SL-48. ApoE activators (agonist)

ASINEX
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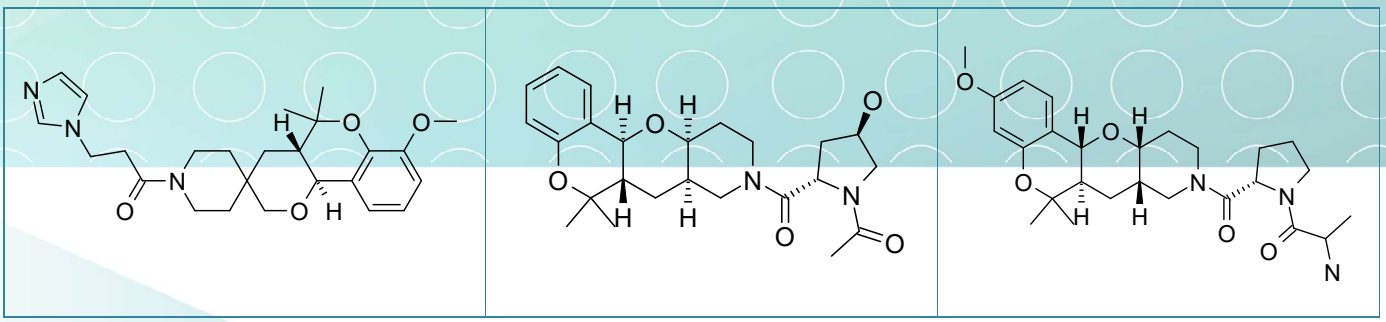
Apolipoprotein E (ApoE) is secreted by astrocytes and regulates amyloid-β aggregation and clearance from the brain, reducing plaque burden and leading to enhanced cognition [1]. Expression of ApoE is controlled by peroxisome proliferator-activated receptor-γ and LXRs. Compounds that regulate these nuclear receptors could increase brain ApoE expression, but this approach may also lead to unwanted side effects and toxicities associated with expression of specific ApoE isoforms. Therefore, molecules that can increase ApoE secretion through a different non-LXR mechanism may provide a clinical advantage. At ASINEX a library of 15K natural product like compounds has been screened *in vitro* in CCF-STTG (human astrocytoma) cells against ApoE secretion using an ELISA assay. A number of primary hits have been further optimized to provide compounds with ApoE secretion agonistic activity in the range of 0.6-15 µM.



Signature Library 48

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#48_ApoE activators.sdf EC_{50} ApoE activation in CCF-STTG cells

References:

1 Nat Rev Neurol. 2013 Feb; 9(2): 106–118. doi: 10.1038/nrneurol.2012.263. Epub 2013 Jan 8.

Contact us:

USA:	+1 336 721 1617	mparisi@asinex.com
Japan:	+81-80-3401-9097	sota@asinex.com
Europe/Global:		lsadovenko@asinex.com