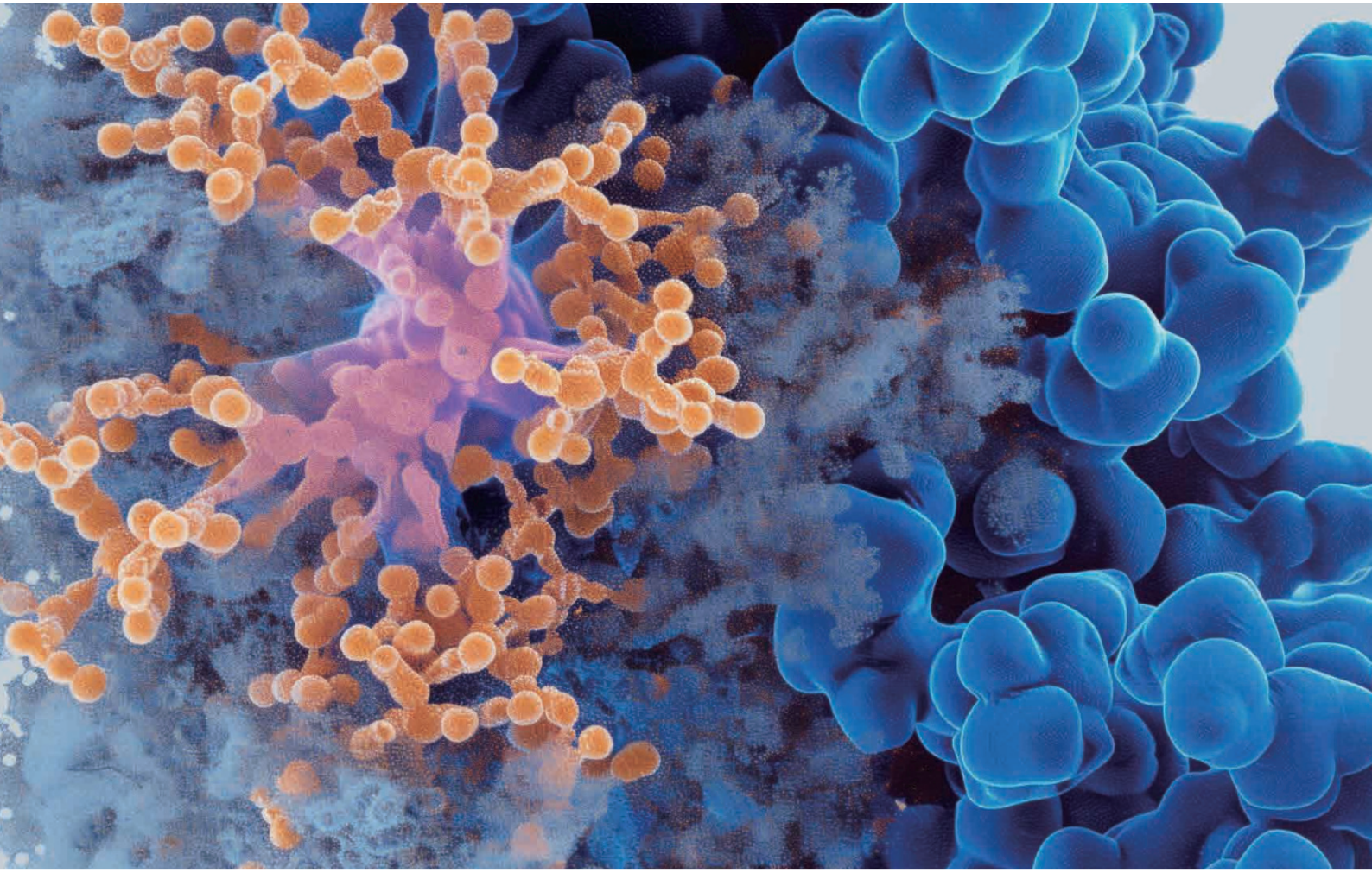
Kinase Integrated Drug Discovery

Unlocking Potential through Targeted Solutions



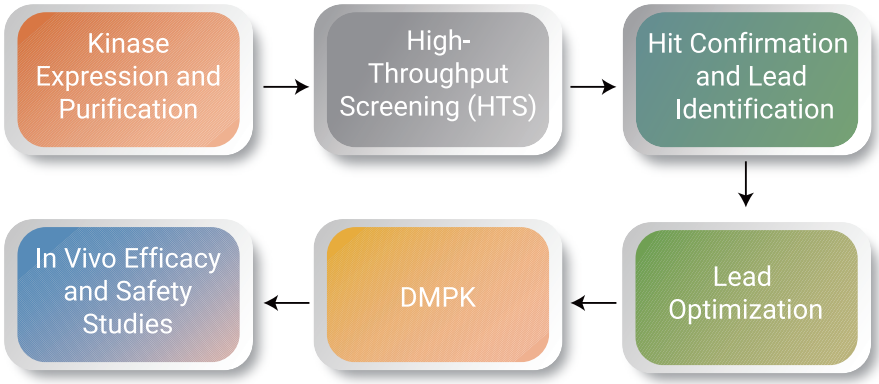
Innovative CRO+Explorer
ICE Bioscience

Advancing Kinase Drug Discovery with ICE Bioscience

Kinases remain at the forefront of drug discovery, with recent advances highlighting their roles beyond traditional signaling pathways—expanding into areas such as epigenetic regulation, immuno-oncology, and targeted protein degradation. As the landscape shifts toward allosteric modulators, covalent inhibitors, and more selective profiling approaches, the demand for precise, high-throughput, and biologically relevant kinase assays has never been greater.

Why partner with ICE Bioscience for your kinase discovery needs?

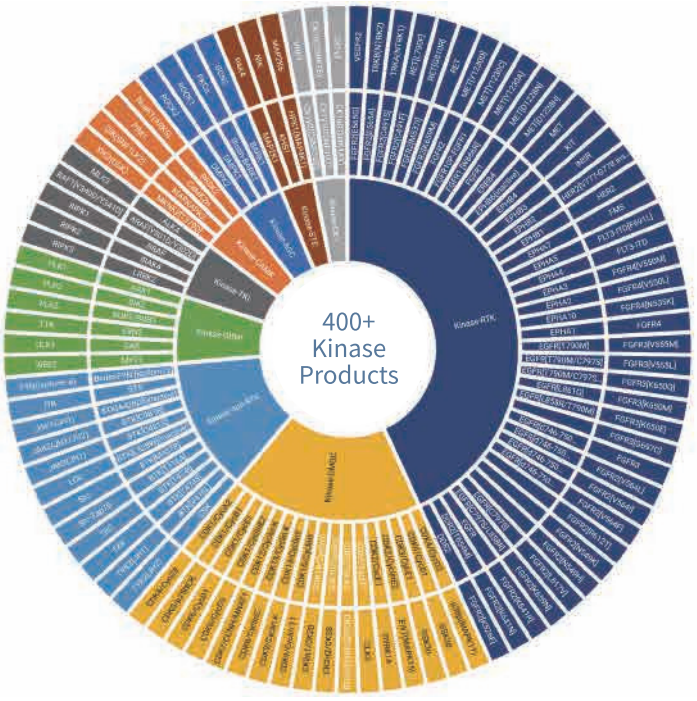
- **Extensive kinase portfolio:** 400+ active kinase proteins with proven expression and purification expertise.
- **Comprehensive screening capabilities:** Biochemical and biophysical high-throughput assays covering 600+ kinase targets.
- **Robust cellular assay platform:** Phosphorylation, proliferation, functional studies, inhibition, and degradation workflows all in one place.



400+ Recombinant Kinase Proteins

At ICE Bioscience, our kinase protein production is distinguished by our rigorous process that ensures not only the expression and purification of over 400 kinase proteins but also their functional validation.

We also demonstrate advanced capabilities in the co-expression and purification of protein complexes, such as the CDK2 & CycE1 binary complex, which are vital for kinase drug discovery. You could benefit from the availability of these complexes for use in a variety of applications, such as screening for inhibitors, studying protein-protein interactions, and understanding the complex's role in cell cycle regulation and its implications in disease states.



