are developing a next-generation small molecule–drug conjugate (SMDC) designed to overcome the penetration limitations of conventional ADCs. By targeting a tumor-associated antigen enriched in the tumor microenvironment, this novel modality enables deep tumor infiltration and potent anti-tumor activity in complex TME settings.

9:05 FEATURED PRESENTATION: Therapeutic Targeting of Disease-Associated Biomolecular Condensates

Ann Boija, PhD, Senior Vice President, Head of Research, Dewpoint Therapeutics

Biomolecular condensates organize cellular processes such as transcription and RNA metabolism, and their dysregulation contributes to disease. We leverage condensate biology to discover small molecules that selectively modulate disease-associated condensates and control the activity of targets historically challenging to drugs, including transcription factors and RNA-binding proteins. This strategy has advanced a ß-catenin condensate modulator into clinical evaluation, highlighting condensate modulation as a new therapeutic modality.

9:35 Discovery of Diverse RAS(ON) Inhibitor Profiles by Chemically Remodeling a Cellular Chaperone

Bianca Lee, PhD, Cancer Biologist, Revolution Medicines

We have developed a platform of RAS(ON) mutant-selective, multi-selective, and catalytic inhibitors that bind the abundant immunophilin cyclophilin A (CypA), forming a binary complex that remodels the CypA surface to form a high-affinity tri-complex with RAS(ON). The tri-complex can robustly inhibit mutant RAS function in cancer cells via distinct mechanisms, including steric blockade of effectors or by catalytically converting mutant RAS(ON) into RAS(OFF) in an activity mimicking GTPase activating proteins.

10:05 Networking Refreshment Break

Join your colleagues for a cup of coffee or refreshments and make new connections.

TOOLS ENABLING DEGRADER & GLUE DISCOVERY

10:35 Diverse Mechanisms of Small Molecule-Induced Protein Degradation

Mikolaj Slabicki, PhD, Assistant Professor, MGH/Broad Institute

My laboratory develops scalable, quantitative platforms to study targeted protein degradation. By combining fluorescent stability reporters, pooled CRISPR screening, and high throughput flow cytometry, we uncover diverse small molecule-induced degradation mechanisms and expand target scope. A major focus is CRBN, a highly plastic E3 ligase. Using large libraries and ultrasensitive degron discovery methods, we define rules of substrate recognition and identify targets often missed by conventional proteomics.

11:05 Structural Proteomics and AI Platform Enable Degrader Rational Design

Gali Arad, PhD, VP of Product, Protai Bio Ltd.

We present an integrated platform combining AI with structural proteomics to inform the rational design of protein degraders. The talk highlights specific strategies for optimizing lead compounds, featuring a deep dive into preclinical data from Protai's KAT6 degrader program.

11:35 Application of AI and High-Throughput Proteomics for Molecular Glue Discovery

Jin Wang, PhD, Director, Biochemistry and Molecular Pharmacology, Baylor College of Medicine

We will discuss how we apply state-of-the-art high-throughput proteomics to discover molecular glue hits and apply AlphaFold 3-like folding software to predict the structures of molecule glue induced ternary complexes.

12:05 pm Presentation to be Announced

LifeSensors

12:20 Sponsored Presentation *(Opportunity Available)*

12:35 Transition to Lunch

12:45 Luncheon Presentation to be Announced

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1:15 Session Break

RATIONALIZING MOLECULAR GLUE DISCOVERY

1:45 Chairperson's Remarks

Asad Taherbhoy, PhD, Senior Director, Discovery, Foghorn Therapeutics

1:50 The Next-Generation Platform for Discovery of Molecular Glue Degraders

Zoran Rankovic, PhD, Professor of Chemical Biology and Director of the Centre for Protein Degradation, Institute of Cancer Research (ICR), London

While large strides have been made towards *de novo* design of molecular glue degraders (MGDs), library screening of cereblon ligands remains essential. We present here our MGD discovery platform that combines a hypothesis-driven second-generation molecular glue library with high-throughput deep proteomics screening. The screening data for >4,000 compounds will be discussed and exemplified with several degraders of novel neosubstrates with non-canonical ternary complex binding mode, as determined by cryo-EM.

2:20 A General Workflow for the Discovery of Macrocyclic Molecular Glues

Thomas Kodadek, PhD, Professor, Department of Chemistry, University of Florida, Scripps Biomedical Research

Novel Drug Modalities

Tackling Difficult-to-Drug Targets with Glues, Chimeras, Condensates, Conjugates, Peptides

Molecular glues (MGs) are a promising modality for drugging difficult protein targets. This lecture will focus on the development of a generalizable strategy for the discovery of cell-permeable macrocyclic compounds capable of acting as glues to recruit a variety of different types of enzymes (Ubiquitin ligases, phosphatases, kinases, etc.) to a target protein of interest.

2:50 Industrializing Molecular Glue Discovery

Amine Sadok, PhD, Senior Director & Head of Induced Proximity Platform, Amgen Inc.

We are industrializing molecular glue discovery to drug previously intractable targets lacking conventional binding pockets. By expanding chemically enabled E3 ligases and building a 500,000-compound glue-focused library, we enable target-centric and -agnostic screening. Proximity proteomics and Picowell RNA-seq identified VHL-based degraders dCASP2 and dGEM3, demonstrating programmable ternary complex formation and selective target degradation, advancing the goal of reaching any target, every time.

3:20 Talk Title to be Announced

WuXi AppTec

Peichuan Zhang, Director, WuXi Biology, WuXi AppTec

3:50 Grand Opening Refreshment Break in the Exhibit Hall with Poster Viewing and Best of Show Voting Begins

Don't miss the opportunity to meet the Discovery on Target community, including leading service providers and poster presenters in our first Exhibit Hall break! Grab a cup of coffee or refreshment, vote for Best of Show Poster and Exhibitor awards, and explore booths to fill the Game Card for a chance to win raffle prizes.

OPENING PLENARY KEYNOTE PANEL

4:45 Welcome Remarks by DOT Team Lead

4:55 Chairperson's Remarks

Dennis Hu, PhD, CEO, Drug Hunter Inc.

5:00 PLENARY PANEL DISCUSSION: Tackling Difficult Drug Targets: Having a Modality-Agnostic & Technology-Nimble Approach







Moderator: Dennis Hu, PhD, CEO, Drug Hunter Inc.

This panel brings together senior leaders from pharma and biotech to explore how a modality-agnostic strategy is enabling progress against difficult yet high-value drug targets. Panelists will highlight how emerging modalities—from chimeras and conjugates to peptides and radioligands, along with ability to leverage technology innovations—are shaping drug discovery and redefining what is now druggable.

Panelists:

Erin Davis, PhD, Vice President, Research Business Insights & Technology, Bristol Myers Squibb

Ryan Potts, PhD, VP and Head, Induced Proximity Platform, Amgen, Inc.

John Tallarico, PhD, Global Head, Discovery Sciences, Novartis BioMedical Research

Klaus Urbahns, PhD, Head, Therapeutic Modalities, Biogen

Andrea Weston, PhD, Head of Discovery Biology and Pharmacology, Pfizer Inc.

Ian Storer, PhD, VP, Hit Discovery, AstraZeneca

6:00 Game On! Welcome Reception in the Exhibit Hall with Poster Viewing

Join us for a sports-themed reception and all-star networking with the DOT community. Don't forget to play the Game Card and vote for our Best of Show Poster and Best of Show Exhibitor awards. It's the perfect way to relax and connect while in Boston. Feel free to wear your team jerseys, favorite tees, caps, sneakers—anything sporty & fun! Join a Pop-Up Group! These impromptu topic-specific meetups will be happening in the hall throughout the meeting. Find your interest group and network with colleagues in your field.

7:00 Close of Day

WEDNESDAY, SEPTEMBER 30

7:15 am Registration Open

7:30 In-Person Breakfast Breakouts

In-Person Breakouts are informal, moderated discussions to exchange ideas and develop future collaborations around a focused topic. Please come prepared to be part of a collective, problem-solving session and participate in active idea sharing. Visit the Breakouts page for a complete listing of ALL discussion topics, including ones related to setting up collaborations, finding funding, and more.

IN-PERSON ONLY BREAKOUT: EXPLORING NEW CHEMISTRIES AND CELLULAR PATHWAYS FOR DEVELOPING NEXT-GENERATION DEGRADERS

Alexander Alanine, PhD, Senior Vice President, Head of Chemistry, TRIMTECH Therapeutics Limited

IN-PERSON ONLY BREAKOUT: NEW SCREENING TOOLS FOR DESIGN & OPTIMIZATION OF MOLECULAR GLUES

Thomas Kodadek, PhD, Professor, Department of Chemistry, University of Florida, Scripps Biomedical Research

Maria Soloveychik, PhD, Co-Founder & CEO, SyntheX

Jin Wang, PhD, Director, Biochemistry and Molecular Pharmacology, Baylor College of Medicine

8:15 Networking Coffee Break

Join your colleagues for a cup of coffee or refreshments and make new connections.

MOLECULAR GLUES IN DEVELOPMENT

9:00 Chairperson's Remarks

Charu Chaudhry, PhD, Associate Director, Molecular Pharmacology, J&J Innovative Medicine

9:05 Harnessing TRIM21 Mediated Degradation, Selectively Degrading Pathological Protein Aggregates in the CNS

Alexander Alanine, PhD, Senior Vice President, Head of Chemistry, TRIMTECH Therapeutics Limited

The presentation will address how TRIMTECH is leveraging its proprietary platform of small molecule TRIMTAC degraders to recruit the novel E3 ligase TRIM21 to the surface of intracellular protein aggregates. Selective degradation of multimeric forms of proteins is achieved via a unique clustering activation mechanism that spares the monomeric protein, demonstrating the potential of this next generation protein degradation mechanism for proteophathic aggregates in a wide range of neurological disorders.

9:35 Discovery of TRI-611, a Selective, CNS-Penetrant ALK Fusion Protein Molecular Glue Degrader for ALK+ NSCLC

David Marcoux, PhD, Senior Director, Chemistry, Triana Biomedicines Inc.

ALK inhibitors have improved outcomes in ALK+ non-small cell lung cancer but remain limited by off-target toxicity, and resistance mutations. This work describes the discovery of TRI-611, a brain-penetrant CRL4CRBN molecular glue degrader that addresses resistance by recruiting ALK via a degron distal to the orthosteric ATP binding site. TRI-611 drives tumor regression in pre-clinical mouse xenograft models with daily oral dosing and is currently in Phase I/II clinical trials.

Novel Drug Modalities

Tackling Difficult-to-Drug Targets with Glues, Chimeras, Condensates, Conjugates, Peptides

10:05 Molecular Glue Degraders Overcome Target-Engaging Limits of Traditional Inhibitors

Yong Cang, PhD, Professor, ShanghaiTech University; Co-Founder & CSO, Degron Therapeutics

Roughly 75% of disease targets are considered difficult-to-drug or insufficiently drugged. Molecular glue degraders provide an unique approach to engage these targets. I will use case studies from Degron's internal pipeline programs to illustrate the power of molecular glue degraders to overcome such challenges and even illuminate novel target biology in disease treatment.

10:35 Talk Title to be Announced

Yun Yang, Executive Director, WuXi TIDES, WuXi AppTec

11:05 Networking Coffee Break in the Exhibit Hall with Poster Viewing

DEGRADING EXTRACELLULAR & MEMBRANE PROTEINS

11:50 Targeted Degradation of Extracellular Proteins via Induced Shedding

Zi Yao, PhD, Postdoctoral Scholar, Laboratory of Dr. Jim Wells, Department of Pharmaceutical Chemistry, University of California San Francisco

Current extracellular targeted protein degradation (eTPD) strategies primarily rely on recycling receptors and lysosomal trafficking for internalization and degradation. Here, we developed bispecific antibodies that recruit membrane-bound proteases to proteins of interest, enabling their "degradation" them via enzymatic shedding. Additionally, the induced proteolysis releases soluble ligands that may influence downstream cellular processes. This approach provides a new mechanism of eTPD and broadens the scope of antibody-based therapeutics.

12:20 pm Investigation of Lysosome-Targeting Receptors for the Selective Degradation of Extracellular and Membrane Proteins

Weiping Tang, PhD, Professor, Pharmaceutical Sciences and Director, Medicinal Chemistry Center, University of Wisconsin-Madison

Targeted protein degradation has emerged as a powerful therapeutic strategy but has been largely restricted to intracellular targets. I will present our work on degraders for extracellular and membrane proteins that exploit lysosome-targeting receptors with distinct tissue-expression profiles and show promising activity *in vitro* and *in vivo*. I will also describe a general glycoengineering strategy for site-selective antibody conjugation, enabling homogeneous therapeutic conjugates.

12:50 Networking luncheon in the Exhibit Hall with Poster Viewing

MODALITIES FOR PURSUING COMPLEX TARGETS

2:00 Chairperson's Remarks

Thomas Kodadek, PhD, Professor, Department of Chemistry, University of Florida, Scripps Biomedical Research

2:05 Targeting Gene Transcriptional Complexes by Domain Alteration Chimeras (DALTACs)

Shaomeng Wang, PhD, Warner-Lambert/Parke-Davis Professor of Medicine, Pharmacology & Medicinal Chemistry; Co-Director, Molecular Therapeutics Program, University of Michigan

Gene transcription is often controlled by complexes consisted of several proteins, including transcriptional factors and co-activators, among others. We propose to develop hetero-bifunctional domain alteration chimeras (DALTACs) to target gene transcriptional complexes as a new therapeutic strategy. As compared to conventional small-molecule inhibitors and degraders, DALTACs have the major advantages in concurrently targeting two different proteins and are capable of achieving exceedingly high potency, specificity and efficacy.

2:35 Methylarginine Targeting Chimeras for Lysosomal Degradation of Intracellular Proteins

Lauren Albrecht, PhD, Assistant Professor, Department of Pharmaceutical Sciences, University of California Irvine

Targeted protein degradation has largely relied on the ubiquitin–proteasome system, limiting accessible targets. Here, we introduce methylarginine targeting chimeras (MrTACs), a new class of small molecules that recruit PRMTs to install lysosome-targeting degrons. MrTACs induce robust, selective degradation of diverse and previously intractable proteins via microautophagy. This work establishes lysosomal proteolysis as a complementary, therapeutically viable pathway, expanding the degrader toolbox and enabling access to challenging disease-relevant targets.

3:05 FEATURED PRESENTATION: Protein Editing Using Proximity-inducing Molecules

Amit Choudhary, PhD, Assistant Professor of Medicine, Harvard Medical School, Brigham and Women's Hospital and Broad Institute of MIT and Harvard

Information flow in biological systems involves protein editing, including PTMs by "writer" or "eraser" enzymes. Contemporary protein editors are chimeric small molecules formed by the fusion of binders of a protein of interest (POI) and writer/eraser, but this design is not scalable. I will describe a scalable platform using Group-transfer chimeras for Inducing Proximity (GRIPs) that enabled the recruitment of >50 inhibitors/enzymes, including kinases, phosphatases, glycosyl transferases, glycosidases, and methyltransferases.

3:35 Presentation to be Announced by XtalPi Inc

4:05 Refreshment Break in the Exhibit Hall with Poster Viewing (Last Chance to Vote for Best of Show Awards)

Recharge during our refreshment break! Meet the Venture Capitalists who will be presenting as a part of our VC Insights panel, visit booths, view posters, connect with peers, and turn in your Game Cards for a chance to win a raffle prize.

INSIGHTS FROM VENTURE CAPITALISTS

4:50 PLENARY PANEL DISCUSSION: Venture-Capitalist Insights on Trends in Drug Discovery





Moderator: Daniel A. Erlanson, PhD, Chief Innovation Officer, Frontier Medicines Corporation

Panelists representing the investor ecosystem share their thoughts and experiences working with a vast number of early- and late-stage biotechnology companies and academic labs. They highlight current trends in investments for drug discovery and anticipated changes. This discussion also provides scientists an understanding of how investment strategy works and how they can secure the right funding to bring their ideas from the lab to market.

Panelists:
Chris De Savi, PhD, CSO Partner, Curie Bio
Neil Kubica, PhD, Therapeutics Division Lead, General Inception
Pengpeng Li, PhD, Principal, Lilly Asia Ventures
Ken Lin, CEO & Founder, ABIES Capital

5:50 In-Person Breakouts (40 min)

In-Person Breakouts are informal, moderated discussions to exchange ideas and develop future collaborations around a focused topic. To get the most out of this discussion, please come prepared to be part of a collective, problem-solving session and participate in active idea sharing. Visit the Breakouts page for a complete listing of ALL discussion topics.

Novel Drug Modalities

Tackling Difficult-to-Drug Targets with Glues, Chimeras, Condensates, Conjugates, Peptides

6:30 Close of Day

THURSDAY, OCTOBER 1

7:15 am Registration Open and Morning Coffee

7:30 In-Person Breakfast Breakouts
In-Person Breakouts are informal, moderated discussions to exchange ideas and develop future collaborations around a focused topic. Please come prepared to be part of a collective, problem-solving session and participate in active idea sharing. Visit the Breakouts page for a complete listing of ALL discussion topics, including ones related to setting up collaborations, finding funding, and more.

IN-PERSON ONLY BREAKOUT: PROS AND CONS OF DEGRADER CONJUGATES
John Brognard, PhD, Professor of Surgery, Upstate Medical University
Ryan Holmes, PhD, Associate Director, Chemistry, Prelude Therapeutics Inc.

8:15 Networking Coffee Break
Join your colleagues for a cup of coffee or refreshments and make new connections.

NOVEL DEGRADERS IN DEVELOPMENT

9:00 Chairperson's Remarks
Dominic J. Reynolds, PhD, CSO, R&D, Remix Therapeutics

9:05 First-in-Class ARID1B Degraders Enable Targeting of ARID1A-Mutant Cancers
Julie Di Bernardo, PhD, Director, Drug Discovery, Foghorn Therapeutics
ARID1B, a core subunit of the SWI/SNF (BAF) chromatin-remodeling complex, is essential for regulating gene accessibility but has long been considered undruggable due to the absence of ligandable pockets. Because ARID1A-deficient cancers show a strong synthetic lethal dependency on ARID1B, it represents a compelling therapeutic target. We discovered the first selective ARID1B-binding chemical series and generated potent and selective heterobifunctional degraders, establishing a path to drug previously intractable proteins.

9:25 Leverage Protein Degraders as Novel Payloads for Degrader-Antibody Conjugates
Jing Liu, PhD, Senior Vice President of Platform Chemistry, Cullgen, Inc.
The majority of approved ADCs rely on a small number of payload classes, including topoisomerase and tubulin inhibitors. Resistance to these payloads is increasingly recognized as a limitation of existing ADCs. Over the past few years, we have developed many protein degraders with sub-nanomolar potency. Conjugating these degraders to antibodies yields degrader-antibody conjugates (DACs) with improved therapeutic indices. In this talk, I will present two of our DAC programs.

9:45 Precision Degrader Antibody Conjugates (pDACs): An Emerging Modality in Targeted Therapeutics
Ryan Holmes, PhD, Associate Director, Chemistry, Prelude Therapeutics Inc.
Rationally designed dual SMARCA2/4 degrader payloads demonstrated potent, selective target degradation and strong antiproliferative activity across multiple cancer cell lines. Physicochemical properties suitable for DAC development were maintained, and the designed payloads were incorporated into state-of-the-art linker architectures. Subsequent conjugation and evaluation across multiple antigens demonstrated the potential of precision degrader antibody conjugates (pDACs) to advance next-generation precision medicine.

