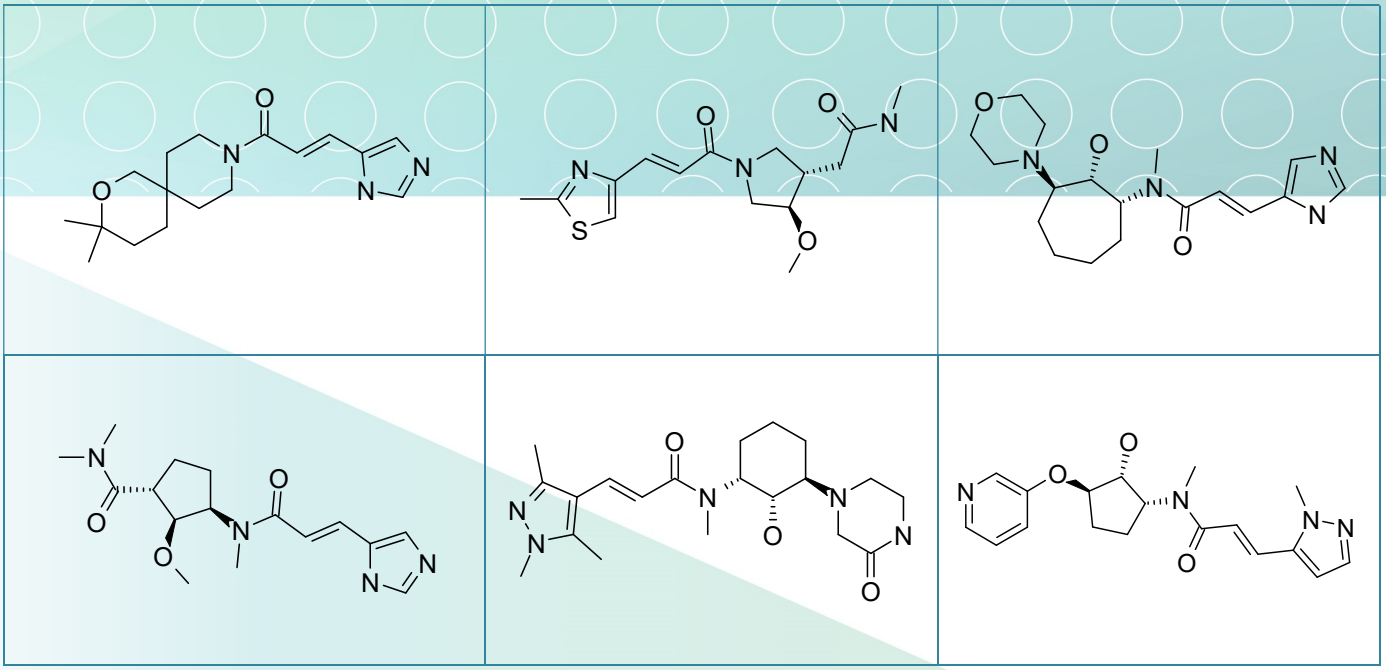
SL-20. Soft Electrophiles-2 Covalent Inhibitors

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 based on a specific reactive group or moiety [1]. Covalent drugs typically have higher affinity and specific kinetics which allow dose reduction with minimal side effects.

Covalent inhibitors often possess an electrophilic "warhead" which interacts with a nucleophilic residue at the binding site under certain physiological conditions; examples of such electrophilic groups include acrylamides, epoxides, nitriles, and electron-deficient ketones.

At ASINEX, we have created a library of heterocylic cinnaminc acid derivatives by coupling with proprietary fragments. Cinnamic acid and its derivatives are found among natural products that are known for their anti-inflammatory, anti-cancer, and cardio-protective properties [2].



Signature Library 20

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#20_Soft Electrophiles-2_05-16.sdf

References:

1. *Drug Discov Today.* 2015 Sep;20(9):1061-73. doi: 10.1016/j.drudis.2015.05.005
2. *Nutr Rev*. 2011 Jun;69(6):310-20. doi: 10.1111/j.1753-4887.2011.00394.x.

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