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Department of Chemistry

Special Seminar

9:45 a.m. Tuesday, March 26, 2013 • 331 Smith Hall



Senior Research Investigator

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*Using Structural Alerts to Mitigate Formation
of Reactive Metabolites in Drug Discovery*

Website: <http://www.nibr.com/>

Abstract

Metabolic activation of relatively inert functional groups/structural motifs or what's being referred to as "structural alerts" to a species capable of covalently binding to biological macromolecules may ultimately lead to mutagenicity, CYP inhibition, direct toxicity, carcinogenicity or idiosyncratic toxicity. Therefore, a meticulous assessment of the biochemical reactivity of such structural alerts in new drug candidates is critical from a safety perspective and routinely monitored in drug discovery.

A brief introduction to known bioactivation pathways for various functional groups to reactive electrophilic intermediates is presented. Potential strategies to mitigate the formation of such reactive intermediates as well as current laboratory approaches in their detection in biochemical systems are also discussed.

Host: Professor Christopher Cramer