10:05 Strategies to Drug RNA-Protein Complexes with Small Molecules
Dominic J. Reynolds, PhD, CSO, R&D, Remix Therapeutics
REM-422, a first-in-class mRNA Degrader of the MYB Oncogene, is being developed by Remix Therapeutics for the treatment of ACC and AML/HR-MDS. The REMaster platform identifies compounds that address undruggable, high unmet medical-need targets. These next-generation drug discovery programs are enabled by a suite of biophysical assays and expand the scope of pharmacologically tractable splice modulator modalities.

10:35 Sponsored Presentation *(Opportunity Available)*

11:05 Coffee Break in the Exhibit Hall with the Best of Show Poster and Exhibitor Awards Announced
Meet new collaborators, and network with clients, colleagues, and exhibitors. Make your vote count for the People's Choice Best of Show Exhibitor award and plan to stay and cheer the winner! Remember to enter your name for the Book Raffle!

PURSUING ORAL BIOAVAILABILITY

11:50 Peptide-to-Small Molecule: A Generalizable Pharmacophore-Guided Small Molecule Lead Generation Strategy for Challenging Targets
Yuki Tachibana, PhD, Vice President & Head, Laboratory for Drug Discovery Chemistry, Shionogi & Co. Ltd.
Recent advances in peptide display technologies enable rapid identification of high-affinity macrocyclic peptides, yet their poor membrane permeability often limits therapeutic utility. I present a "Peptide-to-Small Molecule" strategy that translates peptide binding information into drug-like small molecules through pharmacophore extraction and structure-guided *de novo* design. Using some case studies, I demonstrate how this approach/concept enables discovery of cell-active small-molecule inhibitors for traditionally challenging targets.

12:20 pm FEATURED PRESENTATION: Next-Generation Macrocyclic Peptide Drugs- Designing Oral Agents for Difficult-to-Drug Targets
Simon Bailey, PhD, MBA, COO and President, R&D, Unnatural Products, Inc.
Interest in the discovery of peptide drugs is enjoying a resurgence, driven by the GLP-1 agonist class of anti-obesity medicines. Despite the demonstrated benefits of peptide therapeutics, developing oral drugs in this class has proved challenging, due to the difficulty of designing peptides that can cross the gut membrane. This talk will highlight work done at Unnatural Products aimed at developing generalizable approaches for oral delivery of peptide drugs.

12:50 Transition to Lunch

1:00 Luncheon Presentation *(Sponsorship Opportunity Available)* **or Enjoy Lunch on Your Own**

1:30 Dessert Break in the Exhibit Hall and Last Chance for Poster Viewing
Enjoy dessert and coffee during our final exhibit hall break. Did you connect with all the service providers and poster presenters? You never know what you missed! Stay till the end to maximize your time in the exhibit hall and to celebrate our Best of Show Poster and Exhibitor award winners!

CLOSING PLENARY KEYNOTE PANEL

2:15 Closing Remarks by DOT Team Lead

2:20 Chairperson's Remarks
Armon Sharei, PhD, Founder & CEO, Portal Biotechnologies

Novel Drug Modalities

Tackling Difficult-to-Drug Targets with Glues, Chimeras, Condensates, Conjugates, Peptides

2:25 PLENARY PANEL DISCUSSION: Starting Up: Translating Lab Ideas into Commercial Impact

Moderator: Armon Sharei, PhD, Founder & CEO, Portal Biotechnologies
This panel discussion brings together scientists from academia and biotech to share their stories on what it takes to translate transformative ideas into meaningful commercial outcomes. Panelists will delve into some of the critical inflection points, challenges encountered, key decisions that had to be made and their outcomes. The session will provide scientists with an understanding of what it takes to bring ideas from lab to market.
Panelists:
Sangeeta N. Bhatia, Professor & Director, Marble Center for Cancer Nanomedicine, Health Sciences & Technology, Massachusetts Institute of Technology
Ivan Cornella Taracido, PhD, Founder, New Stealth Co.
Kris Elverum, MBA, former President & CEO, AIRNA
Parastoo Khoshakhlagh, PhD, Co-Founder & CEO, GC Therapeutics
William Pao, MD, PhD, CEO, Revelio Therapeutics
Johnny Yu, PhD, CSO & Co-Founder, Tahoe Therapeutics

3:20 Transition to Sessions

SITE-DIRECTED MODALITIES

3:30 Chairperson's Remarks
Ivan Cornella Taracido, PhD, Founder, New Stealth Co.

3:35 Novel FGFR2 Biparatopic Antibodies for the Treatment of Gastrointestinal Malignancies
Saireudee Chaturantabut, PhD, Senior Research Scientist, Cancer Program, Broad Institute of MIT and Harvard
FGFR2 alterations drive several cancers, including gastric, breast, and intrahepatic cholangiocarcinoma (ICC), but current FGFR inhibitors show limited benefit. We developed biparatopic antibodies that bind FGFR2, demonstrated strong potency in FGFR2-driven and fusion-positive ICC models, and also showed activity against resistant FGFR2 mutations, supporting their therapeutic promise for FGFR2-driven cancers.

3:50 Modular Linker and Sidechain Optimization of an Oral Spiroligomer™ CD40L Ligand Drives Greater Binding Affinity
Christian Schafmeister, PhD, Founder & CEO, Ladder Bio
CD40L is a clinically validated immunology target with no small-molecule pocket. Oral, non-antibody Spiroligomer™ peptidomimetics engage this flat protein-protein interface. Starting from DEL hit 02-017, we modularly optimized the inter-spiro linker and sidechains over two rounds, improving Kd from ~10–15 μM to 0.96 μM (lead 02-067) and IC_{50} from 8.4 to 1.2 μM—a greater than tenfold gain.

4:05 Overcoming Basic Chemistry Using Cell Penetration Enhancer Molecules to Kill Tumor Cells
Lewis Bender, Founder & President & CEO, Intensity Therapeutics Inc.
Enabling effective intratumoral injection has long been a goal in drug delivery. Advances in chemistry now allow the use of dispersion systems and cell-penetration enhancer molecules to improve tumor uptake of potent anticancer agents. This approach enhances intracellular delivery, enabling direct tumor cell killing and potentially priming tumors for improved response to subsequent immunotherapy.

4:35 Autologous Stem Cell Therapies for Degenerative Disc Disease: Advancing a Regenerative Approach to Chronic Pain
Francisco Silva, Vice President R&D & Chief Scientist, Biorestorative Therapies
Most chronic pain treatments address symptoms rather than the underlying pathology. We will explore development of BioRestorative's lead drug candidate, BRTX-100, an autologous mesenchymal stem cell therapy designed to treat chronic discogenic pain by targeting degenerative disc disease at its source. The presentation will examine clinical development strategies, regulatory alignment for late-stage trials, and the broader implications of cell-based regenerative therapies as a new therapeutic modality for musculoskeletal disease.

5:05 Close of Conference

Lead Generation Strategies

Modern Small Molecule Discovery, Design, and Optimization for Next-Generation Therapeutics

TUESDAY, SEPTEMBER 29

7:30 am Registration Open and Morning Coffee

8:25 Welcome Remarks

CANCER TARGETS & COVALENT APPROACHES

8:30 Chairperson's Remarks

8:35 Advancing KRAS G12C Active-State Inhibition through Next-Generation Covalent Warhead Design

Jack Sloane, PhD, Principal Scientist, Medicinal Chemistry, Bristol-Myers Squibb

KRAS G12C inhibitors targeting the GDP-bound inactive state face potential resistance, making the GTP-bound active state a compelling, underexplored target. We developed novel a-fluoro, ß-heteroaryl acrylamide warheads that covalently engage Cys12 via vinylogous nucleophilic aromatic substitution, accommodating both nucleotide states through the Switch II pocket. Systematic SAR—guided by linker geometry, electrophilicity, and heterocycle basicity—yielded dual-state inhibitors with rapid cellular target engagement and faster KRAS G12C-RAF disruption kinetics than inactive-state-selective comparators.

9:05 Zoldonrasib, an Oral, RAS(ON) G12D-Selective, Covalent, Tri-Complex Inhibitor

Les Burnett, PhD, Director, Medicinal Chemistry, Revolution Medicines

We designed a series of natural product-inspired molecules that bind and remodel the surface of cyclophilin A (CYPA) to create a binary complex with high affinity for the active, GTP bound (ON) state of RAS. The resulting tri-complex sterically blocks RAS-effector interactions to disrupt downstream signaling. Structure-guided optimization enabled the development of the oral RAS(ON) G12D-selective, covalent, tri-complex inhibitor zoldonrasib (RMC-9805), which displays profound antitumor activity in preclinical models.

9:35 Covalent and Non-Covalent Lead-Generation Strategies for VRK1, a Paralog Synthetic Lethal Target in Cancer

Kasia Handing, PhD, Associate Director, Structural Biology, Tango Therapeutics

VRK1 has emerged as a paralog-selective synthetic lethal target in cancers with low VRK2 expression, including nearly all neuroblastomas and over 60% of glioblastomas. We describe complementary hit-discovery and lead-generation approaches, including covalent MS-based profiling, to identify potent and selective VRK1 inhibitors. These efforts are supported by robust biochemical and biophysical assays, high-resolution crystal structures, and cellular functional studies, establishing VRK1 as a tractable, structurally enabled target.

10:05 Networking Refreshment Break

Join your colleagues for a cup of coffee or refreshments and make new connections.

COVALENT-BASED DRUG DISCOVERY (CONT'D)

10:35 Structure-Guided Drug Design from a Covalent Fragment Binding Insight to Discover a Novel WRN Helicase Inhibitor Series

Momar Toure, PhD, Director, Medicinal Chemistry, MOMA Therapeutics

Inhibition of WRN helicase activity has emerged as a very promising therapeutic approach for targeting cancer cells with specific DNA repair deficiencies, especially those with microsatellite instability (MSI). In this presentation, we will discuss the discovery and optimization of a novel covalent WRN helicase inhibitor series by leveraging internal insight from a covalent fragment investigation as part of our ATPase KNOMATIC platform development.

11:05 FEATURED PRESENTATION: Ninhydrin as a Covalent Warhead-Targeting Arginine

Balyn Zaro, PhD, Associate Professor, Pharmaceutical Chemistry, University of California San Francisco

Chemical proteomic methods to screen for reactive proteinaceous amino acids have transformed small-molecule discovery pipelines, but their application remains mostly limited to sites where reactive cysteines and lysines are present. Here we report a ninhydrin-based warhead that selectively modifies arginine residues, thus expanding the repertoire of amino acids targetable by covalent molecules.

11:35 DNA-Encoded Library (DEL) Technology for Covalent Drug Discovery

Elizabeth D'ambrosio, PhD, Investigator, DNA-Encoded Library Technology, GlaxoSmithKline

Many of the most relevant therapeutic proteins have not been successfully targeted with traditional small molecules. At GSK, we combine DNA-Encoded Library technology (DEL) with unique covalent library design and screening to target reactive residues on challenging proteins. Our covalent strategies enable ID of reactive pockets and prioritization of molecules with unique MoAs. These screens serve to expand small-molecule discovery toward higher therapeutic impact across a range of modalities.

12:05 pm How Innovative DEL Designs Lead to Clinical Candidates and Powerful Data-Drive Workflows

Speaker to be Announced, X-Chem Pharmaceuticals

DNA-encoded library (DEL) discovery is driven by library design strategies that enrich for biologically productive chemical space. Diversity and quality of DELs are the primary drivers of screening success but also allow creation of data resources that drive large-scale SAR mapping and model training. We will describe aspects of X-Chem's library development strategy, and connect library designs directly to leads and clinical candidates, and to data-driven computational models.

12:35 Transition to Lunch

12:45 Luncheon Presentation *(Sponsorship Opportunity Available)* **or Enjoy Lunch on Your Own**

1:15 Session Break

BIOPHYSICAL APPROACHES FOR SMALL MOLECULE DISCOVERY

1:45 Chairperson's Remarks

Sujatha Gopalakrishnan, Director, Research Fellow & Head, HTS & Molecular Characterization, AbbVie

1:50 Using DNA-Encoded Libraries to Discover Molecular Glues that bind FKBP12 and Structurally Distinct Targets

Trevor A. Zandi, PhD, (formerly Novartis), Associate Research Scientist, Craig Crews Lab, Yale University

Molecular glues that form inhibitory ternary complexes between FKBP12 or CYPA and target proteins (rapamycin/FK506 and daraxonrasib/cyclosporine) have been used clinically. However, the systematic discovery of molecular glues against proteins of interest has been limited. We constructed and screened a multi-million

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compound DEL, biased to bind and resurface FKBP12, against a set of 25 purified protein targets. Hits were characterized in biophysical and cellular assays with the targets BRD9 and QDPR.

2:20 Enhancing Small Molecule Lead Discovery with Ultra-High–Throughput Mass Spectrometry

Fan Pu, PhD, Senior Scientist II, AbbVie Inc.

IR-MALDESI-MS is a versatile uHT-MS platform developed at AbbVie that can perform high-resolution MS analysis at rates of >1 sample per second from complex matrices. This platform is highly valuable for hit-generation campaigns and beyond, enhancing the efficiency of small molecule lead discovery. In this presentation, I will discuss the development and applications of novel assays using IR-MALDESI-MS technology.

2:50 Covalent and Reversible Inhibitor Discovery: Integrating DEL & Fragment-Based Drug-Discovery Approaches

Xiaojie Bruce Lu, PhD, Professor & Principal Investigator, Chemical Biology Research Center, Chinese Academy of Sciences

The design and synthesis of target-focused DNA-encoded libraries remains a challenge for many protein targets. Integrating DEL with chemoproteomics could be an effective and practicable strategy for the target-focused library technology development. This talk will highlight recent advancement of the technology integration between DEL and other drug-discovery technologies such as FBDD—and chemoproteomics for reversible- and covalent-inhibitors discovery.

3:20 Presentation to be Announced

HitChem

3:50 Grand Opening Refreshment Break in the Exhibit Hall with Poster Viewing and Best of Show Voting Begins

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4:55 Chairperson's Remarks

Dennis Hu, PhD, CEO, Drug Hunter Inc.

5:00 PLENARY PANEL DISCUSSION: Tackling Difficult Drug Targets: Having a Modality-Agnostic & Technology-Nimble Approach







Moderator: Dennis Hu, PhD, CEO, Drug Hunter Inc.

This panel brings together senior leaders from pharma and biotech to explore how a modality-agnostic strategy is enabling progress against difficult yet high-value drug targets. Panelists will highlight how emerging modalities—from chimeras and conjugates to peptides and radioligands, along with ability to leverage technology innovations—are shaping drug discovery and redefining what is now druggable.

Panelists:

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Ryan Potts, PhD, VP and Head, Induced Proximity Platform, Amgen, Inc.

John Tallarico, PhD, Global Head, Discovery Sciences, Novartis BioMedical Research

Klaus Urbahns, PhD, Head, Therapeutic Modalities, Biogen

Andrea Weston, PhD, Head of Discovery Biology and Pharmacology, Pfizer Inc.

Ian Storer, PhD, VP, Hit Discovery, AstraZeneca

6:00 GAME ON! Welcome Reception in the Exhibit Hall with Poster Viewing

Join us for a sports-themed reception and all-star networking with the DOT community. Don't forget to play the Game Card and vote for our Best of Show Poster and Best of Show Exhibitor awards. It's the perfect way to relax and connect while in Boston. Feel free to wear your team jerseys, favorite tees, caps, sneakers—anything sporty & fun! Join a Pop-Up Group! These impromptu topic-specific meetups will be happening in the hall throughout the meeting. Find your interests and network with colleagues in your field.

7:00 Close of Day

WEDNESDAY, SEPTEMBER 30

7:15 am Registration Open

7:30 In-Person Breakfast Breakouts

In-Person Breakouts are informal, moderated discussions to exchange ideas and develop future collaborations around a focused topic. Please come prepared to be part of a collective, problem-solving session and participate in active idea sharing. Visit the Breakouts page for a complete listing of ALL discussion topics, including ones related to setting up collaborations, finding funding, and more.

8:15 Networking Coffee Break

Join your colleagues for a cup of coffee or refreshments and make new connections.

TARGETING G-PROTEIN–COUPLED RECEPTORS (GPCRs)

9:00 Chairperson's Remarks

Yamina A. Berchiche, PhD, Founder, Dr. GPCR

9:05 Novel Anti-Fibrotic GPCR: Target Validation and Lead Identification

Stephan Schann, PhD, CSO, Kainova Therapeutics

The presentation will detail the validation of GPR68 as a target for inflammatory and fibrotic disease. Navigating complex downstream signaling and pH-sensitive assay requirements, we successfully identified a novel series of antagonists and tested a lead compound in a preclinical IBD model. Oral administration significantly reduced colonoscopy score in this model. These results demonstrate the therapeutic potential of GPR68 inhibitors for treating chronic inflammatory and fibrotic diseases.

9:35 Latest Methods for GPCR-Biased Ligand Discovery

Dmitry Veprintsev, Professor, Molecular & Cellular Pharmacology, University of Nottingham; Co-Founder and CEO, Z7 Biotech Ltd.

Biased signaling holds promise for the discovery of safer effective medicines targeting GPCRs. However, quantification of bias remains challenging due to multiple parameters influencing signaling outcomes and confounding system amplification. We present a unique BRET-based signaling platform that directly quantifies G-protein activation and efficacy in the absence of amplification. This approach enables assessment of ligand bias and system effects and has been successfully applied to orphan GPCRs, including GPR75.

10:05 Tailored Signaling Efficacies by Exploiting the Molecular Basis of GPCR Partial Agonism

Evan O'Brien, PhD, Assistant Professor, Biophysics & Biophysical Chemistry, The Johns Hopkins University School of Medicine

Designer GPCR partial agonists enable precise modulation of receptor activity, allowing for the development of safer and more effective treatments. By targeting novel allosteric pockets, we developed low-efficacy μ-opioid receptor agonists that reduce side effects and withdrawal symptoms associated with current drugs.

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Modern Small Molecule Discovery, Design, and Optimization for Next-Generation Therapeutics

This strategy provides a blueprint for rational efficacy control by "fine-tuning" these interactions across GPCR targets, potentially transforming therapies for pain and other conditions.

10:35 Sponsored Presentation *(Opportunity Available)*

11:05 Networking Coffee Break in the Exhibit Hall with Poster Viewing

OBESITY & GPCRs

11:50 FEATURED PRESENTATION: A GIPR Small-Molecule Antagonist for Obesity

Jean-Philippe Fortin, PhD, Senior Scientific Director, Head of Pharmacology, Pfizer Inc.

This presentation describes PF-07976016, the first selective small-molecule antagonist of the glucose-dependent insulinotropic polypeptide receptor (GIPR) to enter clinical studies for obesity. Building on human genetics, incretin biology, and clinical validation of GIPR antagonism, the work highlights discovery from a proline-based hit series, optimization for potency and pharmacokinetics, and preclinical glucose and weight efficacy supporting combination strategies with GLP-1 receptor agonism.

12:20 pm Discovery of YJ-015, a Promising Adjuvant of GLP-1 Agonist for Weight Loss

Hailong Zhang, PhD, CEO, Shanghai Yogar Therapeutics

APJ is a G-protein–coupled receptor (GPCR) conducting G-protein and b-arrestin–dependent signals which protect against metabolic diseases and promote muscle regeneration. Co-activation of G-protein–dependent signaling of APJ with GLP-1 can preserve muscles while losing weight. YJ-015 is a potent APJ agonist biased for activating the G-protein–dependent function and demonstrates beneficial effect as an adjuvant to semaglutide on weight loss and muscle preservation in an obesity mouse-model.

12:50 Networking luncheon in the Exhibit Hall with Poster Viewing

BIOPHYSICAL APPROACHES FOR GPCRs

2:00 Chairperson's Remarks

Alison Heick Varghese, Principal Scientist, Pfizer Inc.