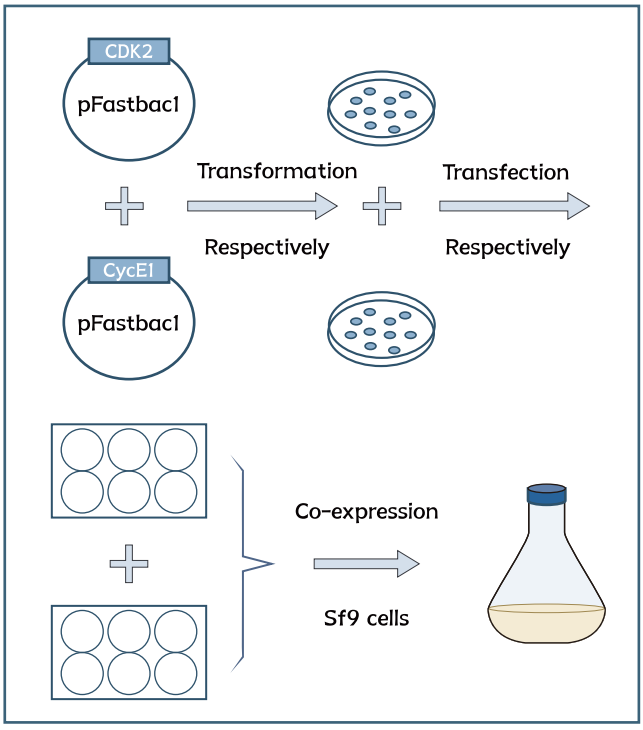


Figure 1. CDK2 & CycE1, forming a binary complex, were co-expressed in SF9 cells.

Kinase Biochemical Assays

ICE Bioscience offers one of the most comprehensive kinase assay portfolios in the industry, supporting diverse discovery-stage research needs from classical kinase activity profiling to emerging kinase-targeted protein degradation (TPD) mechanisms. Our collection features **over 600 kinase assays** and continues to expand as new modalities and mechanisms gain prominence. With deep biochemical expertise and flexible assay development capabilities, we ensure our partners obtain the specific kinase assays they require.

