

SL-19. Soft Electrophiles-1 Covalent Inhibitors

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 acrylamide derivatives by combining proprietary glycomimetic or peptidomimetic fragments with selected amino acrylic acids known as "soft" electrophiles that bind to Cys residues.

Signature Library 19

Formats	Supplementary Information
80 compounds per plate	SL#19_Soft Electrophiles-1_05-16.sdf
0.1 mg; 1 mg; 2 mg dry film/powder	
0.1 μmol; 1 μmol DMSO solutions	

References:

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

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