2:05 Opioid Receptor Insights from Single Molecule FRET and cryoEM

Tao Che, PhD, Associate Professor, Anesthesiology, Washington University

The delta opioid receptor is considered a promising alternative target for pain therapeutics that can avoid many of the adverse side effects associated with traditional opioids. Using structural biology, pharmacology, and single-molecule fluorescence techniques, we show how different molecules stabilize distinct receptor states that control signaling. These insights help explain why some compounds activate the receptor effectively while others block it and provide a framework for designing improved therapeutics.

2:35 Fragment Screening and Hit Optimization for an Orphan GPCR Using 19F-NMR and SPR Techniques

Kris A. Borzilleri, Principal Scientist, Structural Biology & Molecular Sciences, Pfizer Global R&D, Groton Labs

Developing "binding first" methods to identify chemical matter for GPCRs is an emerging need in drug-discovery efforts, especially when targeting an orphan GPCR where functional activity has not yet been determined. Development of new solubilization techniques for GPCRs has helped overcome some of the challenges we face when trying to work with detergent-solubilized targets. Using 19F-NMR and SPR, we identified and optimized fragment binders for an orphan GPCR.

3:05 Membrane Mimetics in Drug Discovery for GPCRs and Beyond

Matthew T. Eddy, PhD, Associate Professor, Chemistry, University of Florida, Gainesville

Lipid nanodiscs provide a well-defined and tunable platform for dissecting the contributions of phospholipids and cholesterol to GPCR conformational equilibria. We present data that define how lipids modulate GPCR conformational landscapes and regulate the formation of signaling complexes. We then describe how insights obtained from this research have led us to develop NMR-based methodologies for quantifying drug efficacy that address key limitations of conventional cell-based pharmacological assays.

3:35 Presentation to be Announced

4:05 Refreshment Break in the Exhibit Hall with Poster Viewing (Last Chance to Vote for Best of Show Awards)

Recharge during our refreshment break! Meet the Venture Capitalists who will be presenting as a part of our VC Insights panel, visit booths, view posters, connect with peers, and turn in your Game Cards for a chance to win a raffle prize.

INSIGHTS FROM VENTURE CAPITALISTS

4:50 PLENARY PANEL DISCUSSION: Venture-Capitalist Insights on Trends in Drug Discovery





Moderator: Daniel A. Erlanson, PhD, Chief Innovation Officer, Frontier Medicines Corporation

Panelists representing the investor ecosystem share their thoughts and experiences working with a vast number of early- and late-stage biotechnology companies and academic labs. They highlight current trends in investments for drug discovery and anticipated changes. This discussion also provides scientists an understanding of how investment strategy works and how they can secure the right funding to bring their ideas from the lab to market.

Panelists:
Chris De Savi, PhD, CSO Partner, Curie Bio
Neil Kubica, PhD, Therapeutics Division Lead, General Inception
Pengpeng Li, PhD, Principal, Lilly Asia Ventures
Ken Lin, CEO & Founder, ABIES Capital

5:50 In-Person Breakouts (40 min)

In-Person Breakouts are informal, moderated discussions to exchange ideas and develop future collaborations around a focused topic. To get the most out of this discussion, please come prepared to be part of a collective, problem-solving session and participate in active idea sharing. Visit the Breakouts page for a complete listing of ALL discussion topics.

6:30 Close of Day

THURSDAY, OCTOBER 1

7:15 am Registration Open and Morning Coffee

7:30 In-Person Breakfast Breakouts

In-Person Breakouts are informal, moderated discussions to exchange ideas and develop future collaborations around a focused topic. Please come prepared to be part of a collective, problem-solving session and participate in active idea sharing. Visit the Breakouts page for a complete listing of ALL discussion topics, including ones related to setting up collaborations, finding funding, and more.

Lead Generation Strategies

Modern Small Molecule Discovery, Design, and Optimization for Next-Generation Therapeutics

8:15 Networking Coffee Break
Join your colleagues for a cup of coffee or refreshments and make new connections.

DNA-ENCODED–LIBRARY (DEL) APPLICATIONS

9:00 Chairperson's Remarks
Jeff A. Messer, Director, Analytics, Encoded Libraries Technology, GlaxoSmithKline

9:05 DEL Applications to Protein-Degrader Discovery
Mark Mantell, PhD, Principal Scientist, DNA-Encoded Libraries, GSK
DNA-encoded libraries (DELs) utilized in ternary-complex affinity selections enable the identification of chemical entities independent of functional mechanisms of action. This presentation will discuss the use of DELs in discovering molecular glues and their varied effects across distinct biological contexts. The performance of both biased and naïve DELs, along with their respective results, will also be addressed.

9:35 Extracting Actionable SAR from Primary DEL Screens to Drive Early Lead Optimization
Justin Montgomery, PhD, Director, Machine Learning and Computational Sciences, Pfizer
Primary DNA-encoded–library (DEL) screens identify binders from millions of related compounds, but translating sequencing readouts into actionable SAR requires a systematic approach. This talk describes a practical method for extracting positive and negative SAR directly from primary DEL data and applying it to early hit optimization. Case studies illustrate the approach, which is implemented in a web-based platform integrating DEL SAR and analyses for early, data-driven decision-making.

10:05 Advancing Lead Generation: Overcoming Selectivity Challenges with DNA-Encoded Libraries
Srinivas Chamakuri, PhD, Assistant Professor, Pathology & Immunology, Baylor College of Medicine
Selective inhibition of homologous proteins remains a key challenge in small-molecule drug discovery. Using parallel DNA-encoded library selections against PGK2 and ubiquitously expressed 88% identical PGK1, we identified selective PGK2 binders. Off-DNA synthesis yielded potent, isoform-selective inhibitors consistent with enrichment profiles. These compounds are readily optimized into lead candidates, highlighting DEL chemical diversity and the effectiveness of parallel selections for discovering isoform-selective ligands and developable chemical probes.

10:35 Presentation to be Announced by HitGen Inc

11:05 Coffee Break in the Exhibit Hall (Best of Show Awards Announced)
Meet new collaborators, and network with clients, colleagues, and exhibitors. Make your vote count for the People's Choice Best of Show Poster and Exhibitor awards and plan to stay and cheer the winners!

DIRECT-TO-BIOLOGY (D2B) FOR LEAD GENERATION

11:50 Generating a Chemical ATLAS for Treating Human Disease
Jack Sadowsky, PhD, Co-Founder & Vice President Discovery Chemistry, Kimia Therapeutics
By removing the synthesis bottleneck associated with traditional hit-to-lead optimization, high-throughput synthesis and direct-to-biology (D2B) screening accelerates the discovery of drug candidates with first-in-class structures and mechanisms. Building on the success of D2B implemented at Carmot Therapeutics, we present Kimia's ATLAS platform, a next-generation D2B and direct-to-ADME (D2A) platform that integrates multiple chemistries and machine learning to guide the search for novel drugs, exemplifying the approach with several case studies.

12:20 pm Direct-to-Biology Discovery of BMS-986526, an EP4 Agonist for the Treatment of Inflammatory Bowel Disease
Trevor Sherwood, PhD, Scientific Associate Director, Bristol Myers Squibb
EP4 agonists are of interest for the treatment of inflammatory bowel disease but can cause undesired effects outside of the intestines. Our program to discover minimally systemically exposed EP4 agonists utilized a direct-to-biology platform to enable rapid exploration of chemical space and the identification of BMS-986526, a lead that was nominated as a development candidate. This presentation will cover the execution of our direct-to-biology campaign and the profile of BMS-986526.

12:50 Transition to Lunch

1:00 Luncheon Presentation *(Sponsorship Opportunity Available)* **or Enjoy Lunch on Your Own**

1:30 Dessert Break in the Exhibit Hall and Last Chance for Poster Viewing
Enjoy dessert and coffee during our final exhibit hall break. Did you connect with all the service providers and poster presenters? You never know what you missed! Stay till the end to maximize your time in the exhibit hall and to celebrate our Best of Show Poster and Exhibitor award winners!

CLOSING PLENARY KEYNOTE PANEL

2:15 Closing Remarks by DOT Team Lead

2:20 Chairperson's Remarks
Armon Sharei, PhD, Founder & CEO, Portal Biotechnologies

2:25 PLENARY PANEL DISCUSSION: Starting Up: Translating Lab Ideas into Commercial Impact

Moderator: Armon Sharei, PhD, Founder & CEO, Portal Biotechnologies
This panel discussion brings together scientists from academia and biotech to share their stories on what it takes to translate transformative ideas into meaningful commercial outcomes. Panelists will delve into some of the critical inflection points, challenges encountered, key decisions that had to be made and their outcomes. The session will provide scientists with an understanding of what it takes to bring ideas from lab to market.
Panelists:
Sangeeta N. Bhatia, Professor & Director, Marble Center for Cancer Nanomedicine, Health Sciences & Technology, Massachusetts Institute of Technology
Ivan Cornella Taracido, PhD, Founder, New Stealth Co.
Kris Elverum, MBA, former President & CEO, AIRNA
Parastoo Khoshakhlagh, PhD, Co-Founder & CEO, GC Therapeutics
William Pao, MD, PhD, CEO, Revelio Therapeutics
Johnny Yu, PhD, CSO & Co-Founder, Tahoe Therapeutics

3:20 Transition to Sessions

Lead Generation Strategies

Modern Small Molecule Discovery, Design, and Optimization for Next-Generation Therapeutics

NOVEL APPROACHES FOR LEAD GENERATION

3:30 Chairperson's Remarks

3:35 Using Metal Ions to Identify Novel PPI Binding Sites

Gozde Ulas, PhD, Principal Scientist, Biochemical and Cellular Pharmacology, Genentech Inc.

Targeting PPIs that are either unstructured or "flat" is a challenge. We use Gasdermin-D (GSDMD) as a case study to demonstrate that transition metal ions can be used to identify novel sites that can disrupt PPIs. Using biochemical and cellular assays, DLS, nanoDSF and cryoEM, we show that GSDMD is inhibited by Ni(II) coordination complexes, uncovering a novel binding site with key insights gained from site-directed mutagenesis.

4:05 SuPUR Chemoproteomics: A Platform for Regioselective Covalent Ligand Discovery Beyond Cysteine

Ken Hsu, PhD, Stephen F. and Fay Evans Martin Endowed Associate Professor, Department of Chemistry, The University of Texas at Austin

Purines bind a large fraction of the proteome, yet most purine-binding pockets remain under-explored for ligand discovery. We developed SuPUR (sulfonyl-purine) chemoproteomics to map the human-SuPUR interactome, capturing 31,000+ targetable tyrosine and lysine sites, representing a rich binding map for enabling ligand discovery programs. SuPUR ligands can bind in a regioselective manner to yield nanomolar, proteome-selective modulators of enzymes and protein-protein interactions.

4:35 Mechanistic Insights into Hit-Selection Based on DEL Screening

Yiming Xu, PhD, Senior Principal Scientist, Biochemical & Cellular Pharmacology, Genentech Inc

There is no clear consensus on what drives DEL selection, equilibrium or kinetics of ligand binding. A better understanding of the mechanism of DEL selection should help better design or trouble shoot DEL strategy, in particular for DEL aiming at glue molecules.

5:05 Close of Conference

Present a Poster & Save $50

Cambridge Healthtech Institute encourages attendees to gain further exposure by presenting their work in the poster sessions. To secure an onsite poster board and/or ensure your poster is included in the conference materials, your full submission must be received, and your registration paid in full by **August 14, 2026.**

Reasons you should present your research poster at this conference:

- Your research will be seen by our international delegation, representing leaders from top pharmaceutical, biotech, academic and government institutions
- Discuss your research and collaborate with other attendees
- Your poster content will be published in our conference materials
- Receive $50 off your registration

Best of Show Poster Award

Present your poster at Discovery on Target and be automatically entered to win. The winner will be chosen based on the clarity of the short text description, the novelty of data, technology advances and implications of the work presented, visual clarity of the poster presentation, and clear and engaging oral explanation

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TUESDAY, SEPTEMBER 29

7:30 am Registration Open and Morning Coffee

8:25 Welcome Remarks

SCREENING FOR TARGET & HIT IDENTIFICATION

8:30 Chairperson's Remarks
Fabien Vincent, PhD, Consultant; formerly Pharmacology Lab Head, Pfizer Inc.

8:35 Addressing Biological Robustness with Polypharmacology: A Clinical Candidate for Spinal Cord Injury
Hassan Al-Ali, PhD, Associate Professor, Neurosurgery & Medicine, University of Miami Miller School of Medicine
Mature CNS neurons fail to regenerate and no approved therapy promotes recovery from spinal cord injury. We identify four evolutionarily-related AGC kinase clusters whose functional overlap produces biological robustness against single-target intervention. Coordinated inhibition of all four clusters maximizes neurite outgrowth in rodent and human neurons. Phenotypically guided optimization yielded TMP-316, a clinical candidate whose single intrathecal dose produced sustained motor recovery after cervical hemicontusion in rats.

9:05 Leveraging Structural Ensembles to Modulate the Function of RNAs in Cells
Jay Schneekloth Jr., PhD, Principal Investigator, Chemical Biology Laboratory, NIH NCI
Targeting RNA remains a frontier of medicinal chemistry, and often a detailed structural understanding of a given RNA is lacking. In this talk, I will discuss our group's efforts to bring rational design to RNA-targeting molecules, including leveraging structure, conformational ensembles, machine learning, and new high throughput screening methodologies to identify selective, RNA-targeted probe molecules.

9:35 FEATURED PRESENTATION: Leveraging Transcriptomics and Deep Learning to Enable Innovative Drug Discovery in Myelofibrosis
Olivier Bezy, PhD, Vice President and Head of Biology, Cellarity
Our AI/ML platform is pioneering a fundamentally new approach to drug discovery by leveraging advanced transcriptomics to comprehensively understand gene networks and dynamic AI modeling to identify oral cell state-correcting therapeutics that can restore proper cell function. We applied this framework to identify novel druggable nodes to treat myelofibrosis by selectively targeting mutant JAK2 HSPCs while sparing normal hematopoiesis to reduce the anemia risk seen with standard clinical therapies.

10:05 Networking Refreshment Break
Join your colleagues for a cup of coffee or refreshments and make new connections.

AI-GUIDED HIT SCREENING & LEAD OPTIMIZATION

10:35 Chairperson's Remarks
Lore Florin, PhD, Senior Director, Discovery Platforms, Biologics Engineering, AstraZeneca

10:40 Trillion Scale: Ultra-Large Virtual Screening and Its Impact on Enrichment, Hit Quality, and Cost
Daniel J. Haders II, PhD, CEO, Model Medicines
Ultra-large virtual screening (ULVS) is bound by throughput, while most of chemical space stays out of reach. Treating throughput as a first-order design variable improves hit enrichment and quality while cutting per-compound cost. Model Medicines completed a ULVS for a record-setting 325 billion compounds in 24 hours, delivering a case study: MDL-4102, a validated BRD4-selective inhibitor with no detectable BRD2/BRD3 activity and scaffold novelty relative to clinical BET inhibitors.

11:05 AI-Enabled Hit Identification and Characterization
Yuan Wang, PhD, Head of Research Analytics, UCB Pharma
AI is transforming early drug discovery by enabling more efficient and information-rich hit identification and characterization. This talk will describe how modern screening informatics, coupled with machine learning, integrates biochemical, cellular, and phenotypic assays to extract robust signals from noisy, high-throughput data, enabling hit finding and clustering.

11:35 Breaking In: How Covalent AI Is Picking the Lock on Undruggable Proteins
Johannes C. Hermann, PhD, CTO, Frontier Medicines
Covalent drug discovery has recently experienced a renaissance, especially for "hard-to-drug" targets. Combining AI with other technologies such as chemoproteomics and quantum mechanics is key to efficiently discovering drugs against these so-called "undruggables". The Frontier platform, including Covalent AI, has been custom-built to integrate these technologies, thus enabling us to drug the majority of the human proteome.

12:05 pm Presentation to be Announced

12:35 Transition to Lunch

12:45 Luncheon Presentation to be Announced

1:15 Session Break

PROTEOMICS-DRIVEN D2B SCREENING

1:45 Chairperson's Remarks
Ralph Mazitschek, PhD, CSO & Co-Founder, Birdwood Therapeutics

1:50 Proteomics Interrogation of the Cancer Kinome to Predict Combination Therapies
James Duncan, PhD, Associate Professor, Cancer Signaling & Microenvironment, Fox Chase Cancer Center
Protein kinase inhibitors represent one of the most promising avenues for cancer therapy. However, drug resistance to kinase inhibitors frequently occurs in cancer. Tumor cells can circumvent kinase inhibitors through kinome reprogramming, a process characterized by system-wide changes in protein kinase networks. Here, we combined kinome profiling and phosphoproteomics to define the fraction of the kinome activated by targeted therapies to rationally predict combination therapies in various cancer models.

2:20 FEATURED PRESENTATION: An Orthogonal 2D Pooling Strategy for Cysteine-Profiling of Electrophilic Libraries
Steve Gygi, PhD, Professor, Department of Cell Biology, Harvard Medical School
In this presentation, I will present a novel 2D pooling strategy to quantify proteome-wide compound–cysteine interactions. The strategy uses two TMT16-plex experiments to deconvolute a 16×16 matrix and profile 256

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electrophilic fragments in just two mass spectrometry runs. More than 15,000 cysteines are profiled across the two runs. Finally, we will present a comparison of both TMT and DIA versions of the 2D pooling strategy.

2:50 DegDig: Digging the Fate of Proteins under Degrader Control by Multiplexed Target-Guided Proteomics in a 384 Well Format

Uthpala Seneviratne, PhD, Associate Principal Scientist, AstraZeneca

DegDig is a high-throughput, target-guided proteomics platform for quantitative profiling of degrader activity across the proteome. It measures multiplexed protein abundance changes after degrader treatment, providing direct readouts of degradation efficiency (Dmax/DC50) and off-target selectivity. Using a 384-well workflow, predefined protein panels, nanoscale TMT labeling, and next-generation mass spectrometry, DegDig enables scalable screening, optimization, and mechanism-of-action studies.

3:20 Sponsored Presentation *(Opportunity Available)*

3:50 Grand Opening Refreshment Break in the Exhibit Hall with Poster Viewing and Best of Show Voting

Don't miss the opportunity to meet the Discovery on Target community, including leading service providers and poster presenters in our first Exhibit Hall break! Grab a cup of coffee or refreshment, vote for awards, and explore booths to fill the Game Card for a chance to win raffle prizes.

OPENING PLENARY KEYNOTE PANEL

4:45 Welcome Remarks by DOT Team Lead

4:55 Chairperson's Remarks

Dennis Hu, PhD, CEO, Drug Hunter Inc.

5:00 PLENARY PANEL DISCUSSION: Tackling Difficult Drug Targets: Having a Modality-Agnostic & Technology-Nimble Approach







Moderator: Dennis Hu, PhD, CEO, Drug Hunter Inc.
This panel brings together senior leaders from pharma and biotech to explore how a modality-agnostic strategy is enabling progress against difficult yet high-value drug targets. Panelists will highlight how emerging modalities—from chimeras and conjugates to peptides and radioligands, along with ability to leverage technology innovations—are shaping drug discovery and redefining what is now druggable.
Panelists:
Erin Davis, PhD, Vice President, Research Business Insights & Technology, Bristol Myers Squibb
Ryan Potts, PhD, VP and Head, Induced Proximity Platform, Amgen, Inc.
John Tallarico, PhD, Global Head, Discovery Sciences, Novartis BioMedical Research
Klaus Urbahns, PhD, Head, Therapeutic Modalities, Biogen
Andrea Weston, PhD, Head of Discovery Biology and Pharmacology, Pfizer Inc.
Ian Storer, PhD, VP, Hit Discovery, AstraZeneca

6:00 GAME ON! Welcome Reception in the Exhibit Hall with Poster Viewing

Join us for a sports-themed reception and all-star networking with the DOT community. Don't forget to play the Game Card and vote for our Best of Show Poster and Best of Show Exhibitor awards. It's the perfect way to relax and connect while in Boston. Feel free to wear your team jerseys, favorite tees, caps, sneakers—anything sporty & fun! Join a Pop-Up Group! These impromptu topic-specific meetups will be happening in the hall throughout the meeting. Find your interest group and network with colleagues in your field.