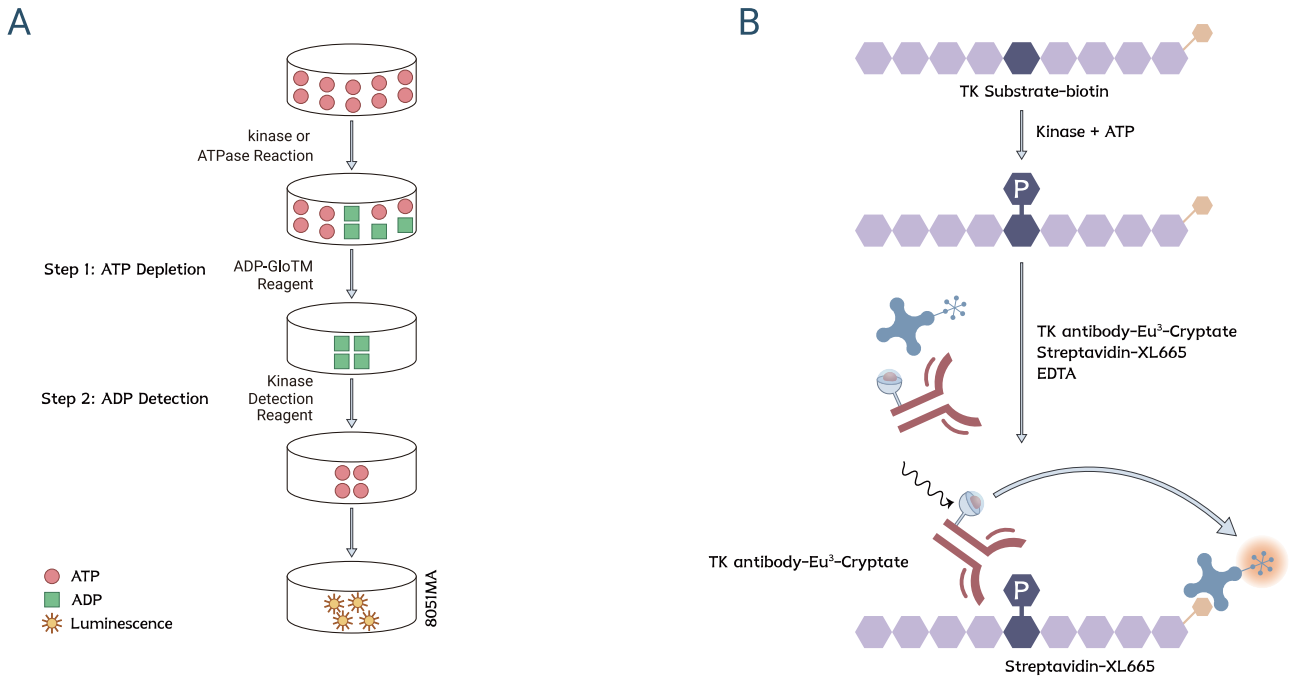


Figure 2. (A) The ADP-Glo™ assay measures kinase activity by quantifying ADP production, with luminescence indicating the enzyme's activity post-reaction. (B) HTRF assays employ donor and acceptor fluorophores to detect phosphorylated substrates, with the resulting fluorescence proportional to kinase activity.

As kinase-targeted protein degradation continues to expand—particularly within families such as CDKs—ICE Bioscience complements activity-based assays with **TR-FRET assays** designed to elucidate degrader-induced interactions. These assays measure the formation of binary and ternary complexes using TR-FRET.

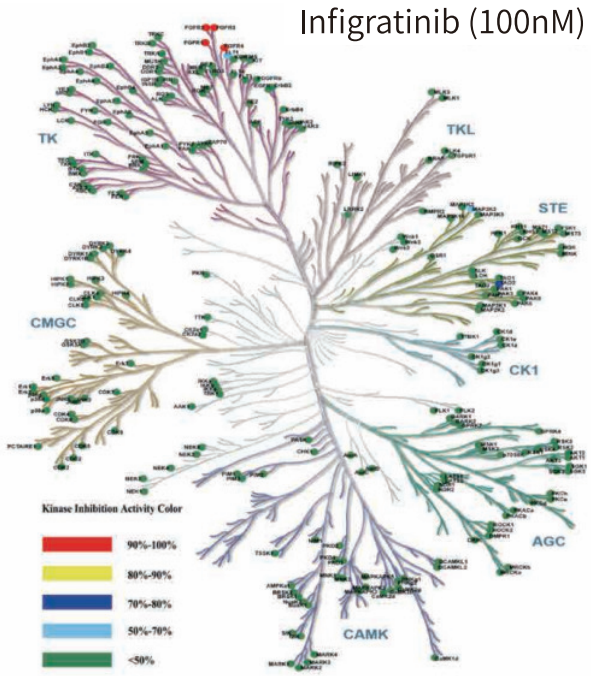
If you would like to learn more, please contact us to request our **TPD brochure** for additional information.

Kinase Panel Screening

Kinase panel screening is a high-throughput method used in the early stages of kinase drug discovery to rapidly assess the activity and specificity of potential therapeutic compounds across a broad spectrum of kinase targets.

Kinase Panels	Panel Size	Features
ICEKP KINOME PANEL™ CDK	24	Focused CDK panel for cell cycle and transcriptional regulation research.
ICEKP KINOME PANEL™ TK	76	Tyrosine kinase-focused panel for signal transduction and oncology targets.
ICEKP KINOME PANEL™ 80	80	Economical, fast, and efficient; focuses on core wild-type kinases.
ICEKP KINOME PANEL™ 330	330	Provides a wide spectrum of wild-type kinases, suitable for comprehensive studies.
ICEKP KINOME PANEL™ 416	416	The most comprehensive panel, covering all human-origin wild-type and mutant kinases.

This phylogenetic tree represents the screening results of Infigratinib at a concentration of 100nM across various kinase families, using ICE Bioscience's kinase panel.
Kinases are categorized into families such as TK, TKL, STE, CMGC, CK1, AGC, and CAMK, and are plotted according to their evolutionary relationships. The degree of inhibition exerted by Infigratinib is color-coded. This visualization allows us to quickly assess the compound's potency and specificity by identifying which kinases are most affected within and across different families, aiding in the determination of potential therapeutic and off-target effects.

