

AACR Special Conference in Cancer Research
Optimizing Therapeutic Efficacy and Tolerability through Cancer Chemistry
in association with AACR Chemistry in Cancer Research Working Group
December 9-11, 2024 | Hilton Toronto | Toronto, ON, Canada

CURRENT AS OF 12/09/24

*** Short-talk from proffered abstracts**

CONFERENCE COCHAIRS:

Philip Jones, University of Pittsburgh Medical Center, Pittsburgh, PA
Angela N. Koehler, The Koch Institute at MIT, Cambridge, MA
Chudi O. Ndubaku, Paraza Pharma, Montreal, QC, Canada

MONDAY, DECEMBER 9, 2024

Registration

2:30 p.m. -7:00 p.m. | Convention Level Foyer

Welcome and Opening Keynote

5:15 p.m. -6:00 p.m. | Toronto II + III

5:18 p.m. -5:20 p.m.

Welcome from Cochairs

Philip Jones, University of Pittsburgh Medical Center, Pittsburgh, PA
Chudi O. Ndubaku, Paraza Pharma, Montreal, QC, Canada

5:18 p.m. -5:20 p.m.

Introduction of Keynote Speaker

Chudi O. Ndubaku, Paraza Pharma, Montreal, QC, Canada

5:20 p.m. -6:00 p.m.

**Redefining cancer treatment with tumor targeted modalities:
Achievements, opportunities and challenges**

Puja Sapra, AstraZeneca, Gaithersburg, MD

Plenary Session 1: Innovations opening up the potential for safer therapeutic agents

6:00 p.m. -7:45 p.m. | Toronto II + III

Session chair: Philip Jones, University of Pittsburgh Medical Center, Pittsburgh, PA

6:00 p.m. -6:30 p.m.

**Leveraging synthetic lethality for the development of novel
cancer therapies**

Kimberly J. Briggs, Tango Therapeutics, Boston, MA

6:30 p.m. -7:00 p.m.

Synthetic Lethality: Pathways to Therapeutic Discovery

Daniel J. Durocher, Lunenfeld-Tanenbaum Research Institute,
Toronto, Canada

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| 7:00 p.m. -7:15 p.m. | Structure-guided design and optimization of small molecule CD73 inhibitors with excellent drug-like properties: discovery of quemliclustat*
Jenna Jeffrey, Arcus Biosciences Inc. Hayward, CA |
| 7:15 p.m. -7:45 p.m. | Overcoming traditional design limitations with AI-based discovery
David Hallett, Recursion, Oxford, United Kingdom |

Opening Reception

7:45 p.m. -9:00 p.m. | Toronto I

TUESDAY, DECEMBER 10, 2024

Breakfast

7:00 a.m. -8:00 a.m. | Convention Level Foyer

Plenary Session 2: Developing efficacious drugs with better combinability

8:00 a.m.-9:45 a.m. | Toronto II + III

Session Chair: Chudi O. Ndubaku, Paraza Pharma, Montreal, QC, Canada

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| 8:00 a.m. -8:30 a.m. | Optimizing drug properties during lead optimization, and laboratory studies to support development of drugs for potential clinical combination
Paul Workman, Centre for Cancer Drug Discovery, The Institute of Cancer Research, London, United Kingdom |
| 8:30 a.m. -8:45 a.m. | Helping academic investigators develop small molecules for the clinic: The NCI developmental therapeutics program and stepping-stones*
Sharad Verma, National Cancer Institute, Bethesda, MD |
| 8:45 a.m. -9:15 a.m. | Progress, pitfalls and promise: the journey to effective and well tolerated DNA damage response inhibitor combinations in the clinic
Timothy A. Yap, The University of Texas MD Anderson Cancer Center, Houston, TX |
| 9:15 a.m. -9:45 a.m. | Preclinical approaches to discovery and development of novel combination therapies
Jerome Mettetal, AstraZeneca, Waltham, MA |

Keynote II:

9:45 a.m.-10:30 a.m. | Toronto II + III

Session Chair: Chudi O. Ndubaku, Paraza Pharma, Montreal, QC, Canada

CME-eligible

9:45 a.m. -9:50 p.m.

Introduction of Keynote Speaker

Chudi O. Ndubaku, Paraza Pharma, Montreal, QC, Canada

9:50 p.m. -10:30 a.m.

Leveraging conformational dynamics for improved selectivity

James Watters, Relay Therapeutics, Cambridge, Massachusetts

Break

10:30 a.m.-11:00 a.m. | Convention Level Foyer

Plenary Session 3: Engineered Nanoscale Drug Delivery Systems / Modern Formulation-Driven Approaches

11:00 a.m.-12:30 p.m. | Toronto II + III

Session Chair: Philip Jones, University of Pittsburgh Medical Center, Pittsburgh, PA

11:00 a.m. -11:30 a.m.

An integrative approach to nanomedicine: Leveraging new tools to advance drug delivery

Natalie Boehnke, University of Minneapolis, Minneapolis, MN

11:30 a.m. -12:00 p.m.

Bioengineering cell-based therapeutics

Omid Veisheh, Rice University, Houston, TX

12:00 p.m. -12:30 p.m.

Strategies to close the translational gap for nanoscale drug delivery systems

Joelle Straehla, Seattle Children's Research Institute and the University of Washington, Seattle, WA

Lunch on own

12:30 p.m.-2:00 p.m.