7:00 Close of Day

WEDNESDAY, SEPTEMBER 30

7:15 am Registration Open

7:30 In-Person Breakfast Breakouts

In-Person Breakouts are informal, moderated discussions to exchange ideas and develop future collaborations around a focused topic. Please come prepared to be part of a collective, problem-solving session and participate in active idea sharing. Visit the Breakouts page for a complete listing of ALL discussion topics, including ones related to setting up collaborations, finding funding, and more.

IN-PERSON ONLY BREAKOUT: ADVANCES IN AI/ML-GUIDED DRUG DISCOVERY- WHAT'S WORKING

Daniel J. Haders II, PhD, CEO, Model Medicines

IN-PERSON ONLY BREAKOUT: SURGE IN PROTEOMICS & D2B SCREENING METHODOLOGIES

Steve Gygi, PhD, Professor, Department of Cell Biology, Harvard Medical School

8:15 Networking Coffee Break

Join your colleagues for a cup of coffee or refreshments and make new connections.

CASE STUDIES ON AI/ML-GUIDED PREDICTIONS

9:00 Chairperson's Remarks

Yuan Wang, PhD, Head of Research Analytics, UCB Pharma

9:05 Native-State Affinity Measurements across the Membrane Proteins: Experimental Ground Truth for Calibrating AI-Driven Drug Discovery

Naoki Tarui, PhD, CEO & Founder, SEEDSUPPLY INC., a spin-off from Takeda Pharmaceutical Company

Membrane proteins represent the most drugged protein class yet remain underrepresented in quantitative ligand-binding datasets. Using affinity selection mass spectrometry applied directly to native membrane fractions, we generated standardized dissociation constants (Kd) for ~3,400 ligands across ~400 transmembrane proteins. Comparison with AI-predicted affinities reveals variability in prediction–measurement agreement across targets (R = 0.04–0.68), demonstrating that target-specific calibration is required and establishing experimental ground truth for reliable AI-driven drug discovery.

9:35 Pharmacophore-driven Design and Evaluation of PLK3 Selective Inhibitors

Jerry Yap, PhD, Principal Investigator, Drug Discovery, PostEra Inc.

Polo-like kinases (PLKs) are a group of five related serine/threonine kinases involved in cell cycle regulation. While inhibitors of PLKs have entered clinical trials for oncology, serious adverse effects have been noted. Our current understanding of PLK biology is limited by the lack of selective inhibitors. Using machine learning pharmacophore models, we successfully designed potent PLK3 selective probes to further our understanding of the complex PLK biology.

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Expanding Chemical & Target Space Using AI/ML and Direct-to-Biology Assays & Models

10:05 Influence of Ligands on AlphaFold3 Prediction of Cryptic Pockets
Diane M. Joseph-McCarthy, PhD, Professor of the Practice, Biomedical Engineering, Boston University
Cryptic pockets are binding sites formed or exposed upon a conformational change and represent an important class of druggable binding sites. Reliably predicting cryptic pockets capable of binding ligands, however, remains a challenge. The use of AlphaFold 3 for generating realistic conformational ensembles that include known cryptic pockets will be presented. We find that AF3 is generally able to reproduce the scale of conformational change required for cryptic site formation.

10:35 Sponsored Presentation *(Opportunity Available)*

11:05 Networking Coffee Break in the Exhibit Hall with Poster Viewing

11:50 Agentic AI for Target Safety Assessment Enable Modality-Agnostic Framework for Early Discovery Decision-Making
Srijit Seal, PhD, Visiting Researcher, Uppsala University
Target safety assessments (TSA) are a critical, resource-intensive gate in early drug discovery. We present a modality-agnostic, agentic AI framework that automates the end-to-end TSA workflow. During early discovery the platform bridges target and compound safety by integrating computational toxicology predictions directly into the assessment, uniquely identifying possible toxicities across a range of species, and identifying its effect to be compound-specific, scaffold-specific, on-target or off-target activity.

12:20 pm FEATURED PRESENTATION: Making "n=1" Therapies Accessible for All with Computational Target Prediction
Andy Kilianski, PhD, CTO, Quantitative Biosciences Institute, University of California San Francisco
There is growing scientific evidence, regulatory innovation, and technical capabilities that make individualized therapies possible in the near future. This convergence could not come at a better time, as all diseases are being realized as unique to individual patients. Many of the efforts being led across the U.S. government will be discussed, and opportunities to accelerate further will be highlighted.

12:50 Networking luncheon in the Exhibit Hall with Poster Viewing

LEVERAGING 3D MODELS & NAMs

2:00 Chairperson's Remarks
Vibha Jawa, PhD, CSO, Epivax Inc.

2:05 RNA Therapeutic Targeting in a MASH Patient Liver-Derived 3D Fibrosis Microphysiological System
Tobias D. Raabe, PhD, Research Assistant Professor, Perelman School of Medicine, University of Pennsylvania
We present a new 3D fibrotic scar microphysiological system derived directly from the liver of patients with Metabolic Syndrome Associated Hepatosteatitis. Unlike animal models or iPSC derived MPS, this patient derived MPS enables human disease-state preservation, spatially resolved cell-type interactions, and functional, cell-selective lipid nanoparticle-mediated testing of therapeutic RNAs within a human fibrotic liver microenvironment. This patient derived MPS provides a preclinical tool with direct human relevance for clinical translation.

2:35 A Platform of Functional Human 3D Neural Cellular Systems for Disease Modeling and Drug Screening
Marc Ferrer, PhD, Director, 3D Tissue Bioprinting Laboratory, Division of Preclinical Innovation, National Center for Advancing Translational Sciences, National Institutes of Health (NIH)
We have developed a platform of human 3D neural spheroids and bioprinted tissues assembled in multi-well plate formats using iPSC-derived cells optimized for HTS. These models enable the generation of functional, brain region-specific models containing neurons, astrocytes, and microglia. Functional activity is assessed using calcium imaging, fluorescent neurotransmitter biosensors, fiber-based electrophysiology, and additional HTS-compatible endpoints. This talk will describe their applications in pharmacological testing, neurotoxicity assessment, and neurological drug discovery.

3:05 Immunogenicity Mitigation for Biotherapeutics Discovery: Integrated Workflow of Tools and Assays for Diverse Modalities
Jochem Gokemeijer, PhD, Distinguished Scientist, Biologics Discovery, Johnson & Johnson
Immunogenicity risk using *in silico* tools and *in vitro* assays can be combined to prioritize and mitigate during the biotherapeutics discovery process. An ever-increasing diversity of biotherapeutic modalities requires an understanding of immunogenicity risk factors and modification of *in vitro* assays and *in silico* tools used to assess and mitigate these risks. Here we will discuss workflow immunogenicity strategy integration into the biotherapeutic discovery process.

3:35 Sponsored Presentation *(Opportunity Available)*

4:05 Refreshment Break in the Exhibit Hall with Poster Viewing (Last Chance to Vote for Best of Show Awards)
Recharge during our refreshment break! Meet the Venture Capitalists who will be presenting as a part of our VC Insights panel, visit booths, view posters, connect with peers, and turn in your Game Cards for a chance to win a raffle prize.

INSIGHTS FROM VENTURE CAPITALISTS

4:50 PLENARY PANEL DISCUSSION: Venture-Capitalist Insights on Trends in Drug Discovery





Moderator: Daniel A. Erlanson, PhD, Chief Innovation Officer, Frontier Medicines Corporation
Panelists representing the investor ecosystem share their thoughts and experiences working with a vast number of early- and late-stage biotechnology companies and academic labs. They highlight current trends in investments for drug discovery and anticipated changes. This discussion also provides scientists an understanding of how investment strategy works and how they can secure the right funding to bring their ideas from the lab to market.
Panelists:
Chris De Savi, PhD, CSO Partner, Curie Bio
Neil Kubica, PhD, Therapeutics Division Lead, General Inception
Pengpeng Li, PhD, Principal, Lilly Asia Ventures
Ken Lin, CEO & Founder, ABIES Capital

5:50 In-Person Breakouts (40 min)

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6:30 Close of Day

THURSDAY, OCTOBER 1

7:15 am Registration Open and Morning Coffee

7:30 In-Person Breakfast Breakouts

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IN-PERSON ONLY BREAKOUT: SCIENTIFIC WORK IN THE AGE OF AI AGENTS

Arvind Rao, PhD, Associate Professor, Department of Computational Medicine and Bioinformatics, University of Michigan

Grant Stephen, CEO & Co-Founder, bPrescient, Inc.

8:15 Networking Coffee Break

Join your colleagues for a cup of coffee or refreshments and make new connections.

FILLING THE GAPS IN AI-DRIVEN DRUG DISCOVERY

9:00 Chairperson's Remarks

Anthony Bradley, D.Phil, Assistant Professor, Department of Chemistry, University of Liverpool

9:05 Sharpening the Ax: What in Drug Discovery Does AI Get Wrong (and How to Fix It)

Anthony Bradley, D.Phil, Assistant Professor, Department of Chemistry, University of Liverpool

AI has transformed the computational toolbox for drug discovery, yet productivity gains remain limited. This talk argues that the bottleneck is not generation but validation, in particular deciding what to test next. Because discovery is a sequential learning problem under sparse, shifting data, useful AI should improve decision quality, experimental efficiency, and workflow performance. I will outline why better metrics, realistic benchmarks, and systems-level design are needed.

9:35 PANEL DISCUSSION: Bridging AI/ML Tools and Real-World Drug Discovery

Moderator: Anthony Bradley, D.Phil, Assistant Professor, Department of Chemistry, University of Liverpool
Panelists:
Ashwini Ghogare, PhD, MBA, GenAI Leader, Start-Ups, Life Sciences & Healthcare, Amazon Web Services
Abraham Heifets, PhD, Former Co-Founder & Former CEO, Atomwise Inc.
Petrina Kamya, PhD, Global Head of AI Platforms & Vice President, Insilico Medicine; President, Insilico Medicine Canada
Ian Kerman, MS, Senior Developer Relations Manager, Life Science Data Platforms, NVIDIA
Victor Sebastian Perez, PhD, Head of Computational Drug Design, EMEA, SandboxAQ
Vin Singh, CEO & Chairman & Founder, BullFrog AI
Ajay Yekkirala, PhD, Co-Founder & Senior Vice President & Head of Discovery, Superluminal Medicines, Inc.

10:35 Presentation to be Announced by X-Chem Pharmaceuticals

11:05 Coffee Break in the Exhibit Hall with Book Raffle, Best of Show Poster and Exhibitor Awards Announced

Meet new collaborators, and network with clients, colleagues, and exhibitors. Make your vote count for the People's Choice Best of Show Poster and Exhibitor awards and plan to stay and cheer the winners! Remember to enter your name for the Book Raffle!

11:50 AI-Guided VH Modality Discovery: Function-First Screening, Developability-by-Design, and Rapid Translation to Cell Therapy

Lore Florin, PhD, Senior Director, Discovery Platforms, Biologics Engineering, AstraZeneca

We present an integrated platform for discovering and optimizing VH-based modalities that couples automated, function-first high-throughput screening with AI/ML-guided hit selection and lead optimization. Our approach embeds comprehensive *in silico* developability profiling early, enabling rapid triage of binders with favorable physicochemical and manufacturability properties. By linking VH discovery to high-throughput functional assays in cell therapy systems, we accelerate identification of translatable, mechanism-driven hits against difficult targets.

12:20 pm Multimodal Models for Drug Discovery

Matthew Welborn, PhD, Co-Founder & Vice President Machine Learning, Machine Learning, Iambic Therapeutics Inc.

Drug discovery produces an enormous range of data, from structure to assays to images to omics. Our goal is to bring these modalities together in a single modeling framework. In this talk, I'll discuss two steps toward that vision: NeuralPLexer, for understanding protein-ligand binding, and Enchant, for learning broad, multimodal representations of molecules and their biological consequences.

12:50 Transition to Lunch

1:00 Luncheon Presentation *(Sponsorship Opportunity Available)* **or Enjoy Lunch on Your Own**

1:30 Dessert Break in the Exhibit Hall and Last Chance for Poster Viewing

Enjoy dessert and coffee during our final exhibit hall break. Did you connect with all the service providers and poster presenters? You never know what you missed! Stay till the end to maximize your time in the exhibit hall and to celebrate our Best of Show Poster and Exhibitor award winners!

CLOSING PLENARY KEYNOTE PANEL

2:15 Closing Remarks by DOT Team Lead

2:20 Chairperson's Remarks

Armon Sharei, PhD, Founder & CEO, Portal Biotechnologies

2:25 PLENARY PANEL DISCUSSION: Starting Up: Translating Lab Ideas into Commercial Impact

Moderator: Armon Sharei, PhD, Founder & CEO, Portal Biotechnologies
This panel discussion brings together scientists from academia and biotech to share their stories on what it takes to translate transformative ideas into meaningful commercial outcomes. Panelists will delve into some of the critical

Innovative Discovery Technologies

Expanding Chemical & Target Space Using AI/ML and Direct-to-Biology Assays & Models

inflection points, challenges encountered, key decisions that had to be made and their outcomes. The session will provide scientists with an understanding of what it takes to bring ideas from lab to market.
Panelists:
Sangeeta N. Bhatia, Professor & Director, Marble Center for Cancer Nanomedicine, Health Sciences & Technology, Massachusetts Institute of Technology
Ivan Cornella Taracido, PhD, Founder, New Stealth Co.
Kris Elverum, MBA, former President & CEO, AIRNA
Parastoo Khoshakhlagh, PhD, Co-Founder & CEO, GC Therapeutics
William Pao, MD, PhD, CEO, Revelio Therapeutics
Johnny Yu, PhD, CSO & Co-Founder, Tahoe Therapeutics

3:20 **Transition to Sessions**

ADVANCES IN CELL PROFILING

3:30 **Chairperson's Remarks**
James Duncan, PhD, Associate Professor, Cancer Signaling & Microenvironment, Fox Chase Cancer Center

3:35 **Billion-Cell Perturbational Datasets: Building, Integrating, and Translating Unified Atlases into Drug Discovery**
Johnny Yu, PhD, CSO & Co-Founder, Tahoe Therapeutics
Large-scale perturbational profiling at single-cell resolution is transforming how we identify and validate drug targets. We describe the construction of unified datasets spanning 100 million to one billion cells, integrating thousands of chemical and genetic perturbations across diverse cancer models. We discuss the engineering challenges of building these atlases, computational approaches to extracting biological signal at scale, and how these integrated resources are accelerating target identification and preclinical drug discovery.

4:05 **Label-Free Single-Cell Buoyant Mass Profiling Uncovers Hidden T-Cell Heterogeneity and Predicts Immunotherapy Response**
Jiaquan Yu, PhD, Research Scientist, Massachusetts Institute of Technology
We present label-free single-cell buoyant mass profiling as a rapid (<20-minute) phenotypic screening platform for pre-clinical drug discovery. Using a Suspended Microchannel Resonator, we map a biophysical-transcriptomic continuum in resting T cells. Heavier cells exhibit a scalable "translation engine" primed for activation, whereas lighter cells display distinct exhaustion signatures. This stimulation-independent assay provides a novel functional endpoint for evaluating immunomodulators, validating targets, and optimizing next-generation cell therapy manufacturing.

4:35 **Proteolysis Activity Mapping and Substrate Discovery Platform for Identifying Tumor-Activated Biosensors**
Itay Algov, PhD, Research Fellow, Cancer Biology, Dana Farber Cancer Institute
Dysregulated extracellular proteolysis is a hallmark of cancer but remains challenging to systematically profile within intact tissues. We introduce PSurf, a platform that discovers tissue-specific protease sensors by differential selection on tumor versus healthy specimens. Applied to lung metastasis, PSurf yields substrates that distinguish metastatic lesions in tissue slices and, when incorporated into nanobody-targeted urinary biosensors, enable precise, noninvasive, tumor-specific detection *in vivo* and support development of conditionally activated therapeutics.

5:05 **Close of Conference**

Antibodies Against Challenging Targets

Biotherapeutic Innovation for Complex Target Biology

TUESDAY, SEPTEMBER 29

7:30 am Registration Open and Morning Coffee

8:25 Welcome Remarks

TARGETING TRANSIENT STATES & SIGNALING COMPLEXES

8:30 Chairperson's Opening Remarks

Karen Silence, PhD, Vice President, Head of Preclinical Product Development, argenx

8:35 Development and Comprehensive Characterization of DT-7012, a Highly Differentiated Anti-CCR8-Depleting Antibody in Immuno-Oncology

Laurent Sabbagh, PhD, Vice President, Research, Kainova Therapeutics

DT-7012 is a differentiated humanized anti-CCR8 IgG1 monoclonal antibody engineered for optimized binding and enhanced effector functions to deplete CCR8+ tumor-resident Tregs, while maintaining peripheral immune integrity. Key differentiating factors and their relevance for clinical efficacy will be highlighted. DT-7012 has entered Phase 1 clinical evaluation, in participants with advanced solid tumors (DOMISOL; NCT06819735) and entering a Phase 1 clinical evaluation, in patients with relapsed or refractory CTCL (CITY; NCT07213882).

9:05 Mechanistic Diversity in Angiotensin Receptor Ligands

Laura M. Wingler, PhD, Assistant Professor, Pharmacology and Cancer Biology, Duke University School of Medicine

The angiotensin II type 1 receptor (AT1R) is a G-protein-coupled receptor (GPCR) targeted by frontline hypertension therapeutics. We and others have developed peptide and nanobody AT1R ligands with a range of biased signaling profiles. Here, we demonstrate that biased ligands can activate AT1R through multiple molecular mechanisms and thereby further diversify transducer activation. Leveraging these mechanisms could enable finer control of GPCR drug pharmacology than previously thought possible.

9:35 GTP-Release-Selective Agonists Prolong Opioid Analgesic Efficacy

Edward Stahl, PhD, Assistant Professor, Basic and Translational Research, University of South Florida

G-protein-coupled receptors (GPCRs) transduce signals through the cell membrane by employing a catalytic reaction with intracellular GTP-binding proteins. We have demonstrated that this catalytic activity is reversible and, using classical methods in pharmacology, we have investigated this mechanism at the mu opioid receptor. We observe that agonists with GTP-release selectivity are able to prolong the antinociceptive effects of more conventional opioid agonists.

10:05 Networking Refreshment Break

Join your colleagues for a cup of coffee or refreshments and make new connections.

HIGH-RESOLUTION PROBING OF RECEPTOR INTERFACES AND CROSSTALK

10:35 KEYNOTE PRESENTATION: Multiplexed Proteomics Approaches to Study GPCRs

Thomas P. Sakmar, MD, Professor, Chemical Biology, Rockefeller University

We have developed a robust multiplexed suspension bead array technology platform to study GPCR-proteomics and biology. The platform can be used to study GPCR "interactomes," and has potential significant clinical applications for developing patient-based diagnostics and therapeutics. We recently used the suspension bead array technology to validate hundreds of synthetic anti-GPCR antibodies and to develop a methodology to identify anti-GPCR autoAbs in patient samples.