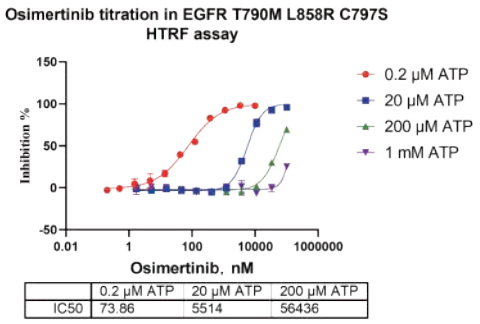


- **High-throughput compatible assays** covering 600+ kinases to enable efficient selectivity and potency profiling.
- **Rapid turnaround,** with select panels delivering actionable data in as little as 1 week.
- **ATP concentrations from Km to 1 mM**, supporting more accurate assessment under physiologically relevant conditions.

MoA Study

ATP Competitive Test for Kinase Inhibitor

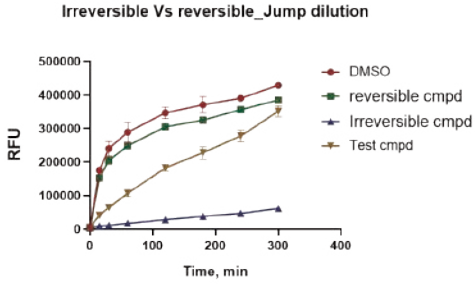
This assay determines if an inhibitor competes with ATP at the kinase's active site, with inhibitor potency decreasing as ATP concentration rises, indicating ATP competition.



	0.2 μM ATP	20 μM ATP	200 μM ATP
IC50	73.86	5514	56436

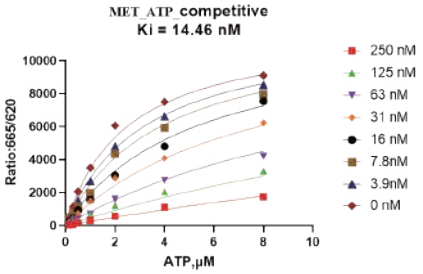
Jump Dilution for Reversible and Irreversible Inhibitor

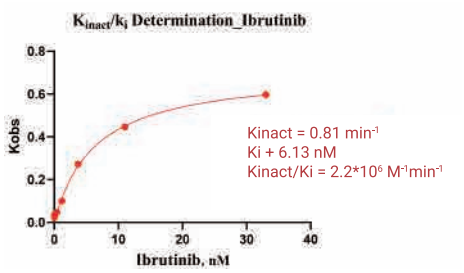
The assays differentiates reversible from irreversible inhibitors by measuring kinase activity after dilution; a reversible inhibitor's effects are diminished, whereas an irreversible inhibitor's effects persist post-dilution.



Inhibition Mode and Ki Determination

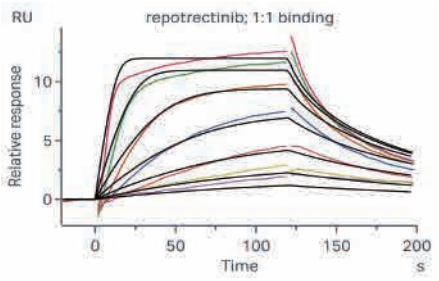
We perform kinetic analysis to determine the inhibition mode and calculate the Ki value, indicating the inhibitor's binding affinity to the kinase.





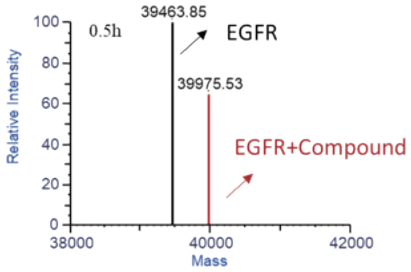
Kinact/ki Test for Covalent Compounds

We assess the reaction rate (kinact) and pre-covalent affinity (Ki) for covalent inhibitors, providing insights into potency and binding permanence.



