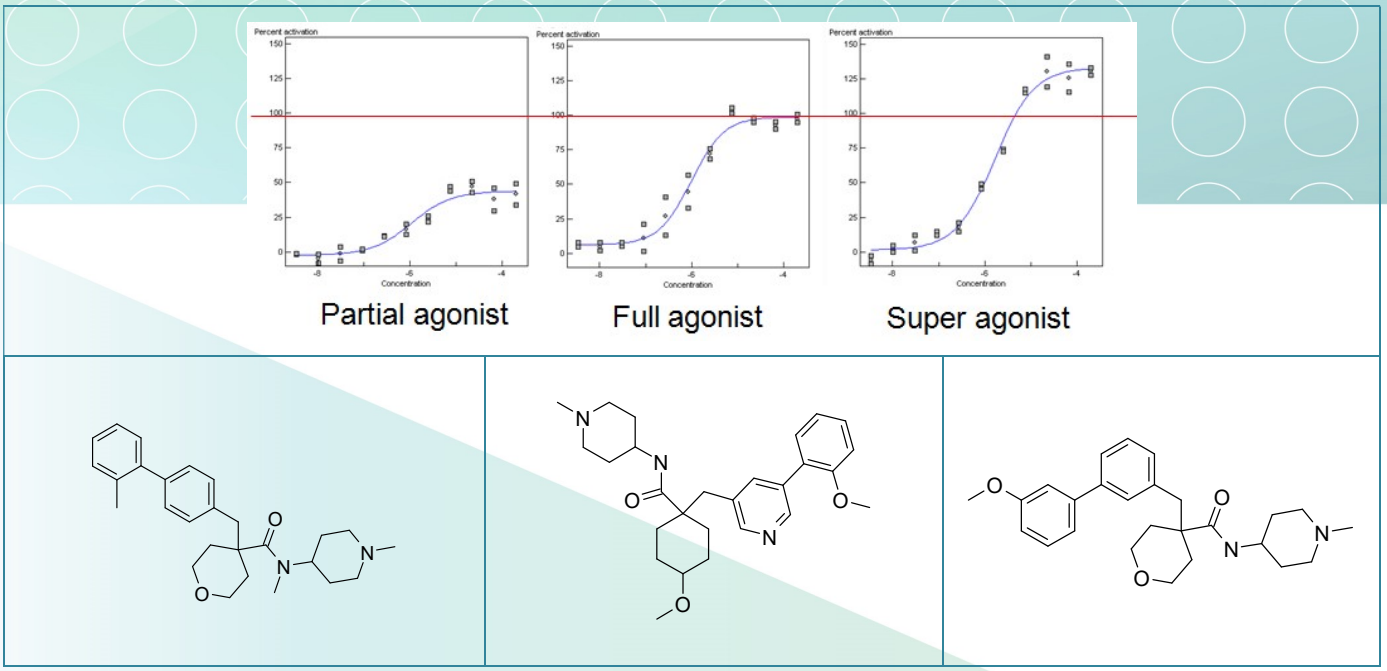
SL-14. Peptide GPCR. SST4R agonists

Neuropeptide somatostatin (SST or SRIF) is involved in multiple physiological functions including endocrine andexocrine hormone release, inhibition of tumor growth, cognition, sleep, and motor activity via binding to a GPCR family of SST receptors (SSTR) [1]. SSTRs vary according to receptor subtype and tissue localization. SST4 receptors are expressed in the hypothalamus, nerves, lungs, stomach, liver, pancreas, and lymphoid cells. Compounds acting on SST4 have therapeutic application in different areas: cognitive and ocular disorders, pain, inflammation, vascular restenosis, wound healing, and cancer [2].

Using a GPCR screening platform including an SST4 binding assay, SST4 GTPγS assay, and SST4 cell functional assays, we have identified several potent modulators of SST4R. We have also addressed the efficacy and ADMET characteristics of our initial hits.



Signature Library 14

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} human SST4R agonism SL#14_pGPCR_SST4Rago_05-16.sdf

References:

1. *Nat Rev Drug Discov.* 2003 Dec;2(12):999-1017, doi:10.1038/nrd1255
2. *J Pharmacol Exp Ther.* 2005 Jan;312(1):332-8, doi: 10.1124/jpet.104.075531

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