11:05 Molecular Basis of CXC Chemokine Receptor 3 Ligand Multispecificity

Alexandre Bouyssou, PhD, Postdoctoral Fellow, Biochemical and Cellular Pharmacology, Genentech

CXCR3 plays a central role in immune trafficking, inflammation, and cancer, but the mechanisms underlying differential activation by CXCL9, CXCL10, and CXCL11 remain incompletely understood. Using cryo-EM, pharmacological analyses, mutagenesis, chimeric chemokines, and molecular dynamics, we define distinct ligand recognition and signaling mechanisms. These results uncover key determinants of CXCR3-ligand multispecificity and signaling plasticity, providing a framework to better understand and modulate CXCR3 biology.

11:35 Discovery and Targeting of Inverted Surface Proteins

Corleone Delaveris, PhD, Founder, Inversion Therapeutics

Inverted proteins are a class of canonically intracellular proteins that get mislocalized to the cell surface in cancer that were discovered through high-resolution proteomics. The mechanism of protein inversion occurs through autophagolysosomal exocytosis, a result of dysregulated autophagy and metabolic stress in cancer cells. Inverted proteins represent an extremely attractive new target class, enabling historical cancer targets, like the protooncogene tyrosine kinase Src, to be targeted in new ways.

12:05 pm Presentation to be Announced

Cube Biotech

12:35 Transition to Lunch

12:45 Luncheon Presentation *(Sponsorship Opportunity Available)* **or Enjoy Lunch on Your Own**

1:15 Session Break

COMPUTATIONAL DESIGN FOR TRANSMEMBRANE TARGETS

1:45 Chairperson's Remarks

Alon Wellner, Vice President, Biology, Co-Founder, Aureka Biotechnologies

1:50 *De Novo* Antibody Design for Difficult Targets

Surge Biswas, PhD, Founder & CEO, Nabla Bio, Inc.

We recently announced JAM-2, our model for designing antibodies with drug-quality properties at high success rates. In this talk, we present results across challenging target classes, including GPCRs, pMHC complexes, and multipass membrane proteins, where JAM-2 frequently achieves zero-shot antibody design with single-to double-digit percent binding rates and strong affinities. We also discuss where the model falls short and what those failures reveal.

2:20 Engineering Function: AI-Designed Antibodies that Modulate GPCRs

Alon Wellner, Vice President, Biology, Co-Founder, Aureka Biotechnologies

Discovering antibodies that functionally modulate GPCRs is a major challenge in therapeutic engineering. We combine high-throughput microfluidics-based functional screening with AI model training to generate and learn from large-scale functional datasets, enabling antibody design guided by true biological activity rather than binding alone. This integrated approach creates a scalable framework for engineering functional anti-GPCR antibodies with tailored modulatory properties.

2:50 Cross-Reactive Antibody Discovery Using AI-Engineered Antigens

Arjan Hada, PhD, Senior Scientist, iBio Inc.

Antibodies Against Challenging Targets

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GPCR antibody discovery is hindered by receptor instability, poor solubility, and limited access to native extracellular conformations. We use generative AI-based protein design to build soluble GPCR surrogates that display epitopes in stable, screening-ready formats. These engineered antigens reproduce selective binding with endogenous and pharmacological ligands. Using this platform, we enable single-experiment discovery of both species cross-reactive and receptor-subtype cross-reactive antibodies against challenging amylin receptor targets.

3:20 Sponsored Presentation *(Opportunity Available)*

3:50 Grand Opening Refreshment Break in the Exhibit Hall with Poster Viewing and Best of Show Voting Begins

Don't miss the opportunity to meet the Discovery on Target community, including leading service providers and poster presenters in our first Exhibit Hall break! Grab a cup of coffee or refreshment, vote for Best of Show Poster and Exhibitor awards, and explore booths to fill the Game Card for a chance to win raffle prizes.

OPENING PLENARY KEYNOTE PANEL

4:45 Welcome Remarks by DOT Team Lead

4:55 Chairperson's Remarks

Dennis Hu, PhD, CEO, Drug Hunter Inc.

5:00 PLENARY PANEL DISCUSSION: Tackling Difficult Drug Targets: Having a Modality-Agnostic & Technology-Nimble Approach

Moderator: Dennis Hu, PhD, CEO, Drug Hunter Inc.
This panel brings together senior leaders from pharma and biotech to explore how a modality-agnostic strategy is enabling progress against difficult yet high-value drug targets. Panelists will highlight how emerging modalities—from chimeras and conjugates to peptides and radioligands, along with ability to leverage technology innovations—are shaping drug discovery and redefining what is now druggable.
Panelists:
Erin Davis, PhD, Vice President, Research Business Insights & Technology, Bristol Myers Squibb
Ryan Potts, PhD, VP and Head, Induced Proximity Platform, Amgen, Inc.
John Tallarico, PhD, Global Head, Discovery Sciences, Novartis BioMedical Research
Klaus Urbahns, PhD, Head, Therapeutic Modalities, Biogen
Andrea Weston, PhD, Head of Discovery Biology and Pharmacology, Pfizer Inc.
Ian Storer, PhD, VP, Hit Discovery, AstraZeneca

6:00 GAME ON! Welcome Reception in the Exhibit Hall with Poster Viewing

Join us for a sports-themed reception and all-star networking with the DOT community. Don't forget to play the Game Card and vote for our Best of Show Poster and Best of Show Exhibitor awards. It's the perfect way to relax and connect while in Boston. Feel free to wear your team jerseys, favorite tees, caps, sneakers—anything sporty & fun! Join a Pop-Up Group! These impromptu topic-specific meetups will be happening in the hall throughout the meeting. Find your interests and network with colleagues in your field.

7:00 Close of Day

WEDNESDAY, SEPTEMBER 30

7:15 am Registration Open

7:30 In-Person Breakfast Breakouts

In-Person Breakouts are informal, moderated discussions to exchange ideas and develop future collaborations around a focused topic. Please come prepared to be part of a collective, problem-solving session and participate in active idea sharing. Visit the Breakouts page for a complete listing of ALL discussion topics, including ones related to setting up collaborations, finding funding, and more.

IN-PERSON ONLY BREAKOUT: The Future of Functional Biologics: How AI is Changing Target Discovery, Design, and Therapeutic Modulation

Alon Wellner, Vice President, Biology, Co-Founder, Aureka Biotechnologies

8:15 Networking Coffee Break

Join your colleagues for a cup of coffee or refreshments and make new connections.

ENGINEERING SPECIFICITY FOR NON-LINEAR AND COMPLEX EPITOPES

9:00 Chairperson's Remarks

Catherine Hutchings, PhD, Independent Consultant

9:05 Engineering Antibodies against Intractable Membrane Targets

Noel T. Pauli, PhD, Group Leader, Antibody Engineering, Adimab LLC

Membrane proteins remain difficult targets for antibody drug discovery and optimization. Adimab's yeast-based platform, paired with immune repertoires from llamas and humanized mice, enables the efficient generation and engineering of both HCAb and IgG antibodies. Using approaches such as nanodisc-based affinity selections from full-length yeast IgG and HCAb libraries, we demonstrate improved functional potency against membrane targets including the T cell receptor complex and GPCRs.

9:35 Antibody Discovery against Intrinsically Disordered Proteins

Brandon DeKosky, PhD, Phillip and Susan Ragon Career Development Professor of Chemical Engineering, MIT Core Member, The Ragon Institute of MGH, MIT, and Harvard University

Recent clinical data show that antibodies against the *P. falciparum* circumsporozoite protein (CSP) can protect against malaria. This talk will discuss CSP as an example intrinsically disordered antibody target. CSP's disordered central repeat region presents several related peptide motifs. Peptide cross-reactivity and the highly disordered nature of the central repeats present unique engineering challenges. Here we will explore a variety of approaches to discover functional antibodies against this important target.

10:05 Clinical Translation and Safety Considerations in Clasping a Mutant Peptide vs. Wild-Type

Anthony Gizzi, PhD, Principal Scientist, Clasp Therapeutics

CLSP-5282 is a first-in-class T-cell engager that targets the KRasG12V driver mutation, directing T-cells to selectively eliminate cancer cells presenting the KRasG12V[7-16]/HLA-A*03 complex. CLSP-5282 induced potent T-cell mediated cytotoxicity in KRasG12V/A*03 cell lines *in vitro*, including models with acquired resistance to KRas inhibition, and regressed established tumors in mouse models. Exceptional peptide and HLA-specificity restricts activity to on-target tumor cells, mitigating on-target/off-tumor and off-target toxicity risks and supporting clinical advancement.

10:35 Closing the AI Data Loop: Automated High-Throughput Triage of *in silico* Antibody Hits nuclera

Speaker to be Announced, Nuclera UK

Generative AI accelerates biotherapeutic discovery, generating thousands of sequences, but physical validation remains a severe bottleneck. Because typically few AI-generated hits prove viable, investing heavily in slow, capacity-limited mammalian cell workflows, which take 4–6 weeks, for unvetted panels is unjustified. To address this, we present an antibody screening workflow utilizing cell-free protein synthesis

Antibodies Against Challenging Targets

Biotherapeutic Innovation for Complex Target Biology

(CFPS). By bypassing mammalian cell culture, we synthesize up to 96 antibody variants, perform binary expression and binding screening, and carry out SPR kinetics rapidly and cost-effectively. By converting large *in silico* libraries into robust experimental data in days, we rapidly filter out non-binders and concentrate resources on promising candidates. This prevents unjustified downstream expenditure and empowers ML models to iterate with confident, real-world empirical feedback.

11:05 Networking Coffee Break in the Exhibit Hall with Poster Viewing

ANTIGEN ENGINEERING AND CONFORMATIONAL CONTROL

11:50 Paratope Barcoding Enables Accurate Prediction of Functional Antibody Clusters

Dominic Hou, PhD, Director, Discovery, KisoJi Biotechnology Inc.

For decades, attempts to identify TROP2 antibodies with native anti-tumor activity have been unsuccessful, and industry resorted to ADCs. Using AI-driven paratope mapping, KisoJi identified distinct antibody clusters not previously seen. From these clusters, KisoJi identified naked antibodies with potent anti-tumor activity. Further refinement using paratope barcoding showed >90% of antibodies sharing a barcode retained binding and >80% showed competitive overlap. KisoJi's naked TROP2 antibody will enter clinical trials 2026.

12:20 pm Context-Specific Inhibition of CC Chemokine Receptor 2

Joshua Farber, MD, Chief, Inflammation Biology Section, NIH

No agent targeting a chemokine receptor has succeeded as an immunotherapeutic drug. One possible reason is the expression of a given receptor on leukocytes with opposing activities, so that receptor antagonists block the infiltration by both pro- and anti-inflammatory cells. I will describe a new method for cell-type selective inhibition of CCR2, a widely expressed receptor mediating migration of both pro-inflammatory CD4+ T (and other) cells and anti-inflammatory myeloid cells.

12:50 Networking luncheon in the Exhibit Hall with Poster Viewing

ADVANCED PLATFORMS FOR ANTIBODY DISCOVERY

2:00 Chairperson's Remarks

Noel T. Pauli, PhD, Group Leader, Antibody Engineering, Adimab LLC

2:05 Multiplex *in vitro* Screening with Bispecific Antibodies

Josefa dela Cruz-Chuh, Scientist 4, Biochemical and Cellular Pharmacology (BCP), Genentech

T cell dependent bispecific antibodies (TCBs) redirect cytotoxic T cells to tumor antigens, yet traditional assays lack kinetic insight and throughput. We developed an automated, high-throughput platform for multi-parametric assessment of TCB-mediated killing and cytokine production. Applied to hematological and solid tumors, the platform utilizes advanced data visualization to differentiate TCBs and characterize combination therapies. This novel approach enables robust functional profiling, enhancing the identification of optimal lead therapeutic candidates.

2:35 Biofloating: A Cell-based Workflow for the Discovery of Antibodies Targeting Multi-pass Transmembrane Proteins

Justyn Fine, PhD Candidate, Spangler Lab, Johns Hopkins University School of Medicine

Due to their critical functions in cell interactions and signal processing, membrane proteins are often identified as important therapeutic targets. However, major limitations exist in developing antibodies that recognize complex, multipass transmembrane proteins. Here, we developed a comprehensive workflow, termed biofloating, that employs new suspension cell-based whole cell selection methodologies to screen for specific antibody binders against GPCRs utilizing naïve yeast-displayed antibody libraries. Binders were discovered against four disease-relevant GPCRs.

3:05 Metabolic Class B GPCR Agonist Antibodies Discovered by Library-Scale Functional Selection

Monica A Schwartz, PhD, Vice President Antibody Discovery, Abalone Bio Inc.

Agonist antibodies specifically targeting metabolic class B GPCRs could reduce the GI side effects that limit current obesity drugs. Abalone Bio's FAST platform measures sequence-activity relationships of millions of antibodies to uniquely use ML for agonist discovery. With FAST, we have successfully identified and optimized agonist antibodies for a validated metabolic target and demonstrated efficacy with reduced side effects in obesity animal models.

3:35 Sponsored Presentation *(Opportunity Available)*

4:05 Refreshment Break in the Exhibit Hall with Poster Viewing (Last Chance to Vote for Best of Show Awards)

Recharge during our refreshment break! Meet the Venture Capitalists who will be presenting as a part of our VC Insights panel, visit booths, view posters, connect with peers, and turn in your Game Cards for a chance to win a raffle prize.

INSIGHTS FROM VENTURE CAPITALISTS

4:50 PLENARY PANEL DISCUSSION: Venture-Capitalist Insights on Trends in Drug Discovery





Moderator: Daniel A. Erlanson, PhD, Chief Innovation Officer, Frontier Medicines Corporation

Panelists representing the investor ecosystem share their thoughts and experiences working with a vast number of early- and late-stage biotechnology companies and academic labs. They highlight current trends in investments for drug discovery and anticipated changes. This discussion also provides scientists an understanding of how investment strategy works and how they can secure the right funding to bring their ideas from the lab to market.

Panelists:
Chris De Savi, PhD, CSO Partner, Curie Bio
Neil Kubica, PhD, Therapeutics Division Lead, General Inception
Pengpeng Li, PhD, Principal, Lilly Asia Ventures
Ken Lin, CEO & Founder, ABIES Capital

5:50 In-Person Breakouts (40 min)

In-Person Breakouts are informal, moderated discussions to exchange ideas and develop future collaborations around a focused topic. To get the most out of this discussion, please come prepared to be part of a collective, problem-solving session and participate in active idea sharing. Visit the Breakouts page for a complete listing of ALL discussion topics.

6:30 Close of Day

THURSDAY, OCTOBER 1

7:15 am Registration Open and Morning Coffee

Antibodies Against Challenging Targets

Biotherapeutic Innovation for Complex Target Biology

7:30 In-Person Breakfast Breakouts

In-Person Breakouts are informal, moderated discussions to exchange ideas and develop future collaborations around a focused topic. Please come prepared to be part of a collective, problem-solving session and participate in active idea sharing. Visit the Breakouts page for a complete listing of ALL discussion topics, including ones related to setting up collaborations, finding funding, and more.

8:15 Networking Coffee Break

Join your colleagues for a cup of coffee or refreshments and make new connections.

NEW ARCHITECTURES FOR INTRACELLULAR AND MEMBRANE TARGETS

9:00 Chairperson's Remarks

Monica A Schwartz, PhD, Vice President Antibody Discovery, Abalone Bio Inc.

9:05 Nanodisc-Based Platform for HLA Antibody Identification

Mahmoud Nasr, PhD, RPh, Assistant Professor, Medicine, Brigham and Women's Hospital, Harvard Medical School

This presentation will explore a nanodisc-based platform engineered to enable robust identification of antibodies targeting membrane proteins, with a particular focus on HLA complexes. We will also discuss how this platform enables the detection of donor-specific antibodies (DSAs) in serum from kidney transplant recipients, addressing a critical need in transplant immunology. Finally, the presentation will highlight how this technology can be extended beyond diagnostics to support therapeutic antibody discovery.

9:35 Native Antigen Platforms and VHHs

Deepash Kothiwal, PhD, Principal Scientist and Team Lead, Antibody Discovery and Library Design, Institute for Protein Innovation

GPCRs and other multi-pass membrane proteins remain challenging targets for antibody discovery. Here, we present a virus-like particle (VLP)–based platform that enables robust presentation of membrane proteins in a native conformation. Coupled with a synthetic VHH yeast display library and an optimized selection strategy, this approach enables efficient discovery of high-affinity binders. Together, this integrated platform expands the accessibility of difficult membrane protein targets for discovery and therapeutic development.

10:05 Structure-Guided Engineering of a Conformation-Specific Antibody–Drug Conjugate for AML

Sujata Walunj, PhD, Postdoctoral Researcher, Arun Wiita Lab, Laboratory Medicine, University of California San Francisco

A major challenge in AML immunotherapy is the lack of truly selective surface antigens. Using structural surfaceomics, our UCSF team identified the active conformation of integrin ß2 as an AML-specific target absent from normal hematopoietic progenitors. Building on this discovery, I am developing structure-guided, conformation-specific ADCs and cryo-EM-informed engineering strategies to improve selectivity, potency, and therapeutic index for AML treatment and future clinical translation, with strong translational potential.

10:35 Sponsored Presentation *(Opportunity Available)*

11:05 Coffee Break in the Exhibit Hall (Best of Show Awards Announced)

Meet new collaborators, and network with clients, colleagues, and exhibitors. Make your vote count for the People's Choice Best of Show Poster and Exhibitor awards and plan to stay and cheer the winners!

11:50 *De novo* Design of Miniprotein Agonists and Antagonists Targeting GPCRs

Chris Norn, PhD, Co-Founder & CEO, Skape Bio

Biologics targeting GPCRs have historically been difficult to discover. Skape Bio builds GPCR modulators by combining AI protein design with high-throughput screening in human cells, and has discovered modulators for more than 10 GPCRs. We design agonists and antagonists with atomic precision and run rapid design-build-test cycles to deliver validated hits. This talk presents case studies across class A and B GPCRs and highlights scalable discovery of novel miniprotein therapeutics.

12:20 pm FEATURED PRESENTATION: Insights from Current Pipelines of Antibody-Based Therapeutics against GPCR, Ion Channel, and Transporter Targets

Catherine Hutchings, PhD, Independent Consultant

Complex multi-pass transmembrane proteins represent some of the most important drug target classes across a wide range of diseases. This presentation will provide an update on progress made by antibody-based therapeutics in the GPCR, ion channel, and transporter R&D pipeline, including those in clinical evaluations. An overview of the breadth of target opportunities in this landscape will be summarized, with the diversity afforded by next-generation modalities and recent developments highlighted.

12:50 Transition to Lunch

1:00 LUNCHEON PRESENTATION: The Application of Nanodisc Technology Platforms to Develop Therapeutic mAbs for Multi-Pass Transmembrane Protein Target

DIMA BIOTECH
Recombinant mAbs and proteins

Donghui Ma, CEO & Founder, DIMA Biotechnology Ltd.

Many important druggable targets are multi-pass transmembrane proteins. The key challenge is the extreme difficulty in obtaining purified functional proteins. To develop therapeutic lead mAbs on these targets, DIMA has optimized every step of antibody discovery process. In this poster presentation, we will exhibit how we integrate DIMA's Nanodisc technologies, including Syndisc™, PeptiNanodisc™, Single B enrichment and DiLibrary™ Mammalian display technology platforms to develop mAbs against a challenge multi-pass transmembrane target, CLDN18.2.

1:30 Dessert Break in the Exhibit Hall and Last Chance for Poster Viewing

Enjoy dessert and coffee during our final exhibit hall break. Did you connect with all the service providers and poster presenters? You never know what you missed! Stay till the end to maximize your time in the exhibit hall and to celebrate our Best of Show Poster and Exhibitor award winners!