Biophysical Assays Using SPR

We measure determine binding kinetics (association and dissociation rates) and affinity (KD) of kinase inhibitors. This technique is label-free and provides a direct measurement of the binding event, making it highly valuable for understanding the interaction dynamics between kinases and their inhibitors.

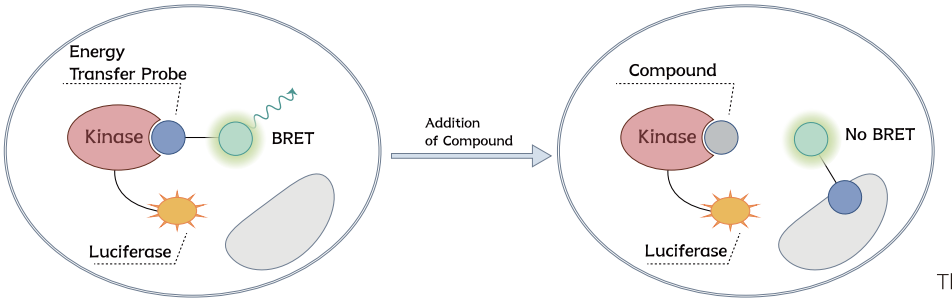


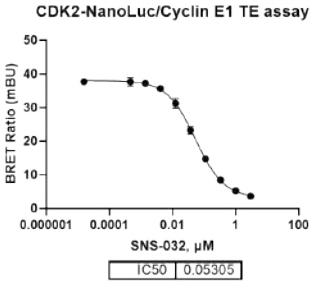
Covalent Assays Using LC-MS

LC-MS is used to identify and characterize covalent bonds formed between a kinase and an inhibitor. By providing mass spectral data before and after the reaction, LC-MS can confirm the formation of a covalent complex and the mechanism of irreversible inhibitors and can also provide the location of binding through mass mapping.

NanoBRET Target Engagement Kinase Assay

ICE Bioscience offers an extensive selection of over 160 NanoBRET TE kinase assays. This assay is a cutting-edge method used for measuring the interaction between a kinase and a test compound inside living cells. It employs Bioluminescence Resonance Energy Transfer (BRET) technology, which is a proximity-based assay where energy transfer occurs between a bioluminescent donor and a fluorescent acceptor when they are close together.





The BRET ratio decreases as the concentration of the inhibitor (SNS-032) increases, indicating the inhibitor's binding to the kinase complex.

- **Live-cell Application:** Unlike traditional assays that require cell lysis, NanoBRET assays are performed in live cells, preserving the natural cellular context and allowing real-time kinetic measurements.
- **Quantitative:** Provides quantitative data on the binding affinity and occupancy of test compounds with kinase targets in intact cells.
- **Throughput Flexibility:** Compatible with both high-throughput screening and detailed mechanistic studies, making it versatile for different stages of drug discovery.

Kinase-Engineered Ba/F3 Cell Panel for Proliferation Screening

Leveraging over 200 Ba/F3 cell lines expressing clinically relevant wild-type and mutant kinases—including EGFR, ALK, FGFRs, and more—our platform enables IL-3–independent proliferation assays that directly link compound efficacy to kinase-driven cell survival. The panel covers resistance mutations, fusion constructs, and hotspot variants, making it an ideal tool for lead validation, SAR studies, and kinase selectivity assessment in a biologically relevant context.

