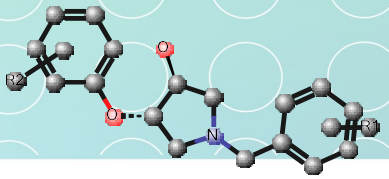
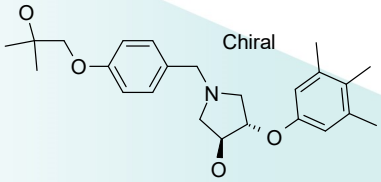
SL-03. Wnt/β-catenin Pathway Inhibitors

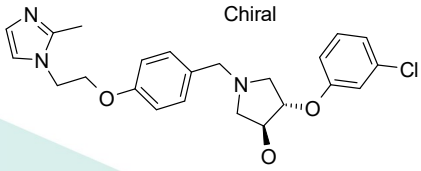
ASINEX
Signature Libraries

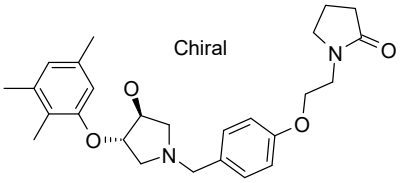
Wnt cascade is mis-regulated in many human malignancies including 90% of colon cancers; therefore, blocking of Wnt signaling is considered an attractive therapeutic approach for colorectal cancer treatment [1,2]. β-catenin is the central protein of the Wnt pathway and is associated with cell migration phenotypes and selective activation of T-cells. ASINEX has screened 21K small molecules in a luciferase reporter assay using the colorectal cancer cell lines, SW620 (APC mutation) and HCT116 (GSK3b mutation). Selected primary hits were optimized to yield an array of active compounds showing EC50 0.1-5 uM. The most promising compounds down regulate the expression of the key WNT oncogenes: DKK, cycD, CD44.

ASINEX Scaffold









Signature Library 03

Formats	Supplementary Information
80 compounds per plate 0.1 mg; 1 mg; 2 mg dry film/powder 0.1 µmol; 1 µmol DMSO solutions	EC_{50} luciferase reporter assay SW620/HCT116 SL#3_WNTinh_04-16.sdf

References:

1. *Pharmacol Ther.* 2015 Dec;156:1-9. doi: 10.1016/j.pharmthera.2015.10.009.
2. *Curr Pharm Des*. 2012 Feb; 19(4): 634–664, doi: 10.2174/138161213804581837

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