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A Perspective on the Kinetics of Covalent and Irreversible Inhibition

CHICAGO – A new perspective paper in the January 2017 issue of *SLAS Discovery* (formerly the *Journal of Biomolecular Screening*) offers a contemporary perspective on the importance of kinetics in the evaluation of covalent and irreversible drugs. The report demonstrates how covalent kinetics, as defined by the k_{inact}/K_i rate constant, can impact all stages of drug discovery ranging from biochemical screening to estimation of an in vivo dose.

While the interest in covalent and irreversible drugs has increased significantly, publications have historically relied on IC_{50} values, which may simply reflect the target protein concentration in the assay, to interpret inhibitor potency. Even in cases where the k_{inact}/K_i values are measured, teams often ignore the importance of the individual k_{inact} and K_i values. Collectively, these terms play a critical role in identifying covalent inhibitors, guiding structure-activity relationships, interpreting selectivity, and translating biochemical activity to the cell.

The perspective paper addresses these gaps by providing examples with recommendations for each of these situations in drug discovery. The report also illustrates how the covalent kinetic and pharmacokinetic profiles work in concert to achieve covalent occupancy. Moreover, it provides guidance on how researchers might use these relationships to identify safer drugs.

Visit *SLAS Discovery* Online at <http://jbx.sagepub.com/content/22/1> to read “**A Perspective on the Kinetics of Covalent and Irreversible Inhibition.**” *SLAS Discovery* is one of two MEDLINE-indexed scientific journals published by SLAS. For more information about SLAS and its journals, visit www.slas.org/publications/scientific-journals.

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SLAS Discovery: 2015 Impact Factor 2.218. Editor-in-Chief Robert M. Campbell, Ph.D., Eli Lilly and Company, Indianapolis, IN (USA). *SLAS Discovery (Advancing Life Sciences R&D)* was previously published (1996-2016) as the *Journal of Biomolecular Screening (JBS)*.

SLAS Technology: 2015 Impact Factor 1.297. Editor-in-Chief Edward Kai-Hua Chow, Ph.D., National University of Singapore (Singapore). *SLAS Technology (Translating Life Sciences Innovation)* was previously published (1996-2016) as the *Journal of Laboratory Automation (JALA)*