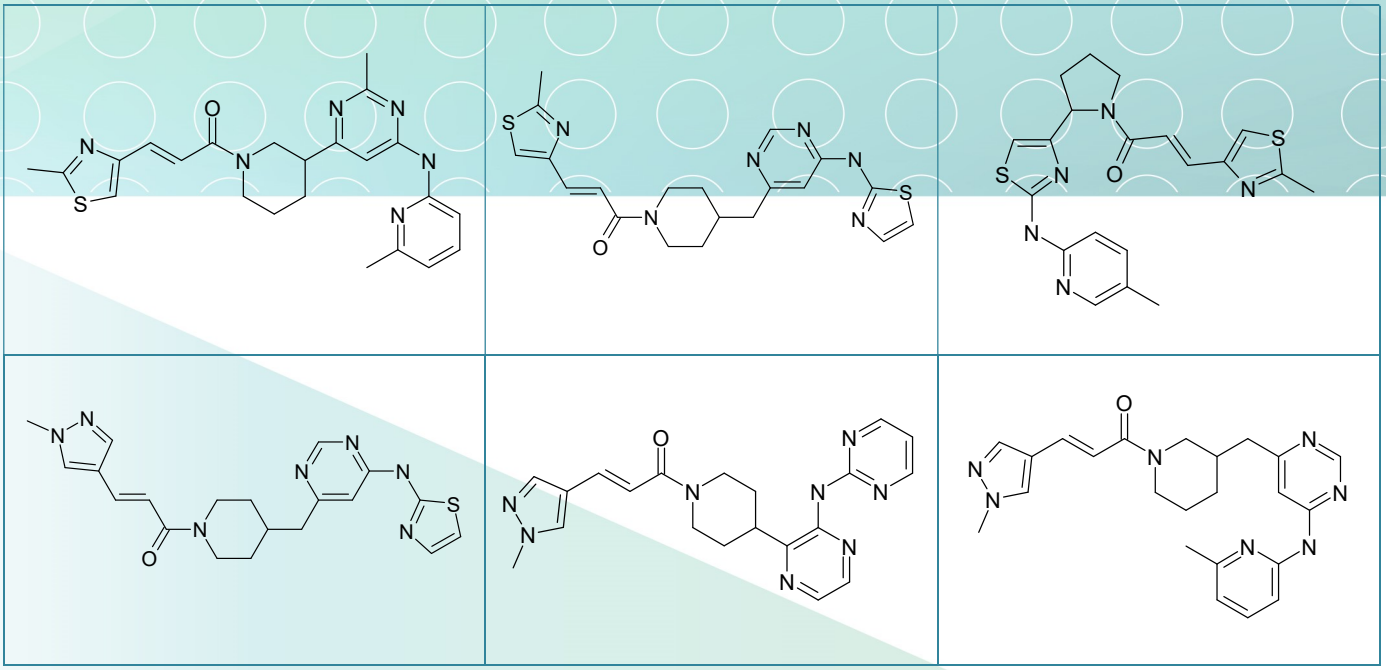
SL-22. Soft Electrophiles-3. Covalent Inhibitors

ASINEX
Signature Libraries

In screening library creation, reactive molecules have been disregarded due to selectivity and safety concerns. However, many successful drugs act as covalent inhibitors due to the presence of a specific reactive group or moiety [1]. Covalent drugs typically have higher affinity and specific kinetics which result in dose reduction with minimal side effects.

Several kinase inhibitors that are capable of forming an irreversible covalent bond have been rationally designed and demonstrated clinical efficacy [2].

At ASINEX, we have created a library of kinase-oriented small molecules by attaching electrophilic hetero-cinnamic acids to various kinase hinge-binding scaffolds. It is hypothesized that a Michael acceptor moiety of cinnamic acid is able to interact with Cys residues presented at the binding site. The resulting set represents a unique research tool for the discovery and optimization of new inhibitors across the kinome.



Signature Library 22

Formats	Supplementary Information
80 compounds per plate 0.1 mg; 1 mg; 2 mg dry film/powder 0.1 µmol; 1 µmol DMSO solutions	SL#22_Soft Electrophiles-3_06-16.sdf

References:

1. *Drug Discov Today.* 2015 Sep;20(9):1061-73. doi: 10.1016/j.drudis.2015.05.005.

2. Nat Rev Cancer. 2009 Jan;9(1):28-39. doi: 10.1038/nrc2559.

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