Supplementary Table 1. EC_{50} values of TGR5 agonists

Compound	Class	EC_{50} (μM)	Reference(s)
CA7S (Cholic acid -7-sulfate)	Endogenous bile acid	0.17 μM	This work
CA (Cholic acid)	Endogenous bile acid	12.22 μM [7.72 – 27.00 μM]	This work [1-5]
TDCA (Tauro-deoxycholic acid)	Endogenous bile acid	0.10 μM [0.53 μM]	This work [6,7]
LCA (Lithocholic acid)	Endogenous bile acid	0.03 – 3.70 μM	1,2,5,8,9
DCA (Deoxycholic acid)	Endogenous bile acid	0.58 – 1.01 μM	1,2
CDCA (Chenodeoxycholic acid)	Endogenous bile acid	4.00 – 6.71 μM	1,2,5
GCDCA (Glyco-chenodeoxycholic acid, **24**)	Endogenous bile acid	1.00 μM	6,7
GDCA (Glyco-deoxycholic acid, **25**)	Endogenous bile acid	0.45 μM	6,7
UDCA (Ursodeoxycholic acid)	Endogenous bile acid	36.4 μM	5
TLCA (Tauro-lithocholic acid, **26**)	Endogenous bile acid	0.33 μM	1
Oleanolic acid	Natural product	1.42 – 2.25 μM	8
Betulinic acid	Natural product	1.04 μM	10
INT-747 (obeticholic acid)	Synthetic bile acid derivative	20.00 μM	9
INT-767	Synthetic bile acid derivative	0.68 μM	9
INT-777	Synthetic bile acid derivative	0.82 – 0.90 μM	3,4,9

All EC_{50} values reported were obtained from cAMP measurement as a TGR5-activation readout.

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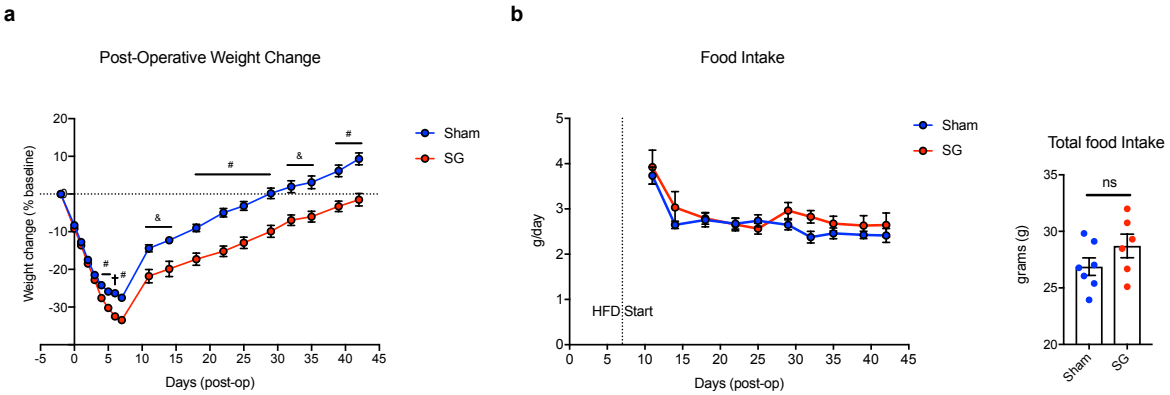
Supplementary Table 2. Cholic acid-7-sulfate (CA7S) concentration in cecum, portal vein, and blood

Treatment	Tissue/blood	CA7S concentration (mean ± SEM)
DIO mice; sham surgery	Cecum	1726 ± 267 pmol/mg
	Portal vein	n.d.
	Systemic blood	n.d.
DIO mice; sleeve gastrectomy	Cecum	2661 ± 331 pmol/mg
	Portal vein	n.d.
	Systemic blood	n.d.
DIO mice; enteral PBS	Cecum	161.1 ± 46.4 pmol/mg
	Portal vein	0.07 ± 0.06 pmol/mg
	Systemic blood	n.d.
DIO mice; enteral CA7S	Cecum	2577 ± 185 pmol/mg
	Portal vein	6.13 ± 2.11 pmol/mg
	Systemic blood	0.5 ± 0.2 pmol/µL
DIO mice; acute PBS gavage	Cecum	947 ± 349 pmol/mg
	Portal vein	n.d.
	Systemic blood	n.d.
DIO mice; acute CA7S gavage	Cecum	14345 ± 1451 pmol/µL
	Portal vein	13.2 ± 7.7 pmol/mg
	Systemic blood	n.d.
DIO mice; chronic PBS gavage	Cecum	9122 ± 3274 pmol/mg
	Portal vein	0.53 ± 0.53 pmol/mg
	Systemic blood	n.d.

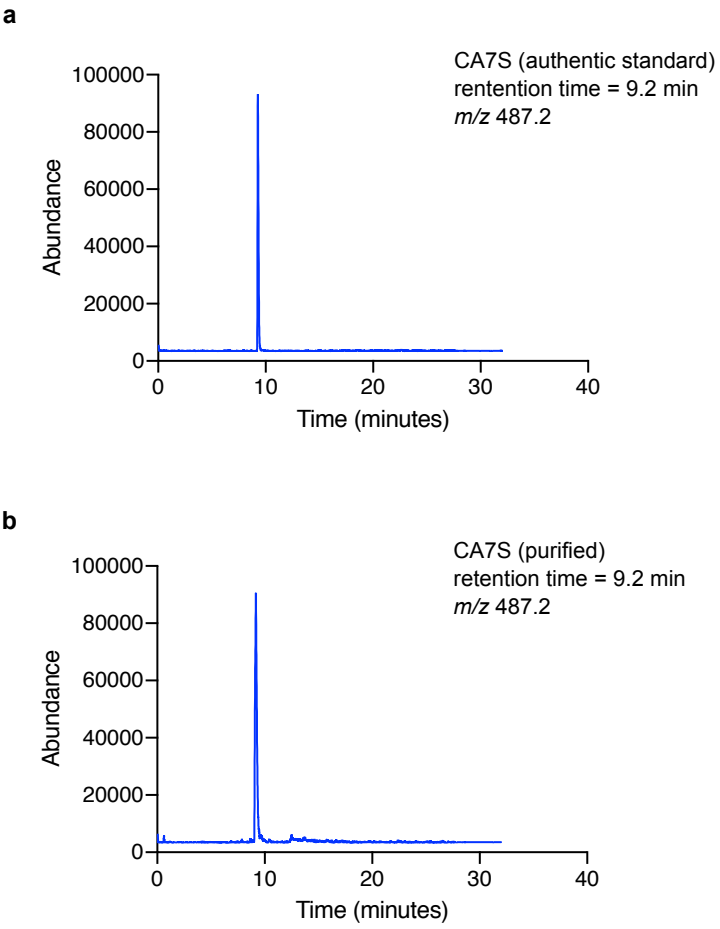
DIO mice; chronic CA7S gavage	Cecum	29735 ± 3956 pmol/μL
	Portal vein	2.52 ± 1.0 pmol/mg
	Systemic blood	0.09 ± 0.09 pmol/μL

n.d. not detected, all data are presented as mean ± SEM.



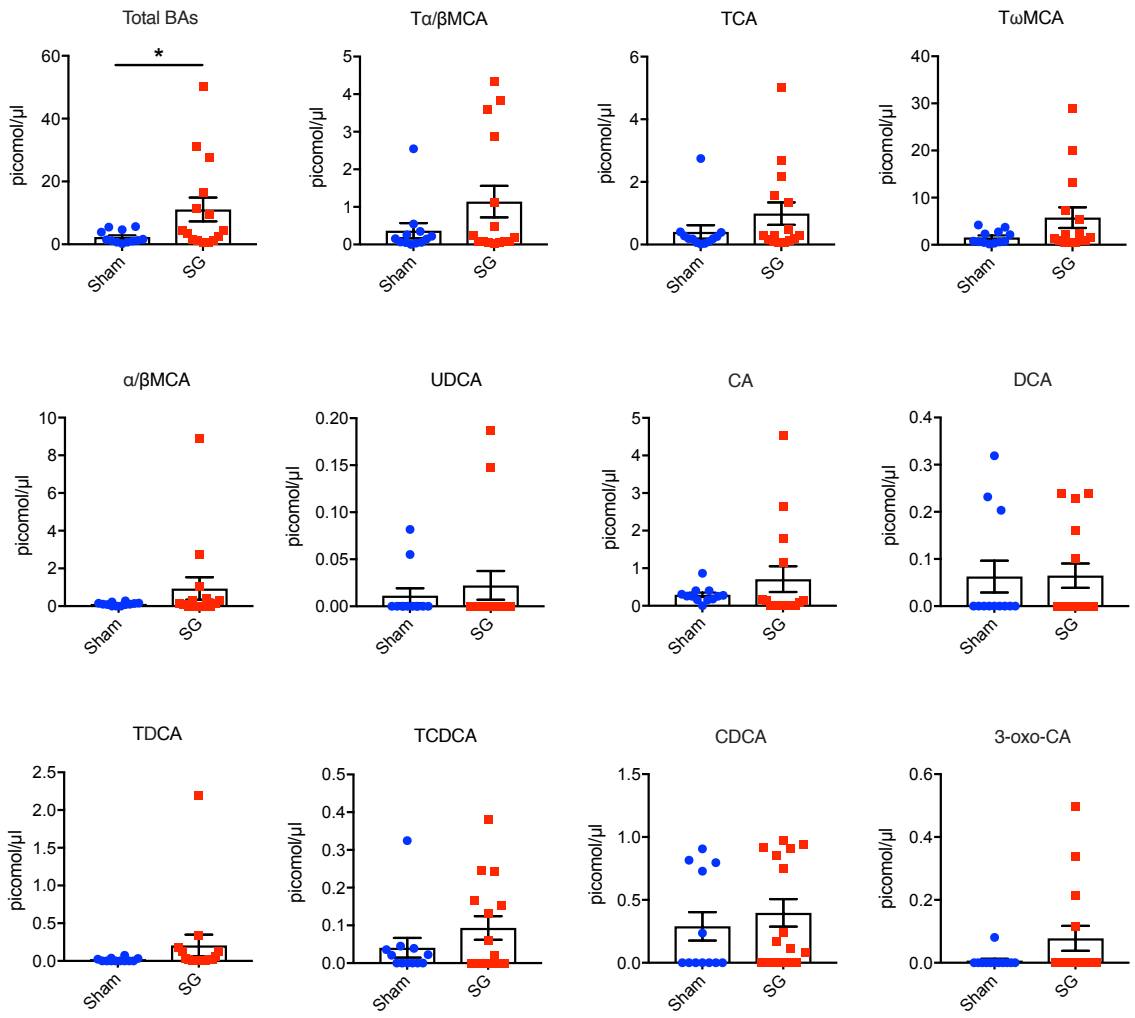
Supplementary Figure 1. DIO mice post-SG show loss of body weight

a,**b**, SG-operated mice displayed a decrease in body weight post-surgery (**a**) despite no significant change in their food intake (**b**) compared to sham mice (SG, n=7; sham, n=6; for **a**, day 0 not significant p=0.19, day 1 not significant p=0.37, day 2 not significant p=0.24, day 3 not significant p=0.06, day 4 $^{\#}p=5.77\times10^{-4}$, day 5 $^{\#}p=3.17\times10^{-4}$, day 6 $^{\dagger}p=1.19\times10^{-5}$, day 7 $^{\#}p=2.62\times10^{-4}$, day 11 $^{\&}p=2.97\times10^{-3}$, day 14 $^{\&}p=2.86\times10^{-3}$, day 18 $^{\#}p=7.87\times10^{-4}$, day 22 $^{\#}p=1.27\times10^{-4}$, day 25 $^{\#}p=3.06\times10^{-4}$, day 29 $^{\#}p=5.16\times10^{-4}$, day 32 $^{\&}p=1.84\times10^{-3}$, day 35 $^{\&}p=2.07\times10^{-3}$, day 39 $^{\#}p=9.04\times10^{-4}$, day 42 $^{\#}p=6.93\times10^{-4}$, two-tailed Student's t-test; for **b**, total food intake ns=not significant, p=0.60, two-tailed Student's t-test). All data are presented as mean ± SEM.



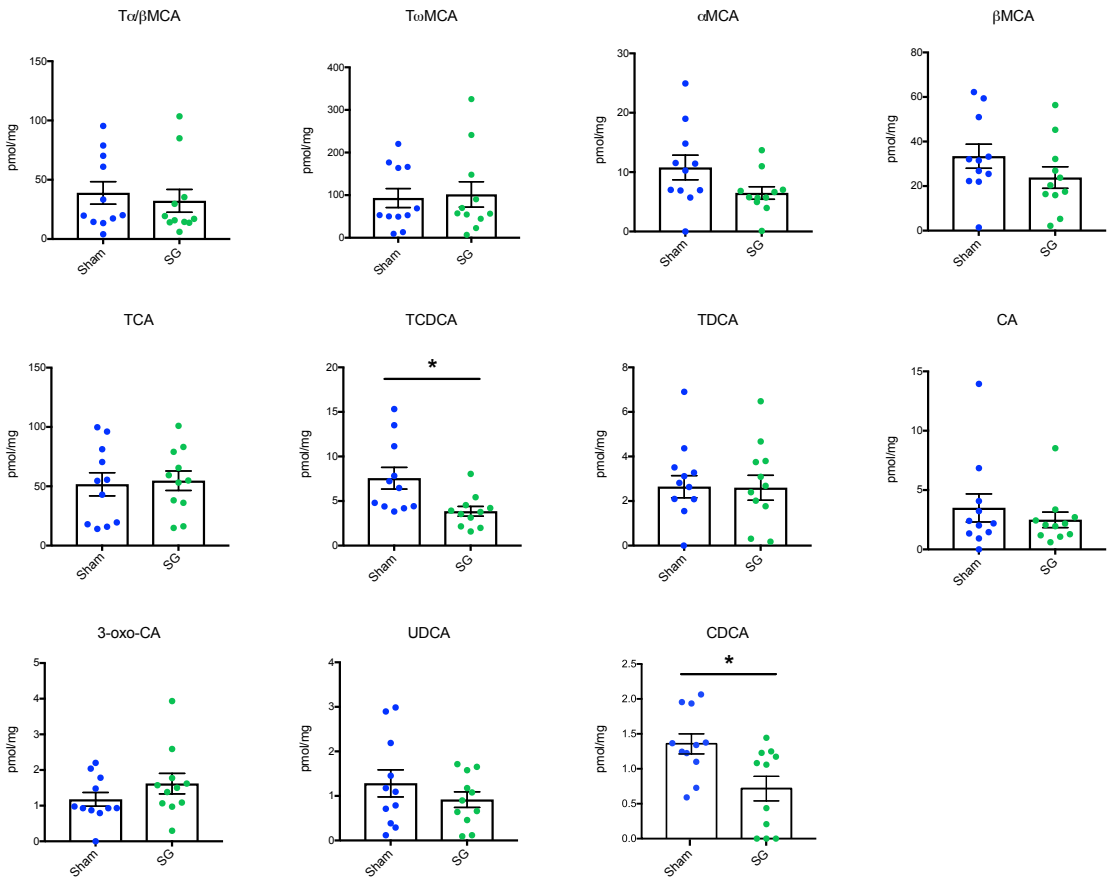
Supplementary Figure 2. UPLC-MS analysis of cholic acid-7-sulfate

a, Commercially available cholic acid-7-sulfate (CA7S) (Cayman Chemical) and **b**, CA7S purified from the cecal contents of SG mice have the same mass (*m/z* 487.2) and elute at 9.2 minutes.

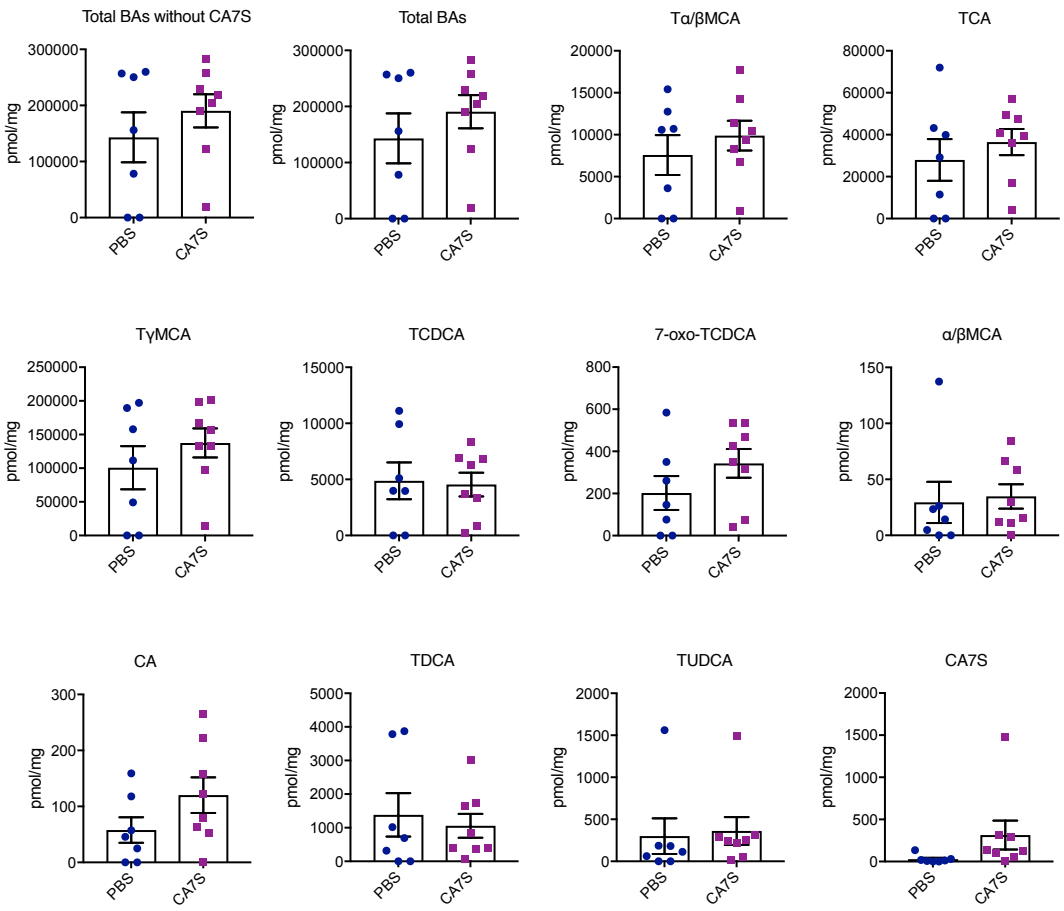


Supplementary Figure 3. Bile acid concentrations in circulating blood of mice post-sham or post-SG. Six weeks following surgery, blood was collected from sham or SG mice after an overnight fast. Bile acids were quantified using UPLC-MS (sham, n=12, SG, n=15, data not marked with asterisk(s) are not significant). All bile acids with measurable concentrations above the limit of detection are shown. Total bile acids (BAs), *p=0.03 Tα/βMCA, tauro-alpha- and tauro-beta-muricholic acid, p=0.11; TCA, tauro-cholic acid, p=0.17; TωMCA, tauro-omega-muricholic acid, p=0.08; α/βMCA, alpha- and beta-muricholic acid, p=0.19; UDCA, ursodeoxycholic acid,

p=0.53; CA, cholic acid, *p*=0.25; DCA, deoxycholic acid, *p*=0.96; TDCA, tauro-deoxycholic acid, *p*=0.20; TCDCA, tauro-chenodeoxycholic acid, *p*=0.21; CDCA, chenodeoxycholic acid, *p*=0.50, 3-oxo-CA, 3-oxo-cholic acid *p*=0.09, two-tailed Welch's t-test. All data are presented as mean ± SEM.

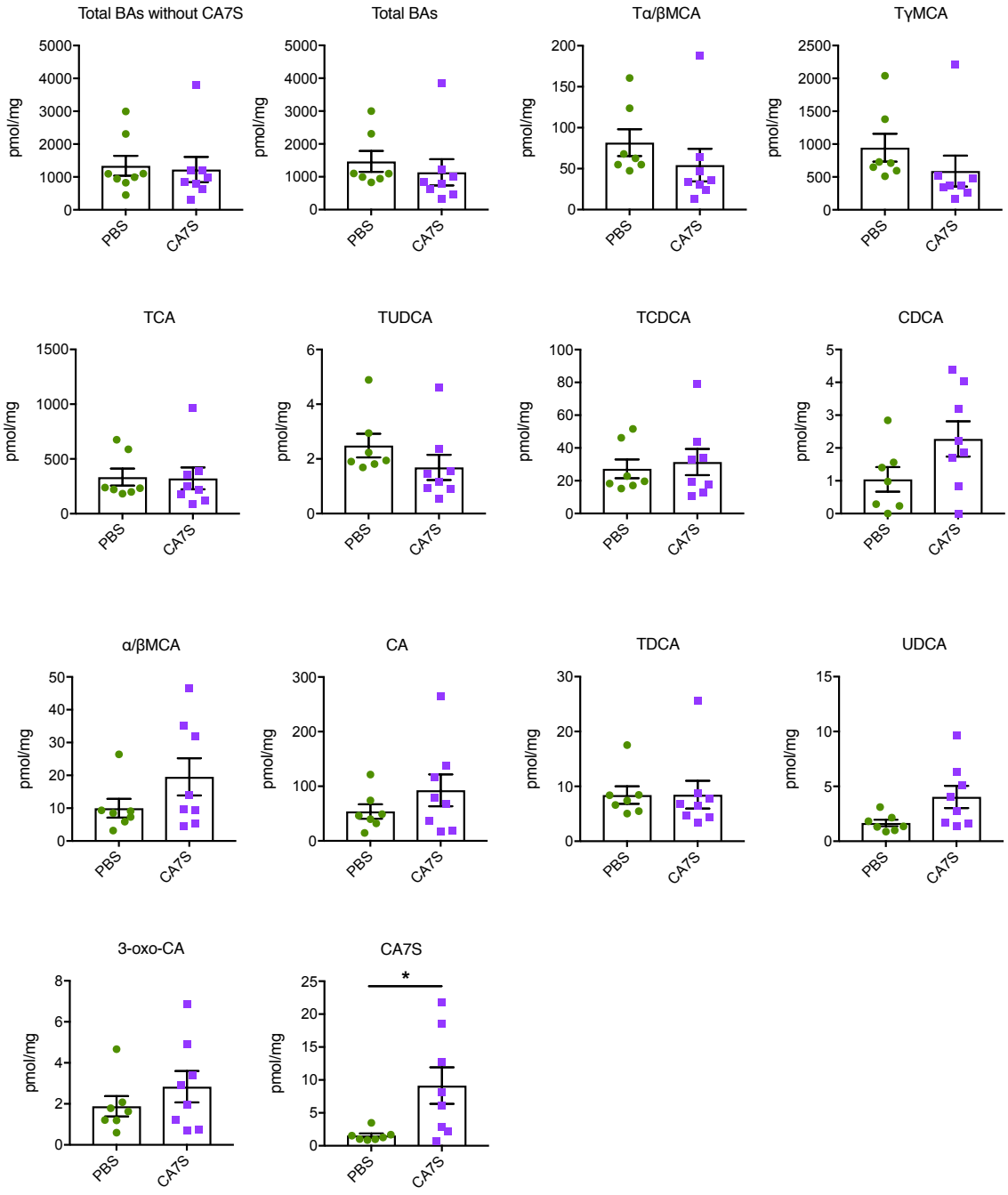


Supplementary Figure 4. Bile acid concentrations in livers of mice post-sham or post-SG. Six weeks following surgery, livers were collected from sham or SG mice after an overnight fast. Bile acids were quantified using UPLC-MS (n=11 per group, data not marked with asterisk(s) are not significant). All bile acids with measurable concentrations above the limit of detection are shown. Tα/βMCA, tauro-alpha- and tauro-beta-muricholic acid, *p*=0.62; TωMCA, tauro-omega-muricholic acid, *p*=0.82; αMCA, alpha-muricholic acid, *p*=0.08; βMCA, beta-muricholic acid, *p*=0.20; TCA, tauro-cholic acid, *p*=0.81; TCDCA, tauro-chenodeoxycholic acid, **p*=0.01; TDCA, tauro-deoxycholic acid, *p*=0.94; CA, cholic acid, *p*=0.46; 3-oxo-CA, 3-oxo-cholic acid, *p*=0.2; UDCA, ursodeoxycholic acid, *p*=0.31; CDCA, chenodeoxycholic acid, **p*=0.02, two-tailed Welch's t-test. All data are presented as mean ± SEM.



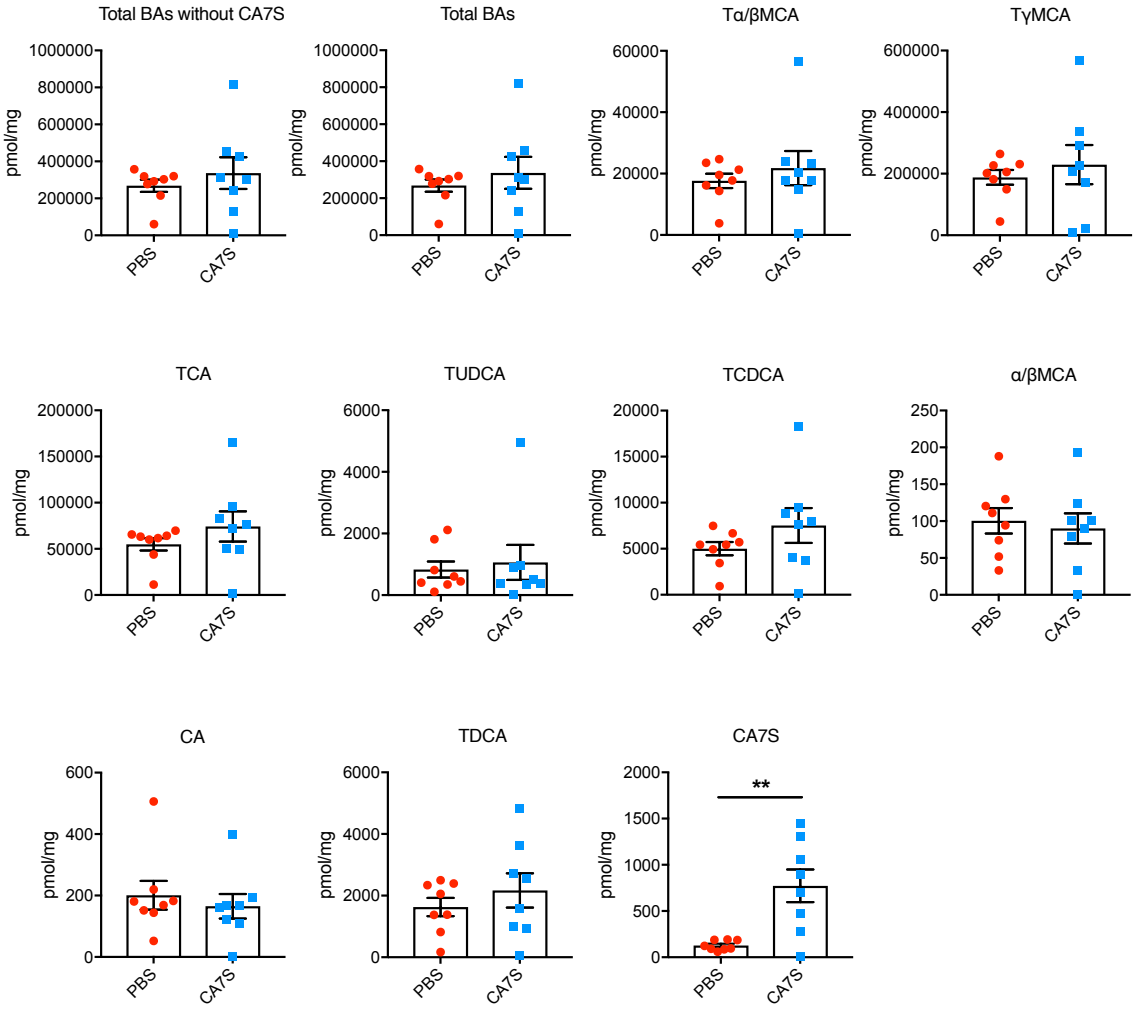
Supplementary Figure 5. Bile acid concentrations in gallbladders of mice treated enterally with CA7S. Gallbladders were collected from mice after enteral treatment with CA7S or PBS and bile acids were quantified using UPLC-MS (PBS, n=7, CA7S, n=8, data not marked with asterisk(s) are not significant). All bile acids with measurable concentrations above the limit of detection are shown. Total BAs without CA7S, *p*=0.39; Total bile acids (BAs), *p*=0.39; Tα/βMCA, tauro-alpha- and tauro-beta-muricholic acid, *p*=0.45; TCA, tauro-cholic acid, *p*=0.48; TγMCA, tauro-gamma-muricholic acid, *p*=0.36; TCDCA, tauro-chenodeoxycholic acid, *p*=0.86; 7-oxo-TCDCA, 7-oxo-tauro-chenodeoxycholic acid *p*=0.20; αβMCA, alpha-muricholic acid and βMCA, beta-muricholic acid, *p*=0.80; CA, cholic acid, *p*=0.13; TDCA, tauro-deoxycholic acid, *p*=0.66;

TUDCA, tauro-ursodeoxycholic acid, p=0.82; CA7S, p=0.14, two-tailed Welch's t-test. All data are presented as mean ± SEM.



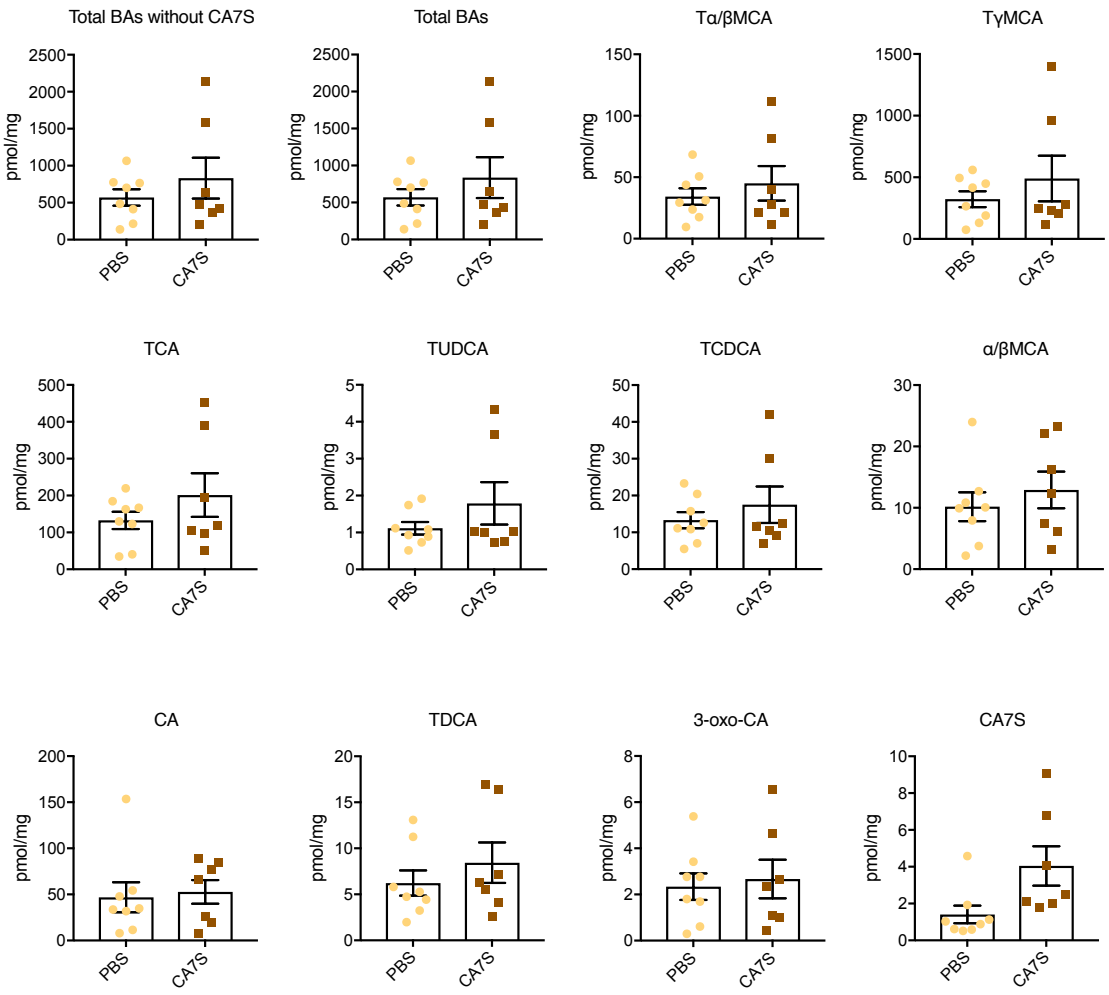
Supplementary Figure 6. Bile acid concentrations in livers of mice treated enterally with CA7S. Livers were collected from mice after enteral treatment with CA7S or PBS and bile acids were quantified using UPLC-MS (PBS, n=7, CA7S, n=8, data not marked with asterisk(s) are not

significant). All bile acids with measurable concentrations above the limit of detection are shown. Total BAs without CA7S, p=0.81; Total bile acids (BAs), p=0.52; Tα/βMCA, tauro-alpha- and tauro-beta-muricholic acid, p=0.30; TγMCA, tauro-gamma-muricholic acid, p=0.28; TCA, tauro-cholic acid, p=0.92; TUDCA, tauro-ursodeoxycholic acid, p=0.22; TCDCA, tauro-chenodeoxycholic acid, p=0.67; CDCA, chenodeoxycholic acid, p=0.08; αβMCA, alpha-muricholic acid and beta-muricholic acid, p=0.16; CA, cholic acid, p=0.25; TDCA, tauro-deoxycholic acid, p=0.98; UDCA, ursodeoxycholic acid, p=0.05; 3-oxo-CA, 3-oxo- ccholic acid p=0.31; CA7S, *p=0.02, two-tailed Welch's t-test. All data are presented as mean ± SEM.



