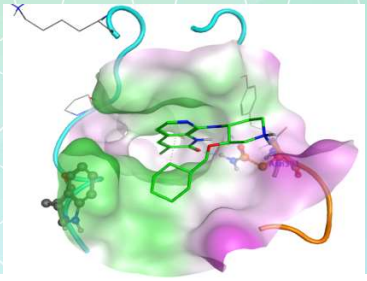
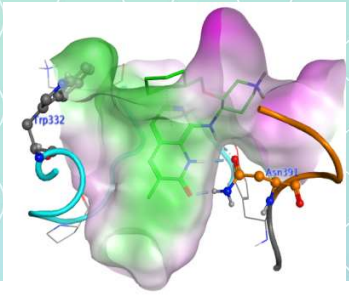
SL-47. BET inhibitors

BRD2 and BRD4 are members of the BET (Bromodomain and Extra-Terminal motif) protein family that are involved in protein-protein interactions with acetylated histones and transcription factors, many of which are implicated in the regulation of inflammatory pathways or development of very aggressive tumors [1]. Several small molecules inhibitors of BET were recently investigated as interesting anticancer and anti-inflammatory drug candidates [2]. By utilizing a combination of ligand-based and structure-based design methods we have created a library of novel compounds that were shown to inhibit BRD2 and BRD4 proteins *in vitro.*

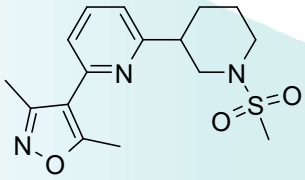


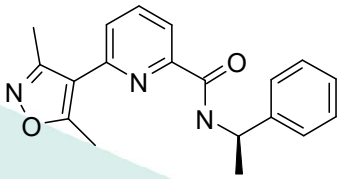
PDB: 5A85 (BRD4) top view

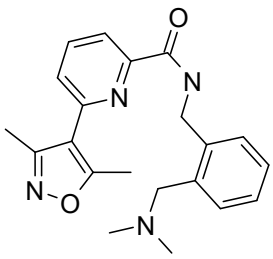


PDB: 5A85 (BRD4) side view

I-BET 151, BET bromodomain inhibitor







Signature Library 47

Format	Supplementary Information
80 compounds per plate 0.1 mg; 1 mg; 2 mg dry film/powder 0.1 µmol; 1 µmol DMSO solutions	SL#47_BET inhibitors.sdf IC50 BRD2/BRD4 inhibition for selected compounds

References:

1. Expert Rev Mol Med. 2011 Sep; 13: e29. doi: 10.1017/S1462399411001992
2. Mirguet O. at al., Bioorg. Med.Chem. Lett. (2012), doi:10.1016/j.bmcl.2012.01.125

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