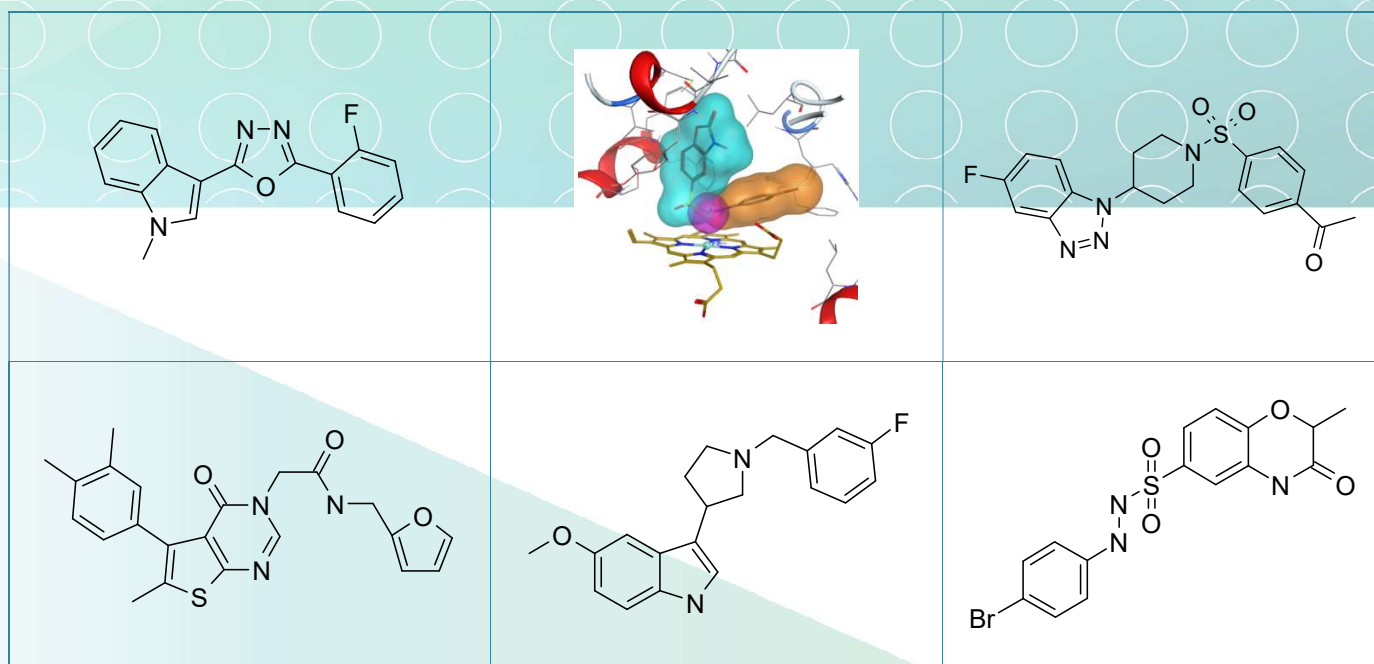


SL-21. IDO1 Inhibitors

Indoleamine 2,3-dioxygenase 1 (IDO1) is a cytosolic enzyme involved in oxidative catabolism of local tryptophan along the kynurenine pathway. Elevated IDO1 activity inhibits both innate and adaptive immune responses resulting in irresponsiveness to immunological challenges. Evidence has accumulated showing that inhibition of IDO1 can mediate anticancer immune responses resulting in antineoplastic effects [1].

Several specific inhibitors of IDO1 have demonstrated antitumor efficacy in pre-clinical models and entered into clinical trials [2].

By utilizing a combination of scaffold hopping and structure-based design strategies we have developed a library of small molecules for IDO1 drug discovery. Selected compounds have shown significant IDO1 inhibitory activity *in vitro* as measured in the enzymatic biochemical assays.



Signature Library 21

Formats	Supplementary Information
80 compounds per plate 0.1 mg; 1 mg; 2 mg dry film/powder 0.1 μ mol; 1 μ mol DMSO solutions	SL#21_IDO1 inhibitors_06-16.sdf Biochemical screening data @ 10uM for selected compounds Solubility data in PBS for selected compounds

References:

1. *Oncotarget*. 2014 Dec 30;5(24):12472-508. doi: 10.18632/oncotarget.2998
- 2 *J Med Chem*. 2016 Jan 14;59(1):419-30. doi: 10.1021/acs.jmedchem.5b01640.

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