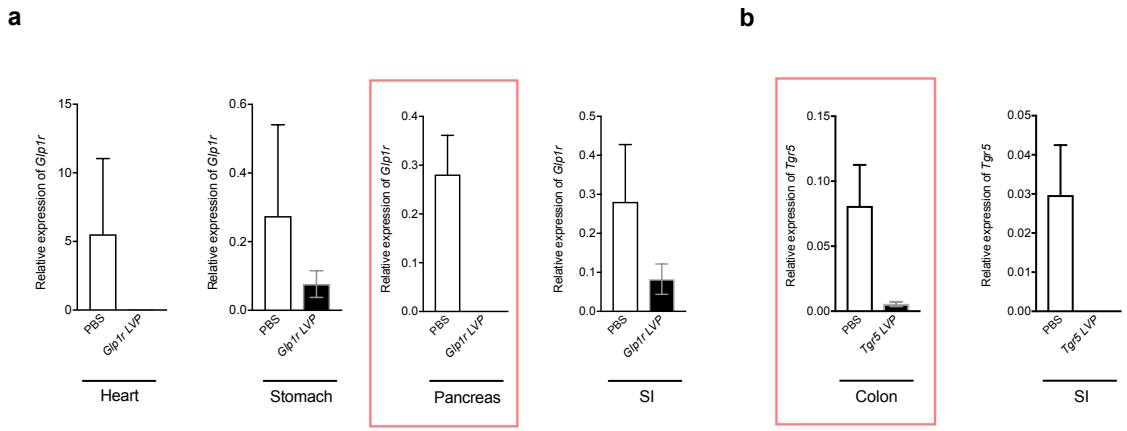
Supplementary Figure 7. Bile acid concentrations in gallbladders of mice gavaged with one dose of CA7S. Fasted DIO mice were gavaged with CA7S or PBS and gallbladders were collected from mice 5 hours post-gavage. Bile acids were quantified using UPLC-MS (n=8 in each group, data not marked with asterisk(s) are not significant). All bile acids with measurable concentrations above the limit of detection are shown. Total BAs without CA7S, *p*=0.47; Total bile acids (BAs), *p*=0.47; Tα/βMCA, tauro-alpha- and tauro-beta-muricholic acid, *p*=0.50; TγMCA, tauro-gamma-muricholic acid, *p*=0.55; TCA, tauro-cholic acid, *p*=0.30; TUDCA, tauro-ursodeoxycholic acid, *p*=0.72; TCDCA, tauro-chenodeoxycholic acid, *p*=0.24; αβMCA, alpha-

muricholic acid and beta-muricholic acid, p=0.70; CA, cholic acid, p=0.57; TDCA, tauro-deoxycholic acid, p=0.41; CA7S, **p=8.10x10^{-3}, two-tailed Welch's t-test. All data are presented as mean ± SEM.



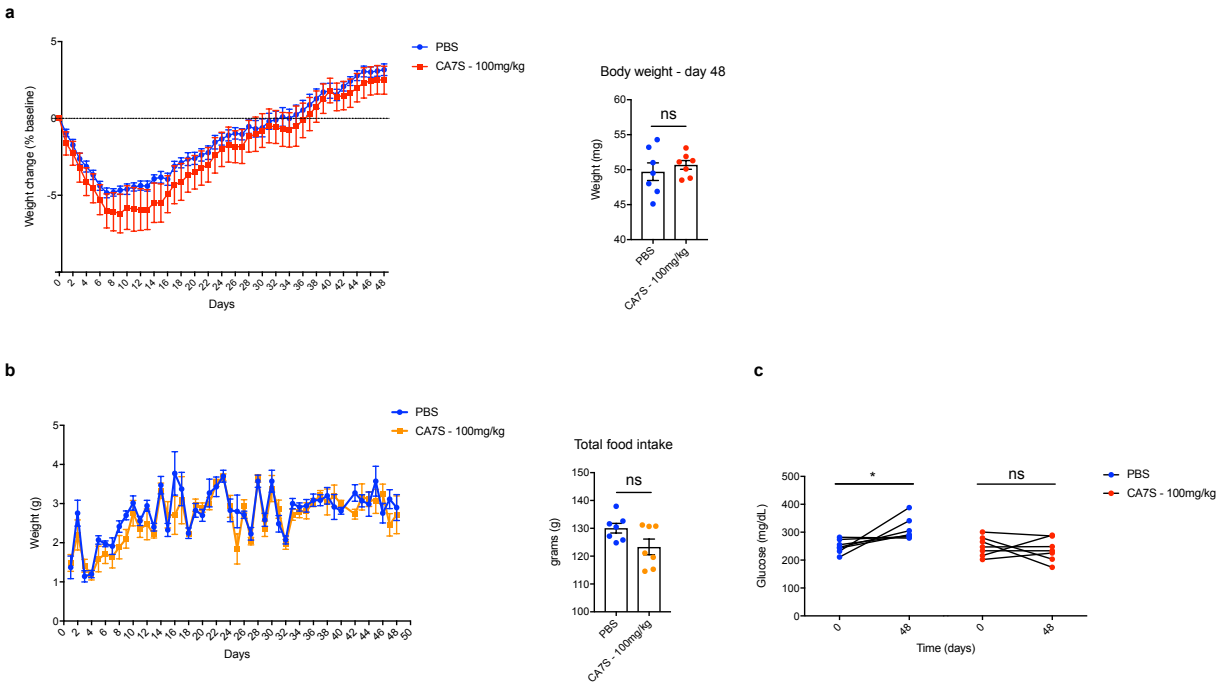
Supplementary Figure 8. Bile acid concentrations in livers of mice gavaged with one dose of CA7S. Fasted DIO mice were gavaged with CA7S or PBS and livers were collected from mice 5 hours post-gavage. Bile acids were quantified using UPLC-MS (PBS, n=8, CA7S, n=7, data not marked with asterisk(s) are not significant). All bile acids with measurable concentrations above the limit of detection are shown. Total BAs without CA7S, *p*=0.40; Total bile acids (BAs), *p*=0.39; Tα/βMCA, tauro-alpha- and tauro-beta-muricholic acid, *p*=0.51; TγMCA, tauro-gamma-muricholic acid, *p*=0.41; TCA, tauro-cholic acid, *p*=0.31; TUDCA, tauro-ursodeoxycholic acid, *p*=0.29;

TCDCA, tauro-chenodeoxycholic acid, p=0.46; αβMCA, alpha-muricholic acid and beta-muricholic acid, p=0.70; CA, cholic acid, p=0.78; TDCA, tauro-deoxycholic acid, p=0.41; 3-oxo-CA, 3-oxo-cholic acid, p=0.75; CA7S, p=0.053, two-tailed Welch's t-test. All data are presented as mean ± SEM.



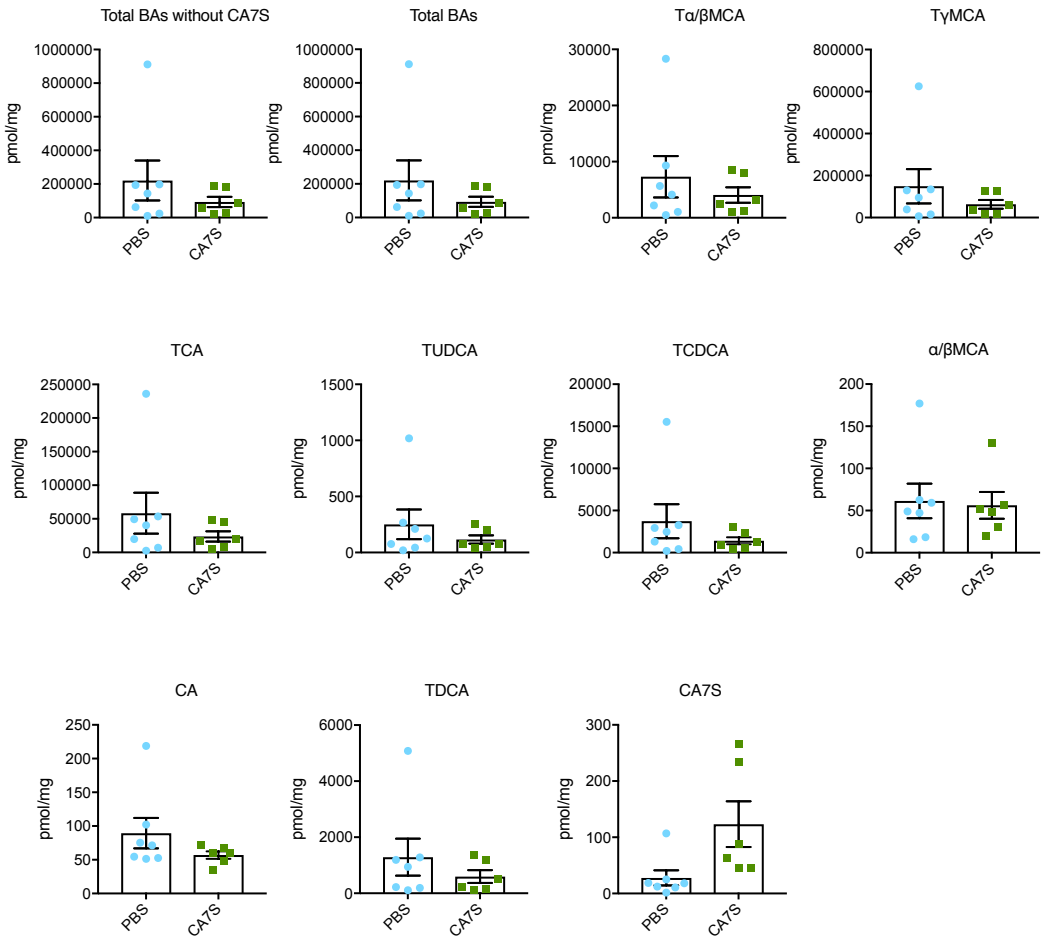
Supplementary Figure 9. *Glp1r* and *Tgr5* shRNA knockdown efficiency

a,**b**, Quantitative real time PCR analysis in mice corresponding to Fig. 5f-i. Animals were injected with lentiviral shRNA targeting *Glp1r* or PBS (**a**) or with lentiviral shRNA targeting *Tgr5* or PBS (**b**). Expression of mouse *Glp1r* (**a**) and TGR5 (**b**) in indicated tissues of mice was measured following OGTT, which was performed 3 days post-injection (SI = small intestine, PBS, n=2; *Glp1r* LVP shRNA n=22; *Tgr5* LVP shRNA n=18). All data are presented as mean ± SEM.



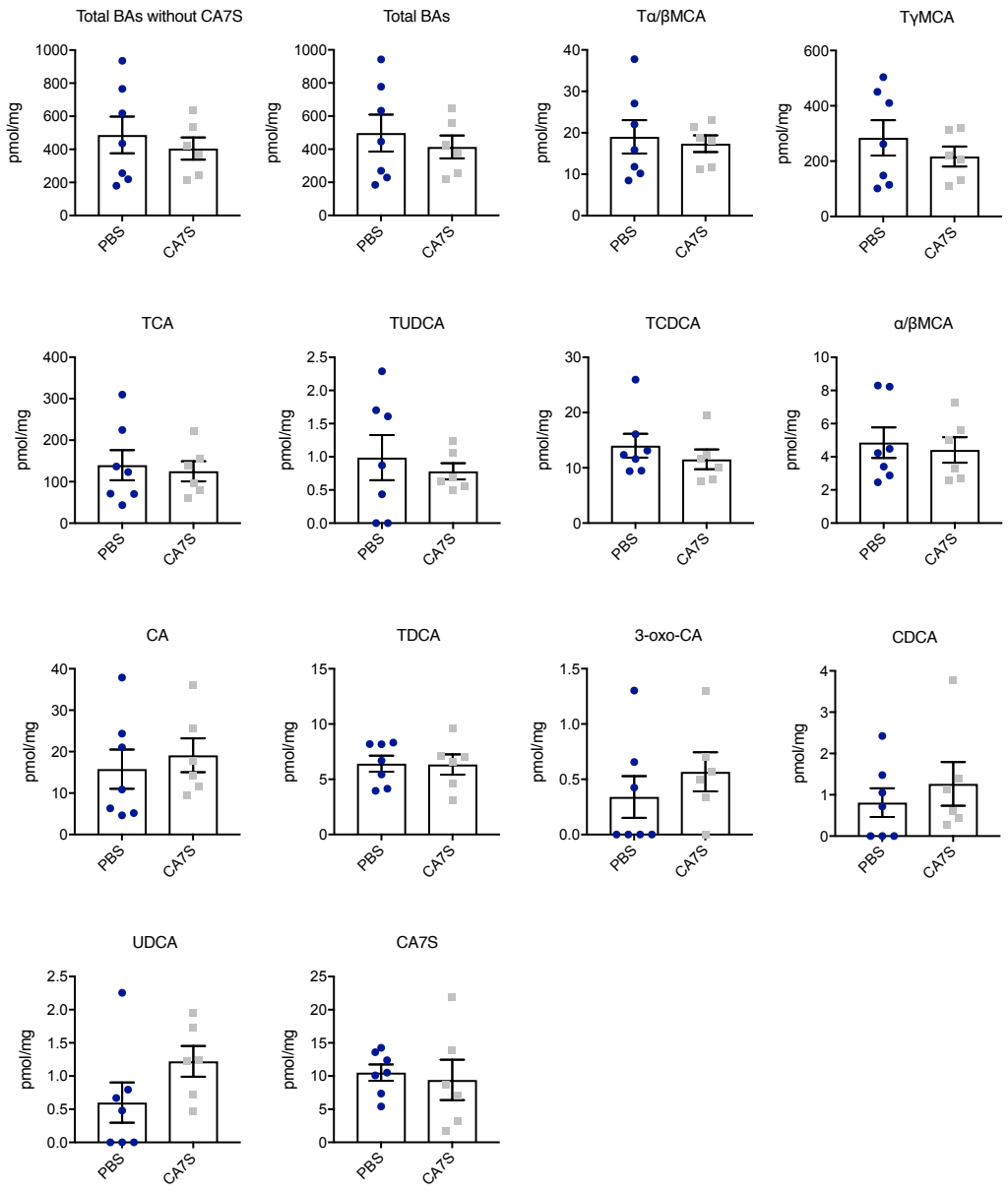
Supplementary Figure 10. Chronic feeding with CA7S improves hyperglycemia

a,**b**, Chronic administration of CA7S via daily gavage for 48 days resulted in initial weight loss in all groups but did not affect percent body weight change or total food intake compared to PBS-gavaged mice (n=7 mice per group). (For **a**, ns=not significant p=0.50, for **b**, ns=not significant p=0.07, two-tailed Welch's t-test). **c**, In vivo change in fasted serum glucose upon chronic dosing with CA7S via daily gavage for 48 days compared to PBS-gavaged mice (PBS, n=7; CA7S (100 mg/kg), n=7; PBS *p=0.03, CA7S (100 mg/kg) ns=not significant p=0.59, two-tailed paired t-test). All data are presented as mean ± SEM.



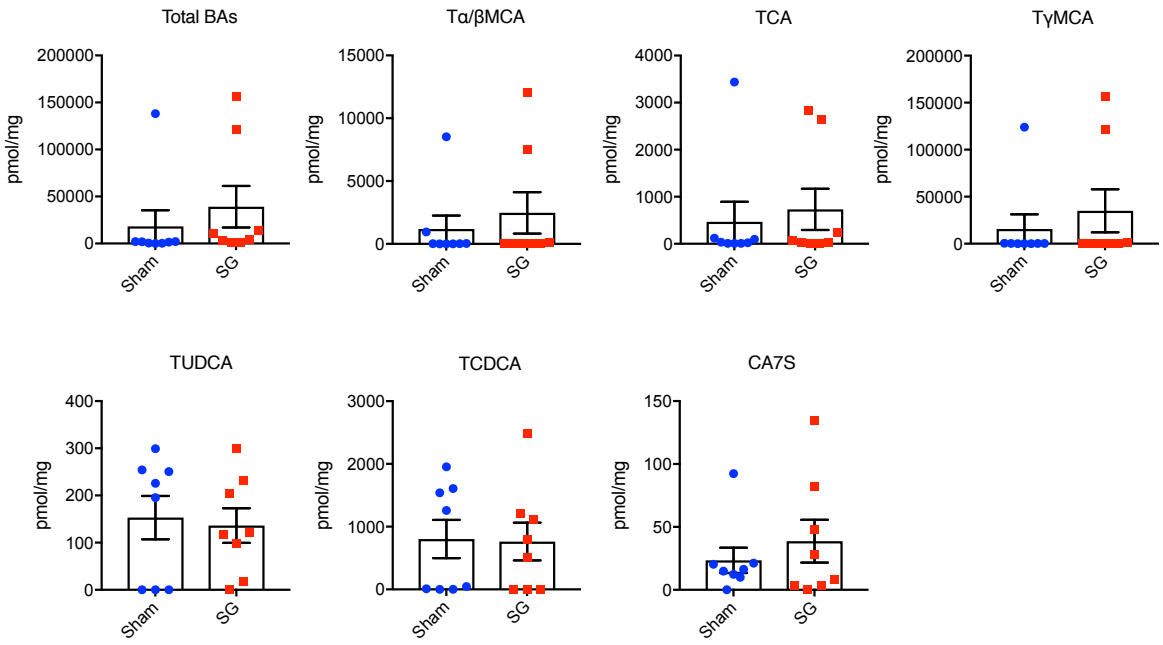
Supplementary Figure 11. Bile acid concentrations in gallbladders of mice gavaged chronically with CA7S. Gallbladders were collected from mice following an overnight fast after 48 days of daily gavage with CA7S or PBS. Bile acids were quantified using UPLC-MS (PBS, n=7, CA7S, n=6, data not marked with asterisk(s) are not significant). All bile acids with measurable concentrations above the limit of detection are shown. Total BAs without CA7S, *p*=0.33; Total bile acids (BAs), *p*=0.33; Tα/βMCA, tauro-alpha- and tauro-beta-muricholic acid, *p*=0.43; TγMCA, tauro-gamma-muricholic acid, *p*=0.34; TCA, tauro-cholic acid, *p*=0.31; TUDCA, tauro-ursodeoxycholic acid, *p*=0.35; TCDCA, tauro-chenodeoxycholic acid, *p*=0.29; αβMCA,

alpha-muricholic acid and beta-muricholic acid, p=0.84; CA, cholic acid, p=0.20; TDCA, tauro-deoxycholic acid, p=0.35; CA7S, p=0.06, two-tailed Welch's t-test. All data are presented as mean ± SEM.

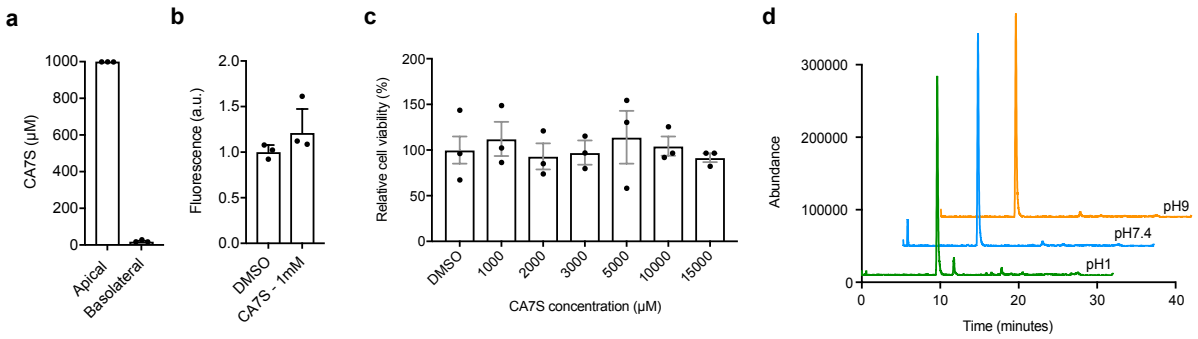


Supplementary Figure 12. Bile acid concentrations in livers of mice gavaged chronically with CA7S. Livers were collected from mice following an overnight fast after 48 days of daily gavage with CA7S or PBS. Bile acids were quantified using UPLC-MS (PBS, n=7, CA7S, n=6, data not marked with asterisk(s) are not significant). All bile acids with measurable concentrations above the limit of detection are shown. Total BAs without CA7S, p=0.53; Total bile acids (BAs),

p=0.53; Tα/βMCA, tauro-alpha- and tauro-beta-muricholic acid, *p*=0.71; TγMCA, tauro-gamma-muricholic acid, *p*=0.38; TCA, tauro-cholic acid, *p*=0.73; TUDCA, tauro-ursodeoxycholic acid, *p*=0.58; TCDCA, tauro-chenodeoxycholic acid, *p*=0.39; αβMCA, alpha-muricholic acid and beta-muricholic acid, *p*=0.71; CA, cholic acid, *p*=0.60; TDCA, tauro-deoxycholic acid, *p*=0.94; 3-oxo-CA, 3-oxo-cholic acid, *p*=0.39; CDCA, chenodeoxycholic acid, *p*=0.49; UDCA, ursodeoxycholic acid, *p*=0.13; CA7S, *p*=0.74, two-tailed Welch's t-test. All data are presented as mean ± SEM.



Supplementary Figure 13. Bile acid concentrations in gallbladders of mice post-sham or post-SG. Gallbladders were collected from fasted sham or SG mice 6 weeks post-op and bile acids were quantified using UPLC-MS (n=8 per group, data not marked with asterisk(s) are not significant). All bile acids with measurable concentrations above the limit of detection are shown. Total bile acids (BAs), p=0.46; Tα/βMCA, tauro-alpha- and tauro-beta-muricholic acid, p=0.52; TCA, tauro-cholic acid, p=0.73; TγMCA, tauro-gamma-muricholic acid, p=0.49; TUDCA, tauro-ursodeoxycholic acid, p=0.77; TCDCA, tauro-chenodeoxycholic acid, p=0.92; CA7S, p=0.45, two-tailed Welch's t-test. All data are presented as mean ± SEM.



Supplementary Figure 14. CA7S treatment does not have toxic effects

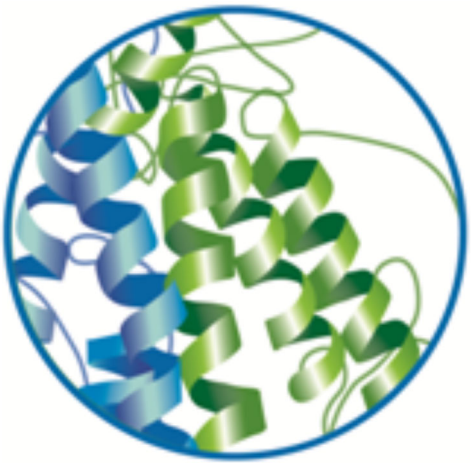
a,**b**, Apical treatment of the epithelial monolayer with 1 mM CA7S led to nearly undetectable amounts of CA7S in the basolateral chamber as measured by UPLC-MS analysis (**a**), and no significant change to the epithelial barrier integrity (**b**) (3 biological replicates per condition, not significant, p=0.21, two-tailed Welch's t-test). **c**, Percentage cell viability upon treatment of Caco-2 cells with CA7S in vitro (3 biological replicates per condition, not significant, $p \geq 0.97$ one-way ANOVA followed by Dunnett's multiple comparisons test). All data are presented as mean ± SEM. **d**, UPLC-MS traces of CA7S after incubation at 37 ˚C in buffer at the indicated physiological pHs. All data are presented as mean ± SEM.

Supplementary Note.

CA7S did not agonize or antagonize a panel of 19 nuclear hormone receptors (NhRs). CA7S (designated as HARV-SD-0000) was tested at 100 μM by DiscoverX for activity against a panel of NhRs. CA7S did not appreciably agonize (>40%) or antagonize (>30%) any of the 19 NhRs tested.

CA7S did not agonize or antagonize a panel of 169 G protein-coupled receptors (GPCRs). CA7S (designated as HARV-SD-0000) was tested at 100 μM by DiscoverX for activity against a panel of GPCRs. CA7S did not appreciably agonize (>40%) or antagonize (>30%) any of the 169 GPCRs tested.

Study Report



Requester(s): Snehal Chaudhari

Company: Harvard Medical School

Report Date: 10/04/2019

Quote ID: US073-000775-Q

Order ID: US073-000775-O

Service: nhrSCAN

Number of Compounds Tested: 1

Number of Assays Tested: 38

Director, PathHunter Services: Dr. Neil Charter
Phone: (510) 771-3542
Project Manager: Sharon Irelan / Melinda Stampfl
Phone: (858) 224-6925 / (858) 224-6933
www.services.discoverx.com

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Customer Information

Company	Harvard Medical School
Client Name	Snehal Chaudhari
Address	240 Longwood Ave
	Boston
Email	snehal_chaudhari@hms.harvard.edu

Report Summary

Target (s): **nhrMAX Panel**

Compounds: **1**

Objective: **Agonist and Antagonist Primary Screen**

Summary: **DiscoveRx successfully profiled 1 compound with the nhrMAX Biosensor Panel.**

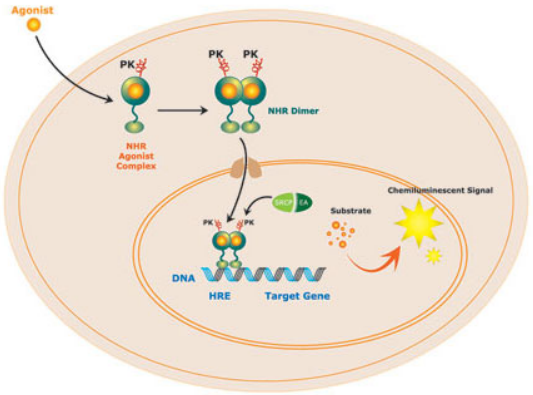
The results are given on page 6 and the graphical results are provided in an appendix at the end of this report. The data is also provided in an accompanying spreadsheet file.

Technology Principle

Nuclear Hormone Receptor Assays

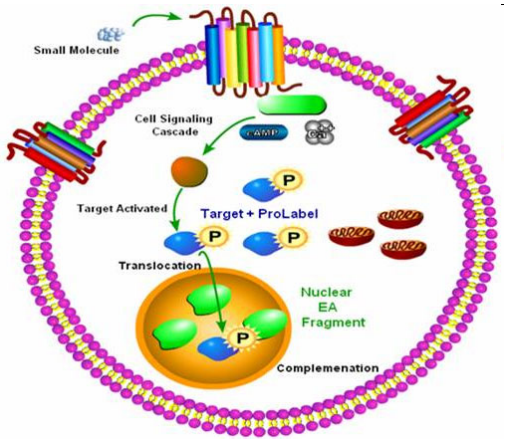
PathHunter® NHR Protein Interaction (Pro) and Nuclear Translocation (NT) assays monitor the activation of a nuclear hormone receptor in a homogenous, non-imaging assay format using a technology developed by DiscoveRx called Enzyme Fragment Complementation (EFC).

The NHR Pro assay is based on detection of protein-protein interactions between an activated, full length NHR protein and a nuclear fusion protein containing Steroid Receptor Co-activator Peptide (SRCP) domains with one or more canonical LXXLL interaction motifs.



The NHR is tagged with the ProLink™ component of our EFC assay system, and the SRCP domain is fused to the enzyme acceptor component (EA) expressed in the nucleus. When bound by ligand, the NHR will migrate to the nucleus and recruit the SRCP domain, whereby complementation occurs, generating a unit of active β-Galactosidase (β-Gal) and production of chemiluminescent signal. Benefits associated with this approach include reduced compound incubation times, direct measurement of the NHR target, use of full-length human NHR sequences, and the ability to select novel compound classes based on disruption of protein-protein interactions.

The NHR NT assay monitors movement of a NHR between the cytoplasmic and nuclear compartments. The receptor is tagged with the ProLabel™ component of our EFC assay system, and EA is fused to a nuclear location sequence that restricts the expression of EA to the nucleus. Migration of the NHR to the nucleus results in complementation with EA generating a unit of active β-Gal and production of a chemiluminescent signal.



Assay Design: NHR

Cell Handling

1. PathHunter NHR cell lines were expanded from freezer stocks according to standard procedures.
2. Cells were seeded in a total volume of 20 µL into white walled, 384-well microplates and incubated at 37°C for the appropriate time prior to testing. Assay media contained charcoal-dextran filtered serum to reduce the level of hormones present.

Compound Handling

1. Sample was diluted into assay buffer shortly before adding to assay.

Agonist Format

1. For agonist determination, cells were incubated with sample to induce response.
2. Intermediate dilution of sample stocks was performed to generate 5X sample in assay buffer.
3. 5 µL of 5X sample was added to cells and incubated at 37°C or room temperature for 3-16 hours. Final assay vehicle concentration was 1%.

Antagonist Format

1. For antagonist determination, cells were pre-incubated with antagonist followed by agonist challenge at the EC_{80} concentration.
2. Intermediate dilution of sample stocks was performed to generate 5X sample in assay buffer.
3. 5 µL of 5X sample was added to cells and incubated at 37°C or room temperature for 60 minutes. Vehicle concentration was 1%.
4. 5 µL of 6X EC_{80} agonist in assay buffer was added to the cells and incubated at 37°C or room temperature for 3-16 hours.

Signal Detection

1. Assay signal was generated through a single addition of 12.5 or 15 µL (50% v/v) of PathHunter Detection reagent cocktail, followed by a one hour incubation at room temperature.
2. Microplates were read following signal generation with a PerkinElmer Envision™ instrument for chemiluminescent signal detection.

Data Analysis

1. Compound activity was analyzed using CBIS data analysis suite (ChemInnovation, CA).
2. For agonist mode assays, percentage activity was calculated using the following formula:

   % Activity =100% x (mean RLU of test sample — mean RLU of vehicle control) / (mean MAX control ligand — mean RLU of vehicle control).
3. For antagonist mode assays, percentage inhibition was calculated using the following formula:

   % Inhibition =100% x (1 — (mean RLU of test sample — mean RLU of vehicle control) / (mean RLU of EC_{80} control — mean RLU of vehicle control)).
4. Note that for select assays, the ligand response produces an decrease in receptor activity (inverse agonist with a constitutively active target). For those assays inverse agonist activity was calculated using the following formula:

   % Inverse Agonist Activity =100% x ((mean RLU of vehicle control — mean RLU of test sample) / (mean RLU of vehicle control — mean RLU of MAX control)).