CLOSING PLENARY KEYNOTE PANEL

2:15 Closing Remarks by DOT Team Lead

2:20 Chairperson's Remarks

Armon Sharei, PhD, Founder & CEO, Portal Biotechnologies

2:25 PLENARY PANEL DISCUSSION: Starting Up: Translating Lab Ideas into Commercial Impact

Moderator: Armon Sharei, PhD, Founder & CEO, Portal Biotechnologies

Antibodies Against Challenging Targets

Biotherapeutic Innovation for Complex Target Biology

This panel discussion brings together scientists from academia and biotech to share their stories on what it takes to translate transformative ideas into meaningful commercial outcomes. Panelists will delve into some of the critical inflection points, challenges encountered, key decisions that had to be made and their outcomes. The session will provide scientists with an understanding of what it takes to bring ideas from lab to market.

Panelists:
Sangeeta N. Bhatia, Professor & Director, Marble Center for Cancer Nanomedicine, Health Sciences & Technology, Massachusetts Institute of Technology
Ivan Cornella Taracido, PhD, Founder, New Stealth Co.
Kris Elverum, MBA, former President & CEO, AIRNA
Parastoo Khoshakhlagh, PhD, Co-Founder & CEO, GC Therapeutics
William Pao, MD, PhD, CEO, Revelio Therapeutics
Johnny Yu, PhD, CSO & Co-Founder, Tahoe Therapeutics

3:20 Transition to Sessions

3:30 Chairperson's Remarks
Deepash Kothiwal, PhD, Principal Scientist and Team Lead, Antibody Discovery and Library Design, Institute for Protein Innovation

ENGINEERING TISSUE-SPECIFIC TRAFFICKING AND TRANSCYTOSIS

3:35 FEATURED PRESENTATION: Empasiprubart: A First-in-Class Anti-C2 Antibody Selectively Blocking the Classical and Lectin Complement Pathways
Karen Silence, PhD, Vice President, Head of Preclinical Product Development, argenx
Empasiprubart (ARGX-117) is a first-in-class anti-complement C2 antibody that selectively blocks the classical and lectin pathways. Empasiprubart was selected and refined from a panel of mouse-derived anti-human C2 antibodies, and binds C2 in a pH- and calcium-dependent manner, enabling antibody recycling. Increased affinity for FcRn further prolongs half-life. Preliminary preclinical and clinical data indicate that empasiprubart may be effective for the treatment of complement-mediated autoimmune diseases.

4:05 Strategic Engineering of TfR1-Mediated Transcytosis
Adem C. Koksal, PhD, Senior Scientific Director, Biologics, Biogen
Advances in transferrin receptor 1 (TfR1)–mediated transcytosis are reshaping strategies for delivering large therapeutics across the blood–brain barrier. Systematic engineering of diverse TfR1-binding antibodies has revealed distinct design spaces that govern peak versus sustained CNS exposure and clarified how affinity, valency, binding geometry influence trafficking, receptor turnover, and safety. These insights establish foundational principles for constructing optimized shuttles that enable next-generation biologics and genetic medicines targeting the central nervous system.

4:35 Dual Targeting of Transferrin Receptor and CD98hc Enhances Brain Exposure of Large Molecules
Robert Wells, Scientist, Denali Therapeutics Inc.
Brain delivery of diverse therapeutic cargos for treating neurodegenerative diseases requires developing a modular platform. Here, we engineered an antibody Fc-domain, called the TransportVehicle (TV), to bind highly expressed receptors for brain transport, avoiding non-native domains and maintaining peripheral half-life. TVs were engineered to bind either the transferrin receptor or CD98hc, which enhance brain uptake, but they exhibit differentiated kinetics. Simultaneous receptor binding further increases brain exposure and enables "tunable" properties.

5:05 Close of Conference

Next-Generation Conjugates

From Selection to Synergy: Optimizing Targeting, Linkers, and Conjugation across Modalities for Therapeutic Impact

TUESDAY, SEPTEMBER 29

7:30 am Registration Open and Morning Coffee

8:25 Welcome Remarks

AI/ML-DRIVEN DESIGN AND PREDICTION FOR NEXT-GEN CONJUGATES

8:30 Chairperson's Opening Remarks

Susana S. Correia, PhD, Director, Neuromuscular Research, Dyne Therapeutics Inc.

8:35 A Real-World–Validated Predictive Machine-Learning Platform for Interconnecting ADC Structure-Activity with Tumor-Cell Biology

Jeff Leyton, PhD, Associate Professor, Pharmaceutical Sciences, University of Ottawa

ADCs represent a significant advancement in targeted cancer therapy, yet their full potential is constrained by an incomplete understanding of how ADC chemical design aligns with tumor-cell biology. We developed a machine-learning platform that explicitly interconnects ADC structural variables with tumor-cell biology and will describe the platform's performance through a rigorous prospective validation that demonstrates that biology-informed multimodal integration captures cytotoxic determinants that structural approaches alone cannot resolve.

9:05 AI-Guided Design of a Kidney-Targeted Peptide Conjugate for siRNA Delivery

Alan Nafiiev, PhD, CEO & Founder, Receptor.AI

We present the case study featuring the design of a kidney-targeted siRNA–peptide conjugate optimized for selective tissue delivery, cellular uptake, and stable peptide–siRNA conjugation. Using AI-orchestrated multiparametric optimization, combining predictive AI models with physics-based methods, we identified peptide features that balance kidney tropism, uptake, and conjugation requirements. This workflow enabled rational design of a targeted delivery construct.

9:35 From AI-Designed Miniproteins to *in vivo* Radioconjugates: A New Therapeutic Modality

Mireia Solà Colom, PhD, Investigator and Head, Immunotherapeutics, AI Proteins

We describe the development of a novel radioconjugate modality built on *de novo* miniproteins designed using generative AI. These compact scaffolds enable precise targeting and efficient payload delivery. We outline the full pipeline, from computational design and optimization to experimental validation and *in vivo* evaluation. Our findings demonstrate the promise of AI-enabled miniproteins as a flexible platform for creating next-generation targeted radiotherapeutics.

10:05 Networking Refreshment Break

Join your colleagues for a cup of coffee or refreshments and make new connections.

TARGETING THE HARD-TO-REACH TUMOR: EXPANDING ADC TARGET SPACE

10:35 Avacta's Proprietary pre|CISION Technology Facilitates Delivery of pre|CISION Medicines Specifically to the Tumor Microenvironment

Francis X. Wilson, PhD, CSO, Avacta Life Sciences

The pre|CISION peptide has been successfully applied to a wide range of payloads demonstrating the breadth of what the pre|CISION technology can achieve in releasing active payloads directly in the tumor while reducing systemic dose-limiting toxicities. A series of pre|CISION peptide-drug conjugates have been engineered including the pre|CISION-exatecan peptide-drug conjugate AVA6103, which was developed with a sustained-release profile.

11:05 Targeting Non-Internalizing Tumor Antigens with ADCs: Insights from the TAG72 TGW101 Program

Marc S. Robillard, PhD, CSO & Founder, Tagworks Pharmaceuticals

Targeting non-internalizing tumor antigens presents challenges for antibody–drug conjugates (ADCs), as payload release cannot rely on cellular uptake. Tagworks developed a click-to-release strategy to expand the ADC target scope to non-internalizing antigens such as TAG72. Emphasis is placed on unique design considerations including balancing rapid pharmacokinetics with good tumor uptake, linker stability with reactivity, and extracellular payload release with efficient intracellular uptake, affording a promising safety and efficacy profile.

11:35 Claudin 18.2 as a Promising Biomarker for Imaging and Radioligand Therapy of Solid Tumors

Shvan Raheem, PhD, Researcher, Radiology, Massachusetts General Hospital-Harvard Medical School

Claudin 18.2 is overexpressed in gastric and pancreatic tumors, which makes it a promising target for imaging and radioligand therapy. In preclinical gastric and PDAC models, ^{89}Zr-DFO-zolbetuximab showed selective tumor uptake. In addition, ^{177}Lu-DOTA-zolbetuximab inhibited or regressed tumors, enhanced survival, and demonstrated a favorable 90-day safety profile. These results support CLDN18.2 as a biomarker for noninvasive patient selection and as a promising radiotheranostic target for CLDN18.2-positive cancers.

12:05 pm Sponsored Presentation *(Opportunity Available)*

12:35 Transition to Lunch

12:45 Luncheon Presentation *(Sponsorship Opportunity Available)* **or Enjoy Lunch on Your Own**

1:15 Session Break

CROSSING THE BLOOD BRAIN BARRIER: EGFR-TARGETED ADCs AND siRNA CONJUGATES

1:45 Chairperson's Remarks

Andrea Geist, PhD, Senior Scientist, R&D, EMD Serono

1:50 EGFR-Targeted Antibody-Drug Conjugates in Glioblastoma: Lessons from Intracranial Delivery, Bystander Effects, Pharmacokinetics, and Linker Stability

Sonia Jain, PhD, Research Associate, Mayo Clinic & Foundation

EGFR-targeted antibody-drug conjugates show promise in glioblastoma, but systemic delivery is limited by poor blood-brain–barrier penetration. Using convection-enhanced delivery, we observed strong efficacy in intracranial models, alongside neuronal toxicity, particularly with bystander-capable payloads. Our work examines this efficacy-toxicity tradeoff through brain pharmacokinetic studies and linker-stability analyses in the brain microenvironment, providing preclinical insights to guide the development of safer next-generation conjugates for CNS tumors.

2:20 Targeting Tauopathies: Robust and Widespread MAPT Silencing in CNS of NHP with TfR1-Mediated Oligonucleotide Delivery

Susana S. Correia, PhD, Director, Neuromuscular Research, Dyne Therapeutics Inc.

The FORCE platform leverages Transferrin Receptor 1 (TfR1) to enable delivery of therapeutic oligonucleotides and enzymes to muscle and CNS. We developed a TfR1-targeting microtubule associated protein tau (MAPT) siRNA conjugate to downregulate MAPT expression in the CNS. Systemic administration of this conjugate to NHPs demonstrated profound silencing of MAPT RNA throughout the brain. Our data underscores the potential of our platform to enable disease modifying therapies for neurological disorders.

Next-Generation Conjugates

From Selection to Synergy: Optimizing Targeting, Linkers, and Conjugation across Modalities for Therapeutic Impact

2:50 Nanofitin-siRNA Conjugates Enable Efficient Brain Transport and Knockdown

Sebastien Viollet, PhD, Project Manager, R&D, Affilogic

Using the hyperstable Nanofitin protein scaffold, we have engineered multifunctional vectors with selective receptor targeting and half-life extension. One-step, direct conjugation of siRNAs with Nanofitins enable (1) receptor-mediated transcytosis through the blood-brain barrier and (2) efficient knockdown of intracellular targets in the brain. We will show *in vivo* demonstration of the Nanofitin-based shuttle approach to deliver oligos of therapeutic interest.

3:20 Sponsored Presentation *(Opportunity Available)*

3:50 Grand Opening Refreshment Break in the Exhibit Hall with Poster Viewing and Best of Show Voting Begins

Don't miss the opportunity to meet the Discovery on Target community, including leading service providers and poster presenters in our first Exhibit Hall break! Grab a cup of coffee or refreshment, vote for Best of Show Poster and Exhibitor awards, and explore booths to fill the Game Card for a chance to win raffle prizes.

OPENING PLENARY KEYNOTE PANEL

4:45 Welcome Remarks by DOT Team Lead

4:55 Chairperson's Remarks

Dennis Hu, PhD, CEO, Drug Hunter Inc.

5:00 PLENARY PANEL DISCUSSION: Tackling Difficult Drug Targets: Having a Modality-Agnostic & Technology-Nimble Approach

Moderator: Dennis Hu, PhD, CEO, Drug Hunter Inc.

This panel brings together senior leaders from pharma and biotech to explore how a modality-agnostic strategy is enabling progress against difficult yet high-value drug targets. Panelists will highlight how emerging modalities—from chimeras and conjugates to peptides and radioligands, along with ability to leverage technology innovations—are shaping drug discovery and redefining what is now druggable.

Panelists:

Erin Davis, PhD, Vice President, Research Business Insights & Technology, Bristol Myers Squibb

Ryan Potts, PhD, VP and Head, Induced Proximity Platform, Amgen, Inc.

John Tallarico, PhD, Global Head, Discovery Sciences, Novartis BioMedical Research

Klaus Urbahns, PhD, Head, Therapeutic Modalities, Biogen

Andrea Weston, PhD, Head of Discovery Biology and Pharmacology, Pfizer Inc.

Ian Storer, PhD, VP, Hit Discovery, AstraZeneca

6:00 GAME ON! Welcome Reception in the Exhibit Hall with Poster Viewing

Join us for a sports-themed reception and all-star networking with the DOT community. Don't forget to play the Game Card and vote for our Best of Show Poster and Best of Show Exhibitor awards. It's the perfect way to relax and connect while in Boston. Feel free to wear your team jerseys, favorite tees, caps, sneakers—anything sporty & fun! Join a Pop-Up Group! These impromptu topic-specific meetups will be happening in the hall throughout the meeting. Find your interests and network with colleagues in your field.

7:00 Close of Day

WEDNESDAY, SEPTEMBER 30

7:15 am Registration Open

7:30 In-Person Breakfast Breakouts

In-Person Breakouts are informal, moderated discussions to exchange ideas and develop future collaborations around a focused topic. Please come prepared to be part of a collective, problem-solving session and participate in active idea sharing. Visit the Breakouts page for a complete listing of ALL discussion topics, including ones related to setting up collaborations, finding funding, and more.

IN-PERSON ONLY BREAKOUT: Challenges and Opportunities for Immune-Modulating Antibody Conjugates

L. Nathan Tumey, PhD, Associate Professor, Pharmaceutical Sciences, SUNY Binghamton

- How can immune-stimulating antibody conjugates (ISACs) demonstrate superiority or differentiation from traditional cytotoxic ADCs?
- What are some unique challenges associate with moving ADC technology from oncology into immunology applications?
- How can teams understand the risk of immunogenicity in preclinical animal models?
- Is at-home subcutaneous dosing a "nice-to-have" or a "must-have" for ADCs in autoimmune diseases? How can properties for SQ dosing be designed into the ADC early in design?

8:15 Networking Coffee Break

Join your colleagues for a cup of coffee or refreshments and make new connections.

OPTIMIZING LINKER CHEMISTRY AND CONJUGATION METHODS

9:00 Chairperson's Remarks

Meddy El Alaoui, PhD, CEO, AbTx

9:05 Advancements in ADCs: Current Trends in Bioconjugation and Site-Specific Conjugation Strategies

Devesh Aggarwal, Senior Scientist, Biologics Engineering, AstraZeneca

This presentation will explore the transformative impact of advanced bioconjugation technologies on ADC development. We'll trace the move from traditional stochastic approaches to site-specific conjugation, highlighting gains in ADC homogeneity, stability, biophysical properties, and therapeutic index, featuring an AZ molecule as a case study. We'll also address how conjugation methods influence manufacturability and review the latest conjugation chemistries to improve ADC efficacy, outlining directions for next-generation ADCs.

9:35 KEYNOTE PRESENTATION: Dissecting the Efficacy and Immunogenicity of TLR7 Agonist–Antibody Conjugates through the Lens of Fc Effector Function, Conjugation Strategies, and Linker Cleavability

L. Nathan Tumey, PhD, Associate Professor, Pharmaceutical Sciences, SUNY Binghamton

Immune-Stimulating Antibody Conjugates (ISACs) target toll-like receptors but typically rely on Fcγ-mediated uptake and noncleavable linkers. We evaluated how Fc effector function, linker design, and conjugation strategy influence TLR7-based ISACs. FcγR ablation combined with cleavable linkers improved myeloid activation, efficacy, and tolerability. All constructs induced antidrug antibodies, but optimized designs with permeable payloads showed the most favorable profiles for further development.

10:05 Generating Novel Linker Structures in Antibody-Drug Conjugates with Diffusion Models

Jake Y. Chen, PhD, Triton Endowed Professor, Biomedical Informatics & Data Science, University of Alabama Birmingham

Antibody–drug conjugates (ADCs) rely on linkers to ensure stability, controlled payload release, and favorable pharmacokinetics. Designing optimal linkers remains challenging due to competing constraints. We present a diffusion-based generative model that designs novel linkers conditioned on specific antibody–payload pairs.

Next-Generation Conjugates

From Selection to Synergy: Optimizing Targeting, Linkers, and Conjugation across Modalities for Therapeutic Impact

Evaluations using ProTox-3.0 and SwissADME show improved toxicity and drug-like properties over baselines and existing linkers, highlighting the potential of conditional generative models to accelerate ADC-linker optimization.

10:35 Sponsored Presentation *(Opportunity Available)*

11:05 Networking Coffee Break in the Exhibit Hall with Poster Viewing

11:50 *In vivo* Antibody-Selective Conjugation Technology for Long-Acting Drugs

Bradley L. Pentelute, PhD, Professor, Department of Chemistry, Massachusetts Institute of Technology

Peptide drugs degrade rapidly after intravenous administration, limiting efficacy. A new strategy covalently attaches peptides to endogenous circulating IgGs, extending their half-life without using engineered antibodies. This approach reduces immunogenicity and cost. Proof of concept with GLP-1 showed selective IgG modification and sustained therapeutic effect *in vivo*. This antibody-selective conjugation method may enable longer-acting treatments for various diseases.

12:20 pm Cellular Thiol Gradient as a Trigger for a Novel Cleavable Linker without Disulfide Bonds

Utpal Majumder, PhD, Senior Scientist, R&D, Eisai

We discuss using a physiological thiol gradient for designing a novel cleavable linker. The first non-disulfide–based linker that exploits the cellular thiol gradient as a trigger for selective intracellular drug release in the context of ADCs generated from an anti-human–folate receptor alpha antibody is discussed. Additionally, favorable stability in human serum and preliminary experimental data to support the proposed drug-release mechanism from the drug-linker adduct would be presented.

12:50 Networking luncheon in the Exhibit Hall with Poster Viewing

DESIGNING SMARTER ADCs: FORMAT AND FUNCTION

2:00 Chairperson's Remarks

Xiaoguang Liu, PhD, Professor, Chemical and Biomolecular Engineering, Ohio State University

2:05 Exploring the Impact of Ligand and Payload Design on ADC Therapeutic Index Using Quantitative Systems Pharmacology and Physiologically Based Pharmacokinetic Modeling

Gloria Ha, PhD, Principal Scientist, Pharmacokinetic Sciences, Novartis

The ADC landscape continuously evolves, but therapeutic index is often only assessed in the clinic because it is difficult to systematically explore how ADC design impacts organ-to-tumor distribution. Mechanistic computational modeling enables rapid evaluation of alternative ligand and payload designs for improved ADC behavior, including better tumor penetration and reduced off-tumor toxicity. We discuss design developability relationships for next-generation ADCs using integrated QSP and PBPK modeling workflows.

2:35 Smaller ADC Formats Based on Therano Stick Technology Could Make the Difference for Solid Tumors

Meddy El Alaoui, PhD, CEO, AbTx

AbTx develops next-gen ADCs using our TheranoStick platform, generating smaller formats (FDCs) for improved tumor access, faster clearance, and enhanced cytotoxicity. Our enzymatic conjugation (transglutaminase + patented Q-Tag system) ensures site-specific payload attachment across full-length antibodies, Fabs, scFvs, and VHHs, boosting efficacy and safety.

3:05 High-Throughput Screening of Bispecific ADCs Unlocks Modality Potential beyond Binary Logic Gates

Irsyad Khairil, PhD, Co-Founder & CTO, Valink Therapeutics

Bispecific ADCs can improve payload delivery through enhanced tumor targeting, increasing efficacy and safety in heterogeneous cancers. However, identifying synergistic target pairs remains challenging due to combinatorial complexity. Valink's high-throughput universal assembly platform enables rapid generation and screening of thousands of bispecific ADCs to uncover optimal target combinations. Using this approach, Valink is advancing a colorectal-cancer program showing strong synergy over monospecific ADCs with promising *in vivo* activity.