Plenary Session 4: Drug Design and Optimization – New and emerging targets

2:00 p.m.-4:00 p.m. | Toronto II + III

Session Chair: Philip Jones, University of Pittsburgh Medical Center, Pittsburgh, PA

2:00 p.m.-2:30 p.m.

New targets for treatment of lung cancers

Matthew L. Meyerson, Dana-Farber Cancer Institute, Boston, MA

2:30 p.m.-2:45 p.m.

EP300 loss of function is a pan-cancer sensitizer to BET inhibition*

Tomas Babak, Leapfrog Bio, San Mateo, CA

- 2:45 p.m.-3:15 p.m. **Discovery of the dual A2A/A2B receptor antagonist MK-1088 for the treatment of solid tumors**
Duane DeMong, Merck and Co., Boston, MA
- 3:15 p.m.-3:45 p.m. **Mechanistic insights for the advancement of PPARG inverse agonists in muscle invasive urothelial cancer**
Jacob I. Stuckey, Flare Therapeutics, Atlanta, Georgia
- 3:45 p.m.-4:00 p.m. **Discovery of Etrumadenant, a first-in-class dual A2a and A2b adenosine receptor antagonist for cancer immunotherapy***
Ehesan Sharif, Arcus Biosciences Inc. Hayward, CA

Break

4:00 p.m.-4:30 p.m. | Convention Level Foyer

Plenary Session 5: Emerging frontiers in bivalent degraders: from screening to data-driven insights

Session organized by the AACR Cancer in Chemistry Research (CICR) Working Group

4:30 p.m.-6:00 p.m. | Toronto II + III

Session Chair: Katherine Donovan, Dana-Farber Cancer Institute

- 4:30 a.m. -4:40 p.m. **CICR Working Group Introduction**
Katherine Donovan, Dana-Farber Cancer Institute
- 4:40 p.m.-5:10 p.m. **TITLE TBA**
Mikko Taipale, University of Toronto, Toronto, Canada
- 5:10 p.m.-5:40 p.m. **New chemistries to target transcription**
Michael A. Erb, The Scripps Research Institute, La Jolla, CA
- 5:40 p.m.-6:10 p.m. **Transferrin receptor targeting chimeras (TransTACs) for targeted degradation of membrane and extracellular proteins in cancer**
Xin Zhou, Dana-Farber Cancer Institute/Harvard Medical School, Boston MA

Poster Session + Reception

6:10 p.m. -7:40 p.m. | Toronto I

WEDNESDAY, DECEMBER 11, 2024

Breakfast

7:00 a.m. -8:00 a.m. | Convention Level Foyer

Plenary Session 6: Drug Design and Optimization #2 – Brain penetration

8:00 a.m.-10:00 a.m. | Toronto II + III

Session Chair: Chudi O. Ndubaku, Paraza Pharma, Montreal, QC, Canada

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| 8:00 a.m. -8:30 a.m. | Pan-RAF-MEK molecular glue NST-628 is a potent and brain-penetrant inhibitor of the RAS-MAPK pathway
Klaus Peter Hoeflich, Nested Therapeutics, Cambridge, MA |
| 8:30 a.m. -9:00 a.m. | Optimization and development of brain penetrant PI3K inhibitors for the treatment of glioblastoma
Laurent Salphati, Genentech, San Francisco, CA |
| 9:00 a.m. -9:15 a.m. | Brain penetrant allosteric EGFR inhibitors for NSCLC*
David Scott, Dana-Farber Cancer Institute, Boston, MA |
| 9:15 a.m. 9:45 a.m. | Discovery of brain-penetrant inhibitors for the treatment of BRAF mutant tumors
Dean Kahn, Ambrosia BioSciences, Boulder, CO |

Break

9:45 a.m.-10:15 a.m. | Convention Level Foyer

Keynote III

10:15 a.m.-11:00 a.m. | Toronto II + III

Session Chair: Philip Jones, University of Pittsburgh Medical Center, Pittsburgh, PA

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| 10:15 a.m. -10:20 p.m. | Introduction of Keynote Speaker
Philip Jones, University of Pittsburgh Medical Center, Pittsburgh, PA |
| 10:20 p.m. -11:00 a.m. | Bridging the “valley of death” with modern clinical drug development
Patricia M. LoRusso, Yale Cancer Center, New Haven, CT |

Plenary Session 7: Selective Targeting - Gross Tissue-Restricting and Local (Non-Systemic) Administration (small molecule)

11:00 a.m.-12:30 p.m. | Toronto II + III

Session Chair: Philip Jones, University of Pittsburgh Medical Center, Pittsburgh, PA

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| 11:00 a.m.-11:30 a.m. | DYP688, a first-in-class PMEL17-targeted antibody drug conjugate delivering a Gq/11-specific inhibitor for the treatment of metastatic uveal melanoma
Joe Wzorek, Novartis Institute for Biomedical Research, Cambridge, MA |
| 11:30 a.m.-12:00 p.m. | Dual-drug ADC platform for effective and safe cancer treatment |

Kyoji Tsuchikama, The University of Texas Health Science Center,
Houston, TX

Closing Remarks and Departure:

12:00 p.m. | Toronto II + III

Chudi O. Ndubaku, Paraza Pharma, Montreal, QC, Canada