Results:

Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	RC80 (uM)
6a-Fluorotestosterone	NHR Protein Interaction	Agonist	AR	EC50	0.012655	0.46	0	108.7	113.81	0.03
17-B-estradiol	NHR Protein Interaction	Agonist	Era	EC50	0.0033795	0.78	-1.2	100.4	99.641	0.01
GW4064	NHR Protein Interaction	Agonist	FXR	EC50	0.54311	1.01	1	101.2	96.355	1
Dexamethasone	NHR Protein Interaction	Agonist	GR	EC50	0.022521	0.97	-0.8	95.1	97.806	0.12
TO901317	NHR Protein Interaction	Agonist	LXRa	EC50	0.089596	1.05	0.6	99.2	97.289	0.3
TO901317	NHR Protein Interaction	Agonist	LXRb	EC50	0.042858	0.95	2	97.4	103.12	0.3
TO901317	NHR Protein Interaction	Agonist	LXRB-NCOR1	EC50	0.1425	1.01	5	99.4	98.77	0.4
Aldosterone	NHR Protein Interaction	Agonist	MR	EC50	0.0011679	0.63	-2.6	104.3	102.52	0.005
GW7647	NHR Protein Interaction	Agonist	PPARA	EC50	0.0023951	0.74	-3.3	95.1	100.82	0.006
L-165,041	NHR Protein Interaction	Agonist	PPARD	EC50	0.012904	0.8	0.3	97.6	98.378	0.06
Troglitazone	NHR Protein Interaction	Agonist	PPARG	EC50	0.39885	0.94	-2.5	99.1	99.483	2
Norgestrel	NHR Protein Interaction	Agonist	PRA	EC50	0.00095902	0.68	-2.2	97.1	99.947	0.005
Norgestrel	NHR Protein Interaction	Agonist	PRB	EC50	0.00091525	0.86	-1.3	95.1	99.265	0.005
9 Cis Retanoic acid	NHR Protein Interaction	Agonist	RARA	EC50	0.00064614	0.91	1.9	97.5	99.019	0.003
9 Cis Retanoic acid	NHR Protein Interaction	Agonist	RARB	EC50	0.00045763	1.16	2.4	95.1	101.24	0.003
9 Cis Retanoic acid	NHR Protein Interaction	Agonist	RXRa	EC50	0.0017119	1.01	0.8	95.1	96.183	0.01
9 Cis Retanoic acid	NHR Protein Interaction	Agonist	RXRy	EC50	0.006438	0.93	1.1	99.6	101.75	0.03
Triiodothyonine	NHR Protein Interaction	Agonist	THRa	EC50	0.029444	1.45	0.7	93.6	95.825	0.1
Triiodothyonine	NHR Protein Interaction	Agonist	THRB	EC50	0.0076394	1.44	3.3	101.4	102.13	0.05

Table 1: Summary of control agonist dose response curves for *nhr*MAX Biosensor Panel

Agonist dose curves were performed for the *nhr*MAX Biosensor Panel. Assay type, ligand and EC50 obtained are summarized. The EC80 challenge agonist concentration is also provided. Graphical results for the control curves are provided at the end of this report.

Results:

Compound Name	Assay Name	Assay Format	Assay Target	Conc (uM)	Value 1	Value 2	Average Value	Std Deviation	% Efficacy
HARV-SD 0000	NHR Protein Interaction	Agonist	AR	100	5040	3920	4480	791.96	1.2
HARV-SD 0000	NHR Protein Interaction	Antagonist	AR	100	60200	56840	58520	2375.9	-3.6
HARV-SD 0000	NHR Protein Interaction	Agonist	Era	100	178360	150080	164220	19997	4.4
HARV-SD 0000	NHR Protein Interaction	Antagonist	Era	100	470960	445480	458220	18017	21.6
HARV-SD 0000	NHR Protein Interaction	Agonist	FXR	100	11480	14280	12880	1979.9	1.3
HARV-SD 0000	NHR Protein Interaction	Antagonist	FXR	100	123760	136080	129920	8711.6	27.8
HARV-SD 0000	NHR Protein Interaction	Agonist	GR	100	20720	30800	25760	7127.6	0.6
HARV-SD 0000	NHR Protein Interaction	Antagonist	GR	100	1131760	1049440	1090600	58209	14.7
HARV-SD 0000	NHR Protein Interaction	Agonist	LXRa	100	89320	80640	84980	6137.7	2.9
HARV-SD 0000	NHR Protein Interaction	Antagonist	LXRa	100	568960	563360	566160	3959.8	3.5
HARV-SD 0000	NHR Protein Interaction	Agonist	LXRb	100	394520	336560	365540	40984	3.7
HARV-SD 0000	NHR Protein Interaction	Antagonist	LXRb	100	1897840	1932840	1915340	24749	5
HARV-SD 0000	NHR Protein Interaction	Agonist	LXRb-NCoR1	100	1197280	1151360	1174320	32470	3.3
HARV-SD 0000	NHR Protein Interaction	Antagonist	LXRb-NCoR1	100	686280	706160	696220	14057	4.8
HARV-SD 0000	NHR Protein Interaction	Agonist	MR	100	108080	95200	101640	9107.5	1.9
HARV-SD 0000	NHR Protein Interaction	Antagonist	MR	100	603120	610120	606620	4949.7	13
HARV-SD 0000	NHR Protein Interaction	Agonist	PPARa	100	12880	12040	12460	593.97	0.9
HARV-SD 0000	NHR Protein Interaction	Antagonist	PPARa	100	125440	110880	118160	10295	20.2
HARV-SD 0000	NHR Protein Interaction	Agonist	PPARd	100	554680	479640	517160	53061	3.9
HARV-SD 0000	NHR Protein Interaction	Antagonist	PPARd	100	1879080	1933960	1906520	38806	8.4
HARV-SD 0000	NHR Protein Interaction	Agonist	PPARg	100	8680	8400	8540	197.99	-3.3
HARV-SD 0000	NHR Protein Interaction	Antagonist	PPARg	100	83720	94360	89040	7523.6	20.2
HARV-SD 0000	NHR Protein Interaction	Agonist	PRa	100	8960	11760	10360	1979.9	1
HARV-SD 0000	NHR Protein Interaction	Antagonist	PRa	100	119560	112840	116200	4751.8	13.1
HARV-SD 0000	NHR Protein Interaction	Agonist	PRb	100	12600	8400	10500	2969.8	0.6
HARV-SD 0000	NHR Protein Interaction	Antagonist	PRb	100	201320	191240	196280	7127.6	7.2
HARV-SD 0000	NHR Protein Interaction	Agonist	RARa	100	1170680	1056160	1113420	80978	-23.5
HARV-SD 0000	NHR Protein Interaction	Antagonist	RARa	100	1708000	1768480	1738240	42766	15.8
HARV-SD 0000	NHR Protein Interaction	Agonist	RARb	100	990360	862120	926240	90679	-17.9
HARV-SD 0000	NHR Protein Interaction	Antagonist	RARb	100	1703240	1653400	1678320	35242	14
HARV-SD 0000	NHR Protein Interaction	Agonist	RXRa	100	1002680	992320	997500	7325.6	11.4
HARV-SD 0000	NHR Protein Interaction	Antagonist	RXRa	100	1798160	1786960	1792560	7919.6	8.3
HARV-SD 0000	NHR Protein Interaction	Agonist	RXRg	100	1480080	1353240	1416660	89689	8.9
HARV-SD 0000	NHR Protein Interaction	Antagonist	RXRg	100	3381280	3254160	3317720	89887	9.4
HARV-SD 0000	NHR Protein Interaction	Agonist	THRa	100	64960	72520	68740	5345.7	-0.4
HARV-SD 0000	NHR Protein Interaction	Antagonist	THRa	100	260120	240520	250320	13859	4.3
HARV-SD 0000	NHR Protein Interaction	Agonist	THRb	100	185640	217560	201600	22571	4.9
HARV-SD 0000	NHR Protein Interaction	Antagonist	THRb	100	555800	586040	570920	21383	5

Table 2: Compound activity with the *nhr*MAX Panel

Compounds were tested in agonist and antagonist mode with the *nhr*MAX Panel. For agonist assays, data was normalized to the maximal and minimal response observed in the presence of control ligand and vehicle. For antagonist assays, data was normalized to the maximal and minimal response observed in the presence of EC80 ligand and vehicle.

Summary

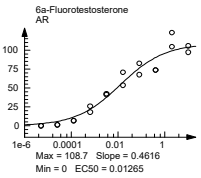
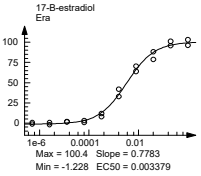
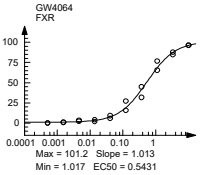
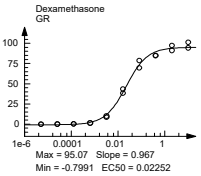
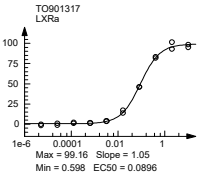
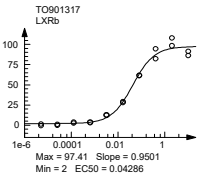
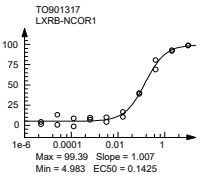
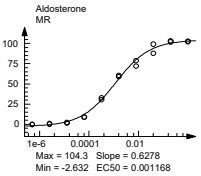
DiscoveRx successfully profiled 1 compound with the nhrMAX Biosensor Panel.

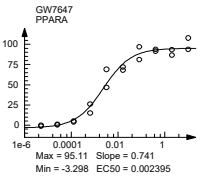
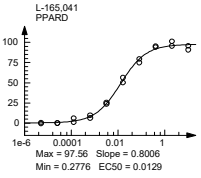
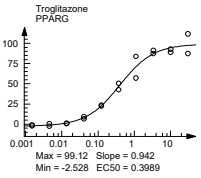
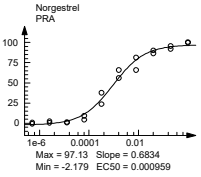
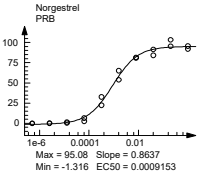
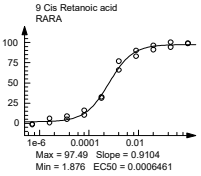
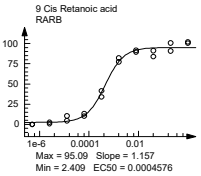
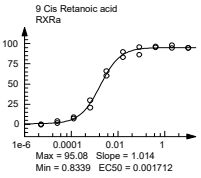
The results are given on page 6 and the graphical results are provided in an appendix at the end of this report. The data is also provided in an accompanying spreadsheet file.

This is to certify that the data contained within this report was conducted as described above.

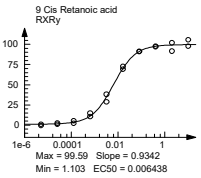
Dr. N. W. Charter

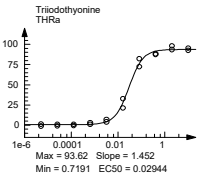
Director, Profiling Services

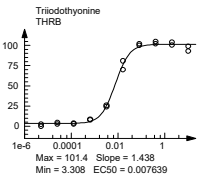
Compound Name	Project ID	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
6a-Fluorotestosterone	Sep 2019 NHR Panel	NHR Protein Interaction	Agonist	AR	EC50	0.012655	0.46	0	108.7	113.81	
17-B-estradiol	Sep 2019 NHR Panel	NHR Protein Interaction	Agonist	Era	EC50	0.0033795	0.78	-1.2	100.4	99.641	
GW4064	Sep 2019 NHR Panel	NHR Protein Interaction	Agonist	FXR	EC50	0.54311	1.01	1	101.2	96.355	
Dexamethasone	Sep 2019 NHR Panel	NHR Protein Interaction	Agonist	GR	EC50	0.022521	0.97	-0.8	95.1	97.806	
TO901317	Sep 2019 NHR Panel	NHR Protein Interaction	Agonist	LXRa	EC50	0.089596	1.05	0.6	99.2	97.289	
TO901317	Sep 2019 NHR Panel	NHR Protein Interaction	Agonist	LXRb	EC50	0.042858	0.95	2	97.4	103.12	
TO901317	Sep 2019 NHR Panel	NHR Protein Interaction	Agonist	LXRB-NCOR1	EC50	0.1425	1.01	5	99.4	98.77	
Aldosterone	Sep 2019 NHR Panel	NHR Protein Interaction	Agonist	MR	EC50	0.0011679	0.63	-2.6	104.3	102.52	

GW7647	Sep 2019 NHR Panel	NHR Protein Interaction	Agonist	PPARA	EC50	0.0023951	0.74	-3.3	95.1	100.82	
L-165,041	Sep 2019 NHR Panel	NHR Protein Interaction	Agonist	PPARD	EC50	0.012904	0.8	0.3	97.6	98.378	
Troglitazone	Sep 2019 NHR Panel	NHR Protein Interaction	Agonist	PPARG	EC50	0.39885	0.94	-2.5	99.1	99.483	
Norgestrel	Sep 2019 NHR Panel	NHR Protein Interaction	Agonist	PRA	EC50	0.00095902	0.68	-2.2	97.1	99.947	
Norgestrel	Sep 2019 NHR Panel	NHR Protein Interaction	Agonist	PRB	EC50	0.00091525	0.86	-1.3	95.1	99.265	
9 Cis Retanoic acid	Sep 2019 NHR Panel	NHR Protein Interaction	Agonist	RARA	EC50	0.00064614	0.91	1.9	97.5	99.019	
9 Cis Retanoic acid	Sep 2019 NHR Panel	NHR Protein Interaction	Agonist	RARB	EC50	0.00045763	1.16	2.4	95.1	101.24	
9 Cis Retanoic acid	Sep 2019 NHR Panel	NHR Protein Interaction	Agonist	RXRa	EC50	0.0017119	1.01	0.8	95.1	96.183	

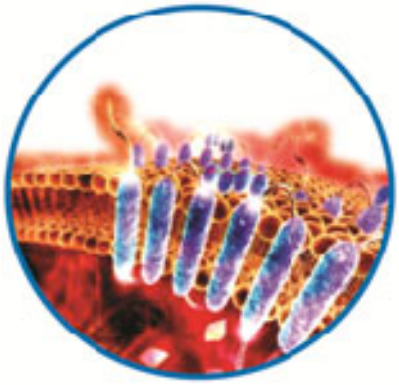
9 Cis Retanoic acid	Sep 2019 NHR Panel	NHR Protein Interaction	Agonist	RXRy	EC50	0.006438	0.93	1.1	99.6	101.75
Triiodothyonine	Sep 2019 NHR Panel	NHR Protein Interaction	Agonist	THRa	EC50	0.029444	1.45	0.7	93.6	95.825
Triiodothyonine	Sep 2019 NHR Panel	NHR Protein Interaction	Agonist	THRB	EC50	0.0076394	1.44	3.3	101.4	102.13







Study Report



Requester: Snehal Chaudhari

Company: Harvard Medical School

Date: 11/6/2019

Quote ID: US073-0007752-Q

Order ID: US073-0007752-O

Service: gpcrMAX

Number of Compounds Tested: 1

Number of Targets Tested: 168

Associate Director, LeadHunter Services: Lakshmi Anantharaman

Phone: (510) 7713548

Project Manager: Sharon Irelan

Phone: (858) 224-6925

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Customer Information

Company	Harvard Medical School
Client Name	Snehal Chaudhari
Address	240 Longwood Ave
	BostonUSA
Email	snehal_chaudhari@hms.harvard.edu

Report Summary

Targets: ***gpcr*** **MAX Panel**

Compounds: **1 Compound**

Objective: **Agonist and Antagonist Primary Screen**

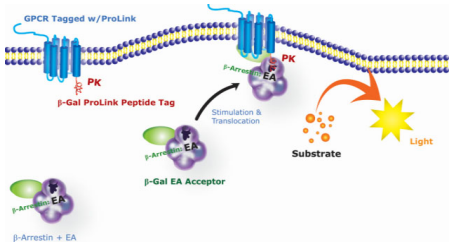
Summary: **DiscoveRx successfully profiled 1 compound against the gpcrMAX Panel.**

The assays were performed utilizing the PathHunter beta-arrestin enzyme fragment complementation (EFC) technology. Results are summarized in this report and the data is provided in accompanying Excel spreadsheet files.

Technology Principle

Arrestin Pathway

The PathHunter® β-Arrestin assay monitors the activation of a GPCR in a homogenous, non-imaging assay format using a technology developed by DiscoveRx called Enzyme Fragment Complementation (EFC) with β-galactosidase (β-Gal) as the functional reporter. The enzyme is split into two inactive complementary portions (EA for Enzyme Acceptor and ED for Enzyme Donor) expressed as fusion proteins in the cell. EA is fused to β-Arrestin and ED is fused to the GPCR of interest.

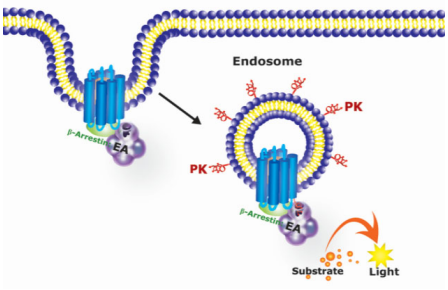


When the GPCR is activated and β-Arrestin is recruited to the receptor, ED and EA complementation occurs, restoring β-Gal activity which is measured using chemiluminescent PathHunter® Detection Reagents.

Endocytosis Pathway

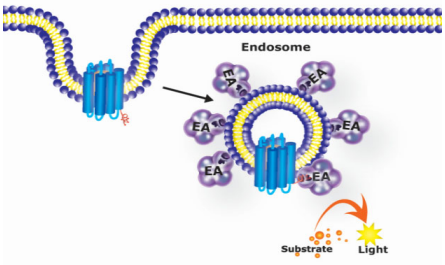
Using EFC technology, DiscoveRx has developed several methods to study receptor internalization.

PathHunter® Activated GPCR Internalization Assays provide a quantitative measurement of arrestin-mediated GPCR internalization, allowing you to monitor the movement of unlabeled, arrestin-bound GPCRs from the plasma membrane in live cells. In this system, EA is fused to arrestin (EA-Arrestin) and ED is localized exclusively to the surface of early endosomes. Enzyme activity is restored upon GPCR activation and arrestin-mediated trafficking to early endosomes. Activity is measured using PathHunter® Detection Reagents.



PathHunter® Total GPCR Internalization Assays provide a quantitative measurement of total GPCR protein internalized into endosomes and is measured using PathHunter® Detection Reagents.

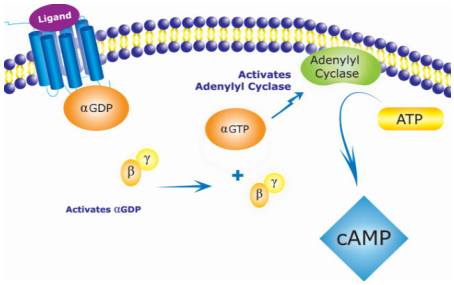
There are two Total GPCR Internalization assay formats. In the first, one of the EFC components is localized exclusively to the endosome and the other component is fused to the GPCR of interest. When stimulation of the target receptor results in receptor internalization and trafficking to early endosomes, complementation of the two enzyme fragments occurs, reflected as an increase in enzyme activity.



In the second format, EA is localized exclusively to the plasma membrane (EA-Membrane) and ED is fused to the GPCR of interest. Membrane-bound receptors will complement with EA, resulting in high levels of enzyme activity. When activation of the GPCR results in receptor internalization, loss of receptor at the cell surface is reflected as a loss of enzyme activity.

cAMP Secondary Messenger Pathway

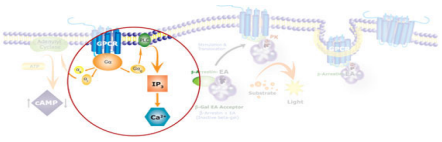
DiscoveRx has developed a panel of cell lines stably expressing non-tagged GPCRs that signal through cAMP. Hit Hunter® cAMP assays monitor the activation of a GPCR via Gi and Gs secondary messenger signaling in a homogenous, non-imaging assay format using a technology developed by DiscoveRx called Enzyme Fragment Complementation (EFC) with β-galactosidase (β-Gal) as the functional reporter.



The enzyme is split into two complementary portions: EA for Enzyme Acceptor and ED for Enzyme Donor. ED is fused to cAMP and in the assay competes with cAMP generated by cells for binding to a cAMP-specific antibody. Active β-Gal is formed by complementation of exogenous EA to any unbound ED-cAMP. Active enzyme can then convert a chemiluminescent substrate, generating an output signal detectable on a standard microplate reader.

Calcium Secondary Messenger Pathway

The Calcium No WashPLUS assay monitors the activation of a GPCR via Gq secondary messenger signaling in a live cell, non-imaging assay format.



Calcium mobilization in PathHunter® cell lines or other cell lines stably expressing Gq-coupled GPCRs is monitored using a calcium-sensitive dye that is loaded into cells. GPCR activation by a compound results in the release of calcium from intracellular stores and an increase in dye fluorescence that is measured in real-time.

Profile Overview

DiscoveRx was contracted by Dr. Snehal Chaudhari at Harvard Medical School to profile 1 compound with the gpcrMAX Panel biosensor assays. Compound was tested in agonist and antagonist mode.

Assay Design: GPCR Arrestin

Cell Handling

1. PathHunter cell lines were expanded from freezer stocks according to standard procedures.
2. Cells were seeded in a total volume of 20 µL into white walled, 384-well microplates and incubated at 37°C for the appropriate time prior to testing.

Agonist Format

1. For agonist determination, cells were incubated with sample to induce response.
2. Intermediate dilution of sample stocks was performed to generate 5X sample in assay buffer.
3. 5 µL of 5x sample was added to cells and incubated at 37°C or room temperature for 90 or 180 minutes. Final assay vehicle concentration was 1%.

Antagonist Format

1. For antagonist determination, cells were pre-incubated with antagonist followed by agonist challenge at the EC80 concentration.
2. Intermediate dilution of sample stocks was performed to generate 5X sample in assay buffer.
3. 5 µL of 5x sample was added to cells and incubated at 37°C or room temperature for 30 minutes. Vehicle concentration was 1%.
4. 5 µL of 6X EC80 agonist in assay buffer was added to the cells and incubated at 37°C or room temperature for 90 or 180 minutes.

Signal Detection

1. Assay signal was generated through a single addition of 12.5 or 15 µL (50% v/v) of PathHunter Detection reagent cocktail, followed by a one hour incubation at room temperature.
2. Microplates were read following signal generation with a PerkinElmer EnvisionTM instrument for chemiluminescent signal detection.

Data Analysis

Compound activity was analyzed using CBIS data analysis suite (ChemInnovation, CA).

1. For agonist mode assays, percentage activity was calculated using the following formula:
% Activity =100% x (mean RLU of test sample — mean RLU of vehicle control) / (mean MAX control ligand — mean RLU of vehicle control).

2. For antagonist mode assays, percentage inhibition was calculated using the following formula:
% Inhibition =100% x (1 — (mean RLU of test sample — mean RLU of vehicle control) / (mean RLU of EC80 control — mean RLU of vehicle control)).

Results:

Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	EC80 (uM)
PACAP-27	Arrestin	Agonist	ADCYAP1R1	EC50	0.0027627	1.68	-1.6	98.9	100.64	0.00385
2-Cl-IB-MECA	Arrestin	Agonist	ADORA3	EC50	0.017318	1.25	-11.2	102.6	103.45	0.0527
Phenylephrine	Arrestin	Agonist	ADRA1B	EC50	0.21859	0.87	-1.7	102.9	101.32	0.399
UK 14,304	Arrestin	Agonist	ADRA2A	EC50	0.0036845	0.68	-7.9	100	100.65	0.00818
UK 14,304	Arrestin	Agonist	ADRA2B	EC50	0.17656	1.52	-7.5	97.9	101.55	0.531
UK 14,304	Arrestin	Agonist	ADRA2C	EC50	0.07799	1.19	-12.4	98.6	102.1	0.111
Isoproterenol	Arrestin	Agonist	ADRB1	EC50	0.078654	1.13	-5.1	100.3	101.79	0.213
Isoproterenol	Arrestin	Agonist	ADRB2	EC50	0.065695	1.3	-0.5	100.4	100.17	0.126
Angiotensin II	Arrestin	Agonist	AGTR1	EC50	0.00084289	0.97	-3.3	97.3	100.4	0.00155
Apelin-13	Arrestin	Agonist	AGTRL1	EC50	0.00050701	1.39	-3.9	99	103.09	0.000759
Vasopressin	Arrestin	Agonist	AVPR1A	EC50	0.0015681	0.8	-5.4	102	100.26	0.00315
Vasopressin	Arrestin	Agonist	AVPR1B	EC50	0.0017909	0.8	-3.3	103.5	100.68	0.00809
Vasopressin	Arrestin	Agonist	AVPR2	EC50	0.00029239	1.32	-4.4	96.6	100.04	0.000417
LDA-Bradykinin	Arrestin	Agonist	BDKRB1	EC50	0.0022469	1.16	-4.9	100.6	101.54	0.00467
Bradykinin	Arrestin	Agonist	BDKRB2	EC50	0.00040968	1.64	-0.9	99.9	100.48	0.0011
TAPN-Bombesin	Arrestin	Agonist	BRS3	EC50	0.0007402	0.68	-22.2	104.6	107.74	0.00195
C3A Receptor Agonist (Short Fragment)	Arrestin	Agonist	C3AR1	EC50	0.28745	1.18	-1.2	102.1	106.9	0.456
Complement C5a	Arrestin	Agonist	C5AR1	EC50	0.00015412	2.98	0.5	101.7	106.11	0.0004
Complement C5a	Arrestin	Agonist	C5L2	EC50	0.00070387	1.52	-13.7	104.8	100.84	0.0027
Calcitonin	Arrestin	Agonist	CALCR	EC50	0.041237	1.21	-1.1	105.3	103.35	0.113
beta CGRP	Arrestin	Agonist	CALCRL-RAMP1	EC50	0.0011776	1.46	8.1	98.4	100.01	0.00463
Adrenomedullin	Arrestin	Agonist	CALCRL-RAMP2	EC50	0.0010833	1.5	0.8	99.7	100.4	0.00343
Adrenomedullin	Arrestin	Agonist	CALCRL-RAMP3	EC50	0.003256	1.17	0.1	98.1	100.21	0.0174
Calcitonin	Arrestin	Agonist	CALCR-RAMP2	EC50	0.01494	0.95	-0.2	99.5	102.69	0.0305
Calcitonin	Arrestin	Agonist	CALCR-RAMP3	EC50	0.081074	0.72	16.3	100	105.5	0.384
CCK-8	Arrestin	Agonist	CCKAR	EC50	0.0048874	1.03	-2	100.7	101.23	0.0137
CCK-8	Arrestin	Agonist	CCKBR	EC50	0.00026335	1.6	-1.4	97	101.1	0.00081
CCL27	Arrestin	Agonist	CCR10	EC50	0.017333	1.84	0.7	100.9	102.41	0.0302
CCL3	Arrestin	Agonist	CCR1	EC50	0.00042576	1.59	-10.9	97.5	101.37	0.00253
CCL2	Arrestin	Agonist	CCR2	EC50	0.0050205	0.99	-3.9	102	105.82	0.0209
CCL13	Arrestin	Agonist	CCR3	EC50	0.018495	1.07	-12.1	100	107.71	0.0464
CCL22	Arrestin	Agonist	CCR4	EC50	0.004488	0.77	-1.4	100	103.17	0.0196
CCL3	Arrestin	Agonist	CCR5	EC50	0.0092168	0.7	-4.9	110.1	107.65	0.0304
CCL20	Arrestin	Agonist	CCR6	EC50	0.0073553	0.76	-4.8	106.1	105.49	0.0396
CCL19	Arrestin	Agonist	CCR7	EC50	0.005935	1.93	-1	99	100.74	0.0189
CCL1	Arrestin	Agonist	CCR8	EC50	0.026054	1.24	-1	102.2	103.71	0.0525
CCL25	Arrestin	Agonist	CCR9	EC50	0.17787	1.67	0.6	100	100	0.37
Acetylcholine	Arrestin	Agonist	CHRM1	EC50	2.4485	0.78	-6.8	104.2	102.24	8.04
Acetylcholine	Arrestin	Agonist	CHRM2	EC50	5.6576	1.03	-3.2	102.1	100.33	24.8
Acetylcholine	Arrestin	Agonist	CHRM3	EC50	0.7922	0.78	-4.5	103.3	103.96	3.54
Acetylcholine	Arrestin	Agonist	CHRM4	EC50	1.9964	1.38	-16.9	104.6	102.18	6.43
Acetylcholine	Arrestin	Agonist	CHRM5	EC50	0.26794	0.89	-7.8	97	100.01	1.03
Chemerin	Arrestin	Agonist	CMKLR1	EC50	0.0014443	1.4	-1.2	97.3	102.14	0.00478
CP55940	Arrestin	Agonist	CNR1	EC50	0.011297	1.13	-2.3	102.6	101.64	0.0203
CP55940	Arrestin	Agonist	CNR2	EC50	0.0014259	1.12	-15	109.5	107.56	0.00412
Sauvagine	Arrestin	Agonist	CRHR1	EC50	0.0042583	2.99	2.9	101.3	100.14	0.0106
Sauvagine	Arrestin	Agonist	CRHR2	EC50	0.0066575	1.42	-0.1	99.3	101.84	0.0247
PGD2	Arrestin	Agonist	CRTH2	EC50	0.0049044	0.74	0	97.6	102.1	0.0238
Fractalkine	Arrestin	Agonist	CX3CR1	EC50	0.00073416	1.53	-0.2	97.3	102.59	0.00192
CXCL8	Arrestin	Agonist	CXCR1	EC50	0.0036628	1.09	-1.6	101.4	100.23	0.0148
CXCL8	Arrestin	Agonist	CXCR2	EC50	0.00073033	0.84	-12.4	99.8	109.95	0.00286
CXCL11	Arrestin	Agonist	CXCR3	EC50	0.025161	1	-14.6	111.2	105.39	0.0536
CXCL12	Arrestin	Agonist	CXCR4	EC50	0.00168	0.92	0	106.4	108.15	0.00515
CXCL13	Arrestin	Agonist	CXCR5	EC50	0.046452	1.05	-1.2	117.7	110.26	0.184
CXCL16	Arrestin	Agonist	CXCR6	EC50	0.0007772	1.54	-4	100	97.619	0.0023
CXCL12	Arrestin	Agonist	CXCR7	EC50	0.014645	1.84	-1.1	100.8	101.95	0.0388
Dopamine	Arrestin	Agonist	DRD1	EC50	0.45512	1.3	-1.4	104.4	104.15	1.73
Dopamine	Arrestin	Agonist	DRD2L	EC50	0.097055	1.1	-3.4	102.4	100.24	0.3
Dopamine	Arrestin	Agonist	DRD2S	EC50	0.082691	1.39	-5.3	101.2	109.01	0.3
Dopamine	Arrestin	Agonist	DRD3	EC50	0.007335	1.21	-10	105.3	102.25	0.0235
Dopamine	Arrestin	Agonist	DRD4	EC50	0.17021	1.7	-6.6	98.7	100.2	0.244
Dopamine	Arrestin	Agonist	DRD5	EC50	0.11691	1.5	-1.1	100.6	103.22	1.16
7a,25-Dihydroxycholesterol	Arrestin	Agonist	EBI2	EC50	0.054752	0.91	-1.2	101.9	104.97	0.233
S-1-P	Arrestin	Agonist	EDG1	EC50	0.025379	0.76	0	90.3	107.15	0.117
S-1-P	Arrestin	Agonist	EDG3	EC50	0.024481	1.06	-4.5	101.5	102.65	0.0647
Oleoyl LPA	Arrestin	Agonist	EDG4	EC50	0.76268	0.93	-12.8	102.6	103.67	1.96
S-1-P	Arrestin	Agonist	EDG5	EC50	0.039466	1.65	-2.1	102.8	103.59	0.119
S-1-P	Arrestin	Agonist	EDG6	EC50	0.2512	0.97	2.3	100	142.46	0.539
Oleoyl LPA	Arrestin	Agonist	EDG7	EC50	0.36217	0.99	-3.7	102.3	101.22	1.16
Endothelin I	Arrestin	Agonist	EDNRA	EC50	0.0019303	1.2	-3	98	104.66	0.00578
Endothelin 3	Arrestin	Agonist	EDNRB	EC50	0.0040114	1.33	-3.9	95.6	102.96	0.00687
TFLLR-NH2	Arrestin	Agonist	F2R	EC50	12.229	1.13	3.9	100	115.77	28.8
SLIGRL-NH2	Arrestin	Agonist	F2RL1	EC50	0.66847	1.03	-2.4	103.4	104.5	2.13
AYPGKF-NH2	Arrestin	Agonist	F2RL3	EC50	3.32	2.13	0.5	99	103.95	8.02
GW9508	Arrestin	Agonist	FFAR1	EC50	2.5318	0.92	-12.7	108.9	110.88	4.02
WKYMVm-NH2	Arrestin	Agonist	FPR1	EC50	0.0054478	1.12	-10.1	98.7	100.61	0.00852
WKYMVm-NH2	Arrestin	Agonist	FPRL1	EC50	0.0020325	2.23	1	104.7	103.7	0.00391
FSH	Arrestin	Agonist	FSHR	EC50	0.0022265	1.02	-4.6	103.5	100.09	0.0124
Galanin	Arrestin	Agonist	GALR1	EC50	0.0062252	1.54	1.7	98.3	100.77	0.0114
Galanin	Arrestin	Agonist	GALR2	EC50	0.017215	0.85	5.6	99.7	102.79	0.053
Glucagon	Arrestin	Agonist	GCGR	EC50	0.0073343	1.7	1.3	104	102.61	0.0245
Ghrelin	Arrestin	Agonist	GHSR	EC50	0.0073928	1.77	-5	99.4	101.43	0.0175
GIP	Arrestin	Agonist	GIPR	EC50	0.01451	1.18	-1.3	103	106	0.0295
Exendin-4	Arrestin	Agonist	GLP1R	EC50	0.0037954	1.41	1.2	98.8	101.44	0.0126

Table 1: Summary of agonist control data for targets tested in gpcrMAX Panel

Agonist dose curves were performed for the targets tested in the study. Assay type, ligand and EC50 obtained and EC80 concentration used are summarized. Graphical results for the control curves are provided at the end of this report.

