

# Small Allosteric Agonists of GLP-1 Receptor for Type 2 Diabetes treatment

## ► Asset Overview

<b>Product Type</b>	Small molecule
<b>Indication</b>	Type 2 diabetes
<b>Current Stage</b>	Preclinical
<b>Target(MoA)</b>	Agonists of Glucagon-like Peptide 1 Receptor
<b>Brief Description</b>	The Glucagon-Like Peptide 1 Receptor (GLP-1R), a member of Class B family of G-protein coupled receptors (GPCRs), is an effective target for the treatment of type-2 diabetes, and its incretin peptide and varied peptide mimetics are adopted drugs. Despite remarkable anti-diabetic effects, GLP-1R peptide-based agonists are limited by several disadvantages. Up to now, no small-molecule drugs acting as GLP-1R agonists are available in the market. Therefore, novel approaches in developing small-molecule drugs targeting GLP-1R are very desirable for the treatment of type 2 diabetes.
<b>Organization</b>	University of the Sciences

## ► Differentiation

### □ Targeting the allosteric sites of GLP-1R

- Targeting the allosteric sites on GPCRs for small molecule therapeutic intervention represents an alternative and promising approach
- Compared to ligands acting at orthosteric sites, allosteric ligands demonstrate several potential benefits. The big obstacle of peptide low bioavailability in oral drug delivery can be overcome by using allosteric agonists

### □ Positive Allosteric Modulator (PAM) of GLP-1R (Synergic effect with GLP-1)

- The compounds (C-1 and S-1), designed by the USciences team, improves the GLP-1 efficacy in insulin assay. (Synergic effect with GLP-1)
- S-1 is the smallest molecular weight (239) and can be used low dose ( $\mu\text{M}$  potency)

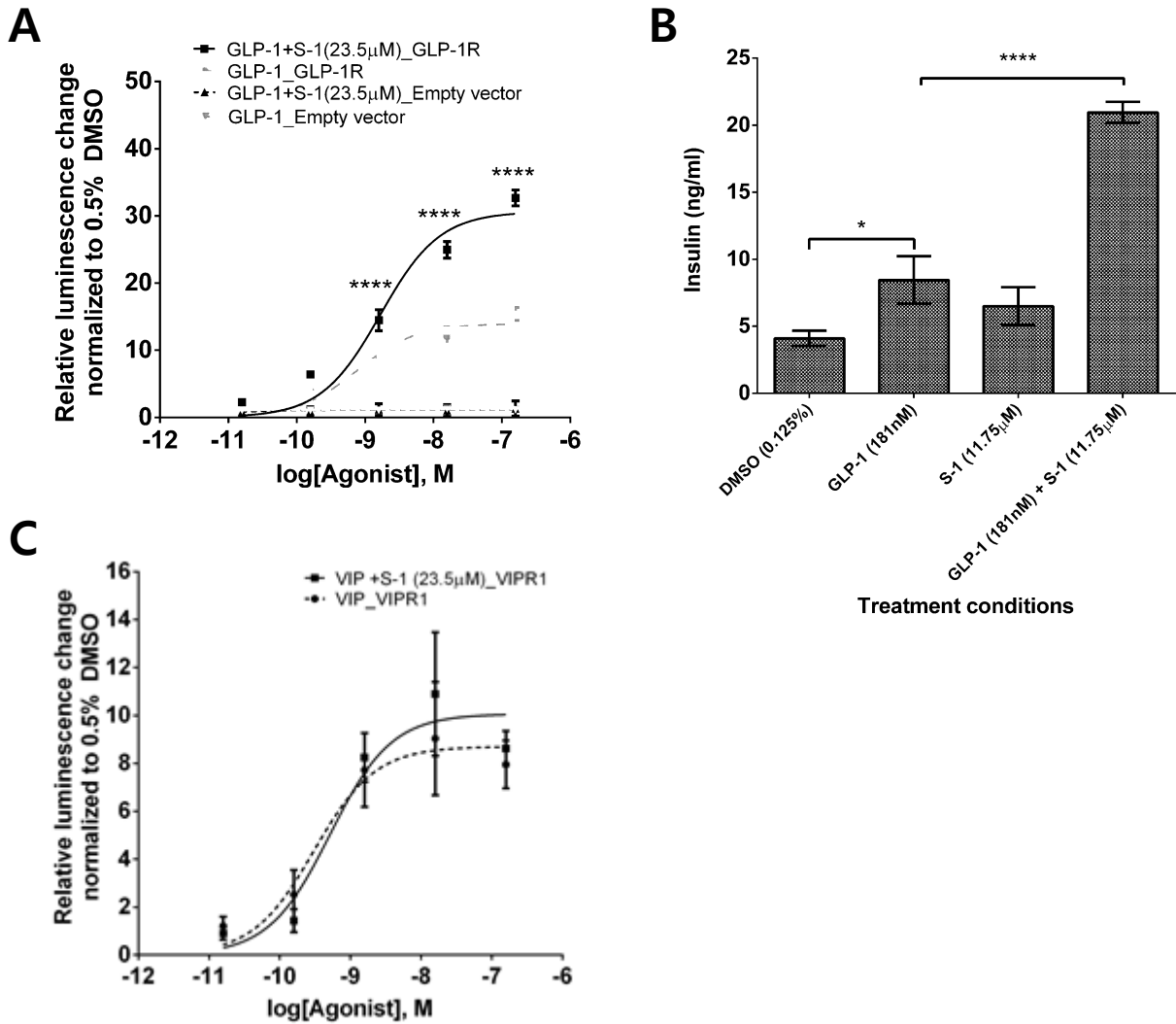
### □ Targeting Type 2 Diabetes is a remarkable chance to get in on the GLP-1 market

- 30.3 million people have diabetes (9.4% of the US population). 84.1 million adults aged 18 years or older have prediabetes (33.9% of the US population). The global diabetes drug market will rise to US\$58.4 billion by 2025

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## ► Key Data

### S-1 is PAM of GLP-1R



Luciferase Activity (A), Insulin Secretion (B) and Specificity vs. VIPR (C). GLP-1 is used as a control in all experiments.

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## ► Intellectual Property

Patent No.	
Application Date	
Status	
Country	

## ► Contact Information

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