3:35 Sponsored Presentation *(Opportunity Available)*

4:05 Refreshment Break in the Exhibit Hall with Poster Viewing (Last Chance to Vote for Best of Show Awards)

Recharge during our refreshment break! Meet the Venture Capitalists who will be presenting as a part of our VC Insights panel, visit booths, view posters, connect with peers, and turn in your Game Cards for a chance to win a raffle prize.

INSIGHTS FROM VENTURE CAPITALISTS

4:50 PLENARY PANEL DISCUSSION: Venture-Capitalist Insights on Trends in Drug Discovery

Moderator: Daniel A. Erlanson, PhD, Chief Innovation Officer, Frontier Medicines Corporation

Panelists representing the investor ecosystem share their thoughts and experiences working with a vast number of early- and late-stage biotechnology companies and academic labs. They highlight current trends in investments for drug discovery and anticipated changes. This discussion also provides scientists an understanding of how investment strategy works and how they can secure the right funding to bring their ideas from the lab to market.

Panelists:
Chris De Savi, PhD, CSO Partner, Curie Bio
Neil Kubica, PhD, Therapeutics Division Lead, General Inception
Pengpeng Li, PhD, Principal, Lilly Asia Ventures
Ken Lin, CEO & Founder, ABIES Capital

5:50 In-Person Breakouts (40 min)

In-Person Breakouts are informal, moderated discussions to exchange ideas and develop future collaborations around a focused topic. To get the most out of this discussion, please come prepared to be part of a collective, problem-solving session and participate in active idea sharing. Visit the Breakouts page for a complete listing of ALL discussion topics.

6:30 Close of Day

THURSDAY, OCTOBER 1

7:15 am Registration Open and Morning Coffee

7:30 In-Person Breakfast Breakouts

In-Person Breakouts are informal, moderated discussions to exchange ideas and develop future collaborations around a focused topic. Please come prepared to be part of a collective, problem-solving session and participate in active idea sharing. Visit the Breakouts page for a complete listing of ALL discussion topics, including ones related to setting up collaborations, finding funding, and more.

Next-Generation Conjugates

From Selection to Synergy: Optimizing Targeting, Linkers, and Conjugation across Modalities for Therapeutic Impact

IN-PERSON ONLY BREAKOUT: Beyond the Modality: What Really Determines Success in Targeted Conjugates
Keykavous Parang, PhD, Professor, Biomedical and Pharmaceutical Sciences, Chapman University

- Which factors most strongly influence success: target, linker, payload, or platform?
- Which lessons translate across ADCs, PDCs, radioconjugates, and where are they modality-specific?
- How can efficacy be maximized while minimizing off-target toxicity?
- Which advances best improve potency, safety, access, and affordability?
- Where should innovation focus: payloads, targeting, or manufacturing and characterization?

8:15 Networking Coffee Break
Join your colleagues for a cup of coffee or refreshments and make new connections.

EMERGING CONJUGATES: BISPECIFIC ADCs, DUAL PAYLOAD ADCs, PDCs, & DEGRADER-ADCs

9:00 Chairperson's Remarks
Jing Li, PhD, CEO, VelaVigo

9:05 Design Principles of Antibody–Drug Conjugates versus Peptide–Drug Conjugates: Convergence, Divergence, and Translational Implications
Keykavous Parang, PhD, Professor, Biomedical and Pharmaceutical Sciences, Chapman University
Antibody–drug conjugates (ADCs) and peptide–drug conjugates (PDCs) share modular architectures of targeting ligand, linker, and payload but differ fundamentally in size, pharmacokinetics, tumor penetration, manufacturability, and immunogenicity. ADCs provide prolonged circulation and validated clinical efficacy, whereas PDCs enable deeper tissue diffusion, flexible chemistry, and reduced immunogenicity. Understanding these complementary design principles—including linker stability, targeting specificity, and payload selection—guides rational development of next-generation targeted therapeutics.

9:35 First-in-Class Bispecific ADC Programs from VelaVigo
Jing Li, PhD, CEO, VelaVigo
VelaVigo has developed robust proprietary target discovery and multispecific-antibody technology platforms to deliver first-in-class (FIC) bispecific ADC programs. The representative programs will be showcased in the presentation, with preclinical data demonstrating superior efficacy compared to monospecific ADCs in various target-expression settings. The programs also show favorable tox profiles and excellent developability making them strong candidates for further clinical development.

10:05 Advanced Dual Payload Antibody-Drug Conjugates for Cancer Chemo-Immunotherapy
Xiaoguang Liu, PhD, Professor, Chemical and Biomolecular Engineering, Ohio State University
We developed modular dual-payload antibody-drug conjugation (DualADC) platforms for precision chemo-immunotherapy. Utilizing two-site conjugation, several humanized antibodies developed in-house were linked to cytotoxic agents and TLR 7/8 agonists. Lead DualADC candidates achieved 90-100% tumor reduction across eight models, including PDX and metastasis, with minimal toxicity. Analysis confirmed synergistic tumor lysis and immune activation. These technologies are readily adapted to diverse antibody-target systems for treating aggressive solid tumors.

10:35 Sponsored Presentation *(Opportunity Available)*

11:05 Coffee Break in the Exhibit Hall (Best of Show Awards Announced)
Meet new collaborators, and network with clients, colleagues, and exhibitors. Make your vote count for the People's Choice Best of Show Poster and Exhibitor awards and plan to stay and cheer the winners!

11:50 Engineered SIRPa Protein Drug Conjugates: Exploiting CD47 Overexpression and Rapid Clearance for Superior Tumor Targeting and Safety
Joseph F. Nabhan, PhD, CSO, K2B Therapeutics
Improving the therapeutic window of drug conjugates in oncology requires rethinking carrier size. K2B's engineered SIRPa protein drug conjugates leverage CD47 overexpression for tumor-selective internalization while rapid systemic clearance of a small format conjugate limits off-tumor exposure. Preclinical studies across multiple solid-tumor models demonstrate complete tumor regression at doses well within a defined tolerability range, supporting a differentiated profile for a range of indications.

12:20 pm Targeted PROTAC Delivery: Principles of Crafting PROTAC-ADCs and Self-Assembling PROxAb Shuttles
Andrea Geist, PhD, Senior Scientist, R&D, EMD Serono
Proteolysis-targeted chimeras (PROTACs) show therapeutic promise, yet poor oral bioavailability and lack of cell-type specificity remain key challenges. Antibody-targeted delivery via Degrader-ADCs can address these limitations, though their generation is challenging. We introduce PROxAb Shuttles: a plug-and-play platform utilizing camelid-derived VHH domains engineered into bispecific antibody scaffolds. These non-covalent antibody-PROTAC complexes prolong half-life from hours to days, enabling *in vivo* anti-tumor efficacy, sustained target protein degradation, and expedited Degrader-ADC discovery.

12:50 Transition to Lunch

1:00 Luncheon Presentation *(Sponsorship Opportunity Available)* **or Enjoy Lunch on Your Own**

1:30 Dessert Break in the Exhibit Hall and Last Chance for Poster Viewing
Enjoy dessert and coffee during our final exhibit hall break. Did you connect with all the service providers and poster presenters? You never know what you missed! Stay till the end to maximize your time in the exhibit hall and to celebrate our Best of Show Poster and Exhibitor award winners!

CLOSING PLENARY KEYNOTE PANEL

2:15 Closing Remarks by DOT Team Lead

2:20 Chairperson's Remarks
Armon Sharei, PhD, Founder & CEO, Portal Biotechnologies

2:25 PLENARY PANEL DISCUSSION: Starting Up: Translating Lab Ideas into Commercial Impact

Moderator: Armon Sharei, PhD, Founder & CEO, Portal Biotechnologies
This panel discussion brings together scientists from academia and biotech to share their stories on what it takes to translate transformative ideas into meaningful commercial outcomes. Panelists will delve into some of the critical

Next-Generation Conjugates

From Selection to Synergy: Optimizing Targeting, Linkers, and Conjugation across Modalities for Therapeutic Impact

inflection points, challenges encountered, key decisions that had to be made and their outcomes. The session will provide scientists with an understanding of what it takes to bring ideas from lab to market.

Panelists:
Sangeeta N. Bhatia, Professor & Director, Marble Center for Cancer Nanomedicine, Health Sciences & Technology, Massachusetts Institute of Technology
Ivan Cornella Taracido, PhD, Founder, New Stealth Co.
Kris Elverum, MBA, former President & CEO, AIRNA
Parastoo Khoshakhlagh, PhD, Co-Founder & CEO, GC Therapeutics
William Pao, MD, PhD, CEO, Revelio Therapeutics
Johnny Yu, PhD, CSO & Co-Founder, Tahoe Therapeutics

3:20 Transition to Sessions

TRANSLATING EMERGING MODALITIES TO THE CLINIC

3:30 Development of Targeted RNA Therapeutics: Preclinical, Clinical Progress, and Lessons Learned

Hanhua Huang, PhD, Vice President, Biology, Avidity Biosciences

This presentation explores innovative technologies and development strategies advancing antibody-oligonucleotide conjugates (AOCs), a novel therapeutic class combining tissue-specific delivery with RNA-targeting precision, in extrahepatic tissues. Key topics include technical and development approaches that collectively inform AOC design, enhance therapeutic potential, and enable broader application across diverse disease areas.

4:00 Antibody-Drug Conjugate Immunogenicity: Current Landscape and Strategies to De-Risk Development

Christina Fu, PhD, Senior Principal Scientist, PDM, Pfizer

Antibody–drug conjugates (ADCs) are complex therapies with unique immunogenicity risks. This presentation summarizes current ADC immunogenicity insights, discussing how factors like antibody format, conjugation chemistry, linker stability, payload, and impurities affect anti-drug antibody (ADA) formation. Clinical data on ADA incidence and impact will be reviewed, along with a streamlined de-risking framework for *in silico* and *in vitro* risk assessment and bioanalytical strategies.

5:05 Close of Conference

Radioligand Therapies

Engineering the Next Generation of Targeted Radiopharmaceuticals

TUESDAY, SEPTEMBER 29

7:30 am Registration Open and Morning Coffee

8:25 Welcome Remarks

NEXT WAVE OF INNOVATION IN RADIOLIGAND THERAPIES

8:30 Chairperson's Remarks
Rachel Humphrey, MD, President and Founding CEO, Normunity

8:35 Translational Strategies for RLT
Jeffrey Kovacs, PhD, Vice President, Head of Biology, Aktis Oncology

9:05 Biologics as Radiotheranostic Agents: Engineering and Risk Mitigation Strategies for Toxic Payload Delivery
Alessandro Mascioni, PhD, Director, Targeting Technology Research, Telix Pharmaceuticals
Radiopharmaceuticals span modalities from small molecules to antibodies and antibody fragments, aiming to balance clearance and tumor penetration to maximize therapeutic window. Full length antibodies benefit from FcRn recycling but risk prolonged systemic exposure and retention throughout the reticuloendothelial system (RES). Antibody fragments clear faster yet accumulate at clearance organs. We present engineering strategies that elucidate RES endocytosis mechanisms and a methodology to reduce nonspecific uptake of antibody fragments.

9:35 From PSMA to What's Next: Evolving the Radioligand Target Landscape
Ana Filipa Fonseca, Global RLT Strategy and Early Portfolio Director, Novartis
From PSMA to what's next—radioligand therapy is expanding beyond a single success into a new frontier of isotopes, vectors and targets. This talk explores how we lead that shift as an industry, what is our unique value proposition as radioligands shaping the next wave of innovation to reach more patients, and redefine what RLT can achieve for patients.

10:05 Networking Refreshment Break
Join your colleagues for a cup of coffee or refreshments and make new connections.

10:35 Advances in ^{212}Pb Alpha-Particle Radiopharmaceutical Therapeutics
Mengshi Li, Vice President, Discovery and Pipeline, Perspective Therapeutics
Lead-212 has emerged as a compelling alpha-emitter for targeted radiopharmaceutical therapy, offering a half-life ideally matched to peptides and peptide-like small molecules, alongside a scalable, cost-effective supply chain. This presentation will highlight encouraging clinical results from Perspective Therapeutics' ongoing trials and introduce a pipeline of next-generation peptides directed at novel oncology targets, underscoring ^{212}Pb's expanding role in precision cancer treatment.

11:05 PANEL DISCUSSION: Defining Next-Generation Radioligand Therapies: From Molecular Design to Clinical and Commercial Success
Moderator: Rachel Humphrey, MD, President and Founding CEO, Normunity
This panel will explore what defines next-generation radioligand therapies by integrating scientific design, clinical impact, and business reality. Experts will discuss target biology, ligand and radionuclide selection, dosimetry, and safety, alongside patient selection, clinical workflows, manufacturability, isotope supply, scalability, and strategic use of RLTs in combination regimens. The discussion will highlight how aligning discovery innovation with clinical feasibility and commercial strategy is essential to delivering durable, differentiated RLTs.
Panelists:
Cara Ferreira, PhD, CEO, Lumina Pharmaceuticals
Andreas Goutopoulos, PhD, CEO & Co-Founder, Actithera
Jack Hoppin, PhD, CEO, Ratio Therapeutics
Sujiet Puthenveetil, PhD, Director, Antibody Drug Conjugates and Radioconjugates, AstraZeneca
Mengshi Li, Vice President, Discovery and Pipeline, Perspective Therapeutics

12:05 pm Sponsored Presentation *(Opportunity Available)*

12:35 Transition to Lunch

12:45 Luncheon Presentation *(Sponsorship Opportunity Available)* **or Enjoy Lunch on Your Own**

1:15 Session Break

PERSONALIZING RADIOLIGAND THERAPY: FROM THERANOSTIC IMAGING TO DOSIMETRY-GUIDED IMPACT

1:45 Chairperson's Remarks
Rachel Humphrey, MD, President and Founding CEO, Normunity

1:50 From Imaging to Impact: Practical Frameworks for Personalized Dosimetry in Radioligand Therapy
A. Omer Nawaz, PhD, Head, Theranostics and Radiation Science, AstraZeneca
Personalized dosimetry is central to unlocking the full therapeutic potential of radioligand therapy. This presentation outlines practical frameworks for integrating quantitative imaging, pharmacokinetics, and patient-specific modeling into clinical development and clinical practice. Emphasis will be placed on scalable workflows, time activity characterization, and strategies to balance clinical feasibility with biological accuracy to support individualized treatment planning and improved therapeutic outcomes.

2:20 Imaging and Dosimetry
Charles Glaus, PhD, Senior Director, Oncology Precision Medicine & Early Clinical Development, Bayer

2:50 Expanding the Therapeutic Window with Affibody Theranostics: First-in-Human Experience with ABY-271 and Novel Target Applications
Guido Wuerth, MD, PhD, GFMD, Head of Corporate Development, Radiopharmaceuticals, Affibody AB
Affibody molecules can expand the therapeutic window for oncology radiotheranostics by combining rapid, high-affinity tumor targeting with low uptake in critical organs. Drawing on clinical experience from [^{177}Lu]Lu-ABY-271 in HER2-positive metastatic breast cancer, the talk will highlight safety, biodistribution, and dosimetry learnings that supported first-in-human progression. These insights are now directly informing the development of earlier pipeline programs, including B7-H3 as a next-generation radiotheranostic target.

3:20 Sponsored Presentation *(Opportunity Available)*

3:50 Grand Opening Refreshment Break in the Exhibit Hall with Poster Viewing and Best of Show Voting Begins

Radioligand Therapies

Engineering the Next Generation of Targeted Radiopharmaceuticals

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OPENING PLENARY KEYNOTE PANEL

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4:55 Chairperson's Remarks
Dennis Hu, PhD, CEO, Drug Hunter Inc.

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Moderator: Dennis Hu, PhD, CEO, Drug Hunter Inc.
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Panelists:
Erin Davis, PhD, Vice President, Research Business Insights & Technology, Bristol Myers Squibb
Ryan Potts, PhD, VP and Head, Induced Proximity Platform, Amgen, Inc.
John Tallarico, PhD, Global Head, Discovery Sciences, Novartis BioMedical Research
Klaus Urbahns, PhD, Head, Therapeutic Modalities, Biogen
Andrea Weston, PhD, Head of Discovery Biology and Pharmacology, Pfizer Inc.
Ian Storer, PhD, VP, Hit Discovery, AstraZeneca

6:00 GAME ON! Welcome Reception in the Exhibit Hall with Poster Viewing
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7:00 Close of Day

WEDNESDAY, SEPTEMBER 30

7:15 am Registration Open

7:30 In-Person Breakfast Breakouts
In-Person Breakouts are informal, moderated discussions to exchange ideas and develop future collaborations around a focused topic. Please come prepared to be part of a collective, problem-solving session and participate in active idea sharing. Visit the Breakouts page for a complete listing of ALL discussion topics, including ones related to setting up collaborations, finding funding, and more.

IN-PERSON ONLY BREAKOUT: Advocacy Roundtable Discussion: Addressing Patient Access to Radioligand Therapy
Mike Crosby, Ambassador & Board Member, Oncidium Foundation
Jean-Luc Vanderheyden, PhD, Head, Oncidium Foundation
- How advocacy organizations can help patients and caregivers better understand radioligand therapy, theranostics, and available treatment options
- Practical barriers patients face in accessing RLT, including geographic location, referral pathways, insurance coverage, financial burden, and treatment-site availability
- How industry, treatment centers, clinicians, and advocacy groups can collaborate responsibly to improve education, awareness, and patient navigation
- Opportunities to build stronger patient-centered resources, including site-finder tools, educational materials, community outreach, and support programs

IN-PERSON ONLY BREAKOUT: IN-PERSON ONLY BREAKOUT: The Next Wave of Radiopharmaceutical Innovation: Where Are the Real Opportunities?
Muhammet Tanc, PhD, CEO, Nuclide Therapeutics
- Exploring where the biggest opportunities may emerge: new target biology, underserved tumor types, improved patient selection, or next-generation isotopes
- Discussing how to distinguish attractive scientific ideas from opportunities that are truly translatable, scalable, and commercially viable
- Sharing perspectives on what biotech, pharma, academia, and investors each need to see before committing to the next wave of radiopharmaceutical programs

8:15 Networking Coffee Break
Join your colleagues for a cup of coffee or refreshments and make new connections.

EMERGING TARGETS AND MECHANISMS FOR RADIOLIGAND THERAPY

9:00 Chairperson's Remarks
Joseph Vacca, Vice President & General Partner, Radiopharmaceuticals, Perceptive Imaging

9:05 Development of a Novel Radioligand Therapy Targeting the Extracellular Matrix of Solid Tumors
Cara Ferreira, PhD, CEO, Lumina Pharmaceuticals
Lumina Pharmaceuticals is developing first-in-class radioligand theranostics (RLT) to address the high unmet need in solid tumor cancers. This presentation will discuss the advantages of a novel RLT targeting fibrin, a component of the extracellular matrix that is abundant in solid tumors and metastatic lesions but absent in healthy tissue.

9:35 Pretargeting Strategies in Radioimmunotherapy
Randolf Kerschbaumer, CEO, OncoOne
Pretargeted radioimmunotherapy (PRIT) separates tumor targeting from radionuclide delivery. This strategy has the potential to overcome the limitations of conventional radioimmunotherapy. OncoOne has developed its proprietary PreTarg-it platform, a modular, two-step PRIT approach designed to achieve a high therapeutic index. We demonstrate the generation of radiotherapies tailored to different tumor targets, enabling diagnostic and therapeutic radionuclide applications across multiple oncology indications.