Results:

Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	EC80 (uM)
GLP II (1-33)	Arrestin	Agonist	GLP2R	EC50	0.0017774	1	11.1	98.6	104.11	0.00888
Chemerin	Arrestin	Agonist	GPR1	EC50	0.0027464	1.64	1.3	98.6	104.03	0.00461
QRFP-26	Arrestin	Agonist	GPR103	EC50	0.0030523	1.4	-6.6	101.4	106.5	0.0142
Nicotinic Acid	Arrestin	Agonist	GPR109A	EC50	4.1858	1.04	-2.2	115.8	108	7.12
3-Hydroxyoctanoic Acid	Arrestin	Agonist	GPR109B	EC50	571.32	1.33	1.5	100	100	966
Oleoyl Ethanolamide	Arrestin	Agonist	GPR119	EC50	1.9228	0.98	-10.4	105.2	112.24	4.31
GW9508	Arrestin	Agonist	GPR120	EC50	18.319	1	-8.1	137	114.71	21.5
Zaprinast	Arrestin	Agonist	GPR35	EC50	1.7611	0.94	-4.3	100	112.56	4.05
Oleoyl LPA	Arrestin	Agonist	GPR92	EC50	0.77293	1.05	-5.8	100.3	103.27	4.28
GRP	Arrestin	Agonist	GRPR	EC50	0.001761	1.97	1.3	102.7	100.63	0.00585
Orexin A	Arrestin	Agonist	HCRTR1	EC50	0.0069909	1.41	-1.1	99	103.44	0.0106
Orexin A	Arrestin	Agonist	HCRTR2	EC50	0.0092783	1.34	-0.4	100.3	101.87	0.0141
Histamine	Arrestin	Agonist	HRH1	EC50	0.04675	1.24	0.4	99.9	104.68	0.21
Histamine	Arrestin	Agonist	HRH2	EC50	4.4267	1.18	-5	105.6	100.65	10.7
R-a methylhistamine	Arrestin	Agonist	HRH3	EC50	0.077177	1.27	-4.9	100.2	103.72	0.468
Histamine	Arrestin	Agonist	HRH4	EC50	0.065634	1.19	0	107.7	103.38	0.306
Serotonin / 5-HT	Arrestin	Agonist	HTR1A	EC50	0.055226	1.53	-5.3	101.8	101.41	0.126
Serotonin / 5-HT	Arrestin	Agonist	HTR1B	EC50	0.071379	1.38	-5.5	106.1	102.08	0.173
Serotonin / 5-HT	Arrestin	Agonist	HTR1E	EC50	0.0053768	1.9	-1.5	118.2	112.49	0.0216
Serotonin / 5-HT	Arrestin	Agonist	HTR1F	EC50	0.025647	1.45	-10.3	109.3	112.92	0.127
Serotonin / 5-HT	Arrestin	Agonist	HTR2A	EC50	0.040728	0.95	-1.5	102.4	101.36	0.171
Serotonin / 5-HT	Arrestin	Agonist	HTR2C	EC50	0.0043118	1.35	-5.5	100.1	101.05	0.0125
Serotonin / 5-HT	Arrestin	Agonist	HTR5A	EC50	0.012722	0.98	-4.6	99.9	104.38	0.0264
Kisspeptin-10	Arrestin	Agonist	KISS1R	EC50	0.015141	0.98	-6.8	98.9	104.68	0.038
hCG	Arrestin	Agonist	LHCGR	EC50	0.0016121	0.8	-0.6	100	109.33	0.00567
Leukotriene B4	Arrestin	Agonist	LTB4R	EC50	0.37873	0.64	3	100	100	1.37
Melanotan II	Arrestin	Agonist	MC1R	EC50	0.0002796	1.33	-1.2	100.6	106.83	0.00167
Melanotan II	Arrestin	Agonist	MC3R	EC50	0.0010303	0.81	-3.1	103.7	103.24	0.00299
Melanotan II	Arrestin	Agonist	MC4R	EC50	0.00058887	1.08	-3.5	101.4	102.09	0.00136
Melanotan II	Arrestin	Agonist	MC5R	EC50	0.012762	0.77	-5.5	105.1	104.96	0.026
MCH	Arrestin	Agonist	MCHR1	EC50	0.058683	1.14	-2.4	108.2	104.43	0.218
MCH	Arrestin	Agonist	MCHR2	EC50	0.0056083	1.09	-0.5	100.7	100.79	0.0198
Motilin	Arrestin	Agonist	MLNR	EC50	0.005208	0.92	-3.2	100.6	100.79	0.0171
BAM(8-22)	Arrestin	Agonist	MRGPRX1	EC50	3.7895	1.27	1.5	108.8	103.14	8.58
Cortistatin 14	Arrestin	Agonist	MRGPRX2	EC50	0.23897	0.85	-3	106.9	101.95	0.738
2-Iodomelatonin	Arrestin	Agonist	MTNR1A	EC50	0.00056431	1.18	-10	101	102.19	0.00277
Neuromedin B	Arrestin	Agonist	NMBR	EC50	0.0019177	1.3	-3.5	97.5	100.48	0.00995
Neuromedin U-25	Arrestin	Agonist	NMU1R	EC50	0.0028749	1.3	-1.7	100.3	101.27	0.0109
Neuropeptide W23	Arrestin	Agonist	NPBWR1	EC50	0.0011835	1.77	1.1	100.9	100.17	0.0027
Neuropeptide W23	Arrestin	Agonist	NPBWR2	EC50	0.00095104	1.84	-1.4	102.2	101.13	0.00404
RFRP-3	Arrestin	Agonist	NPFFR1	EC50	0.059757	0.93	-10	102.2	102.19	0.148
Neuropeptide S	Arrestin	Agonist	NPSR1B	EC50	0.021951	0.82	-8.7	101.8	100.76	0.0457
Peptide YY	Arrestin	Agonist	NPY1R	EC50	0.0028894	0.82	-7.7	99.1	100.41	0.0125
Peptide YY	Arrestin	Agonist	NPY2R	EC50	0.0021196	1.96	-0.5	100.2	100.79	0.00522
[Lys 8,9] Neurotensin	Arrestin	Agonist	NTSR1	EC50	0.000085067	1.59	2.3	99.9	102.15	0.000401
DADLE	Arrestin	Agonist	OPRD1	EC50	0.0016588	1.28	-4	100.2	101.54	0.00754
Dynorphin A	Arrestin	Agonist	OPRK1	EC50	0.049033	1.16	1.9	103.6	100.9	0.258
Orphanin FQ	Arrestin	Agonist	OPRL1	EC50	0.012725	1.21	-4.9	100.8	101.49	0.0515
[Met] Enkephalin	Arrestin	Agonist	OPRM1	EC50	0.6347	0.87	-4.7	101.3	106.46	2.1
5-OxoETE	Arrestin	Agonist	OXER1	EC50	1.8185	1.01	-0.7	100	100	6.38
Oxytocin	Arrestin	Agonist	OXTR	EC50	0.0059596	1.22	1.3	107.2	101.81	0.0221
2-methylthio-ADP	Arrestin	Agonist	P2RY1	EC50	0.016643	0.82	2.6	100.3	100.21	0.0414
ATP	Arrestin	Agonist	P2RY11	EC50	394.93	5.17	-0.1	100	100	1290
2-methylthio-ADP	Arrestin	Agonist	P2RY12	EC50	0.00094391	1	-6	98.3	102.49	0.00471
UTP	Arrestin	Agonist	P2RY2	EC50	0.59243	1.66	-5.6	98	103.1	2.58
UTP	Arrestin	Agonist	P2RY4	EC50	0.39628	1.06	-1.2	106.3	101.3	0.93
UTP	Arrestin	Agonist	P2RY6	EC50	0.09423	1.12	1.1	103	101.32	0.225
Pancreatic Polypeptide	Arrestin	Agonist	PPYR1	EC50	0.0016037	1.13	-3.6	98.3	104.4	0.00493
PrRP-31	Arrestin	Agonist	PRLHR	EC50	0.0026408	0.82	-10	100	104.4	0.0108
EG VEGF	Arrestin	Agonist	PROKR1	EC50	0.039994	0.9	-1.3	120.1	110.86	0.16
EG VEGF	Arrestin	Agonist	PROKR2	EC50	0.012877	1.24	-0.9	102.4	101.12	0.0302
PAF	Arrestin	Agonist	PTAFR	EC50	0.0065525	1.87	1.5	97.9	101.24	0.019
Prostaglandin E2	Arrestin	Agonist	PTGER2	EC50	1.4375	0.9	1.9	100	106.77	3.65
Prostaglandin E2	Arrestin	Agonist	PTGER3	EC50	0.0099949	1.19	-1.8	99.5	101.36	0.0378
Prostaglandin E2	Arrestin	Agonist	PTGER4	EC50	0.0017553	1.25	-8.4	99.3	101.82	0.00358
Cloprostenol	Arrestin	Agonist	PTGFR	EC50	0.0093571	1.15	-2.9	95.6	107.94	0.0295
Beraprost	Arrestin	Agonist	PTGIR	EC50	0.77053	0.81	-2.4	104.8	103.14	1.7
PTH(1-34)	Arrestin	Agonist	PTHR1	EC50	0.0012344	1.64	0.1	96.9	102.22	0.00247
TIP-39	Arrestin	Agonist	PTHR2	EC50	0.00076909	1.4	-1.8	95.7	101.06	0.0016
Relaxin-3	Arrestin	Agonist	RXFP3	EC50	0.023973	1.07	-4.7	103.7	109.71	0.188
Secretin	Arrestin	Agonist	SCTR	EC50	0.001206	1.85	-0.5	99	103	0.00477
Somatostatin 28	Arrestin	Agonist	SSTR1	EC50	0.0061574	0.71	-7.8	111	104.21	0.0207
Somatostatin 28	Arrestin	Agonist	SSTR2	EC50	0.0035469	1.15	-1.3	100.6	103.73	0.00838
Tyr-SST 14	Arrestin	Agonist	SSTR3	EC50	0.01308	0.99	-1.2	104.6	102.51	0.0542
Somatostatin 28	Arrestin	Agonist	SSTR5	EC50	0.0079469	1.33	3.2	101.6	100.56	0.0141
Substance P	Arrestin	Agonist	TACR1	EC50	0.003471	2.06	-0.8	101.7	101.79	0.0114
Substance P	Arrestin	Agonist	TACR2	EC50	0.16793	0.76	1.9	100	109.18	0.834
Substance P	Arrestin	Agonist	TACR3	EC50	0.01415	1	-6	98.3	100.35	0.0804
I-BOP	Arrestin	Agonist	TBXA2R	EC50	0.058536	0.82	-5.5	109.4	108.03	0.0874
TRH	Arrestin	Agonist	TRHR	EC50	0.0015109	0.89	-2.7	105.2	102.87	0.0073
TSH	Arrestin	Agonist	TSHR(L)	EC50	0.023069	0.98	0	105.6	104.84	0.0879
Urotensin II	Arrestin	Agonist	UTR2	EC50	0.0015978	1.32	-4.7	100.7	101.95	0.00497
VIP	Arrestin	Agonist	VIPR1	EC50	0.0010821	1.98	5.2	100.5	102.25	0.0037
VIP	Arrestin	Agonist	VIPR2	EC50	0.0014456	2.09	0.6	100	102.28	0.00417

Table 1: Summary of agonist control data for targets tested in gpcrMAX Panel

Agonist dose curves were performed for the targets tested in the study. Assay type, ligand and EC50 obtained and EC80 concentration used are summarized. Graphical results for the control curves are provided at the end of this report.

Results:

GPCR ID	Customer	Control 1	Mean RLU	SD	%CV	Control 2	Mean RLU	SD	%CV	Compound ID	Assay Mode	Conc	Rep 1 RLU	Rep 2 RLU	Mean RLU	SD	%CV	% Activity
ADCYAP1R1	Harvard Univ	Baseline	259280	36359	14%	Max	2201150	31644	1%	HARV-SD 0000	Agonist	100.000	279720	297640	288680	12671	4%	2%
ADORA3	Harvard Univ	Baseline	141820	18675	13%	Max	644840	13313	2%	HARV-SD 0000	Agonist	100.000	131880	141120	136500	6534	5%	-1%
ADRA1B	Harvard Univ	Baseline	162750	14977	9%	Max	1620850	42623	3%	HARV-SD 0000	Agonist	100.000	180040	175840	177940	2970	2%	1%
ADRA2A	Harvard Univ	Baseline	134330	20659	15%	Max	540750	42659	8%	HARV-SD 0000	Agonist	100.000	122920	129920	126420	4950	4%	-2%
ADRA2B	Harvard Univ	Baseline	8050	420	5%	Max	34300	1525	4%	HARV-SD 0000	Agonist	100.000	5880	7000	6440	792	12%	-6%
ADRA2C	Harvard Univ	Baseline	5390	478	9%	Max	23240	997	4%	HARV-SD 0000	Agonist	100.000	5880	6160	6020	198	3%	4%
ADRB1	Harvard Univ	Baseline	112140	10062	9%	Max	531160	27319	5%	HARV-SD 0000	Agonist	100.000	116200	116480	116340	198	0%	1%
ADRB2	Harvard Univ	Baseline	13860	1640	12%	Max	357560	6087	2%	HARV-SD 0000	Agonist	100.000	15120	15960	15540	594	4%	0%
AGTR1	Harvard Univ	Baseline	143360	18055	13%	Max	1379700	39462	3%	HARV-SD 0000	Agonist	100.000	145320	171920	158620	18809	12%	1%
AGTRL1	Harvard Univ	Baseline	119035	12001	10%	Max	572040	14158	2%	HARV-SD 0000	Agonist	100.000	116760	113680	115220	2178	2%	-1%
AVPR1A	Harvard Univ	Baseline	12810	1731	14%	Max	257740	8439	3%	HARV-SD 0000	Agonist	100.000	11760	13720	12740	1386	11%	0%
AVPR1B	Harvard Univ	Baseline	17360	1037	6%	Max	196700	5920	3%	HARV-SD 0000	Agonist	100.000	18200	18760	18480	396	2%	1%
AVPR2	Harvard Univ	Baseline	300790	15665	5%	Max	1451800	47887	3%	HARV-SD 0000	Agonist	100.000	327040	321160	324100	4158	1%	2%
BDKRB1	Harvard Univ	Baseline	23030	2807	12%	Max	171010	2221	1%	HARV-SD 0000	Agonist	100.000	19320	20160	19740	594	3%	-2%
BDKRB2	Harvard Univ	Baseline	346360	23928	7%	Max	3773350	46171	1%	HARV-SD 0000	Agonist	100.000	362040	359520	360780	1782	0%	0%
BRS3	Harvard Univ	Baseline	627900	23589	4%	Max	1626590	13991	1%	HARV-SD 0000	Agonist	100.000	683480	621600	652540	43756	7%	2%
C3AR1	Harvard Univ	Baseline	22225	3442	15%	Max	933170	18578	2%	HARV-SD 0000	Agonist	100.000	24080	25480	24780	990	4%	0%
C5AR1	Harvard Univ	Baseline	142415	10339	7%	Max	611170	25574	4%	HARV-SD 0000	Agonist	100.000	134680	158200	146440	16631	11%	1%
C5L2	Harvard Univ	Baseline	216580	18173	8%	Max	618030	25180	4%	HARV-SD 0000	Agonist	100.000	222040	211400	216720	7524	3%	0%
CALCR	Harvard Univ	Baseline	80255	5115	6%	Max	458500	25003	5%	HARV-SD 0000	Agonist	100.000	73080	75040	74060	1386	2%	-2%
CALCRL-RAMP1	Harvard Univ	Baseline	1019690	61305	6%	Max	8927240	71629	1%	HARV-SD 0000	Agonist	100.000	1139880	1067080	1103480	51477	5%	1%
CALCRL-RAMP2	Harvard Univ	Baseline	1123150	22701	2%	Max	5846330	158196	3%	HARV-SD 0000	Agonist	100.000	1126160	1146320	1136240	14255	1%	0%
CALCRL-RAMP3	Harvard Univ	Baseline	122325	5332	4%	Max	1155350	32781	3%	HARV-SD 0000	Agonist	100.000	134680	142520	138600	5544	4%	2%
CALCR-RAMP2	Harvard Univ	Baseline	36995	5522	15%	Max	401450	12907	3%	HARV-SD 0000	Agonist	100.000	43120	45360	44240	1584	4%	2%
CALCR-RAMP3	Harvard Univ	Baseline	25305	819	3%	Max	59780	2175	4%	HARV-SD 0000	Agonist	100.000	26600	29120	27860	1782	6%	7%
CCKAR	Harvard Univ	Baseline	36750	3419	9%	Max	701470	13890	2%	HARV-SD 0000	Agonist	100.000	36120	33880	35000	1584	5%	0%
CCKBR	Harvard Univ	Baseline	646590	55074	9%	Max	2637670	45234	2%	HARV-SD 0000	Agonist	100.000	704480	729960	717220	18017	3%	4%
CCR10	Harvard Univ	Baseline	23030	2083	9%	Max	217280	4398	2%	HARV-SD 0000	Agonist	100.000	22400	23520	22960	792	3%	0%
CCR1	Harvard Univ	Baseline	742665	52152	7%	Max	1791230	28493	2%	HARV-SD 0000	Agonist	100.000	785400	810320	797860	17621	2%	5%
CCR2	Harvard Univ	Baseline	66570	7112	11%	Max	630210	47227	7%	HARV-SD 0000	Agonist	100.000	78120	79520	78820	990	1%	2%
CCR3	Harvard Univ	Baseline	101150	5344	5%	Max	365820	21471	6%	HARV-SD 0000	Agonist	100.000	106120	106680	106400	396	0%	2%
CCR4	Harvard Univ	Baseline	99925	8594	9%	Max	1331680	67420	5%	HARV-SD 0000	Agonist	100.000	131320	118160	124740	9306	7%	2%
CCR5	Harvard Univ	Baseline	80395	8525	11%	Max	786380	63456	8%	HARV-SD 0000	Agonist	100.000	90440	82600	86520	5544	6%	1%
CCR6	Harvard Univ	Baseline	60900	3491	6%	Max	1081640	79079	7%	HARV-SD 0000	Agonist	100.000	87640	71960	79800	11087	14%	2%
CCR7	Harvard Univ	Baseline	366695	33652	9%	Max	3132010	46699	1%	HARV-SD 0000	Agonist	100.000	435400	437360	436380	1386	0%	3%
CCR8	Harvard Univ	Baseline	16240	1783	11%	Max	744450	35685	5%	HARV-SD 0000	Agonist	100.000	20440	20720	20580	198	1%	1%
CCR9	Harvard Univ	Baseline	63035	6264	10%	Max	1606080	286958	18%	HARV-SD 0000	Agonist	100.000	82600	72520	77560	7128	9%	1%
CHRM1	Harvard Univ	Baseline	604905	51551	9%	Max	2144870	45139	2%	HARV-SD 0000	Agonist	100.000	653240	676200	664720	16235	2%	4%
CHRM2	Harvard Univ	Baseline	30240	4564	15%	Max	505820	11550	2%	HARV-SD 0000	Agonist	100.000	29400	30800	30100	990	3%	0%
CHRM3	Harvard Univ	Baseline	48300	4150	9%	Max	420910	7941	2%	HARV-SD 0000	Agonist	100.000	50120	52920	51520	1980	4%	1%
CHRM4	Harvard Univ	Baseline	864430	30063	3%	Max	1781360	65766	4%	HARV-SD 0000	Agonist	100.000	760480	776720	768600	11483	1%	-10%
CHRM5	Harvard Univ	Baseline	1583190	124921	8%	Max	4261250	54160	1%	HARV-SD 0000	Agonist	100.000	1902320	1884680	1893500	12473	1%	12%
CMKLR1	Harvard Univ	Baseline	26565	2611	10%	Max	2328130	92426	4%	HARV-SD 0000	Agonist	100.000	29400	29120	29260	198	1%	0%
CNR1	Harvard Univ	Baseline	38640	2504	6%	Max	563990	13570	2%	HARV-SD 0000	Agonist	100.000	38080	36960	37520	792	2%	0%
CNR2	Harvard Univ	Baseline	155540	5777	4%	Max	346920	19803	6%	HARV-SD 0000	Agonist	100.000	133000	130200	131600	1980	2%	-13%
CRHR1	Harvard Univ	Baseline	230055	18985	8%	Max	4392290	122482	3%	HARV-SD 0000	Agonist	100.000	269360	274400	271880	3564	1%	1%
CRHR2	Harvard Univ	Baseline	70350	9303	13%	Max	2716280	79759	3%	HARV-SD 0000	Agonist	100.000	74760	70560	72660	2970	4%	0%
CRTH2	Harvard Univ	Baseline	128030	12577	10%	Max	871080	27433	3%	HARV-SD 0000	Agonist	100.000	133280	127120	130200	4356	3%	0%
CX3CR1	Harvard Univ	Baseline	3290	530	16%	Max	82810	3171	4%	HARV-SD 0000	Agonist	100.000	3640	2800	3220	594	18%	0%
CXCR1	Harvard Univ	Baseline	58135	5187	9%	Max	1514380	16086	1%	HARV-SD 0000	Agonist	100.000	56000	58240	57120	1584	3%	0%
CXCR2	Harvard Univ	Baseline	538755	29172	5%	Max	1371790	61939	5%	HARV-SD 0000	Agonist	100.000	520520	528360	524440	5544	1%	-2%
CXCR3	Harvard Univ	Baseline	179550	13540	8%	Max	664930	45132	7%	HARV-SD 0000	Agonist	100.000	157640	165480	161560	5544	3%	-4%
CXCR4	Harvard Univ	Baseline	57260	2446	4%	Max	160300	8286	5%	HARV-SD 0000	Agonist	100.000	64400	63840	64120	396	1%	7%
CXCR5	Harvard Univ	Baseline	100450	5999	6%	Max	521290	52764	10%	HARV-SD 0000	Agonist	100.000	106400	110880	108640	3168	3%	2%
CXCR6	Harvard Univ	Baseline	4655	819	18%	Max	25480	1993	8%	HARV-SD 0000	Agonist	100.000	7280	5320	6300	1386	22%	8%
CXCR7	Harvard Univ	Baseline	224140	23849	11%	Max	2224460	45140	2%	HARV-SD 0000	Agonist	100.000	194600	208040	201320	9504	5%	-1%
DRD1	Harvard Univ	Baseline	89075	9982	11%	Max	894110	50863	6%	HARV-SD 0000	Agonist	100.000	94080	96320	95200	1584	2%	1%
DRD2L	Harvard Univ	Baseline	61320	10020	16%	Max	375620	33697	9%	HARV-SD 0000	Agonist	100.000	67200	64120	65660	2178	3%	1%
DRD2S	Harvard Univ	Baseline	96355	10594	11%	Max	434000	25860	6%	HARV-SD 0000	Agonist	100.000	102760	104160	103460	990	1%	2%
DRD3	Harvard Univ	Baseline	240380	25989	11%	Max	769160	22988	3%	HARV-SD 0000	Agonist	100.000	300440	311640	306040	7920	3%	12%
DRD4	Harvard Univ	Baseline	15470	1523	10%	Max	50610	2841	6%	HARV-SD 0000	Agonist	100.000	14000	11760	12880	1584	12%	-7%
DRD5	Harvard Univ	Baseline	9590	1441	15%	Max	111510	6864	6%	HARV-SD 0000	Agonist	100.000	8400	8680	8540	198	2%	-1%
EBI2	Harvard Univ	Baseline	23765	1937	8%	Max	568960	30571	5%	HARV-SD 0000	Agonist	100.000	29400	27160	28280	1584	6%	1%
EDG1	Harvard Univ	Baseline	37135	3089	8%	Max	668150	72702	11%	HARV-SD 0000	Agonist	100.000	41160	45080	43120	2772	6%	1%
EDG3	Harvard Univ	Baseline	610015	42263	7%	Max	4480140	140520	3%	HARV-SD 0000	Agonist	100.000	668360	654920	661640	9504	1%	1%
EDG4	Harvard Univ	Baseline	491190	15064	3%	Max	1144780	66103	6%	HARV-SD 0000	Agonist	100.000	514360	513520	513940	594	0%	3%
EDG5	Harvard Univ	Baseline	136045	17430	13%	Max	1831480	65372	4%	HARV-SD 0000	Agonist	100.000	124320	136080	130200	8316	6%	0%
EDG6	Harvard Univ	Baseline	421167	27849	7%	117946.268	920220	117946	13%	HARV-SD 0000	Agonist	100.000	416920	397040	406980	14057	3%	-3%
EDG7	Harvard Univ	Baseline	178080	18996	11%	Max	997360	35227	4%	HARV-SD 0000	Agonist	100.000	166880	154280	160580	8910	6%	-2%
EDNRA	Harvard Univ	Baseline	8890	1532	17%	Max	215950	5560	3%	HARV-SD 0000	Agonist	100.000	8680	7280	7980	990	12%	0%
EDNRB	Harvard Univ	Baseline	73220	6529	9%	Max	1010590	35674	4%	HARV-SD 0000	Agonist	100.000	80360	77840	79100	1782	2%	1%
F2R	Harvard Univ	Baseline	50680	6508	13%	Max	358820	22373	6%	HARV-SD 0000	Agonist	100.000	64400	50960	57680	9504	16%	2%
F2RL1	Harvard Univ	Baseline	253155	8775	3%	Max	1189230	62998	5%	HARV-SD 0000	Agonist	100.000	266840	261520	264180	3762	1%	1%
F2RL3	Harvard Univ	Baseline	728840	43270	6%	Max	4033330	135832	3%	HARV-SD 0000	Agonist	100.000	760480	664720	712600	67713	10%	0%
FFAR1	Harvard Univ	Baseline	99050	804	1%	Max	192080	10765	6%	HARV-SD 0000	Agonist	100.000	100240	108640	104440	5940	6%	6%
FPR1	Harvard Univ	Baseline	1011080	34830	3%	Max	2443280	125055	5%	HARV-SD 0000	Agonist	100.000	929600	1035160	982380	74642	8%	-2%
FPRL1	Harvard Univ	Baseline	45395	5629	12%	Max	2170630	126301	6%	HARV-SD 0000	Agonist	100.000	50680	49280	49980	990	2%	0%
FSHR	Harvard Univ	Baseline	91875	10105	11%	Max	394240	14777	4%	HARV-SD 0000	Agonist	100.000	109200	90160	99680	13463	14%	3%
GALR1	Harvard Univ	Baseline	266000	8594	3%	Max	5348140	184509	3%	HARV-SD 0000	Agonist	100.000	312200	288960	300580	16433	5%	1%
GALR2	Harvard Univ	Baseline	207830	5349	3%	Max	1280860	80873	6%	HARV-SD 0000	Agonist	100.000	198240	215880	207060	12473	6%	0%
GCGR	Harvard Univ	Baseline	163380	19009	12%	Max	1318170	29080	2%	HARV-SD 0000	Agonist	100.000	174720	184800	179760	7128	4%	1%
GHSR	Harvard Univ	Baseline	342125	21264	6%	Max	1227870	17853	1%	HARV-SD 0000	Agonist	100.000	330120	323400	326760	4752	1%	-2%
GIPR	Harvard Univ	Baseline	9520	1069	11%	Max	50680	3505	7%	HARV-SD 0000	Agonist	100.000	9520	10640	10080	792	8%	1%
GLP1R	Harvard Univ	Baseline	66290	2580	4%	Max	1921850	31589	2%	HARV-SD 0000	Agonist	100.000	80080	70280	75180	6930	9%	0%

Table 2: Agonist activity for compounds tested in gpcrMAX Panel

Compounds were tested at the concentration shown in the table. Basal and maximal agonist response control values are given. Raw activity (RLU units) of individual replicates and mean RLU and percentage activity are shown. Percentage activity was calculated relative to the basal and maximal control agonist values for each GPCR target.