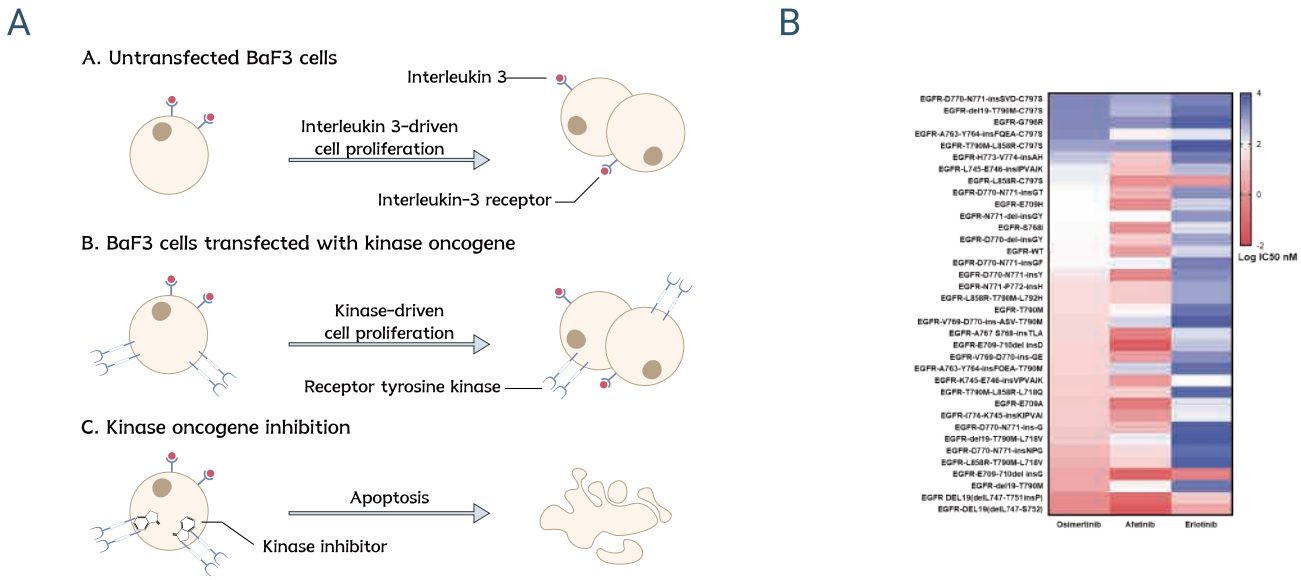
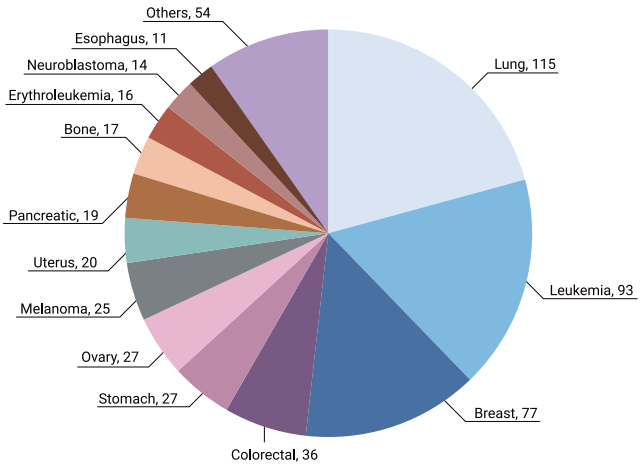


Figure 3. (A) Ba/F3 cells normally require IL-3 for survival. When engineered to express oncogenic kinases (e.g., RTKs), they become IL-3–independent. Inhibitors targeting the introduced kinase reduce proliferation or induce cell death, enabling a functional readout of compound efficacy. (B) The results of a BaF3 panel screen, showing the Log IC50 values for various EGFR mutants when treated with different inhibitors.

ICECP™ Cancer Cell Panel Screening – 500+ Cancer Cell Lines Available

ICECP™ Cancer Cell Panel offers a disease-relevant platform to evaluate kinase-targeting compounds in native cancer cell contexts. This panel includes a diverse collection of human cancer cell lines—primarily wild-type, along with select gene-edited and drug-resistant models—enabling assessment of compound efficacy, selectivity, and cellular toxicity under physiologically and genetically relevant conditions.



Features

- Various assay formats without timeline constraints
- Performed at any time and fast turnaround time
- High cell quality and clear cell source
- Single drug or combination drug testing
- Optional bioinformatics in-depth analysis

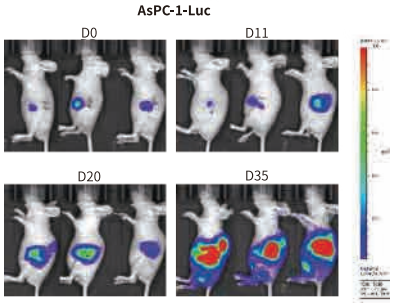
Cell-Based Kinase Assay Portfolio

ICE Bioscience offers a comprehensive suite of cell-based kinase assays, leveraging advanced detection methods and diverse cell models to evaluate kinase activity, inhibition, and protein interactions. Our assays deliver precise, real-time insights into kinase dynamics, signaling pathways, and the mechanisms of action for potential therapeutics. Tailored for both endogenous and exogenous kinase sources, our assays are designed to meet the highest standards of reproducibility and sensitivity for robust drug discovery and development.