10:05 Enabling Precision Radioligand Therapy for the Detection and Treatment of Therapy-Resistant Cancers
Muhammet Tanc, PhD, CEO, Nuclide Therapeutics
We developed first-in-class radiotheranostics targeting ALDH1A1, a key driver of cancer stemness and therapy resistance. The PET tracer [18F]NTx-10 selectively images ALDH1A1-high tumors and resistant subpopulations *in vivo*, while [131I]NTx-11 provides prolonged tumor retention and strong therapeutic efficacy. Across lung and ovarian models, responses tracked ALDH1A1 status, supporting ALDH1A1-directed radiotheranostics as a precision strategy for resistant cancers.

10:35 New Targets for RLT Expansion: Engineered Vectors for Improved Biodistribution
Riccardo Canevari, CEO, Radiopharm Theranostics
The role of new targets to expand RLT use beyond prostate and neuroendocrine tumors will be covered in addition to maximizing therapeutic index by limiting kidney, bone marrow uptakes, and hematological toxicities.

11:05 Networking Coffee Break in the Exhibit Hall with Poster Viewing

11:50 Lead Optimization: TRPV6-Targeted Radioligand Therapy
Michael Groaning, PhD, CSO, Soricimed Biopharma Inc.

Radioligand Therapies

Engineering the Next Generation of Targeted Radiopharmaceuticals

Multiple candidates targeting Transient Receptor Potential—Vanilloid Six (TRPV6)—were explored *in vivo* and *in vitro* using a proprietary peptide backbone to identify top leads for radioligand therapy. This lead optimization journey will be presented.

12:20 pm ^{188}Re-AX-188 (Tozaride): Dual-Modality Theranostics Exploiting SSTR2 Upregulation in Neuroendocrine Tumors

Chris Adams, CEO, Andarix Pharmaceuticals

^{188}Re-AX-188 (Tozaride) is a generator-produced rhenium-188-labeled somatostatin analog targeting SSTR2-overexpressing neuroendocrine tumors and lung cancers. Exploiting SSTR2 upregulation in tumor microenvironments, it delivers high-energy beta-particle therapy (Eßmax 2.12 MeV) with simultaneous gamma-camera imaging via a single agent. Across four Phase I/II studies (n=75), Tozaride demonstrated favorable dosimetry, tolerability, and clinical activity in SCLC, including a median OS of 11.5 months and 25% objective tumor reduction, supporting Phase II efficacy trials.

12:50 Networking luncheon in the Exhibit Hall with Poster Viewing

TRANSLATIONAL STRATEGIES FOR TARGETED RADIOPHARMACEUTICALS

2:00 Chairperson's Remarks

Joseph Vacca, Vice President & General Partner, Radiopharmaceuticals, Perceptive Imaging

2:05 Reduced Time-Point Dosimetry in Radioconjugate Therapy: From Preclinical Models to Clinical Translation

Fariba Khanshan, PhD, Director, PK/PD/PMX, AstraZeneca

This talk will present quantitative frameworks for reduced time-point dosimetry in radioconjugate therapy, linking preclinical biodistribution and PK/PD modeling to clinical dose estimation. Approaches to optimize sampling schedules, preserve dosimetric accuracy, and address interspecies scaling and variability will be discussed, with implications for improving feasibility and robustness in clinical implementation.

2:35 Miniproteins as Optimized Delivery Vehicles for Radiopharmaceuticals: Enabling Efficient Clinical Translation

Alyssa Vito, PhD, MBA, MSc, Chief Development Officer, AI Proteins

Targeted radiopharmaceutical development is constrained by the need to achieve high tumor uptake while minimizing exposure to normal tissues. Miniproteins are uniquely suited to address this challenge, enabling deep tumor penetration and tunable pharmacokinetics. This presentation will discuss key design principles for miniprotein-based radiopharmaceuticals and outline strategies to efficiently translate these molecules from discovery to the clinic, maximizing the probability of clinical success.

3:05 PANEL DISCUSSION: From Target to Therapy: Science, Strategy, and Scalability

Moderator: Filipa Mota, PhD, Director, Radioligand Therapy, Perceptive Discovery

The panel will explore the full radioligand therapy pipeline, from target selection through to radiopharmaceutical manufacturing. Experts will discuss strategies for selecting targets and radioisotopes, alongside key considerations for clinical trial strategies, at the intersection of scientific innovation and operational efficiency.

Panelists:

Benjamin Burke, PhD, Head, Radioligand Discovery, Curium Pharma

Sean Carlin, PhD, Vice President, Translational Sciences, Abdera Therapeutics

Fariba Khanshan, PhD, Director, PK/PD/PMX, AstraZeneca

Jeffrey Kovacs, PhD, Vice President, Head of Biology, Aktis Oncology

Alyssa Vito, PhD, MBA, MSc, Chief Development Officer, AI Proteins

4:05 Refreshment Break in the Exhibit Hall with Poster Viewing (Last Chance to Vote for Best of Show Awards)

Recharge during our refreshment break! Meet the Venture Capitalists who will be presenting as a part of our VC Insights panel, visit booths, view posters, connect with peers, and turn in your Game Cards for a chance to win a raffle prize.

INSIGHTS FROM VENTURE CAPITALISTS

4:50 PLENARY PANEL DISCUSSION: Venture-Capitalist Insights on Trends in Drug Discovery

Moderator: Daniel A. Erlanson, PhD, Chief Innovation Officer, Frontier Medicines Corporation

Panelists representing the investor ecosystem share their thoughts and experiences working with a vast number of early- and late-stage biotechnology companies and academic labs. They highlight current trends in investments for drug discovery and anticipated changes. This discussion also provides scientists an understanding of how investment strategy works and how they can secure the right funding to bring their ideas from the lab to market.

Panelists:

Chris De Savi, PhD, CSO Partner, Curie Bio

Neil Kubica, PhD, Therapeutics Division Lead, General Inception

Pengpeng Li, PhD, Principal, Lilly Asia Ventures

Ken Lin, CEO & Founder, ABIES Capital

5:50 In-Person Breakouts (40 min)

In-Person Breakouts are informal, moderated discussions to exchange ideas and develop future collaborations around a focused topic. To get the most out of this discussion, please come prepared to be part of a collective, problem-solving session and participate in active idea sharing. Visit the Breakouts page for a complete listing of ALL discussion topics.

6:30 Close of Day

THURSDAY, OCTOBER 1

7:15 am Registration Open and Morning Coffee

7:30 In-Person Breakfast Breakouts

In-Person Breakouts are informal, moderated discussions to exchange ideas and develop future collaborations around a focused topic. Please come prepared to be part of a collective, problem-solving session and participate in active idea sharing. Visit the Breakouts page for a complete listing of ALL discussion topics, including ones related to setting up collaborations, finding funding, and more.

8:15 Networking Coffee Break

Join your colleagues for a cup of coffee or refreshments and make new connections.

INTEGRATING RLT INTO COMBINED MODALITY CANCER TREATMENT

9:00 Chairperson's Remarks

Zachary S. Morris, PhD, MD, Department Chair and Endowed Professor of Human Oncology, University of Wisconsin Madison

9:05 Opportunities for Integrating Radioligand Therapy in Combined Modality Treatment of Patients with Cancer

Zachary S. Morris, PhD, MD, Department Chair and Endowed Professor of Human Oncology, University of Wisconsin Madison

Radioligand therapies have demonstrated therapeutic benefits including extension of overall survival in patients with metastatic disease. However, these agents may have their greatest potential for clinical impact as a component of combined modality regimens, where they may contribute to advancing the curative potential of cancer

Radioligand Therapies

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treatment. This talk will highlight preclinical and early clinical data from studies investigating optimal approaches to combining radioligand therapies with external beam radiation and/or immunotherapies.

9:35 Radioligand Therapy as an Immunotherapy Sensitizer: Unlocking Synergistic Antitumor Potential

Ravi B. Patel, MD, Assistant Professor and Physician, Radiation Oncology, University of Pittsburgh

Radiopharmaceutical therapy (RPT) is emerging as a versatile cancer treatment strategy across tumor types. Targeted radionuclide delivery demonstrates wide tumor expression profiles and preclinical efficacy with acceptable toxicity. Low-dose RPT can sensitize immunologically "cold" tumors to immune checkpoint blockade, achieving complete responses in preclinical models via innate immune mechanisms. Furthermore, RPT potentiates CAR T-cell activity against solid tumors by remodeling the immunosuppressive tumor microenvironment, offering a promising combinatorial immunotherapy approach.

ENGINEERING OPTIMIZED LIGANDS, LINKERS, AND RADIONUCLIDES

10:05 DARPins as Ligands for Targeted Alpha Therapy: First-in-Human Experience with MP0712 and Opportunities for Next Radio-DARPin Candidates

Daniel Steiner, PhD, Senior Vice President, Research & Technology, Molecular Partners AG

DARPins are small, high-affinity binding proteins with intrinsic properties well suited for use as radioligands. Using MP0712, a ^{212}Pb based Radio DARPin targeting DLL3, we illustrate the path from target selection to first-in-human data. We further discuss opportunities for next-generation Radio DARPin candidates, leveraging DARPin flexibility to address target and disease biology.

10:35 Chemical Strategies to Expand the Therapeutic Window for Targeted Radiotherapy

Michael Evans, PhD, Professor, Radiology & Biomedical Imaging, University of California, San Francisco

The design and application of radioactively-labeled drugs for cancer treatment is experiencing a rebirth, led in part by new advances in radioligand therapies like Pluvicto and Lutathera that specifically and potently bind to proteins highly upregulated in cancer. This presentation discusses new platform chemical approaches to RLT design that aim to maximize radiation delivery to tumor while sparing normal tissues from greater than minimal radioactive dose.

11:05 Coffee Break in the Exhibit Hall (Best of Show Awards Announced)

Meet new collaborators, and network with clients, colleagues, and exhibitors. Make your vote count for the People's Choice Best of Show Poster and Exhibitor awards and plan to stay and cheer the winners!

11:50 Bicycle Radionuclide Conjugates as Theranostic Agents for Novel Tumor Targets

Joseline Ratnam, PhD, Associate Director, Bicycle Therapeutics

This presentation introduces Bicycle Radionuclide Conjugates (BRCs) as a next-generation theranostic platform for precision oncology. We will unveil how our proprietary screening technology identifies novel peptide binders against challenging cancer targets, and how these are engineered into high-affinity, selective BRCs with highly favorable biodistribution. The session will feature preclinical and early clinical data for programs targeting MT1-MMP and EphA2.

12:20 pm Click-Cleavable Radioimmunoconjugates

Marc S. Robillard, PhD, CSO & Founder, Tagworks Pharmaceuticals

To address the dose-limiting bone marrow toxicity in radioimmunotherapy, Tagworks applies its Click-to-Release platform to enable chemically triggered off-target deactivation of radioimmunotherapeutics directed against internalizing cancer targets. In this approach, upon sufficient tumor internalization of a click-cleavable radioimmunoconjugate, a non-cell permeable trigger molecule is administered i.v. that that selectively cleaves circulating radioimmunoconjugate, leading to rapid clearance of the radiolabel and a strong increase of the tumor-nontumor dose ratio.

12:50 Transition to Lunch

1:00 Luncheon Presentation *(Sponsorship Opportunity Available)* **or Enjoy Lunch on Your Own**

1:30 Dessert Break in the Exhibit Hall and Last Chance for Poster Viewing

Enjoy dessert and coffee during our final exhibit hall break. Did you connect with all the service providers and poster presenters? You never know what you missed! Stay till the end to maximize your time in the exhibit hall and to celebrate our Best of Show Poster and Exhibitor award winners!

CLOSING PLENARY KEYNOTE PANEL

2:15 Closing Remarks by DOT Team Lead

2:20 Chairperson's Remarks

Armon Sharei, PhD, Founder & CEO, Portal Biotechnologies

2:25 PLENARY PANEL DISCUSSION: Starting Up: Translating Lab Ideas into Commercial Impact

Moderator: Armon Sharei, PhD, Founder & CEO, Portal Biotechnologies

This panel discussion brings together scientists from academia and biotech to share their stories on what it takes to translate transformative ideas into meaningful commercial outcomes. Panelists will delve into some of the critical inflection points, challenges encountered, key decisions that had to be made and their outcomes. The session will provide scientists with an understanding of what it takes to bring ideas from lab to market.

Panelists:

Sangeeta N. Bhatia, Professor & Director, Marble Center for Cancer Nanomedicine, Health Sciences & Technology, Massachusetts Institute of Technology

Ivan Cornella Taracido, PhD, Founder, New Stealth Co.

Kris Elverum, MBA, former President & CEO, AIRNA

Parastoo Khoshakhlagh, PhD, Co-Founder & CEO, GC Therapeutics

William Pao, MD, PhD, CEO, Revelio Therapeutics

Johnny Yu, PhD, CSO & Co-Founder, Tahoe Therapeutics

3:20 Transition to Sessions

Radioligand Therapies

Engineering the Next Generation of Targeted Radiopharmaceuticals

ENGINEERING OPTIMIZED LIGANDS, LINKERS, AND RADIONUCLIDES (CONT.)

3:30 Chairperson's Remarks

Zachary S. Morris, PhD, MD, Department Chair and Endowed Professor of Human Oncology, University of Wisconsin Madison

3:35 Programmable Peptidomimetic DNA Ligands for Radioligand Therapy: Engineering Biodistribution to Access Untapped Targets

Atul Varadhachary, MD, PhD, CEO, Radiomer Therapeutics; Managing Partner, Fannin

Radioligand therapy is governed by ligand performance, yet pharmacokinetic constraints of existing modalities limit biodistribution and target access. We present peptidomimetic DNA ligands as a programmable approach to engineering pharmacokinetics through modulation of size, valency, chemistry, and albumin binding. Using CD127 as a representative high-value, underexploited solid tumor target, we show preclinical data demonstrating radiolabeling, stability, and *in vivo* target engagement, and outline progression toward clinical candidate selection.

4:05 Engineering and Conjugation Strategies to Reduce Hepatic/Blood Retention and Increase Tumor Targeting Efficacy for Antibody-Based Radioligand Therapy

Xueming Qian, PhD, Founder & Chairman & CEO, Transcenta Holding

Antibody is traditionally not a good ligand for developing radioligand therapy due to its large size, liver retention, and long half-life. This presentation intends to report on our efforts in applying antibody engineering and novel conjugation strategies to reduce the hepatic and blood retention and also simultaneously increase the specific targeting of the radioligand therapy to the tumor to achieve enhanced efficacy and improved safety profile.

4:35 Computational Discovery Delivering Precision Radioligand Therapy

Haihong Jin, PhD, CSO, VitsGen

Radionuclide Drug Conjugates (RDCs), applying radioisotopes, both alpha (α) and beta (β) emitters, are able to precisely kill tumor cells with high efficiency and lower toxicity. We have created a potential best-in-class radioligand by increasing tumor uptake, tumor retention time, and tumor/normal organs ratio through our computational approaches. Meanwhile, we are building our first-in-class agents based on AI, experienced medicinal chemistry, and proven optimization.

5:05 Close of Conference

Connect with Attendees at Discovery on TARGET

Here are some on-site networking activities planned at *Discovery on Target* this year:

- Discovery on Target offers a networking platform designed to help you make meaningful connections with fellow attendees—before and during the event
- Take part in 1-on-1 Networking with an easy-to-navigate profile search, filter and scheduling platform
- Search attendee profiles and connect via private messaging, onsite meeting requests, or video calls
- Use our search and filter tools to identify participants with shared interests and goals
- Browse our Sponsors & Exhibitors
- Join the conversation on session pages using the chat feature

MONDAY, SEPTEMBER 28:

3:35 pm **Networking Refreshment Break**
Join your colleagues for a cup of coffee or refreshments and make new connections

TUESDAY, SEPTEMBER 29:

10:05 am **Networking Refreshment Break**
Join your colleagues for a cup of coffee or refreshments and make new connections

3:50 pm **Grand Opening Refreshment Break in the Exhibit Hall with Poster Viewing and Best of Show Voting**
Don't miss the opportunity to meet the Discovery on Target community, including leading service providers and poster presenters in our first Exhibit Hall break! Grab a cup of coffee or refreshment, vote for Best of Show Poster and Exhibitor awards, and explore booths to fill the Game Card for a chance to win raffle prizes.

Poster Sessions | Beginning September 29
Meet with our poster presenters who are keen to share their recent findings and innovative technologies during the exhibit hall breaks.
Best of Show Poster Awards will recognize the most innovative and well-presented posters of the event. Get inspired and see who takes home the top honors!

BEST OF SHOW EXHIBITOR AWARDS

Recognizing Exceptional Innovation in Technologies Used By Research Professionals
Attendees are encouraged to explore the novel technologies and solutions firsthand in the exhibit hall and vote for the Best of Show Award once the conference has begun.

The Best of Show Awards will be presented on October 1 during the 11:05 am Coffee Break

4:25 pm **Fast Connections through Speed Networking! —** Meet fellow attendees and spark meaningful connections in minutes. Don't miss this opportunity to meet collaborators, mentors, or idea generators!

6:00 pm **Welcome Reception in the Exhibit Hall GAME ON!** Join us for a sports-themed reception and all-star networking with the DOT community. Don't forget to play the Game Card and vote for our Best of Show Poster and Best of Show Exhibitor awards. It's the perfect way to relax and connect while in Boston. Feel free to wear your team jerseys, favorite tees, caps, sneakers—anything sporty & fun!

Join a Pop-Up Group! These impromptu topic-specific meet-ups will be happening in the hall throughout the meeting. Find your interests and network with colleagues in your field.

**Access to 1-on-1 Networking will be provided to registered conference participants one week prior to the event. Please watch for email updates from Discovery on Target to learn more.*

Connect with Attendees at Discovery on TARGET

Join the Discovery on Target (DOT) LinkedIn page
to receive relevant drug discovery news and DOT event updates.

Discovery on TARGET

WEDNESDAY, SEPTEMBER 30:

7:30 am Breakfast Breakout Discussions (In-Person Only)
In-Person Breakouts are informal, moderated discussions, allowing participants to exchange ideas and experiences and develop future collaborations around a focused topic. Each discussion will be led by a facilitator, or facilitators, who keeps the discussion on track and the group engaged. To get the most out of this format, please come prepared to be a part of a collective, problem-solving session, and participate in active idea sharing. Please visit the Breakouts page on the conference website for a complete listing of topics and descriptions.

8:15 am Networking Refreshment Break Grand Ballroom Foyer
Join your colleagues for a cup of coffee or refreshments and make new connections

11:05 am Coffee Break in the Exhibit Hall with Poster Viewing
Start your morning with coffee, connections, and cutting-edge research! Vote for the Best of Show Poster! Visit with industry-leading service providers, fill out the Game Card to win a raffle prize and vote for the People's Choice Best of Show Exhibitor.

12:50 pm Networking Lunch in Exhibit Hall with Poster Viewing
Join us for lunch in the Exhibit Hall! Continue to fill out your game card and enter it to win a raffle, and continue to vote for our Best of Show Poster and People's Choice Best of Show Exhibitor.

4:05 pm Refreshment Break in the Exhibit Hall with Poster Viewing *(Last Chance to Vote for Best of Show Awards)*
Recharge during our refreshment break! Meet the Venture Capitalists who will be presenting as a part of our VC Insights panel, visit booths, view posters, connect with peers, and turn in your Game Cards for a chance to win a raffle prize.

4:20 pm Fast Connections through Speed Networking! — Meet fellow attendees and spark meaningful connections in minutes. Don't miss this opportunity to meet collaborators, mentors, or idea generators!

RAFFLE PRIZE WINNER ANNOUNCED IN THE EXHIBIT HALL

THURSDAY, OCTOBER 1:

7:30 am Breakfast Breakout Discussions (In-Person Only)
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8:15 am Networking Refreshment Break Grand Ballroom Foyer
Join your colleagues for a cup of coffee or refreshments and make new connections

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1:30 pm Dessert Break in the Exhibit Hall with Last Chance for Poster Viewing
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