Results:

GPCR ID	Customer	Control 1	Mean RLU	SD	%CV	Control 2	Mean RLU	SD	%CV	Compound ID	Assay Mode	Conc (uM)	Rep 1 RLU	Rep 2 RLU	Mean RLU	SD	%CV	% Activity
GLP2R	Harvard Univ	Baseline	65835	9772	15%	Max	665980	62073	9%	HARV-SD 0000	Agonist	100.000	64960	62160	63560	1980	3%	0%
GPR1	Harvard Univ	Baseline	44380	6668	15%	Max	927360	57042	6%	HARV-SD 0000	Agonist	100.000	40880	44800	42840	2772	6%	0%
GPR103	Harvard Univ	Baseline	47460	4870	10%	Max	145460	3676	3%	HARV-SD 0000	Agonist	100.000	44240	47600	45920	2376	5%	-2%
GPR109A	Harvard Univ	Baseline	124040	12070	10%	Max	528710	47700	9%	HARV-SD 0000	Agonist	100.000	117880	115080	116480	1980	2%	-2%
GPR109B	Harvard Univ	Baseline	275905	24101	9%	Max	2893800	57417	2%	HARV-SD 0000	Agonist	100.000	333480	317800	325640	11087	3%	2%
GPR119	Harvard Univ	Baseline	194565	12703	7%	Max	505540	9722	2%	HARV-SD 0000	Agonist	100.000	215320	223160	219240	5544	3%	8%
GPR120	Harvard Univ	Baseline	11620	970	8%	Max	57260	7775	14%	HARV-SD 0000	Agonist	100.000	12040	12320	12180	198	2%	1%
GPR35	Harvard Univ	Baseline	101395	18500	18%	Max	514920	52103	10%	HARV-SD 0000	Agonist	100.000	102760	116480	109620	9702	9%	2%
GPR92	Harvard Univ	Baseline	196490	18767	10%	Max	836290	51737	6%	HARV-SD 0000	Agonist	100.000	187320	190400	188860	2178	1%	-1%
GRPR	Harvard Univ	Baseline	24150	1898	8%	Max	740390	13345	2%	HARV-SD 0000	Agonist	100.000	24360	22400	23380	1386	6%	0%
HCRTR1	Harvard Univ	Baseline	60550	8770	14%	Max	2140460	71556	3%	HARV-SD 0000	Agonist	100.000	68880	75040	71960	4356	6%	1%
HCRTR2	Harvard Univ	Baseline	42840	4422	10%	Max	2184840	45420	2%	HARV-SD 0000	Agonist	100.000	50960	54320	52640	2376	5%	0%
HRH1	Harvard Univ	Baseline	203140	20244	10%	Max	1372910	43609	3%	HARV-SD 0000	Agonist	100.000	186200	185920	186060	198	0%	-1%
HRH2	Harvard Univ	Baseline	55767	4429	8%	Max	258580	19456	8%	HARV-SD 0000	Agonist	100.000	53200	63000	58100	6930	12%	1%
HRH3	Harvard Univ	Baseline	35035	3680	11%	Max	131390	5073	4%	HARV-SD 0000	Agonist	100.000	35840	29960	32900	4158	13%	-2%
HRH4	Harvard Univ	Baseline	288750	11889	4%	Max	909650	22420	2%	HARV-SD 0000	Agonist	100.000	302120	284200	293160	12671	4%	1%
HTR1A	Harvard Univ	Baseline	590100	53457	9%	Max	3414740	74059	2%	HARV-SD 0000	Agonist	100.000	623840	603680	613760	14255	2%	1%
HTR1B	Harvard Univ	Baseline	606060	42236	7%	Max	2026430	132896	7%	HARV-SD 0000	Agonist	100.000	668640	673960	671300	3762	1%	5%
HTR1E	Harvard Univ	Baseline	16485	1052	6%	Max	57960	1210	2%	HARV-SD 0000	Agonist	100.000	18200	18760	18480	396	2%	5%
HTR1F	Harvard Univ	Baseline	185780	19273	10%	Max	633010	15617	2%	HARV-SD 0000	Agonist	100.000	192640	202720	197680	7128	4%	3%
HTR2A	Harvard Univ	Baseline	173180	13316	8%	Max	1572900	30464	2%	HARV-SD 0000	Agonist	100.000	223160	232120	227640	6336	3%	4%
HTR2C	Harvard Univ	Baseline	553070	61172	11%	Max	2682260	47755	2%	HARV-SD 0000	Agonist	100.000	612360	608160	610260	2970	0%	3%
HTR5A	Harvard Univ	Baseline	351645	26728	8%	Max	2416960	74993	3%	HARV-SD 0000	Agonist	100.000	413000	421680	417340	6138	1%	3%
KISS1R	Harvard Univ	Baseline	21385	1814	8%	Max	112490	7037	6%	HARV-SD 0000	Agonist	100.000	22960	19040	21000	2772	13%	0%
LHCGR	Harvard Univ	Baseline	29785	3258	11%	Max	222740	21872	10%	HARV-SD 0000	Agonist	100.000	40040	36680	38360	2376	6%	4%
LTB4R	Harvard Univ	Baseline	99120	9226	9%	Max	1787800	38014	2%	HARV-SD 0000	Agonist	100.000	108360	116760	112560	5940	5%	1%
MC1R	Harvard Univ	Baseline	9590	920	10%	Max	42280	511	1%	HARV-SD 0000	Agonist	100.000	10360	10360	10360	0	0%	2%
MC3R	Harvard Univ	Baseline	4900	496	10%	Max	33320	1756	5%	HARV-SD 0000	Agonist	100.000	3640	4200	3920	396	10%	-3%
MC4R	Harvard Univ	Baseline	18970	1933	10%	Max	153230	7831	5%	HARV-SD 0000	Agonist	100.000	19880	20440	20160	396	2%	1%
MC5R	Harvard Univ	Baseline	49350	3936	8%	Max	161280	7327	5%	HARV-SD 0000	Agonist	100.000	46760	46480	46620	198	0%	-2%
MCHR1	Harvard Univ	Baseline	12460	1296	10%	Max	83300	5537	7%	HARV-SD 0000	Agonist	100.000	15120	12320	13720	1980	14%	2%
MCHR2	Harvard Univ	Baseline	43365	1884	4%	Max	365610	8595	2%	HARV-SD 0000	Agonist	100.000	40880	43960	42420	2178	5%	0%
MLNR	Harvard Univ	Baseline	52640	5877	11%	Max	679350	10052	1%	HARV-SD 0000	Agonist	100.000	61040	55720	58380	3762	6%	1%
MRGPRX1	Harvard Univ	Baseline	400785	29834	7%	Max	2269330	78598	3%	HARV-SD 0000	Agonist	100.000	439600	452200	445900	8910	2%	2%
MRGPRX2	Harvard Univ	Baseline	138565	16836	12%	Max	1923180	41969	2%	HARV-SD 0000	Agonist	100.000	165480	167160	166320	1188	1%	2%
MTNR1A	Harvard Univ	Baseline	29540	1381	5%	Max	132230	2868	2%	HARV-SD 0000	Agonist	100.000	31920	40040	35980	5742	16%	6%
NMBR	Harvard Univ	Baseline	5787	422	7%	Max	65520	2452	4%	HARV-SD 0000	Agonist	100.000	3640	4480	4060	594	15%	-3%
NMU1R	Harvard Univ	Baseline	33530	2626	8%	Max	677950	6973	1%	HARV-SD 0000	Agonist	100.000	34440	34440	34440	0	0%	0%
NPBWR1	Harvard Univ	Baseline	86695	9111	11%	Max	294210	8442	3%	HARV-SD 0000	Agonist	100.000	76720	83720	80220	4950	6%	-3%
NPBWR2	Harvard Univ	Baseline	42945	4984	12%	Max	396900	6974	2%	HARV-SD 0000	Agonist	100.000	50680	50960	50820	198	0%	2%
NPFFR1	Harvard Univ	Baseline	67235	4160	6%	Max	192360	6352	3%	HARV-SD 0000	Agonist	100.000	69440	70840	70140	990	1%	2%
NPSR1B	Harvard Univ	Baseline	29750	2198	7%	Max	174440	6344	4%	HARV-SD 0000	Agonist	100.000	26600	27720	27160	792	3%	-2%
NPY1R	Harvard Univ	Baseline	84875	8517	10%	Max	542290	17028	3%	HARV-SD 0000	Agonist	100.000	89880	83720	86800	4356	5%	0%
NPY2R	Harvard Univ	Baseline	82530	10201	12%	Max	2133600	36130	2%	HARV-SD 0000	Agonist	100.000	94080	98000	96040	2772	3%	1%
NTSR1	Harvard Univ	Baseline	1623300	58638	4%	Max	5909470	77363	1%	HARV-SD 0000	Agonist	100.000	1692600	1776040	1734320	59001	3%	3%
OPRD1	Harvard Univ	Baseline	72100	6003	8%	Max	724500	11874	2%	HARV-SD 0000	Agonist	100.000	66640	64960	65800	1188	2%	-1%
OPRK1	Harvard Univ	Baseline	28385	3708	13%	Max	161210	9060	6%	HARV-SD 0000	Agonist	100.000	28280	29960	29120	1188	4%	1%
OPRL1	Harvard Univ	Baseline	192255	16294	8%	Max	932540	33652	4%	HARV-SD 0000	Agonist	100.000	207200	194600	200900	8910	4%	1%
OPRM1	Harvard Univ	Baseline	68355	6828	10%	Max	924840	63993	7%	HARV-SD 0000	Agonist	100.000	72800	72520	72660	198	0%	1%
OXER1	Harvard Univ	Baseline	63770	8527	13%	Max	206360	6732	3%	HARV-SD 0000	Agonist	100.000	61880	53760	57820	5742	10%	-4%
OXTR	Harvard Univ	Baseline	21805	2282	10%	Max	852320	50928	6%	HARV-SD 0000	Agonist	100.000	25480	26320	25900	594	2%	0%
P2RY1	Harvard Univ	Baseline	118685	4390	4%	Max	451850	4916	1%	HARV-SD 0000	Agonist	100.000	122920	117880	120400	3564	3%	1%
P2RY11	Harvard Univ	Baseline	2170	268	12%	Max	11480	396	3%	HARV-SD 0000	Agonist	100.000	1960	1400	1680	396	24%	-5%
P2RY12	Harvard Univ	Baseline	8120	923	11%	Max	36400	229	1%	HARV-SD 0000	Agonist	100.000	6720	6720	6720	0	0%	-5%
P2RY2	Harvard Univ	Baseline	331765	18159	5%	Max	882280	28517	3%	HARV-SD 0000	Agonist	100.000	309120	376040	342580	47320	14%	2%
P2RY4	Harvard Univ	Baseline	40530	2244	6%	Max	92330	3028	3%	HARV-SD 0000	Agonist	100.000	35280	34720	35000	396	1%	-11%
P2RY6	Harvard Univ	Baseline	76230	7063	9%	Max	581910	30036	5%	HARV-SD 0000	Agonist	100.000	63000	79240	71120	11483	16%	-1%
PPYR1	Harvard Univ	Baseline	7385	1159	16%	Max	110390	5879	5%	HARV-SD 0000	Agonist	100.000	9520	9800	9660	198	2%	2%
PRLHR	Harvard Univ	Baseline	26880	3157	12%	Max	142730	18972	13%	HARV-SD 0000	Agonist	100.000	32480	30520	31500	1386	4%	4%
PROKR1	Harvard Univ	Baseline	30450	3717	12%	Max	346080	40360	12%	HARV-SD 0000	Agonist	100.000	35840	30800	33320	3564	11%	1%
PROKR2	Harvard Univ	Baseline	7770	1539	20%	Max	107660	6753	6%	HARV-SD 0000	Agonist	100.000	9240	7280	8260	1386	17%	0%
PTAFR	Harvard Univ	Baseline	115360	4845	4%	Max	954730	41328	4%	HARV-SD 0000	Agonist	100.000	116760	119560	118160	1980	2%	0%
PTGER2	Harvard Univ	Baseline	3010	140	5%	Max	13160	605	5%	HARV-SD 0000	Agonist	100.000	2520	2800	2660	198	7%	-3%
PTGER3	Harvard Univ	Baseline	86275	4287	5%	Max	506450	34397	7%	HARV-SD 0000	Agonist	100.000	81760	85680	83720	2772	3%	-1%
PTGER4	Harvard Univ	Baseline	241535	11063	5%	Max	545370	17124	3%	HARV-SD 0000	Agonist	100.000	255640	247800	251720	5544	2%	3%
PTGFR	Harvard Univ	Baseline	2485	179	7%	Max	87080	9041	10%	HARV-SD 0000	Agonist	100.000	1960	2520	2240	396	18%	0%
PTGIR	Harvard Univ	Baseline	104160	8719	8%	Max	463470	31976	7%	HARV-SD 0000	Agonist	100.000	106680	98560	102620	5742	6%	0%
PTHR1	Harvard Univ	Baseline	54915	3643	7%	Max	2604000	72157	3%	HARV-SD 0000	Agonist	100.000	52920	65240	59080	8712	15%	0%
PTHR2	Harvard Univ	Baseline	66360	9030	14%	Max	1618470	63302	4%	HARV-SD 0000	Agonist	100.000	72240	71960	72100	198	0%	0%
RXFP3	Harvard Univ	Baseline	49607	2841	6%	Max	198310	19095	10%	HARV-SD 0000	Agonist	100.000	67200	65520	66360	1188	2%	11%
SCTR	Harvard Univ	Baseline	209790	16594	8%	Max	2204300	138419	6%	HARV-SD 0000	Agonist	100.000	253120	265160	259140	8514	3%	2%
SSTR1	Harvard Univ	Baseline	7770	1157	15%	Max	25550	577	2%	HARV-SD 0000	Agonist	100.000	8120	7840	7980	198	2%	1%
SSTR2	Harvard Univ	Baseline	7700	1035	13%	Max	551320	44686	8%	HARV-SD 0000	Agonist	100.000	7000	7000	7000	0	0%	0%
SSTR3	Harvard Univ	Baseline	50645	7544	15%	Max	395150	47386	12%	HARV-SD 0000	Agonist	100.000	47880	50960	49420	2178	4%	0%
SSTR5	Harvard Univ	Baseline	77875	7070	9%	Max	410550	19762	5%	HARV-SD 0000	Agonist	100.000	59640	61320	60480	1188	2%	-5%
TACR1	Harvard Univ	Baseline	403165	73888	18%	Max	4257960	189011	4%	HARV-SD 0000	Agonist	100.000	404600	401520	403060	2178	1%	0%
TACR2	Harvard Univ	Baseline	213850	20830	10%	Max	1574860	184879	12%	HARV-SD 0000	Agonist	100.000	284480	262360	273420	15641	6%	4%
TACR3	Harvard Univ	Baseline	150780	9568	6%	Max	1885450	27905	1%	HARV-SD 0000	Agonist	100.000	158200	163240	160720	3564	2%	1%
TBXA2R	Harvard Univ	Baseline	72625	5363	7%	Max	520380	33638	6%	HARV-SD 0000	Agonist	100.000	62440	63000	62720	396	1%	-2%
TRHR	Harvard Univ	Baseline	15680	2252	14%	Max	231560	6559	3%	HARV-SD 0000	Agonist	100.000	12040	14560	13300	1782	13%	-1%
TSHR(L)	Harvard Univ	Baseline	7210	1361	19%	Max	66710	3869	6%	HARV-SD 0000	Agonist	100.000	7280	7280	7280	0	0%	0%
UTR2	Harvard Univ	Baseline	62020	4875	8%	Max	238420	3963	2%	HARV-SD 0000	Agonist	100.000	56280	57400	56840	792	1%	-3%
VIPR1	Harvard Univ	Baseline	278005	30723	11%	Max	3197320	43968	1%	HARV-SD 0000	Agonist	100.000	270760	276640	273700	4158	2%	0%
VIPR2	Harvard Univ	Baseline	237265	15329	6%	Max	2212210	39303	2%	HARV-SD 0000	Agonist	100.000	238560	227640	233100	7722	3%	0%

Table 2: Agonist activity for compounds tested in gpcrMAX Panel

Compounds were tested at the concentration shown in the table. Basal and maximal agonist response control values are given. Raw activity (RLU units) of individual replicates and mean RLU and percentage activity are shown. Percentage activity was calculated relative to the basal and maximal control agonist values for each GPCR target.

Results:

GPCR ID	Customer	Control 1	Mean RLU	SD	%CV	Control 2	Mean RLU	SD	%CV	Compound ID	Assay Mode	Conc (uM)	Rep 1 RLU	Rep 2 RLU	Mean RLU	SD	%CV	% Inhibition
ADCYAP1R1	Harvard Univ	EC80	2212980	167258	8%	Basal	259280	36359	14%	HARV-SD 0000	Antagonist	100.000	2260720	2206400	2233560	38410	2%	-1%
ADORA3	Harvard Univ	EC80	469700	16863	4%	Basal	141820	18675	13%	HARV-SD 0000	Antagonist	100.000	509880	519680	514780	6930	1%	-14%
ADRA1B	Harvard Univ	EC80	1265950	37812	3%	Basal	162750	14977	9%	HARV-SD 0000	Antagonist	100.000	1205680	1224720	1215200	13463	1%	5%
ADRA2A	Harvard Univ	EC80	355040	10854	3%	Basal	134330	20659	15%	HARV-SD 0000	Antagonist	100.000	323120	350840	336980	19601	6%	8%
ADRA2B	Harvard Univ	EC80	24885	2207	9%	Basal	8050	420	5%	HARV-SD 0000	Antagonist	100.000	27720	28280	28000	396	1%	-19%
ADRA2C	Harvard Univ	EC80	14000	638	5%	Basal	5390	478	9%	HARV-SD 0000	Antagonist	100.000	11480	13720	12600	1584	13%	16%
ADRB1	Harvard Univ	EC80	402430	22468	6%	Basal	112140	10062	9%	HARV-SD 0000	Antagonist	100.000	406840	423360	415100	11681	3%	-4%
ADRB2	Harvard Univ	EC80	211190	29878	14%	Basal	13860	1640	12%	HARV-SD 0000	Antagonist	100.000	259280	253960	256620	3762	1%	-23%
AGTR1	Harvard Univ	EC80	1114925	71685	6%	Basal	143360	18055	13%	HARV-SD 0000	Antagonist	100.000	1046080	1107400	1076740	43360	4%	4%
AGTRL1	Harvard Univ	EC80	398440	21340	5%	Basal	119035	12001	10%	HARV-SD 0000	Antagonist	100.000	404040	460040	432040	39598	9%	-12%
AVPR1A	Harvard Univ	EC80	162330	9165	6%	Basal	12810	1731	14%	HARV-SD 0000	Antagonist	100.000	174160	190400	182280	11483	6%	-13%
AVPR1B	Harvard Univ	EC80	164010	7243	4%	Basal	17360	1037	6%	HARV-SD 0000	Antagonist	100.000	171360	171920	171640	396	0%	-5%
AVPR2	Harvard Univ	EC80	1439690	72582	5%	Basal	300790	15665	5%	HARV-SD 0000	Antagonist	100.000	1495480	1470280	1482880	17819	1%	-4%
BDKRB1	Harvard Univ	EC80	142450	2831	2%	Basal	23030	2807	12%	HARV-SD 0000	Antagonist	100.000	144480	151480	147980	4950	3%	-5%
BDKRB2	Harvard Univ	EC80	3659950	123699	3%	Basal	346360	23928	7%	HARV-SD 0000	Antagonist	100.000	3634400	3754240	3694320	84740	2%	-1%
BRS3	Harvard Univ	EC80	1249430	20555	2%	Basal	627900	23589	4%	HARV-SD 0000	Antagonist	100.000	1046920	1168440	1107680	85928	8%	23%
C3AR1	Harvard Univ	EC80	561645	70328	13%	Basal	22225	3442	15%	HARV-SD 0000	Antagonist	100.000	597520	541240	569380	39796	7%	-1%
C5AR1	Harvard Univ	EC80	575015	34550	6%	Basal	142415	10339	7%	HARV-SD 0000	Antagonist	100.000	649040	579880	614460	48904	8%	-9%
C5L2	Harvard Univ	EC80	569765	46981	8%	Basal	216580	18173	8%	HARV-SD 0000	Antagonist	100.000	550480	589120	569800	27323	5%	0%
CALCR	Harvard Univ	EC80	445655	24112	5%	Basal	80255	5115	6%	HARV-SD 0000	Antagonist	100.000	507080	470120	488600	26135	5%	-12%
CALCRL-RAMP1	Harvard Univ	EC80	8741005	542696	6%	Basal	1019690	61305	6%	HARV-SD 0000	Antagonist	100.000	9141720	9394280	9268000	178587	2%	-7%
CALCRL-RAMP2	Harvard Univ	EC80	4996040	223919	4%	Basal	1123150	22701	2%	HARV-SD 0000	Antagonist	100.000	5089560	5104680	5097120	10691	0%	-3%
CALCRL-RAMP3	Harvard Univ	EC80	1038170	37816	4%	Basal	122325	5332	4%	HARV-SD 0000	Antagonist	100.000	1059240	1113560	1086400	38410	4%	-5%
CALCR-RAMP2	Harvard Univ	EC80	287105	17611	6%	Basal	36995	5522	15%	HARV-SD 0000	Antagonist	100.000	310520	314440	312480	2772	1%	-10%
CALCR-RAMP3	Harvard Univ	EC80	56525	4518	8%	Basal	25305	819	3%	HARV-SD 0000	Antagonist	100.000	54040	59920	56980	4158	7%	-1%
CCKAR	Harvard Univ	EC80	595980	35552	6%	Basal	36750	3419	9%	HARV-SD 0000	Antagonist	100.000	625800	610400	618100	10889	2%	-4%
CCKBR	Harvard Univ	EC80	2490985	87052	3%	Basal	646590	55074	9%	HARV-SD 0000	Antagonist	100.000	2537640	2659720	2598680	86324	3%	-6%
CCR10	Harvard Univ	EC80	216510	11696	5%	Basal	23030	2083	9%	HARV-SD 0000	Antagonist	100.000	216440	224000	220220	5346	2%	-2%
CCR1	Harvard Univ	EC80	1666000	23253	1%	Basal	742665	52152	7%	HARV-SD 0000	Antagonist	100.000	1630160	1597400	1613780	23165	1%	6%
CCR2	Harvard Univ	EC80	634025	81921	13%	Basal	66570	7112	11%	HARV-SD 0000	Antagonist	100.000	602560	628320	615440	18215	3%	3%
CCR3	Harvard Univ	EC80	300300	16413	5%	Basal	101150	5344	5%	HARV-SD 0000	Antagonist	100.000	322000	325920	323960	2772	1%	-12%
CCR4	Harvard Univ	EC80	1046290	82681	8%	Basal	99925	8594	9%	HARV-SD 0000	Antagonist	100.000	1044960	1062600	1053780	12473	1%	-1%
CCR5	Harvard Univ	EC80	614075	31036	5%	Basal	80395	8525	11%	HARV-SD 0000	Antagonist	100.000	610120	591360	600740	13265	2%	2%
CCR6	Harvard Univ	EC80	804055	64518	8%	Basal	60900	3491	6%	HARV-SD 0000	Antagonist	100.000	822920	846720	834820	16829	2%	-4%
CCR7	Harvard Univ	EC80	2841195	122357	4%	Basal	366695	33652	9%	HARV-SD 0000	Antagonist	100.000	2679600	3026240	2852920	245111	9%	0%
CCR8	Harvard Univ	EC80	564130	22559	4%	Basal	16240	1783	11%	HARV-SD 0000	Antagonist	100.000	601720	601440	601580	198	0%	-7%
CCR9	Harvard Univ	EC80	1271550	39807	3%	Basal	63035	6264	10%	HARV-SD 0000	Antagonist	100.000	1312640	1326080	1319360	9504	1%	-4%
CHRM1	Harvard Univ	EC80	1665965	107258	6%	Basal	604905	51551	9%	HARV-SD 0000	Antagonist	100.000	1793400	1729000	1761200	45538	3%	-9%
CHRM2	Harvard Univ	EC80	374570	21133	6%	Basal	30240	4564	15%	HARV-SD 0000	Antagonist	100.000	373800	371560	372680	1584	0%	1%
CHRM3	Harvard Univ	EC80	358155	30602	9%	Basal	48300	4150	9%	HARV-SD 0000	Antagonist	100.000	368200	375200	371700	4950	1%	-4%
CHRM4	Harvard Univ	EC80	1687770	122763	7%	Basal	864430	30063	3%	HARV-SD 0000	Antagonist	100.000	1500520	1481760	1491140	13265	1%	24%
CHRM5	Harvard Univ	EC80	3562440	176973	5%	Basal	1583190	124921	8%	HARV-SD 0000	Antagonist	100.000	3572520	3716160	3644340	101569	3%	-4%
CMKLR1	Harvard Univ	EC80	1789165	49370	3%	Basal	26565	2611	10%	HARV-SD 0000	Antagonist	100.000	1820840	1773240	1797040	33658	2%	0%
CNR1	Harvard Univ	EC80	447685	22280	5%	Basal	38640	2504	6%	HARV-SD 0000	Antagonist	100.000	444360	414680	429520	20987	5%	4%
CNR2	Harvard Univ	EC80	291620	10164	3%	Basal	155540	5777	4%	HARV-SD 0000	Antagonist	100.000	298760	281120	289940	12473	4%	1%
CRHR1	Harvard Univ	EC80	4427570	108395	2%	Basal	230055	18985	8%	HARV-SD 0000	Antagonist	100.000	4520600	4285400	4403000	166312	4%	1%
CRHR2	Harvard Univ	EC80	2321270	152756	7%	Basal	70350	9303	13%	HARV-SD 0000	Antagonist	100.000	2240840	2373000	2306920	93451	4%	1%
CRTH2	Harvard Univ	EC80	727895	31278	4%	Basal	128030	12577	10%	HARV-SD 0000	Antagonist	100.000	741720	729120	735420	8910	1%	-1%
CX3CR1	Harvard Univ	EC80	64190	4800	7%	Basal	3290	530	16%	HARV-SD 0000	Antagonist	100.000	64120	61600	62860	1782	3%	2%
CXCR1	Harvard Univ	EC80	1531320	31447	2%	Basal	58135	5187	9%	HARV-SD 0000	Antagonist	100.000	1574440	1527400	1550920	33262	2%	-1%
CXCR2	Harvard Univ	EC80	1226155	51802	4%	Basal	538755	29172	5%	HARV-SD 0000	Antagonist	100.000	1321040	1203720	1262380	82958	7%	-5%
CXCR3	Harvard Univ	EC80	654360	57333	9%	Basal	179550	13540	8%	HARV-SD 0000	Antagonist	100.000	665000	653800	659400	7920	1%	-1%
CXCR4	Harvard Univ	EC80	115341	6644	6%	Basal	57260	2446	4%	HARV-SD 0000	Antagonist	100.000	135240	122080	128660	9306	7%	-23%
CXCR5	Harvard Univ	EC80	572320	37207	7%	Basal	100450	5999	6%	HARV-SD 0000	Antagonist	100.000	591080	561120	576100	21185	4%	-1%
CXCR6	Harvard Univ	EC80	18725	1942	10%	Basal	4655	819	18%	HARV-SD 0000	Antagonist	100.000	18480	19320	18900	594	3%	-1%
CXCR7	Harvard Univ	EC80	2232335	198258	9%	Basal	224140	23849	11%	HARV-SD 0000	Antagonist	100.000	2150960	2038400	2094680	79592	4%	7%
DRD1	Harvard Univ	EC80	860160	42318	5%	Basal	89075	9982	11%	HARV-SD 0000	Antagonist	100.000	948640	954520	951580	4158	0%	-12%
DRD2L	Harvard Univ	EC80	297535	21772	7%	Basal	61320	10020	16%	HARV-SD 0000	Antagonist	100.000	312480	341880	327180	20789	6%	-13%
DRD2S	Harvard Univ	EC80	357665	24011	7%	Basal	96355	10594	11%	HARV-SD 0000	Antagonist	100.000	358960	381640	370300	16037	4%	-5%
DRD3	Harvard Univ	EC80	587160	34777	6%	Basal	240380	25989	11%	HARV-SD 0000	Antagonist	100.000	605920	604240	605080	1188	0%	-5%
DRD4	Harvard Univ	EC80	37100	1425	4%	Basal	15470	1523	10%	HARV-SD 0000	Antagonist	100.000	38920	34160	36540	3366	9%	3%
DRD5	Harvard Univ	EC80	82775	7649	9%	Basal	9590	1441	15%	HARV-SD 0000	Antagonist	100.000	78400	80360	79380	1386	2%	5%
EBI2	Harvard Univ	EC80	498225	23244	5%	Basal	23765	1937	8%	HARV-SD 0000	Antagonist	100.000	521080	497000	509040	17027	3%	-2%
EDG1	Harvard Univ	EC80	416360	39741	10%	Basal	37135	3089	8%	HARV-SD 0000	Antagonist	100.000	418600	385840	402220	23165	6%	4%
EDG3	Harvard Univ	EC80	4354280	246731	6%	Basal	610015	42263	7%	HARV-SD 0000	Antagonist	100.000	4271960	4382280	4327120	78008	2%	1%
EDG4	Harvard Univ	EC80	1086820	84288	8%	Basal	491190	15064	3%	HARV-SD 0000	Antagonist	100.000	1105160	1079400	1092280	18215	2%	-1%
EDG5	Harvard Univ	EC80	1791545	118934	7%	Basal	136045	17430	13%	HARV-SD 0000	Antagonist	100.000	1953280	2103920	2028600	106519	5%	-14%
EDG6	Harvard Univ	EC80	815360	58333	7%	Basal	421167	27849	7%	HARV-SD 0000	Antagonist	100.000	842520	855120	848820	8910	1%	-8%
EDG7	Harvard Univ	EC80	848015	49498	6%	Basal	178080	18996	11%	HARV-SD 0000	Antagonist	100.000	568680	659680	614180	64347	10%	35%
EDNRA	Harvard Univ	EC80	217560	7906	4%	Basal	8890	1532	17%	HARV-SD 0000	Antagonist	100.000	222040	239400	230720	12275	5%	-6%
EDNRB	Harvard Univ	EC80	946365	109008	12%	Basal	73220	6529	9%	HARV-SD 0000	Antagonist	100.000	1072400	1028440	1050420	31084	3%	-12%
F2R	Harvard Univ	EC80	268520	17739	7%	Basal	50680	6508	13%	HARV-SD 0000	Antagonist	100.000	270760	288680	279720	12671	5%	-5%
F2RL1	Harvard Univ	EC80	1071455	43789	4%	Basal	253155	8775	3%	HARV-SD 0000	Antagonist	100.000	1156120	1162840	1159480	4752	0%	-11%
F2RL3	Harvard Univ	EC80	2759225	176363	6%	Basal	728840	43270	6%	HARV-SD 0000	Antagonist	100.000	2614080	2519720	2566900	66723	3%	9%
FFAR1	Harvard Univ	EC80	192360	14425	7%	Basal	99050	804	1%	HARV-SD 0000	Antagonist	100.000	202720	209160	205940	4554	2%	-15%
FPR1	Harvard Univ	EC80	1924347	86121	4%	Basal	1011080	34830	3%	HARV-SD 0000	Antagonist	100.000	1571080	1442000	1506540	91273	6%	46%
FPRL1	Harvard Univ	EC80	1368185	43600	3%	Basal	45395	5629	12%	HARV-SD 0000	Antagonist	100.000	1464680	1460480	1462580	2970	0%	-7%
FSHR	Harvard Univ	EC80	378875	25988	7%	Basal	91875	10105	11%	HARV-SD 0000	Antagonist	100.000	358960	399280	379120	28511	8%	0%
GALR1	Harvard Univ	EC80	2818410	142569	5%	Basal	266000	8594	3%	HARV-SD 0000	Antagonist	100.000	2921800	2863560	2892680	41182	1%	-3%
GALR2	Harvard Univ	EC80	745360	14209	2%	Basal	207830	5349	3%	HARV-SD 0000	Antagonist	100.000	800800	781200	791000	13859	2%	-8%
GCGR	Harvard Univ	EC80	1269905	96470	8%	Basal	163380	19009	12%	HARV-SD 0000	Antagonist	100.000	1148560	1218000	1183280	49101	4%	8%
GHSR	Harvard Univ	EC80	1085770	41499	4%	Basal	342125	21264	6%	HARV-SD 0000	Antagonist	100.000	1016960	1054480	1035720	26531	3%	7%
GIPR	Harvard Univ	EC80	53270	5346	10%	Basal	9520	1069	11%	HARV-SD 0000	Antagonist	100.000	54880	57120	56000	1584	3%	-6%
GLP1R	Harvard Univ	EC80	1018395	42008	4%	Basal	66290	2580	4%	HARV-SD 0000	Antagonist	100.000	974120	1015840	994980	29500	3%	2%

Table 3: Antagonist activity for compounds tested in gpcrMAX Panel

Compounds were tested at the concentration shown in the table. Basal and EC80 agonist response control values are given. Raw activity (RLU units) of individual replicates and mean RLU and percentage inhibition are shown. Percentage inhibition was calculated relative to the basal and EC80 control agonist values for each GPCR target.