Kinase Panels	Assay Technology	Cell Type	Assay Type	Readout
Cellular Phosphorylation Detection	ICW/IFA, AlphaLISA, HTRF, ELISA, MSD, Flow Cytometry, WB	Adherent or Suspension Cell Line	Substrate Phosphorylation, Protein Degradation	Kinase Inhibition Activity
BaF3 Cell Proliferation	CellTiter-Glo (CTG)	BaF3 Cell Line	Cell Proliferation	Kinase Inhibition Activity
Functional Assay	CellTiter-Glo (CTG), ELISA, AlphaLISA, MSD	Various (Including Tumor Cell Lines)	Cell Viability, Cytokine Release	Kinase Inhibition Activity
Reporter Gene Assay	Luciferase, etc.	Various Cell Lines	Signal Pathway Activation	Transcriptional Activity
NanoBRET™ Target Engagement	NanoBRET™	Various Cell Lines	Protein-Protein Interaction, Kinase Inhibition	Binding Affinity, Compound Potency
HiBiT-Based Protein Degradation Assay	Luminescent Detection	HiBiT Cell Lines	Protein Degradation	Degradation Activity

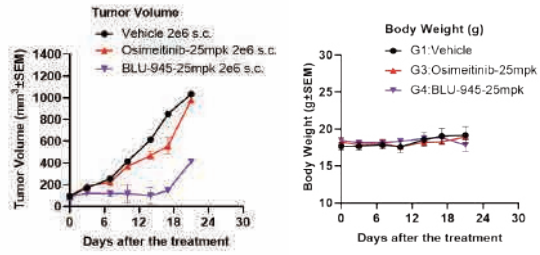
In Vivo Tumor Models

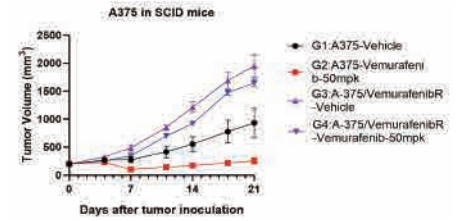
ICE Bioscience specializes in in vivo tumor models, offering a suite of cell lines for oncological research, alongside CDX models and comprehensive pharmacological analyses to streamline the development of kinase-targeted therapies.



Pancreatic Orthotopic tumor model

BaF3 EGFR L858R/T790M/C797S in vivo efficacy





WT Cell Line Models:

Utilizing wild-type cell lines, these models are instrumental for evaluating the fundamental biology of kinase targets and the primary effects of kinase inhibitors in an in vivo setting. They offer a baseline understanding of drug efficacy and pharmacokinetics in normal biological contexts.

Engineered Ba/F3 Cell Line Models:

These models leverage Ba/F3 cells engineered to express specific kinases or mutations of interest, providing a powerful platform for studying targeted therapies under physiological conditions. They are particularly useful for assessing the potency and specificity of kinase inhibitors against desired targets.

Drug-Resistant Cell Line - CDX Models:

Our CDX (Cell Line Derived Xenograft) models incorporate cell lines with known drug resistance, allowing for the examination of kinase inhibitor efficacy against resistant cancer phenotypes. This approach is critical for the development of second-line therapies and understanding resistance mechanisms.

Innovative CRO+Explorer
ICE Bioscience

ICE Bioscience was founded in 2010 as an Innovative CRO+ Explorer company. We specialize in early drug discovery services, spanning from target validation to the identification of pre-clinical candidates. We stand out for our collaborative spirit and expertise in boldly exploring new therapeutic target research. Our commitment to drug discovery services, delivered with enthusiasm and professionalism, empowers clients to overcome challenges, address scientific puzzles, and fulfill our promises to clients, communities, the environment, and global health.

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