Results:

GPCR ID	Customer	Control 1	Mean RLU	SD	%CV	Control 2	Mean RLU	SD	%CV	Compound ID	Assay Mode	Conc (uM)	Rep 1 RLU	Rep 2 RLU	Mean RLU	SD	%CV	% Inhibition
GLP2R	Harvard Univ	EC80	431550	25569	6%	Basal	65835	9772	15%	HARV-SD 0000	Antagonist	100.000	438760	465080	451920	18611	4%	-6%
GPR1	Harvard Univ	EC80	640220	20633	3%	Basal	44380	6668	15%	HARV-SD 0000	Antagonist	100.000	722400	729120	725760	4752	1%	-14%
GPR103	Harvard Univ	EC80	122780	6122	5%	Basal	47460	4870	10%	HARV-SD 0000	Antagonist	100.000	128240	124040	126140	2970	2%	-4%
GPR109A	Harvard Univ	EC80	414400	28571	7%	Basal	124040	12070	10%	HARV-SD 0000	Antagonist	100.000	466760	441280	454020	18017	4%	-14%
GPR109B	Harvard Univ	EC80	1782970	131346	7%	Basal	275905	24101	9%	HARV-SD 0000	Antagonist	100.000	1821120	1812720	1816920	5940	0%	-2%
GPR119	Harvard Univ	EC80	411110	11500	3%	Basal	194565	12703	7%	HARV-SD 0000	Antagonist	100.000	415800	414960	415380	594	0%	-2%
GPR120	Harvard Univ	EC80	50680	7043	14%	Basal	11620	970	8%	HARV-SD 0000	Antagonist	100.000	57120	57120	57120	0	0%	-16%
GPR35	Harvard Univ	EC80	505960	49015	10%	Basal	101395	18500	18%	HARV-SD 0000	Antagonist	100.000	442960	500640	471800	40786	9%	8%
GPR92	Harvard Univ	EC80	823375	41395	5%	Basal	196490	18767	10%	HARV-SD 0000	Antagonist	100.000	756000	801640	778820	32272	4%	7%
GRPR	Harvard Univ	EC80	710780	27939	4%	Basal	24150	1898	8%	HARV-SD 0000	Antagonist	100.000	772520	740040	756280	22967	3%	-7%
HCRTR1	Harvard Univ	EC80	1705060	73460	4%	Basal	60550	8770	14%	HARV-SD 0000	Antagonist	100.000	1701000	1676360	1688680	17423	1%	1%
HCRTR2	Harvard Univ	EC80	1811670	93178	5%	Basal	42840	4422	10%	HARV-SD 0000	Antagonist	100.000	1947120	1790600	1868860	110676	6%	-3%
HRH1	Harvard Univ	EC80	1118880	96307	9%	Basal	203140	20244	10%	HARV-SD 0000	Antagonist	100.000	1352960	1236200	1294580	82562	6%	-19%
HRH2	Harvard Univ	EC80	186620	8320	4%	Basal	55767	4429	8%	HARV-SD 0000	Antagonist	100.000	176400	147560	161980	20393	13%	19%
HRH3	Harvard Univ	EC80	105070	7193	7%	Basal	35035	3680	11%	HARV-SD 0000	Antagonist	100.000	104720	102480	103600	1584	2%	2%
HRH4	Harvard Univ	EC80	901647	97174	11%	Basal	288750	11889	4%	HARV-SD 0000	Antagonist	100.000	935760	963200	949480	19403	2%	-8%
HTR1A	Harvard Univ	EC80	2178190	36941	2%	Basal	590100	53457	9%	HARV-SD 0000	Antagonist	100.000	2212560	2312520	2262540	70682	3%	-5%
HTR1B	Harvard Univ	EC80	1632470	76946	5%	Basal	606060	42236	7%	HARV-SD 0000	Antagonist	100.000	1720040	1712200	1716120	5544	0%	-8%
HTR1E	Harvard Univ	EC80	45710	2850	6%	Basal	16485	1052	6%	HARV-SD 0000	Antagonist	100.000	48440	51520	49980	2178	4%	-15%
HTR1F	Harvard Univ	EC80	595280	28852	5%	Basal	185780	19273	10%	HARV-SD 0000	Antagonist	100.000	602560	613480	608020	7722	1%	-3%
HTR2A	Harvard Univ	EC80	1417395	189397	13%	Basal	173180	13316	8%	HARV-SD 0000	Antagonist	100.000	1643320	1684200	1663760	28907	2%	-20%
HTR2C	Harvard Univ	EC80	2497810	143614	6%	Basal	553070	61172	11%	HARV-SD 0000	Antagonist	100.000	2602040	2696680	2649360	66921	3%	-8%
HTR5A	Harvard Univ	EC80	1825040	102662	6%	Basal	351645	26728	8%	HARV-SD 0000	Antagonist	100.000	1909600	2002560	1956080	65733	3%	-9%
KISS1R	Harvard Univ	EC80	88445	5282	6%	Basal	21385	1814	8%	HARV-SD 0000	Antagonist	100.000	91000	99120	95060	5742	6%	-10%
LHCGR	Harvard Univ	EC80	179515	12926	7%	Basal	29785	3258	11%	HARV-SD 0000	Antagonist	100.000	166600	175560	171080	6336	4%	6%
LTB4R	Harvard Univ	EC80	1286775	89863	7%	Basal	99120	9226	9%	HARV-SD 0000	Antagonist	100.000	1194200	1363320	1278760	119586	9%	1%
MC1R	Harvard Univ	EC80	27510	2088	8%	Basal	9590	920	10%	HARV-SD 0000	Antagonist	100.000	24920	25480	25200	396	2%	13%
MC3R	Harvard Univ	EC80	25515	2502	10%	Basal	4900	496	10%	HARV-SD 0000	Antagonist	100.000	26040	26600	26320	396	2%	-4%
MC4R	Harvard Univ	EC80	87430	2487	3%	Basal	18970	1933	10%	HARV-SD 0000	Antagonist	100.000	78120	87080	82600	6336	8%	7%
MC5R	Harvard Univ	EC80	142800	4096	3%	Basal	49350	3936	8%	HARV-SD 0000	Antagonist	100.000	139720	148400	144060	6138	4%	-1%
MCHR1	Harvard Univ	EC80	83335	6427	8%	Basal	12460	1296	10%	HARV-SD 0000	Antagonist	100.000	92960	94360	93660	990	1%	-15%
MCHR2	Harvard Univ	EC80	334775	11309	3%	Basal	43365	1884	4%	HARV-SD 0000	Antagonist	100.000	352240	354480	353360	1584	0%	-6%
MLNR	Harvard Univ	EC80	566125	64345	11%	Basal	52640	5877	11%	HARV-SD 0000	Antagonist	100.000	575120	585480	580300	7326	1%	-3%
MRGPRX1	Harvard Univ	EC80	1384180	132913	10%	Basal	400785	29834	7%	HARV-SD 0000	Antagonist	100.000	1582560	1535520	1559040	33262	2%	-18%
MRGPRX2	Harvard Univ	EC80	1449035	142133	10%	Basal	138565	16836	12%	HARV-SD 0000	Antagonist	100.000	1502200	1543640	1522920	29303	2%	-6%
MTNR1A	Harvard Univ	EC80	115547	12855	11%	Basal	29540	1381	5%	HARV-SD 0000	Antagonist	100.000	129640	134960	132300	3762	3%	-19%
NMBR	Harvard Univ	EC80	65940	7173	11%	Basal	5787	422	7%	HARV-SD 0000	Antagonist	100.000	57960	60200	59080	1584	3%	11%
NMU1R	Harvard Univ	EC80	681940	48957	7%	Basal	33530	2626	8%	HARV-SD 0000	Antagonist	100.000	664440	655200	659820	6534	1%	3%
NPBWR1	Harvard Univ	EC80	248010	17953	7%	Basal	86695	9111	11%	HARV-SD 0000	Antagonist	100.000	237160	250320	243740	9306	4%	3%
NPBWR2	Harvard Univ	EC80	405825	24497	6%	Basal	42945	4984	12%	HARV-SD 0000	Antagonist	100.000	390320	405440	397880	10691	3%	2%
NPFFR1	Harvard Univ	EC80	162050	7055	4%	Basal	67235	4160	6%	HARV-SD 0000	Antagonist	100.000	164640	148680	156660	11285	7%	6%
NPSR1B	Harvard Univ	EC80	111545	9889	9%	Basal	29750	2198	7%	HARV-SD 0000	Antagonist	100.000	105000	106680	105840	1188	1%	7%
NPY1R	Harvard Univ	EC80	458990	30499	7%	Basal	84875	8517	10%	HARV-SD 0000	Antagonist	100.000	424480	444640	434560	14255	3%	7%
NPY2R	Harvard Univ	EC80	1873935	75628	4%	Basal	82530	10201	12%	HARV-SD 0000	Antagonist	100.000	1827280	1753640	1790460	52071	3%	5%
NTSR1	Harvard Univ	EC80	5121690	360353	7%	Basal	1623300	58638	4%	HARV-SD 0000	Antagonist	100.000	4825800	4913440	4869620	61971	1%	7%
OPRD1	Harvard Univ	EC80	517930	23731	5%	Basal	72100	6003	8%	HARV-SD 0000	Antagonist	100.000	494760	474320	484540	14453	3%	7%
OPRK1	Harvard Univ	EC80	136780	10141	7%	Basal	28385	3708	13%	HARV-SD 0000	Antagonist	100.000	159320	149520	154420	6930	4%	-16%
OPRL1	Harvard Univ	EC80	928480	41853	5%	Basal	192255	16294	8%	HARV-SD 0000	Antagonist	100.000	915880	955360	935620	27917	3%	-1%
OPRM1	Harvard Univ	EC80	849205	47760	6%	Basal	68355	6828	10%	HARV-SD 0000	Antagonist	100.000	829080	805560	817320	16631	2%	4%
OXER1	Harvard Univ	EC80	172725	7416	4%	Basal	63770	8527	13%	HARV-SD 0000	Antagonist	100.000	178640	184800	181720	4356	2%	-8%
OXTR	Harvard Univ	EC80	754775	84991	11%	Basal	21805	2282	10%	HARV-SD 0000	Antagonist	100.000	764680	844200	804440	56229	7%	-7%
P2RY1	Harvard Univ	EC80	399420	8704	2%	Basal	118685	4390	4%	HARV-SD 0000	Antagonist	100.000	378000	394520	386260	11681	3%	5%
P2RY11	Harvard Univ	EC80	11727	903	8%	Basal	2170	268	12%	HARV-SD 0000	Antagonist	100.000	14560	13160	13860	990	7%	-22%
P2RY12	Harvard Univ	EC80	24640	1598	6%	Basal	8120	923	11%	HARV-SD 0000	Antagonist	100.000	27160	23240	25200	2772	11%	-3%
P2RY2	Harvard Univ	EC80	913920	3907	0%	Basal	331765	18159	5%	HARV-SD 0000	Antagonist	100.000	870800	950880	910840	56625	6%	1%
P2RY4	Harvard Univ	EC80	91140	7577	8%	Basal	40530	2244	6%	HARV-SD 0000	Antagonist	100.000	83720	84560	84140	594	1%	14%
P2RY6	Harvard Univ	EC80	563570	45073	8%	Basal	76230	7063	9%	HARV-SD 0000	Antagonist	100.000	486080	486640	486360	396	0%	16%
PPYR1	Harvard Univ	EC80	83475	10227	12%	Basal	7385	1159	16%	HARV-SD 0000	Antagonist	100.000	85960	88760	87360	1980	2%	-5%
PRLHR	Harvard Univ	EC80	132510	9706	7%	Basal	26880	3157	12%	HARV-SD 0000	Antagonist	100.000	154000	150360	152180	2574	2%	-19%
PROKR1	Harvard Univ	EC80	335790	20700	6%	Basal	30450	3717	12%	HARV-SD 0000	Antagonist	100.000	350560	318080	334320	22967	7%	0%
PROKR2	Harvard Univ	EC80	90860	14949	16%	Basal	7770	1539	20%	HARV-SD 0000	Antagonist	100.000	79520	90720	85120	7920	9%	7%
PTAFR	Harvard Univ	EC80	710150	66734	9%	Basal	115360	4845	4%	HARV-SD 0000	Antagonist	100.000	701400	694400	697900	4950	1%	2%
PTGER2	Harvard Univ	EC80	9030	1006	11%	Basal	3010	140	5%	HARV-SD 0000	Antagonist	100.000	8680	9520	9100	594	7%	-1%
PTGER3	Harvard Univ	EC80	471660	25909	5%	Basal	86275	4287	5%	HARV-SD 0000	Antagonist	100.000	486920	516600	501760	20987	4%	-8%
PTGER4	Harvard Univ	EC80	435447	23636	5%	Basal	241535	11063	5%	HARV-SD 0000	Antagonist	100.000	472920	453880	463400	13463	3%	-14%
PTGFR	Harvard Univ	EC80	57050	5282	9%	Basal	2485	179	7%	HARV-SD 0000	Antagonist	100.000	60480	55440	57960	3564	6%	-2%
PTGIR	Harvard Univ	EC80	371455	36810	10%	Basal	104160	8719	8%	HARV-SD 0000	Antagonist	100.000	355880	374360	365120	13067	4%	2%
PTHR1	Harvard Univ	EC80	1932140	120081	6%	Basal	54915	3643	7%	HARV-SD 0000	Antagonist	100.000	1815800	1746640	1781220	48904	3%	8%
PTHR2	Harvard Univ	EC80	1231125	113772	9%	Basal	66360	9030	14%	HARV-SD 0000	Antagonist	100.000	1299760	1345680	1322720	32470	2%	-8%
RXFP3	Harvard Univ	EC80	138180	10448	8%	Basal	49607	2841	6%	HARV-SD 0000	Antagonist	100.000	158760	145880	152320	9108	6%	-16%
SCTR	Harvard Univ	EC80	2351895	196808	8%	Basal	209790	16594	8%	HARV-SD 0000	Antagonist	100.000	2237480	2344440	2290960	75632	3%	3%
SSTR1	Harvard Univ	EC80	20090	1268	6%	Basal	7770	1157	15%	HARV-SD 0000	Antagonist	100.000	20440	19600	20020	594	3%	1%
SSTR2	Harvard Univ	EC80	327880	17204	5%	Basal	7700	1035	13%	HARV-SD 0000	Antagonist	100.000	345800	356440	351120	7524	2%	-7%
SSTR3	Harvard Univ	EC80	287840	24092	8%	Basal	50645	7544	15%	HARV-SD 0000	Antagonist	100.000	325080	310800	317940	10097	3%	-13%
SSTR5	Harvard Univ	EC80	332850	16538	5%	Basal	77875	7070	9%	HARV-SD 0000	Antagonist	100.000	313880	308840	311360	3564	1%	8%
TACR1	Harvard Univ	EC80	4223030	155288	4%	Basal	403165	73888	18%	HARV-SD 0000	Antagonist	100.000	4157160	3905720	4031440	177795	4%	5%
TACR2	Harvard Univ	EC80	1239000	84585	7%	Basal	213850	20830	10%	HARV-SD 0000	Antagonist	100.000	1220520	1140160	1180340	56823	5%	6%
TACR3	Harvard Univ	EC80	1527575	91393	6%	Basal	150780	9568	6%	HARV-SD 0000	Antagonist	100.000	1524880	1506400	1515640	13067	1%	1%
TBXA2R	Harvard Univ	EC80	425285	20133	5%	Basal	72625	5363	7%	HARV-SD 0000	Antagonist	100.000	378560	361480	370020	12077	3%	16%
TRHR	Harvard Univ	EC80	192150	24101	13%	Basal	15680	2252	14%	HARV-SD 0000	Antagonist	100.000	194880	206080	200480	7920	4%	-5%
TSHR(L)	Harvard Univ	EC80	61355	2654	4%	Basal	7210	1361	19%	HARV-SD 0000	Antagonist	100.000	56000	61040	58520	3564	6%	5%
UTR2	Harvard Univ	EC80	204120	5127	3%	Basal	62020	4875	8%	HARV-SD 0000	Antagonist	100.000	199360	187040	193200	8712	5%	8%
VIPR1	Harvard Univ	EC80	3154970	136155	4%	Basal	278005	30723	11%	HARV-SD 0000	Antagonist	100.000	3219440	3057040	3138240	114834	4%	1%
VIPR2	Harvard Univ	EC80	2084670	100494	5%	Basal	237265	15329	6%	HARV-SD 0000	Antagonist	100.000	1989960	2037000	2013480	33262	2%	4%

Table 3: Antagonist activity for compounds tested in gpcrMAX Panel

Compounds were tested at the concentration shown in the table. Basal and EC80 agonist response control values are given. Raw activity (RLU units) of individual replicates and mean RLU and percentage inhibition are shown. Percentage inhibition was calculated relative to the basal and EC80 control agonist values for each GPCR target.

Summary:

GPCR ID	Customer	Compound ID	Assay Mode	Conc (µM)	Mean RLU	% Activity
ADCYAP1R1	Harvard Univ	HARV-SD 0000	Agonist	100	288680	2%
ADORA3	Harvard Univ	HARV-SD 0000	Agonist	100	136500	-1%
ADRA1B	Harvard Univ	HARV-SD 0000	Agonist	100	177940	1%
ADRA2A	Harvard Univ	HARV-SD 0000	Agonist	100	126420	-2%
ADRA2B	Harvard Univ	HARV-SD 0000	Agonist	100	6440	-6%
ADRA2C	Harvard Univ	HARV-SD 0000	Agonist	100	6020	4%
ADRB1	Harvard Univ	HARV-SD 0000	Agonist	100	116340	1%
ADRB2	Harvard Univ	HARV-SD 0000	Agonist	100	15540	0%
AGTR1	Harvard Univ	HARV-SD 0000	Agonist	100	158620	1%
AGTRL1	Harvard Univ	HARV-SD 0000	Agonist	100	115220	-1%
AVPR1A	Harvard Univ	HARV-SD 0000	Agonist	100	12740	0%
AVPR1B	Harvard Univ	HARV-SD 0000	Agonist	100	18480	1%
AVPR2	Harvard Univ	HARV-SD 0000	Agonist	100	324100	2%
BDKRB1	Harvard Univ	HARV-SD 0000	Agonist	100	19740	-2%
BDKRB2	Harvard Univ	HARV-SD 0000	Agonist	100	360780	0%
BRS3	Harvard Univ	HARV-SD 0000	Agonist	100	652540	2%
C3AR1	Harvard Univ	HARV-SD 0000	Agonist	100	24780	0%
C5AR1	Harvard Univ	HARV-SD 0000	Agonist	100	146440	1%
C5L2	Harvard Univ	HARV-SD 0000	Agonist	100	216720	0%
CALCR	Harvard Univ	HARV-SD 0000	Agonist	100	74060	-2%
CALCRL-RAMP1	Harvard Univ	HARV-SD 0000	Agonist	100	1103480	1%
CALCRL-RAMP2	Harvard Univ	HARV-SD 0000	Agonist	100	1136240	0%
CALCRL-RAMP3	Harvard Univ	HARV-SD 0000	Agonist	100	138600	2%
CALCR-RAMP2	Harvard Univ	HARV-SD 0000	Agonist	100	44240	2%
CALCR-RAMP3	Harvard Univ	HARV-SD 0000	Agonist	100	27860	7%
CCKAR	Harvard Univ	HARV-SD 0000	Agonist	100	35000	0%
CCKBR	Harvard Univ	HARV-SD 0000	Agonist	100	717220	4%
CCR10	Harvard Univ	HARV-SD 0000	Agonist	100	22960	0%
CCR1	Harvard Univ	HARV-SD 0000	Agonist	100	797860	5%
CCR2	Harvard Univ	HARV-SD 0000	Agonist	100	78820	2%
CCR3	Harvard Univ	HARV-SD 0000	Agonist	100	106400	2%
CCR4	Harvard Univ	HARV-SD 0000	Agonist	100	124740	2%
CCR5	Harvard Univ	HARV-SD 0000	Agonist	100	86520	1%
CCR6	Harvard Univ	HARV-SD 0000	Agonist	100	79800	2%
CCR7	Harvard Univ	HARV-SD 0000	Agonist	100	436380	3%
CCR8	Harvard Univ	HARV-SD 0000	Agonist	100	20580	1%
CCR9	Harvard Univ	HARV-SD 0000	Agonist	100	77560	1%
CHRM1	Harvard Univ	HARV-SD 0000	Agonist	100	664720	4%
CHRM2	Harvard Univ	HARV-SD 0000	Agonist	100	30100	0%
CHRM3	Harvard Univ	HARV-SD 0000	Agonist	100	51520	1%
CHRM4	Harvard Univ	HARV-SD 0000	Agonist	100	768600	-10%
CHRM5	Harvard Univ	HARV-SD 0000	Agonist	100	1893500	12%
CMKLR1	Harvard Univ	HARV-SD 0000	Agonist	100	29260	0%
CNR1	Harvard Univ	HARV-SD 0000	Agonist	100	37520	0%
CNR2	Harvard Univ	HARV-SD 0000	Agonist	100	131600	-13%
CRHR1	Harvard Univ	HARV-SD 0000	Agonist	100	271880	1%
CRHR2	Harvard Univ	HARV-SD 0000	Agonist	100	72660	0%
CRTH2	Harvard Univ	HARV-SD 0000	Agonist	100	130200	0%
CX3CR1	Harvard Univ	HARV-SD 0000	Agonist	100	3220	0%
CXCR1	Harvard Univ	HARV-SD 0000	Agonist	100	57120	0%
CXCR2	Harvard Univ	HARV-SD 0000	Agonist	100	524440	-2%
CXCR3	Harvard Univ	HARV-SD 0000	Agonist	100	161560	-4%
CXCR4	Harvard Univ	HARV-SD 0000	Agonist	100	64120	7%
CXCR5	Harvard Univ	HARV-SD 0000	Agonist	100	108640	2%
CXCR6	Harvard Univ	HARV-SD 0000	Agonist	100	6300	8%
CXCR7	Harvard Univ	HARV-SD 0000	Agonist	100	201320	-1%
DRD1	Harvard Univ	HARV-SD 0000	Agonist	100	95200	1%
DRD2L	Harvard Univ	HARV-SD 0000	Agonist	100	65660	1%
DRD2S	Harvard Univ	HARV-SD 0000	Agonist	100	103460	2%
DRD3	Harvard Univ	HARV-SD 0000	Agonist	100	306040	12%
DRD4	Harvard Univ	HARV-SD 0000	Agonist	100	12880	-7%
DRD5	Harvard Univ	HARV-SD 0000	Agonist	100	8540	-1%
EBI2	Harvard Univ	HARV-SD 0000	Agonist	100	28280	1%
EDG1	Harvard Univ	HARV-SD 0000	Agonist	100	43120	1%
EDG3	Harvard Univ	HARV-SD 0000	Agonist	100	661640	1%
EDG4	Harvard Univ	HARV-SD 0000	Agonist	100	513940	3%
EDG5	Harvard Univ	HARV-SD 0000	Agonist	100	130200	0%
EDG6	Harvard Univ	HARV-SD 0000	Agonist	100	406980	-3%
EDG7	Harvard Univ	HARV-SD 0000	Agonist	100	160580	-2%
EDNRA	Harvard Univ	HARV-SD 0000	Agonist	100	7980	0%
EDNRB	Harvard Univ	HARV-SD 0000	Agonist	100	79100	1%
F2R	Harvard Univ	HARV-SD 0000	Agonist	100	57680	2%
F2RL1	Harvard Univ	HARV-SD 0000	Agonist	100	264180	1%
F2RL3	Harvard Univ	HARV-SD 0000	Agonist	100	712600	0%
FFAR1	Harvard Univ	HARV-SD 0000	Agonist	100	104440	6%
FPR1	Harvard Univ	HARV-SD 0000	Agonist	100	982380	-2%
FPRL1	Harvard Univ	HARV-SD 0000	Agonist	100	49980	0%
FSHR	Harvard Univ	HARV-SD 0000	Agonist	100	99680	3%
GALR1	Harvard Univ	HARV-SD 0000	Agonist	100	300580	1%
GALR2	Harvard Univ	HARV-SD 0000	Agonist	100	207060	0%
GCGR	Harvard Univ	HARV-SD 0000	Agonist	100	179760	1%
GHSR	Harvard Univ	HARV-SD 0000	Agonist	100	326760	-2%
GIPR	Harvard Univ	HARV-SD 0000	Agonist	100	10080	1%
GLP1R	Harvard Univ	HARV-SD 0000	Agonist	100	75180	0%

GPCR ID	Customer	Compound ID	Assay Mode	Conc (µM)	Mean RLU	% Activity
GLP2R	Harvard Univ	HARV-SD 0000	Agonist	100	63560	0%
GPR1	Harvard Univ	HARV-SD 0000	Agonist	100	42840	0%
GPR103	Harvard Univ	HARV-SD 0000	Agonist	100	45920	-2%
GPR109A	Harvard Univ	HARV-SD 0000	Agonist	100	116480	-2%
GPR109B	Harvard Univ	HARV-SD 0000	Agonist	100	325640	2%
GPR119	Harvard Univ	HARV-SD 0000	Agonist	100	219240	8%
GPR120	Harvard Univ	HARV-SD 0000	Agonist	100	12180	1%
GPR35	Harvard Univ	HARV-SD 0000	Agonist	100	109620	2%
GPR92	Harvard Univ	HARV-SD 0000	Agonist	100	188860	-1%
GRPR	Harvard Univ	HARV-SD 0000	Agonist	100	23380	0%
HCRTR1	Harvard Univ	HARV-SD 0000	Agonist	100	71960	1%
HCRTR2	Harvard Univ	HARV-SD 0000	Agonist	100	52640	0%
HRH1	Harvard Univ	HARV-SD 0000	Agonist	100	186060	-1%
HRH2	Harvard Univ	HARV-SD 0000	Agonist	100	58100	1%
HRH3	Harvard Univ	HARV-SD 0000	Agonist	100	32900	-2%
HRH4	Harvard Univ	HARV-SD 0000	Agonist	100	293160	1%
HTR1A	Harvard Univ	HARV-SD 0000	Agonist	100	613760	1%
HTR1B	Harvard Univ	HARV-SD 0000	Agonist	100	671300	5%
HTR1E	Harvard Univ	HARV-SD 0000	Agonist	100	18480	5%
HTR1F	Harvard Univ	HARV-SD 0000	Agonist	100	197680	3%
HTR2A	Harvard Univ	HARV-SD 0000	Agonist	100	227640	4%
HTR2C	Harvard Univ	HARV-SD 0000	Agonist	100	610260	3%
HTR5A	Harvard Univ	HARV-SD 0000	Agonist	100	417340	3%
KISS1R	Harvard Univ	HARV-SD 0000	Agonist	100	21000	0%
LHCGR	Harvard Univ	HARV-SD 0000	Agonist	100	38360	4%
LTB4R	Harvard Univ	HARV-SD 0000	Agonist	100	112560	1%
MC1R	Harvard Univ	HARV-SD 0000	Agonist	100	10360	2%
MC3R	Harvard Univ	HARV-SD 0000	Agonist	100	3920	-3%
MC4R	Harvard Univ	HARV-SD 0000	Agonist	100	20160	1%
MC5R	Harvard Univ	HARV-SD 0000	Agonist	100	46620	-2%
MCHR1	Harvard Univ	HARV-SD 0000	Agonist	100	13720	2%
MCHR2	Harvard Univ	HARV-SD 0000	Agonist	100	42420	0%
MLNR	Harvard Univ	HARV-SD 0000	Agonist	100	58380	1%
MRGPRX1	Harvard Univ	HARV-SD 0000	Agonist	100	445900	2%
MRGPRX2	Harvard Univ	HARV-SD 0000	Agonist	100	166320	2%
MTNR1A	Harvard Univ	HARV-SD 0000	Agonist	100	35980	6%
NMBR	Harvard Univ	HARV-SD 0000	Agonist	100	4060	-3%
NMU1R	Harvard Univ	HARV-SD 0000	Agonist	100	34440	0%
NPBWR1	Harvard Univ	HARV-SD 0000	Agonist	100	80220	-3%
NPBWR2	Harvard Univ	HARV-SD 0000	Agonist	100	50820	2%
NPFFR1	Harvard Univ	HARV-SD 0000	Agonist	100	70140	2%
NPSR1B	Harvard Univ	HARV-SD 0000	Agonist	100	27160	-2%
NPY1R	Harvard Univ	HARV-SD 0000	Agonist	100	86800	0%
NPY2R	Harvard Univ	HARV-SD 0000	Agonist	100	96040	1%
NTSR1	Harvard Univ	HARV-SD 0000	Agonist	100	1734320	3%
OPRD1	Harvard Univ	HARV-SD 0000	Agonist	100	65800	-1%
OPRK1	Harvard Univ	HARV-SD 0000	Agonist	100	29120	1%
OPRL1	Harvard Univ	HARV-SD 0000	Agonist	100	200900	1%
OPRM1	Harvard Univ	HARV-SD 0000	Agonist	100	72660	1%
OXER1	Harvard Univ	HARV-SD 0000	Agonist	100	57820	-4%
OXTR	Harvard Univ	HARV-SD 0000	Agonist	100	25900	0%
P2RY1	Harvard Univ	HARV-SD 0000	Agonist	100	120400	1%
P2RY11	Harvard Univ	HARV-SD 0000	Agonist	100	1680	-5%
P2RY12	Harvard Univ	HARV-SD 0000	Agonist	100	6720	-5%
P2RY2	Harvard Univ	HARV-SD 0000	Agonist	100	342580	2%
P2RY4	Harvard Univ	HARV-SD 0000	Agonist	100	35000	-11%
P2RY6	Harvard Univ	HARV-SD 0000	Agonist	100	71120	-1%
PPYR1	Harvard Univ	HARV-SD 0000	Agonist	100	9660	2%
PRLHR	Harvard Univ	HARV-SD 0000	Agonist	100	31500	4%
PROKR1	Harvard Univ	HARV-SD 0000	Agonist	100	33320	1%
PROKR2	Harvard Univ	HARV-SD 0000	Agonist	100	8260	0%
PTAFR	Harvard Univ	HARV-SD 0000	Agonist	100	118160	0%
PTGER2	Harvard Univ	HARV-SD 0000	Agonist	100	2660	-3%
PTGER3	Harvard Univ	HARV-SD 0000	Agonist	100	83720	-1%
PTGER4	Harvard Univ	HARV-SD 0000	Agonist	100	251720	3%
PTGFR	Harvard Univ	HARV-SD 0000	Agonist	100	2240	0%
PTGIR	Harvard Univ	HARV-SD 0000	Agonist	100	102620	0%
PTHR1	Harvard Univ	HARV-SD 0000	Agonist	100	59080	0%
PTHR2	Harvard Univ	HARV-SD 0000	Agonist	100	72100	0%
RXFP3	Harvard Univ	HARV-SD 0000	Agonist	100	66360	11%
SCTR	Harvard Univ	HARV-SD 0000	Agonist	100	259140	2%
SSTR1	Harvard Univ	HARV-SD 0000	Agonist	100	7980	1%
SSTR2	Harvard Univ	HARV-SD 0000	Agonist	100	7000	0%
SSTR3	Harvard Univ	HARV-SD 0000	Agonist	100	49420	0%
SSTR5	Harvard Univ	HARV-SD 0000	Agonist	100	60480	-5%
TACR1	Harvard Univ	HARV-SD 0000	Agonist	100	403060	0%
TACR2	Harvard Univ	HARV-SD 0000	Agonist	100	273420	4%
TACR3	Harvard Univ	HARV-SD 0000	Agonist	100	160720	1%
TBXA2R	Harvard Univ	HARV-SD 0000	Agonist	100	62720	-2%
TRHR	Harvard Univ	HARV-SD 0000	Agonist	100	13300	-1%
TSHR(L)	Harvard Univ	HARV-SD 0000	Agonist	100	7280	0%
UTR2	Harvard Univ	HARV-SD 0000	Agonist	100	56840	-3%
VIPR1	Harvard Univ	HARV-SD 0000	Agonist	100	273700	0%
VIPR2	Harvard Univ	HARV-SD 0000	Agonist	100	233100	0%

Table 4: Summary of agonist activity for compounds tested in gpcrMAX Panel

Summary:

GPCR ID	Customer	Compound ID	Assay Mode	Conc (μM)	Mean RLU	% Inhibition
ADCYAP1R1	Harvard Univ	HARV-SD 0000	Antagonist	100	2233560	-1%
ADORA3	Harvard Univ	HARV-SD 0000	Antagonist	100	514780	-14%
ADRA1B	Harvard Univ	HARV-SD 0000	Antagonist	100	1215200	5%
ADRA2A	Harvard Univ	HARV-SD 0000	Antagonist	100	336980	8%
ADRA2B	Harvard Univ	HARV-SD 0000	Antagonist	100	28000	-19%
ADRA2C	Harvard Univ	HARV-SD 0000	Antagonist	100	12600	16%
ADRB1	Harvard Univ	HARV-SD 0000	Antagonist	100	415100	-4%
ADRB2	Harvard Univ	HARV-SD 0000	Antagonist	100	256620	-23%
AGTR1	Harvard Univ	HARV-SD 0000	Antagonist	100	1076740	4%
AGTRL1	Harvard Univ	HARV-SD 0000	Antagonist	100	432040	-12%
AVPR1A	Harvard Univ	HARV-SD 0000	Antagonist	100	182280	-13%
AVPR1B	Harvard Univ	HARV-SD 0000	Antagonist	100	171640	-5%
AVPR2	Harvard Univ	HARV-SD 0000	Antagonist	100	1482880	-4%
BDKRB1	Harvard Univ	HARV-SD 0000	Antagonist	100	147980	-5%
BDKRB2	Harvard Univ	HARV-SD 0000	Antagonist	100	3694320	-1%
BRS3	Harvard Univ	HARV-SD 0000	Antagonist	100	1107680	23%
C3AR1	Harvard Univ	HARV-SD 0000	Antagonist	100	569380	-1%
CSAR1	Harvard Univ	HARV-SD 0000	Antagonist	100	614460	-9%
CSL2	Harvard Univ	HARV-SD 0000	Antagonist	100	569800	0%
CALCR	Harvard Univ	HARV-SD 0000	Antagonist	100	488600	-12%
CALCRL-RAMP1	Harvard Univ	HARV-SD 0000	Antagonist	100	9268000	-7%
CALCRL-RAMP2	Harvard Univ	HARV-SD 0000	Antagonist	100	5097120	-3%
CALCRL-RAMP3	Harvard Univ	HARV-SD 0000	Antagonist	100	1086400	-5%
CALCR-RAMP2	Harvard Univ	HARV-SD 0000	Antagonist	100	312480	-10%
CALCR-RAMP3	Harvard Univ	HARV-SD 0000	Antagonist	100	56980	-1%
CCKAR	Harvard Univ	HARV-SD 0000	Antagonist	100	618100	-4%
CCKBR	Harvard Univ	HARV-SD 0000	Antagonist	100	2598680	-6%
CCR10	Harvard Univ	HARV-SD 0000	Antagonist	100	220220	-2%
CCR1	Harvard Univ	HARV-SD 0000	Antagonist	100	1613780	6%
CCR2	Harvard Univ	HARV-SD 0000	Antagonist	100	615440	3%
CCR3	Harvard Univ	HARV-SD 0000	Antagonist	100	323960	-12%
CCR4	Harvard Univ	HARV-SD 0000	Antagonist	100	1053780	-1%
CCR5	Harvard Univ	HARV-SD 0000	Antagonist	100	600740	2%
CCR6	Harvard Univ	HARV-SD 0000	Antagonist	100	834820	-4%
CCR7	Harvard Univ	HARV-SD 0000	Antagonist	100	2852920	0%
CCR8	Harvard Univ	HARV-SD 0000	Antagonist	100	601580	-7%
CCR9	Harvard Univ	HARV-SD 0000	Antagonist	100	1319360	-4%
CHRM1	Harvard Univ	HARV-SD 0000	Antagonist	100	1761200	-9%
CHRM2	Harvard Univ	HARV-SD 0000	Antagonist	100	372680	1%
CHRM3	Harvard Univ	HARV-SD 0000	Antagonist	100	371700	-4%
CHRM4	Harvard Univ	HARV-SD 0000	Antagonist	100	1491140	24%
CHRM5	Harvard Univ	HARV-SD 0000	Antagonist	100	3644340	-4%
CMKLR1	Harvard Univ	HARV-SD 0000	Antagonist	100	1797040	0%
CNR1	Harvard Univ	HARV-SD 0000	Antagonist	100	429520	4%
CNR2	Harvard Univ	HARV-SD 0000	Antagonist	100	289940	1%
CRHR1	Harvard Univ	HARV-SD 0000	Antagonist	100	4403000	1%
CRHR2	Harvard Univ	HARV-SD 0000	Antagonist	100	2306920	1%
CRTH2	Harvard Univ	HARV-SD 0000	Antagonist	100	735420	-1%
CX3CR1	Harvard Univ	HARV-SD 0000	Antagonist	100	62860	2%
CXCR1	Harvard Univ	HARV-SD 0000	Antagonist	100	1550920	-1%
CXCR2	Harvard Univ	HARV-SD 0000	Antagonist	100	1262380	-5%
CXCR3	Harvard Univ	HARV-SD 0000	Antagonist	100	659400	-1%
CXCR4	Harvard Univ	HARV-SD 0000	Antagonist	100	128660	-23%
CXCR5	Harvard Univ	HARV-SD 0000	Antagonist	100	576100	-1%
CXCR6	Harvard Univ	HARV-SD 0000	Antagonist	100	18900	-1%
CXCR7	Harvard Univ	HARV-SD 0000	Antagonist	100	2094680	7%
DRD1	Harvard Univ	HARV-SD 0000	Antagonist	100	951580	-12%
DRD2L	Harvard Univ	HARV-SD 0000	Antagonist	100	327180	-13%
DRD2S	Harvard Univ	HARV-SD 0000	Antagonist	100	370300	-5%
DRD3	Harvard Univ	HARV-SD 0000	Antagonist	100	605080	-5%
DRD4	Harvard Univ	HARV-SD 0000	Antagonist	100	36540	3%
DRD5	Harvard Univ	HARV-SD 0000	Antagonist	100	79380	5%
EBI2	Harvard Univ	HARV-SD 0000	Antagonist	100	509040	-2%
EDG1	Harvard Univ	HARV-SD 0000	Antagonist	100	402220	4%
EDG3	Harvard Univ	HARV-SD 0000	Antagonist	100	4327120	1%
EDG4	Harvard Univ	HARV-SD 0000	Antagonist	100	1092280	-1%
EDG5	Harvard Univ	HARV-SD 0000	Antagonist	100	2028600	-14%
EDG6	Harvard Univ	HARV-SD 0000	Antagonist	100	848820	-8%
EDG7	Harvard Univ	HARV-SD 0000	Antagonist	100	614180	35%
EDNRA	Harvard Univ	HARV-SD 0000	Antagonist	100	230720	-6%
EDNRB	Harvard Univ	HARV-SD 0000	Antagonist	100	1050420	-12%
F2R	Harvard Univ	HARV-SD 0000	Antagonist	100	279720	-5%
F2RL1	Harvard Univ	HARV-SD 0000	Antagonist	100	1159480	-11%
F2RL3	Harvard Univ	HARV-SD 0000	Antagonist	100	2566900	9%
FFAR1	Harvard Univ	HARV-SD 0000	Antagonist	100	205940	-15%
FPR1	Harvard Univ	HARV-SD 0000	Antagonist	100	1506540	46%
FPRL1	Harvard Univ	HARV-SD 0000	Antagonist	100	1462580	-7%
FSHR	Harvard Univ	HARV-SD 0000	Antagonist	100	379120	0%
GALR1	Harvard Univ	HARV-SD 0000	Antagonist	100	2892680	-3%
GALR2	Harvard Univ	HARV-SD 0000	Antagonist	100	791000	-8%
GCGR	Harvard Univ	HARV-SD 0000	Antagonist	100	1183280	8%
GHSR	Harvard Univ	HARV-SD 0000	Antagonist	100	1035720	7%
GIPR	Harvard Univ	HARV-SD 0000	Antagonist	100	56000	-6%
GLP1R	Harvard Univ	HARV-SD 0000	Antagonist	100	994980	2%

GPCR ID	Customer	Compound ID	Assay Mode	Conc (μM)	Mean RLU	% Inhibition
GLP2R	Harvard Univ	HARV-SD 0000	Antagonist	100	451920	-6%
GPR1	Harvard Univ	HARV-SD 0000	Antagonist	100	725760	-14%
GPR103	Harvard Univ	HARV-SD 0000	Antagonist	100	126140	-4%
GPR109A	Harvard Univ	HARV-SD 0000	Antagonist	100	454020	-14%
GPR109B	Harvard Univ	HARV-SD 0000	Antagonist	100	1816920	-2%
GPR119	Harvard Univ	HARV-SD 0000	Antagonist	100	415380	-2%
GPR120	Harvard Univ	HARV-SD 0000	Antagonist	100	57120	-16%
GPR35	Harvard Univ	HARV-SD 0000	Antagonist	100	471800	8%
GPR92	Harvard Univ	HARV-SD 0000	Antagonist	100	778820	7%
GRPR	Harvard Univ	HARV-SD 0000	Antagonist	100	756280	-7%
HCRTR1	Harvard Univ	HARV-SD 0000	Antagonist	100	1688680	1%
HCRTR2	Harvard Univ	HARV-SD 0000	Antagonist	100	1868860	-3%
HRH1	Harvard Univ	HARV-SD 0000	Antagonist	100	1294580	-19%
HRH2	Harvard Univ	HARV-SD 0000	Antagonist	100	161980	19%
HRH3	Harvard Univ	HARV-SD 0000	Antagonist	100	103600	2%
HRH4	Harvard Univ	HARV-SD 0000	Antagonist	100	949480	-8%
HTR1A	Harvard Univ	HARV-SD 0000	Antagonist	100	2262540	-5%
HTR1B	Harvard Univ	HARV-SD 0000	Antagonist	100	1716120	-8%
HTR1E	Harvard Univ	HARV-SD 0000	Antagonist	100	49980	-15%
HTR1F	Harvard Univ	HARV-SD 0000	Antagonist	100	608020	-3%
HTR2A	Harvard Univ	HARV-SD 0000	Antagonist	100	1663760	-20%
HTR2C	Harvard Univ	HARV-SD 0000	Antagonist	100	2649360	-8%
HTR5A	Harvard Univ	HARV-SD 0000	Antagonist	100	1956080	-9%
KISS1R	Harvard Univ	HARV-SD 0000	Antagonist	100	95060	-10%
LHCGR	Harvard Univ	HARV-SD 0000	Antagonist	100	171080	6%
LTB4R	Harvard Univ	HARV-SD 0000	Antagonist	100	1278760	1%
MC1R	Harvard Univ	HARV-SD 0000	Antagonist	100	25200	13%
MC3R	Harvard Univ	HARV-SD 0000	Antagonist	100	26320	-4%
MC4R	Harvard Univ	HARV-SD 0000	Antagonist	100	82600	7%
MCSR	Harvard Univ	HARV-SD 0000	Antagonist	100	144060	-1%
MCHR1	Harvard Univ	HARV-SD 0000	Antagonist	100	93660	-15%
MCHR2	Harvard Univ	HARV-SD 0000	Antagonist	100	353360	-6%
MLNR	Harvard Univ	HARV-SD 0000	Antagonist	100	580300	-3%
MRGPRX1	Harvard Univ	HARV-SD 0000	Antagonist	100	1559040	-18%
MRGPRX2	Harvard Univ	HARV-SD 0000	Antagonist	100	1522920	-6%
MTNR1A	Harvard Univ	HARV-SD 0000	Antagonist	100	132300	-19%
NMBR	Harvard Univ	HARV-SD 0000	Antagonist	100	59080	11%
NMU1R	Harvard Univ	HARV-SD 0000	Antagonist	100	659820	3%
NPBWR1	Harvard Univ	HARV-SD 0000	Antagonist	100	243740	3%
NPBWR2	Harvard Univ	HARV-SD 0000	Antagonist	100	397880	2%
NPFFR1	Harvard Univ	HARV-SD 0000	Antagonist	100	156660	6%
NPSR1B	Harvard Univ	HARV-SD 0000	Antagonist	100	105840	7%
NPY1R	Harvard Univ	HARV-SD 0000	Antagonist	100	434560	7%
NPY2R	Harvard Univ	HARV-SD 0000	Antagonist	100	1790460	5%
NTSR1	Harvard Univ	HARV-SD 0000	Antagonist	100	4869620	7%
OPRD1	Harvard Univ	HARV-SD 0000	Antagonist	100	484540	7%
OPRK1	Harvard Univ	HARV-SD 0000	Antagonist	100	154420	-16%
OPRL1	Harvard Univ	HARV-SD 0000	Antagonist	100	935620	-1%
OPRM1	Harvard Univ	HARV-SD 0000	Antagonist	100	817320	4%
OXER1	Harvard Univ	HARV-SD 0000	Antagonist	100	181720	-8%
OXTR	Harvard Univ	HARV-SD 0000	Antagonist	100	804440	-7%
P2RY1	Harvard Univ	HARV-SD 0000	Antagonist	100	386260	5%
P2RY11	Harvard Univ	HARV-SD 0000	Antagonist	100	13860	-22%
P2RY12	Harvard Univ	HARV-SD 0000	Antagonist	100	25200	-3%
P2RY2	Harvard Univ	HARV-SD 0000	Antagonist	100	910840	1%
P2RY4	Harvard Univ	HARV-SD 0000	Antagonist	100	84140	14%
P2RY6	Harvard Univ	HARV-SD 0000	Antagonist	100	486360	16%
PPYR1	Harvard Univ	HARV-SD 0000	Antagonist	100	87360	-5%
PRLHR	Harvard Univ	HARV-SD 0000	Antagonist	100	152180	-19%
PROKR1	Harvard Univ	HARV-SD 0000	Antagonist	100	334320	0%
PROKR2	Harvard Univ	HARV-SD 0000	Antagonist	100	85120	7%
PTAFR	Harvard Univ	HARV-SD 0000	Antagonist	100	697900	2%
PTGER2	Harvard Univ	HARV-SD 0000	Antagonist	100	9100	-1%
PTGER3	Harvard Univ	HARV-SD 0000	Antagonist	100	501760	-8%
PTGER4	Harvard Univ	HARV-SD 0000	Antagonist	100	463400	-14%
PTGFR	Harvard Univ	HARV-SD 0000	Antagonist	100	57960	-2%
PTGIR	Harvard Univ	HARV-SD 0000	Antagonist	100	365120	2%
PTHR1	Harvard Univ	HARV-SD 0000	Antagonist	100	1781220	8%
PTHR2	Harvard Univ	HARV-SD 0000	Antagonist	100	1322720	-8%
RXFP3	Harvard Univ	HARV-SD 0000	Antagonist	100	152320	-16%
SCTR	Harvard Univ	HARV-SD 0000	Antagonist	100	2290960	3%
SSTR1	Harvard Univ	HARV-SD 0000	Antagonist	100	20020	1%
SSTR2	Harvard Univ	HARV-SD 0000	Antagonist	100	351120	-7%
SSTR3	Harvard Univ	HARV-SD 0000	Antagonist	100	317940	-13%
SSTR5	Harvard Univ	HARV-SD 0000	Antagonist	100	311360	8%
TACR1	Harvard Univ	HARV-SD 0000	Antagonist	100	4031440	5%
TACR2	Harvard Univ	HARV-SD 0000	Antagonist	100	1180340	6%
TACR3	Harvard Univ	HARV-SD 0000	Antagonist	100	1515640	1%
TBXA2R	Harvard Univ	HARV-SD 0000	Antagonist	100	370020	16%
TRHR	Harvard Univ	HARV-SD 0000	Antagonist	100	200480	-5%
TSHR(L)	Harvard Univ	HARV-SD 0000	Antagonist	100	58520	5%
UTR2	Harvard Univ	HARV-SD 0000	Antagonist	100	193200	8%
VIPR1	Harvard Univ	HARV-SD 0000	Antagonist	100	3138240	1%
VIPR2	Harvard Univ	HARV-SD 0000	Antagonist	100	2013480	4%

Table 5: Summary of antagonist activity for compounds tested in gpcrMAX Panel

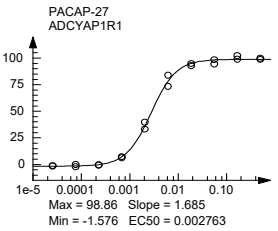
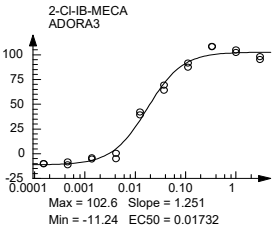
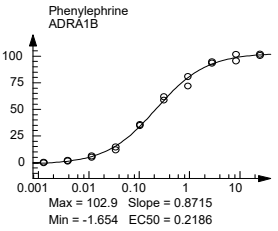
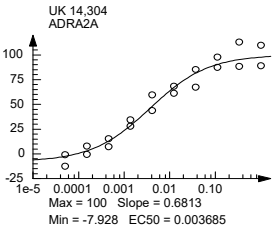
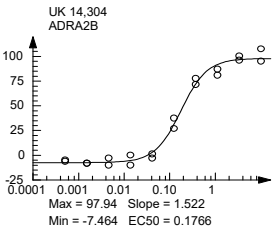
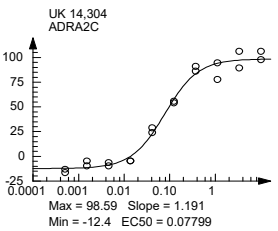
Summary

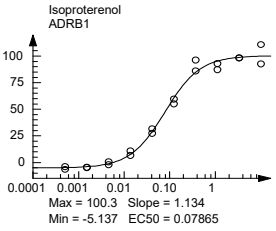
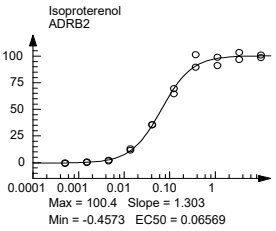
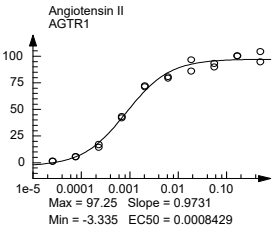
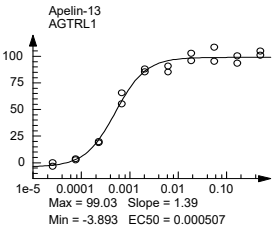
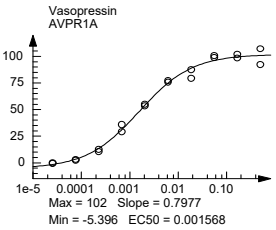
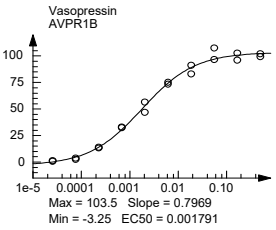
DiscoveRx successfully profiled 1 compound against the gpcrMAX Panel.

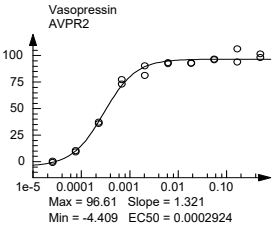
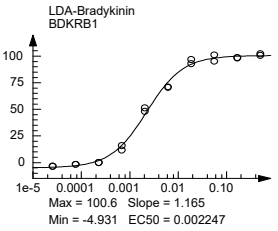
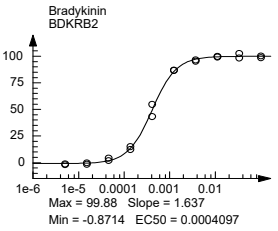
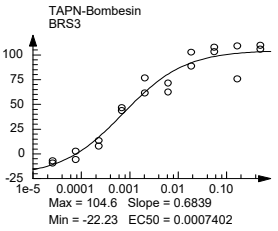
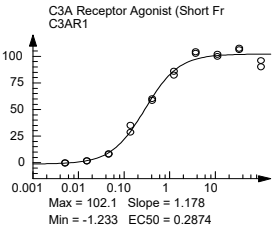
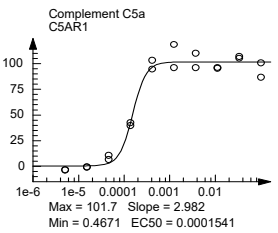
The assays were performed utilizing the PathHunter beta-arrestin enzyme fragment complementation (EFC) technology. Results are summarized in this report and the data is provided in accompanying Excel spreadsheet files.

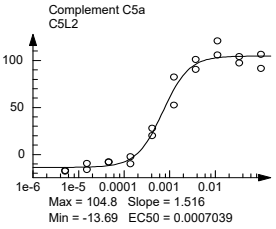
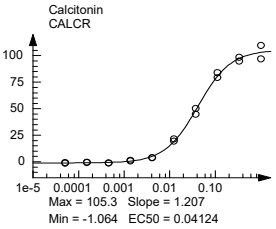
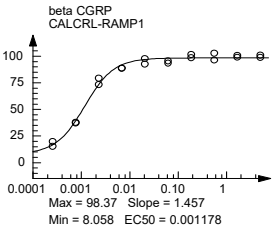
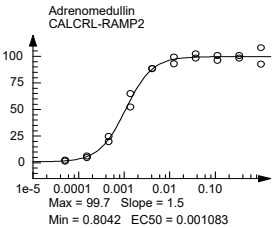
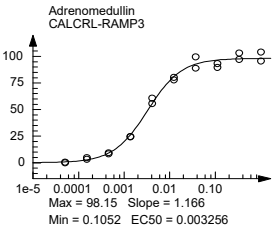
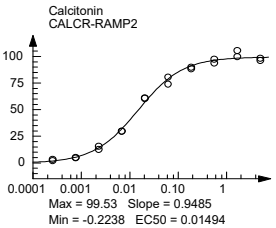
This is to certify that the data contained within this report was conducted as described above.

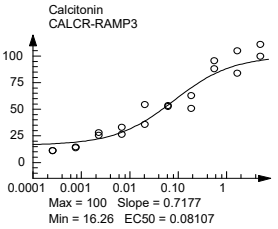
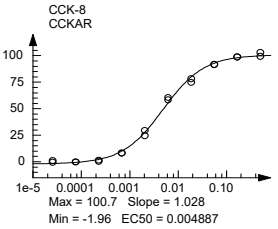
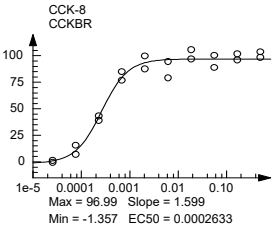
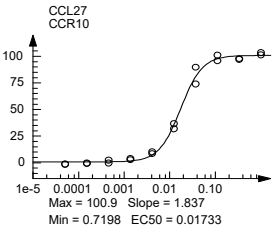
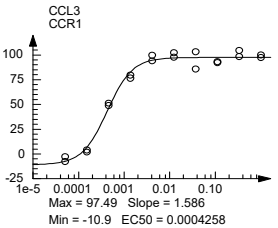
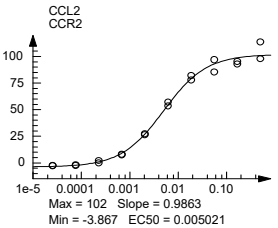
Lakshmi Anantharaman
Associate Director, LeadHunter Services

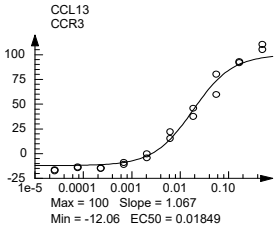
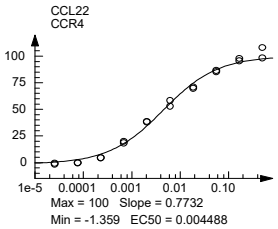
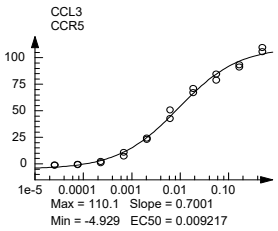
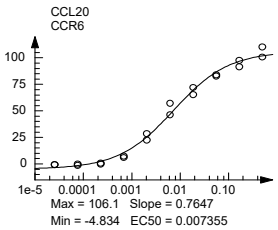
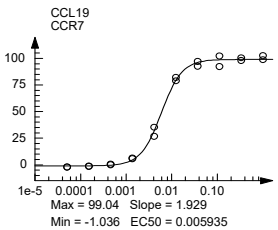
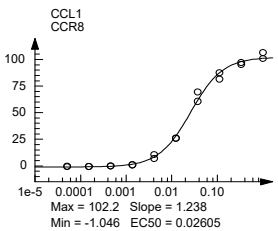
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
PACAP-27	Arrestin	Agonist	ADCYAP1R1	EC50	0.0027627	1.68	-1.6	98.9	100.64	
2-Cl-IB-MECA	Arrestin	Agonist	ADORA3	EC50	0.017318	1.25	-11.2	102.6	103.45	
Phenylephrine	Arrestin	Agonist	ADRA1B	EC50	0.21859	0.87	-1.7	102.9	101.32	
UK 14,304	Arrestin	Agonist	ADRA2A	EC50	0.0036845	0.68	-7.9	100	100.65	
UK 14,304	Arrestin	Agonist	ADRA2B	EC50	0.17656	1.52	-7.5	97.9	101.55	
UK 14,304	Arrestin	Agonist	ADRA2C	EC50	0.07799	1.19	-12.4	98.6	102.1	

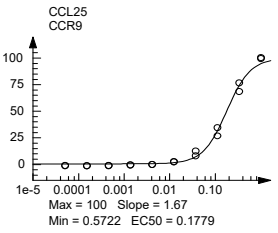
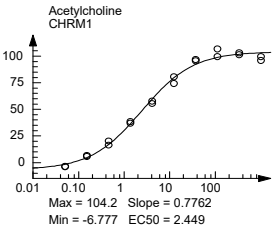
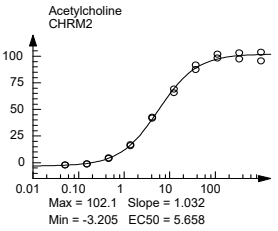
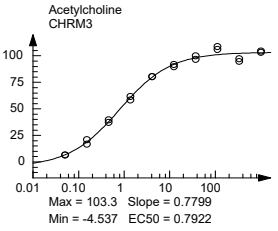
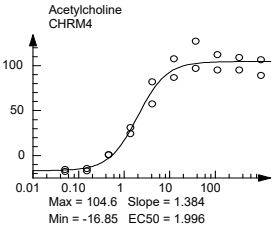
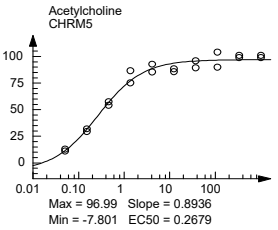
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
Isoproterenol	Arrestin	Agonist	ADRB1	EC50	0.078654	1.13	-5.1	100.3	101.79	
Isoproterenol	Arrestin	Agonist	ADRB2	EC50	0.065695	1.3	-0.5	100.4	100.17	
Angiotensin II	Arrestin	Agonist	AGTR1	EC50	0.00084289	0.97	-3.3	97.3	100.4	
Apelin-13	Arrestin	Agonist	AGTRL1	EC50	0.00050701	1.39	-3.9	99	103.09	
Vasopressin	Arrestin	Agonist	AVPR1A	EC50	0.0015681	0.8	-5.4	102	100.26	
Vasopressin	Arrestin	Agonist	AVPR1B	EC50	0.0017909	0.8	-3.3	103.5	100.68	

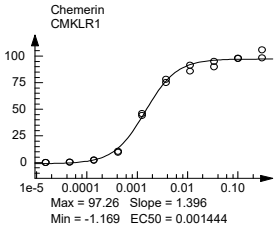
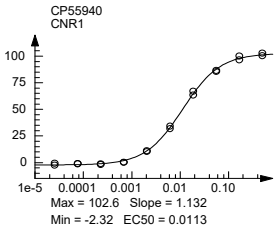
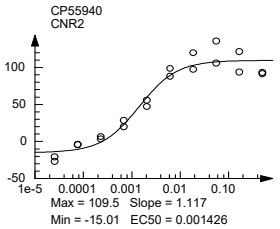
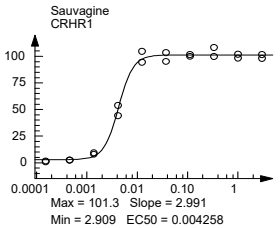
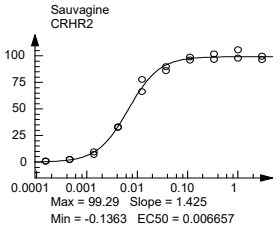
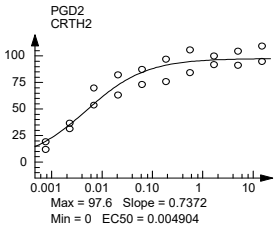
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
Vasopressin	Arrestin	Agonist	AVPR2	EC50	0.00029239	1.32	-4.4	96.6	100.04	
LDA-Bradykinin	Arrestin	Agonist	BDKRB1	EC50	0.0022469	1.16	-4.9	100.6	101.54	
Bradykinin	Arrestin	Agonist	BDKRB2	EC50	0.00040968	1.64	-0.9	99.9	100.48	
TAPN-Bombesin	Arrestin	Agonist	BRS3	EC50	0.0007402	0.68	-22.2	104.6	107.74	
C3A Receptor Agonist (Short Fragment)	Arrestin	Agonist	C3AR1	EC50	0.28745	1.18	-1.2	102.1	106.9	
Complement C5a	Arrestin	Agonist	C5AR1	EC50	0.00015412	2.98	0.5	101.7	106.11	

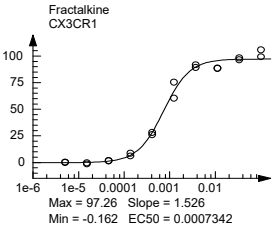
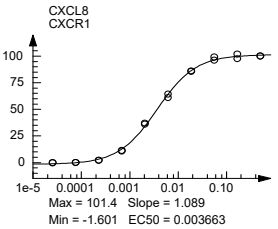
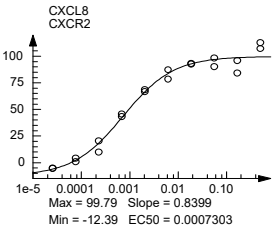
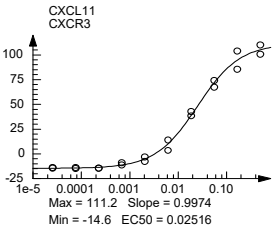
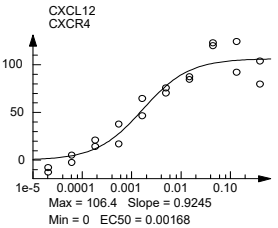
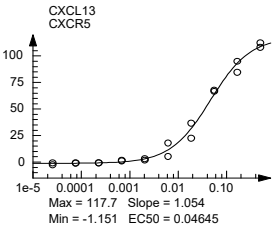
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
Complement C5a	Arrestin	Agonist	C5L2	EC50	0.00070387	1.52	-13.7	104.8	100.84	
Calcitonin	Arrestin	Agonist	CALCR	EC50	0.041237	1.21	-1.1	105.3	103.35	
beta CGRP	Arrestin	Agonist	CALCRL-RAMP1	EC50	0.0011776	1.46	8.1	98.4	100.01	
Adrenomedullin	Arrestin	Agonist	CALCRL-RAMP2	EC50	0.0010833	1.5	0.8	99.7	100.4	
Adrenomedullin	Arrestin	Agonist	CALCRL-RAMP3	EC50	0.003256	1.17	0.1	98.1	100.21	
Calcitonin	Arrestin	Agonist	CALCR-RAMP2	EC50	0.01494	0.95	-0.2	99.5	102.69	

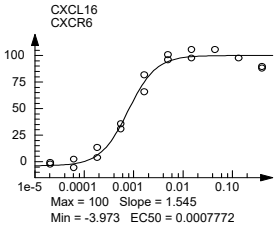
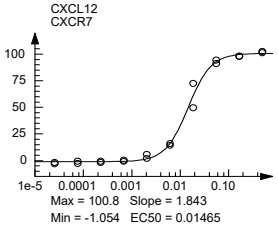
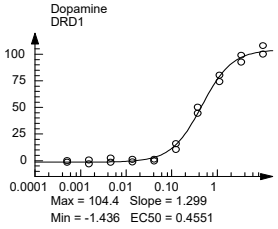
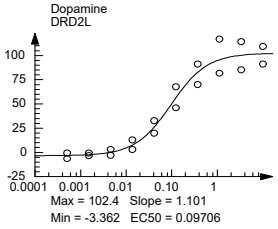
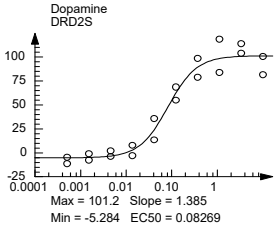
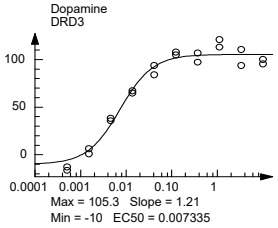
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
Calcitonin	Arrestin	Agonist	CALCR-RAMP3	EC50	0.081074	0.72	16.3	100	105.5	
CCK-8	Arrestin	Agonist	CCKAR	EC50	0.0048874	1.03	-2	100.7	101.23	
CCK-8	Arrestin	Agonist	CCKBR	EC50	0.00026335	1.6	-1.4	97	101.1	
CCL27	Arrestin	Agonist	CCR10	EC50	0.017333	1.84	0.7	100.9	102.41	
CCL3	Arrestin	Agonist	CCR1	EC50	0.00042576	1.59	-10.9	97.5	101.37	
CCL2	Arrestin	Agonist	CCR2	EC50	0.0050205	0.99	-3.9	102	105.82	

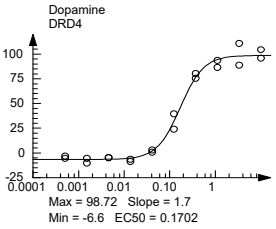
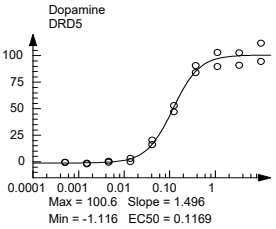
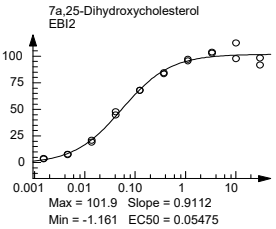
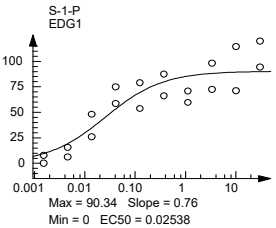
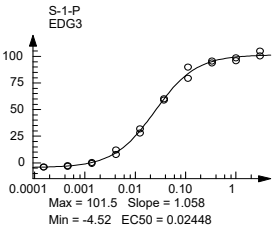
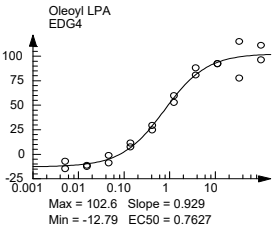
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
CCL13	Arrestin	Agonist	CCR3	EC50	0.018495	1.07	-12.1	100	107.71	
CCL22	Arrestin	Agonist	CCR4	EC50	0.004488	0.77	-1.4	100	103.17	
CCL3	Arrestin	Agonist	CCR5	EC50	0.0092168	0.7	-4.9	110.1	107.65	
CCL20	Arrestin	Agonist	CCR6	EC50	0.0073553	0.76	-4.8	106.1	105.49	
CCL19	Arrestin	Agonist	CCR7	EC50	0.005935	1.93	-1	99	100.74	
CCL1	Arrestin	Agonist	CCR8	EC50	0.026054	1.24	-1	102.2	103.71	

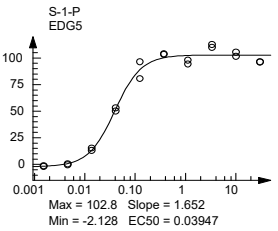
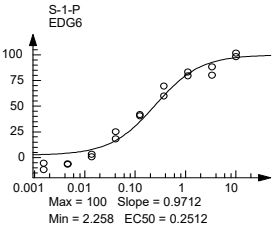
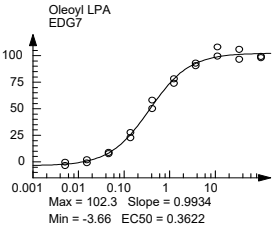
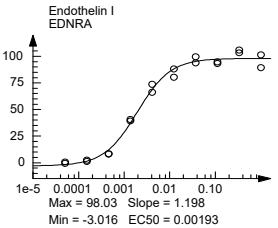
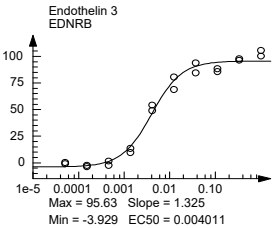
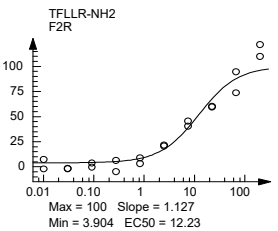
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
CCL25	Arrestin	Agonist	CCR9	EC50	0.17787	1.67	0.6	100	100	
Acetylcholine	Arrestin	Agonist	CHRM1	EC50	2.4485	0.78	-6.8	104.2	102.24	
Acetylcholine	Arrestin	Agonist	CHRM2	EC50	5.6576	1.03	-3.2	102.1	100.33	
Acetylcholine	Arrestin	Agonist	CHRM3	EC50	0.7922	0.78	-4.5	103.3	103.96	
Acetylcholine	Arrestin	Agonist	CHRM4	EC50	1.9964	1.38	-16.9	104.6	102.18	
Acetylcholine	Arrestin	Agonist	CHRM5	EC50	0.26794	0.89	-7.8	97	100.01	

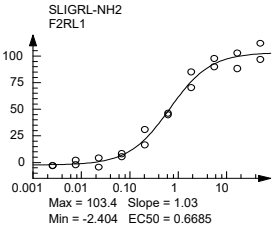
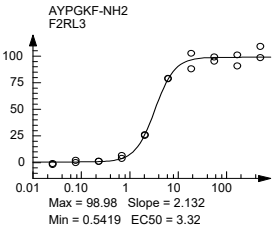
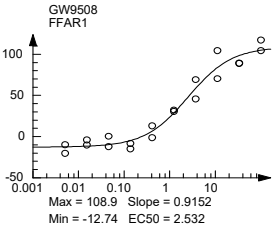
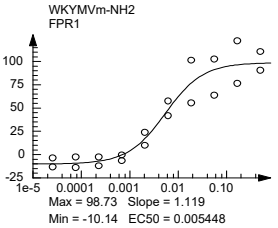
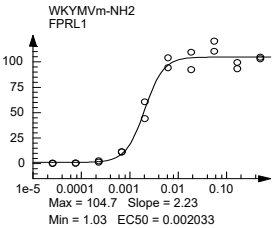
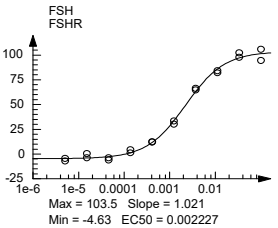
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
Chemerin	Arrestin	Agonist	CMKLR1	EC50	0.0014443	1.4	-1.2	97.3	102.14	
CP55940	Arrestin	Agonist	CNR1	EC50	0.011297	1.13	-2.3	102.6	101.64	
CP55940	Arrestin	Agonist	CNR2	EC50	0.0014259	1.12	-15	109.5	107.56	
Sauvagine	Arrestin	Agonist	CRHR1	EC50	0.0042583	2.99	2.9	101.3	100.14	
Sauvagine	Arrestin	Agonist	CRHR2	EC50	0.0066575	1.42	-0.1	99.3	101.84	
PGD2	Arrestin	Agonist	CRTH2	EC50	0.0049044	0.74	0	97.6	102.1	

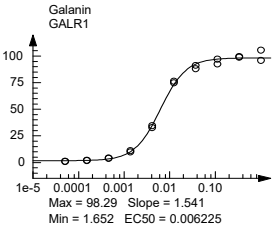
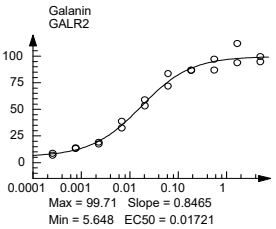
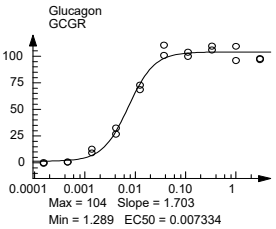
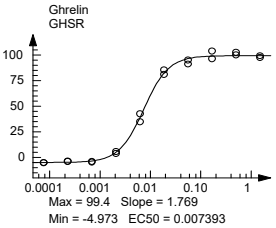
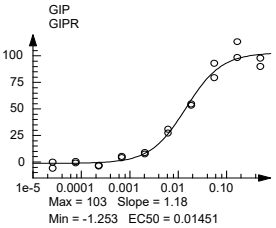
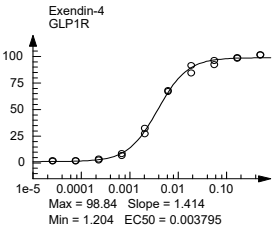
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
Fractalkine	Arrestin	Agonist	CX3CR1	EC50	0.00073416	1.53	-0.2	97.3	102.59	
CXCL8	Arrestin	Agonist	CXCR1	EC50	0.0036628	1.09	-1.6	101.4	100.23	
CXCL8	Arrestin	Agonist	CXCR2	EC50	0.00073033	0.84	-12.4	99.8	109.95	
CXCL11	Arrestin	Agonist	CXCR3	EC50	0.025161	1	-14.6	111.2	105.39	
CXCL12	Arrestin	Agonist	CXCR4	EC50	0.00168	0.92	0	106.4	108.15	
CXCL13	Arrestin	Agonist	CXCR5	EC50	0.046452	1.05	-1.2	117.7	110.26	

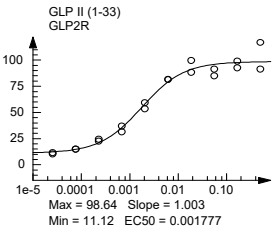
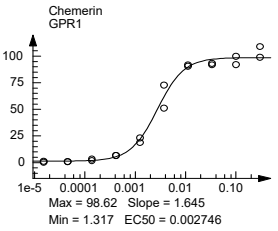
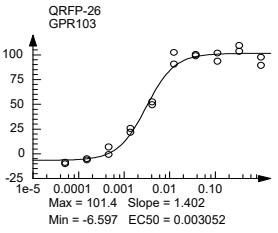
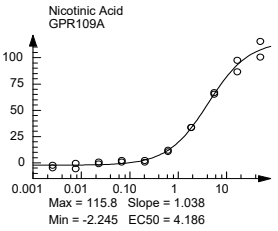
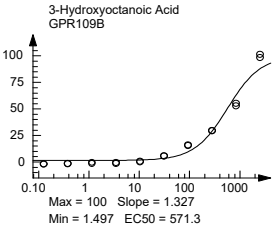
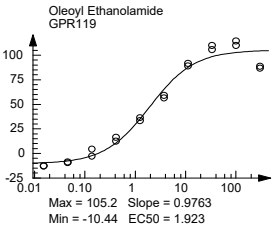
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
CXCL16	Arrestin	Agonist	CXCR6	EC50	0.0007772	1.54	-4	100	97.619	
CXCL12	Arrestin	Agonist	CXCR7	EC50	0.014645	1.84	-1.1	100.8	101.95	
Dopamine	Arrestin	Agonist	DRD1	EC50	0.45512	1.3	-1.4	104.4	104.15	
Dopamine	Arrestin	Agonist	DRD2L	EC50	0.097055	1.1	-3.4	102.4	100.24	
Dopamine	Arrestin	Agonist	DRD2S	EC50	0.082691	1.39	-5.3	101.2	109.01	
Dopamine	Arrestin	Agonist	DRD3	EC50	0.007335	1.21	-10	105.3	102.25	

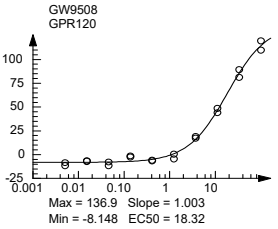
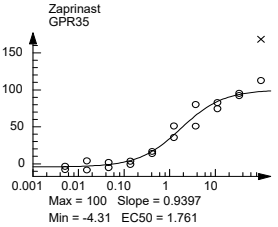
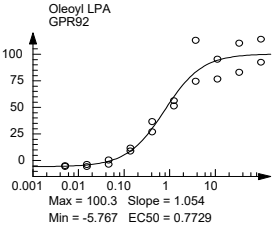
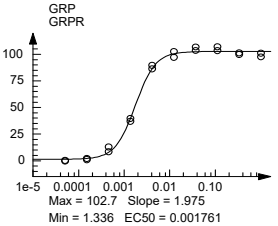
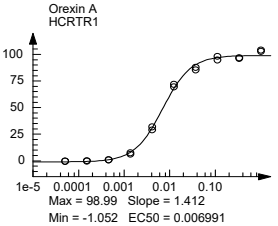
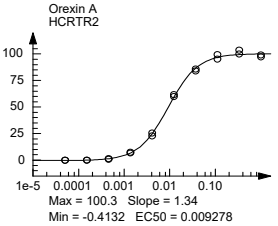
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
Dopamine	Arrestin	Agonist	DRD4	EC50	0.17021	1.7	-6.6	98.7	100.2	
Dopamine	Arrestin	Agonist	DRD5	EC50	0.11691	1.5	-1.1	100.6	103.22	
7a,25-Dihydroxycholesterol	Arrestin	Agonist	EBI2	EC50	0.054752	0.91	-1.2	101.9	104.97	
S-1-P	Arrestin	Agonist	EDG1	EC50	0.025379	0.76	0	90.3	107.15	
S-1-P	Arrestin	Agonist	EDG3	EC50	0.024481	1.06	-4.5	101.5	102.65	
Oleoyl LPA	Arrestin	Agonist	EDG4	EC50	0.76268	0.93	-12.8	102.6	103.67	

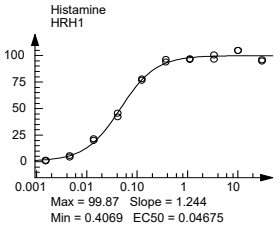
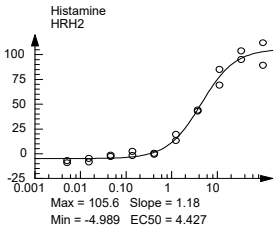
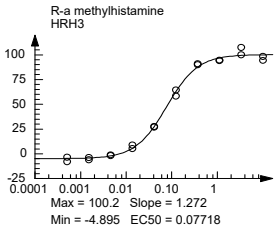
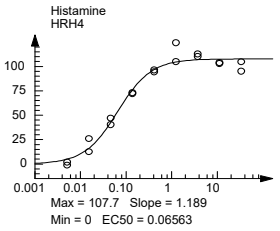
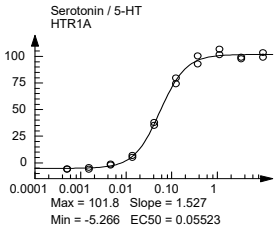
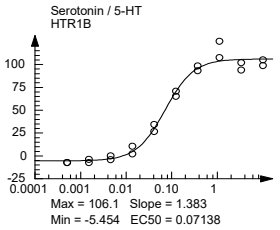
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
S-1-P	Arrestin	Agonist	EDG5	EC50	0.039466	1.65	-2.1	102.8	103.59	
S-1-P	Arrestin	Agonist	EDG6	EC50	0.2512	0.97	2.3	100	142.46	
Oleoyl LPA	Arrestin	Agonist	EDG7	EC50	0.36217	0.99	-3.7	102.3	101.22	
Endothelin I	Arrestin	Agonist	EDNRA	EC50	0.0019303	1.2	-3	98	104.66	
Endothelin 3	Arrestin	Agonist	EDNRB	EC50	0.0040114	1.33	-3.9	95.6	102.96	
TFLLR-NH2	Arrestin	Agonist	F2R	EC50	12.229	1.13	3.9	100	115.77	

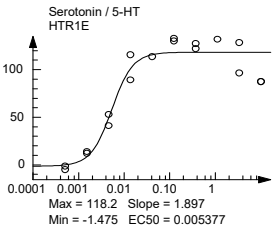
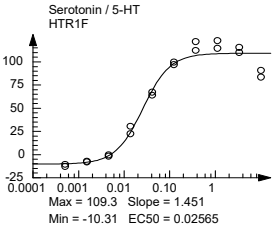
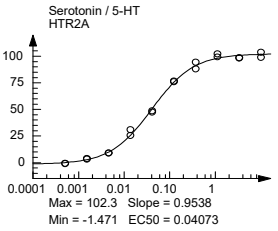
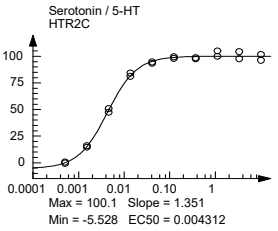
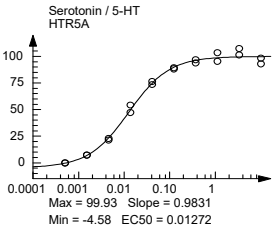
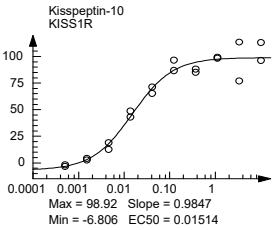
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
SLIGRL-NH2	Arrestin	Agonist	F2RL1	EC50	0.66847	1.03	-2.4	103.4	104.5	
AYPGKF-NH2	Arrestin	Agonist	F2RL3	EC50	3.32	2.13	0.5	99	103.95	
GW9508	Arrestin	Agonist	FFAR1	EC50	2.5318	0.92	-12.7	108.9	110.88	
WKYMVm-NH2	Arrestin	Agonist	FPR1	EC50	0.0054478	1.12	-10.1	98.7	100.61	
WKYMVm-NH2	Arrestin	Agonist	FPRL1	EC50	0.0020325	2.23	1	104.7	103.7	
FSH	Arrestin	Agonist	FSHR	EC50	0.0022265	1.02	-4.6	103.5	100.09	

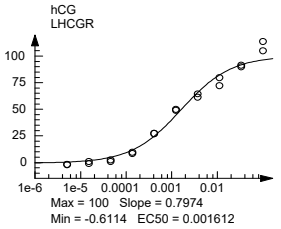
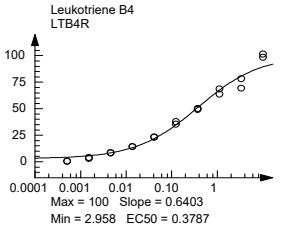
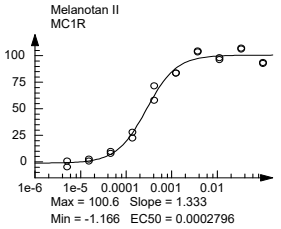
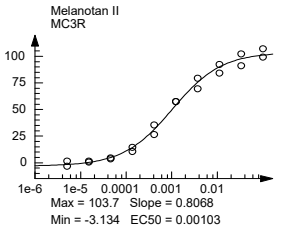
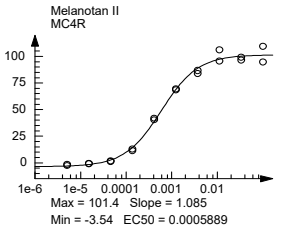
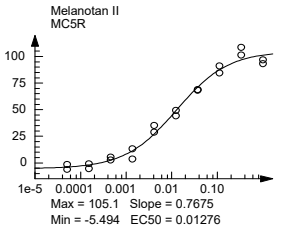
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
Galanin	Arrestin	Agonist	GALR1	EC50	0.0062252	1.54	1.7	98.3	100.77	
Galanin	Arrestin	Agonist	GALR2	EC50	0.017215	0.85	5.6	99.7	102.79	
Glucagon	Arrestin	Agonist	GCGR	EC50	0.0073343	1.7	1.3	104	102.61	
Ghrelin	Arrestin	Agonist	GHSR	EC50	0.0073928	1.77	-5	99.4	101.43	
GIP	Arrestin	Agonist	GIPR	EC50	0.01451	1.18	-1.3	103	106	
Exendin-4	Arrestin	Agonist	GLP1R	EC50	0.0037954	1.41	1.2	98.8	101.44	

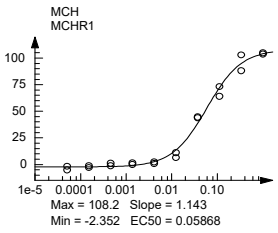
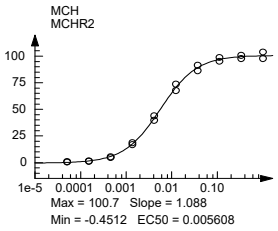
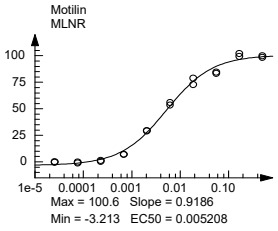
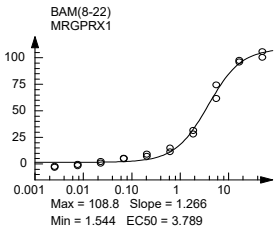
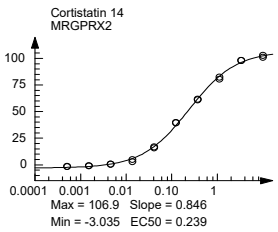
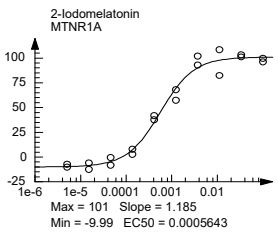
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
GLP II (1-33)	Arrestin	Agonist	GLP2R	EC50	0.0017774	1	11.1	98.6	104.11	
Chemerin	Arrestin	Agonist	GPR1	EC50	0.0027464	1.64	1.3	98.6	104.03	
QRFP-26	Arrestin	Agonist	GPR103	EC50	0.0030523	1.4	-6.6	101.4	106.5	
Nicotinic Acid	Arrestin	Agonist	GPR109A	EC50	4.1858	1.04	-2.2	115.8	108	
3-Hydroxyoctanoic Acid	Arrestin	Agonist	GPR109B	EC50	571.32	1.33	1.5	100	100	
Oleoyl Ethanolamide	Arrestin	Agonist	GPR119	EC50	1.9228	0.98	-10.4	105.2	112.24	

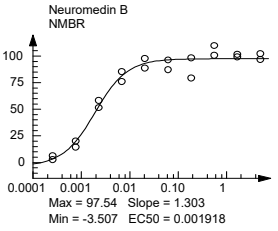
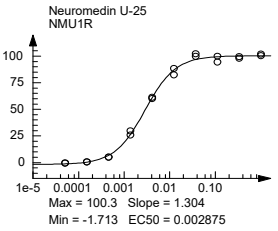
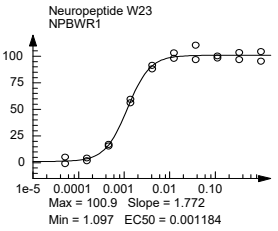
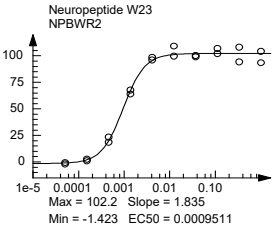
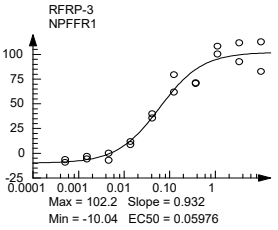
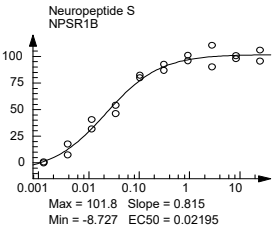
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
GW9508	Arrestin	Agonist	GPR120	EC50	18.319	1	-8.1	137	114.71	
Zaprinast	Arrestin	Agonist	GPR35	EC50	1.7611	0.94	-4.3	100	112.56	
Oleoyl LPA	Arrestin	Agonist	GPR92	EC50	0.77293	1.05	-5.8	100.3	103.27	
GRP	Arrestin	Agonist	GRPR	EC50	0.001761	1.97	1.3	102.7	100.63	
Orexin A	Arrestin	Agonist	HCRTR1	EC50	0.0069909	1.41	-1.1	99	103.44	
Orexin A	Arrestin	Agonist	HCRTR2	EC50	0.0092783	1.34	-0.4	100.3	101.87	

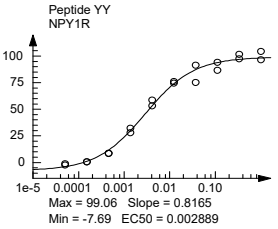
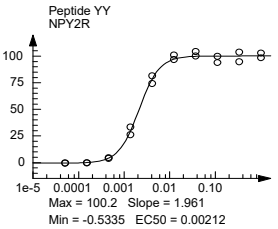
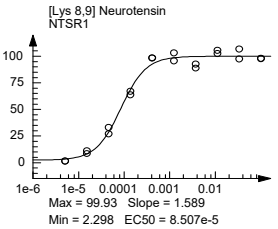
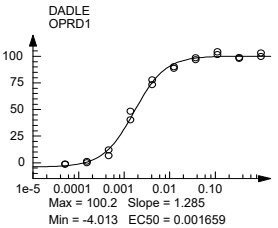
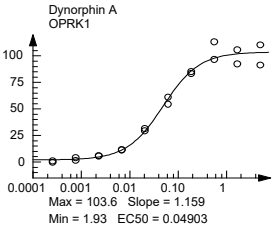
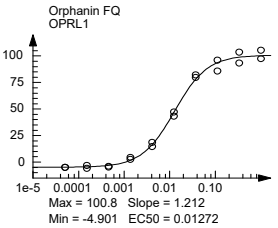
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
Histamine	Arrestin	Agonist	HRH1	EC50	0.04675	1.24	0.4	99.9	104.68	
Histamine	Arrestin	Agonist	HRH2	EC50	4.4267	1.18	-5	105.6	100.65	
R-a methylhistamine	Arrestin	Agonist	HRH3	EC50	0.077177	1.27	-4.9	100.2	103.72	
Histamine	Arrestin	Agonist	HRH4	EC50	0.065634	1.19	0	107.7	103.38	
Serotonin / 5-HT	Arrestin	Agonist	HTR1A	EC50	0.055226	1.53	-5.3	101.8	101.41	
Serotonin / 5-HT	Arrestin	Agonist	HTR1B	EC50	0.071379	1.38	-5.5	106.1	102.08	

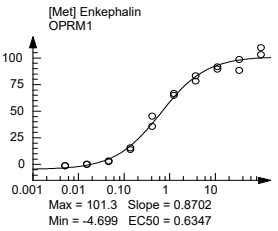
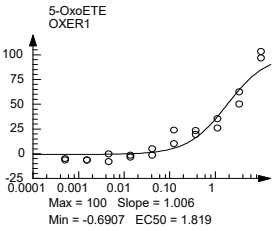
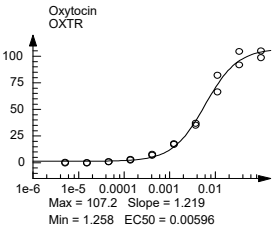
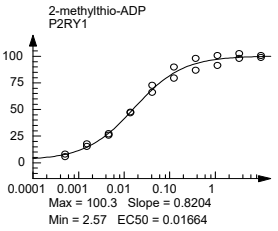
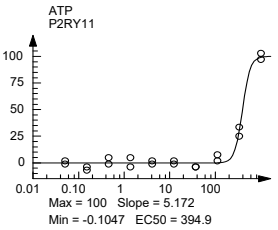
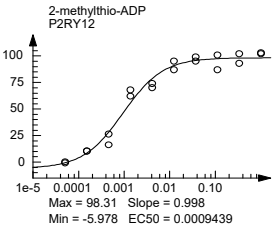
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
Serotonin / 5-HT	Arrestin	Agonist	HTR1E	EC50	0.0053768	1.9	-1.5	118.2	112.49	
Serotonin / 5-HT	Arrestin	Agonist	HTR1F	EC50	0.025647	1.45	-10.3	109.3	112.92	
Serotonin / 5-HT	Arrestin	Agonist	HTR2A	EC50	0.040728	0.95	-1.5	102.4	101.36	
Serotonin / 5-HT	Arrestin	Agonist	HTR2C	EC50	0.0043118	1.35	-5.5	100.1	101.05	
Serotonin / 5-HT	Arrestin	Agonist	HTR5A	EC50	0.012722	0.98	-4.6	99.9	104.38	
Kisspeptin-10	Arrestin	Agonist	KISS1R	EC50	0.015141	0.98	-6.8	98.9	104.68	

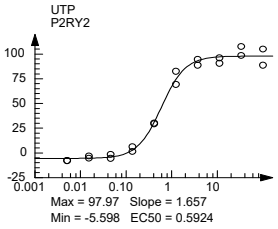
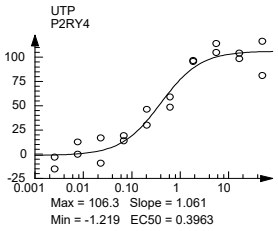
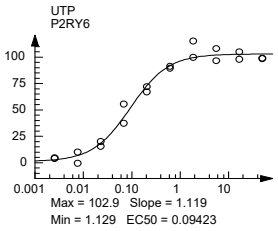
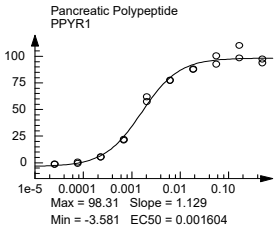
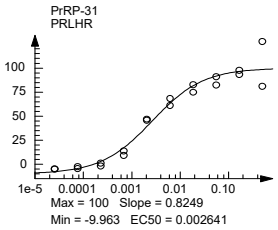
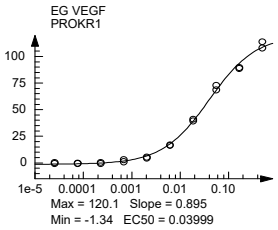
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
hCG	Arrestin	Agonist	LHCGR	EC50	0.0016121	0.8	-0.6	100	109.33	
Leukotriene B4	Arrestin	Agonist	LTB4R	EC50	0.37873	0.64	3	100	100	
Melanotan II	Arrestin	Agonist	MC1R	EC50	0.0002796	1.33	-1.2	100.6	106.83	
Melanotan II	Arrestin	Agonist	MC3R	EC50	0.0010303	0.81	-3.1	103.7	103.24	
Melanotan II	Arrestin	Agonist	MC4R	EC50	0.00058887	1.08	-3.5	101.4	102.09	
Melanotan II	Arrestin	Agonist	MC5R	EC50	0.012762	0.77	-5.5	105.1	104.96	

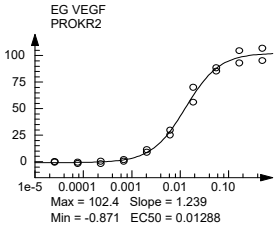
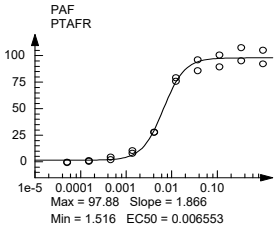
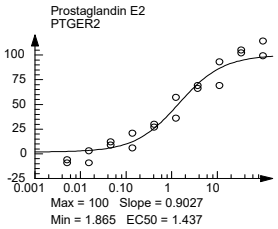
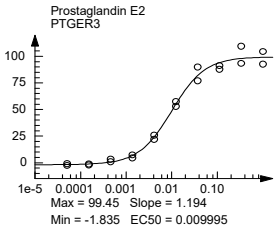
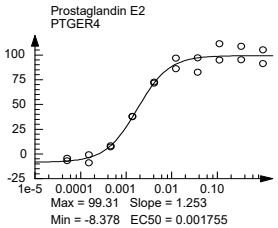
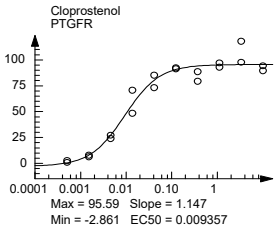
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
MCH	Arrestin	Agonist	MCHR1	EC50	0.058683	1.14	-2.4	108.2	104.43	
MCH	Arrestin	Agonist	MCHR2	EC50	0.0056083	1.09	-0.5	100.7	100.79	
Motilin	Arrestin	Agonist	MLNR	EC50	0.005208	0.92	-3.2	100.6	100.79	
BAM(8-22)	Arrestin	Agonist	MRGPRX1	EC50	3.7895	1.27	1.5	108.8	103.14	
Cortistatin 14	Arrestin	Agonist	MRGPRX2	EC50	0.23897	0.85	-3	106.9	101.95	
2-Iodomelatonin	Arrestin	Agonist	MTNR1A	EC50	0.00056431	1.18	-10	101	102.19	

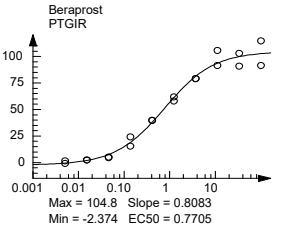
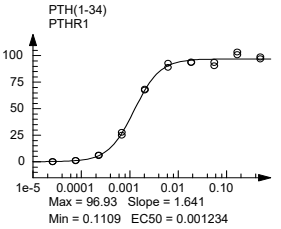
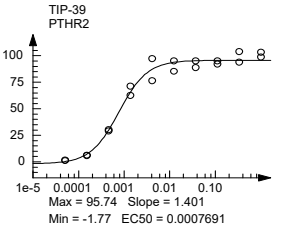
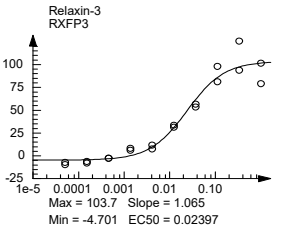
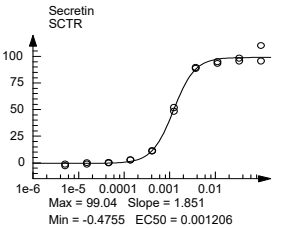
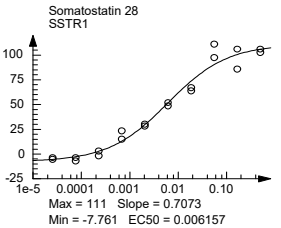
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
Neuromedin B	Arrestin	Agonist	NMBR	EC50	0.0019177	1.3	-3.5	97.5	100.48	
Neuromedin U-25	Arrestin	Agonist	NMU1R	EC50	0.0028749	1.3	-1.7	100.3	101.27	
Neuropeptide W23	Arrestin	Agonist	NPBWR1	EC50	0.0011835	1.77	1.1	100.9	100.17	
Neuropeptide W23	Arrestin	Agonist	NPBWR2	EC50	0.00095104	1.84	-1.4	102.2	101.13	
RFRP-3	Arrestin	Agonist	NPFFR1	EC50	0.059757	0.93	-10	102.2	102.19	
Neuropeptide S	Arrestin	Agonist	NPSR1B	EC50	0.021951	0.82	-8.7	101.8	100.76	

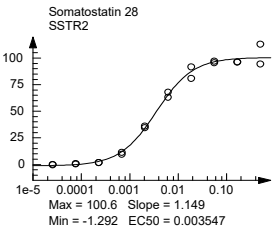
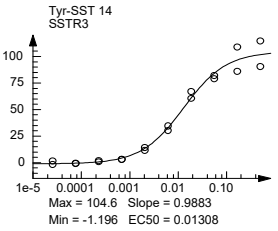
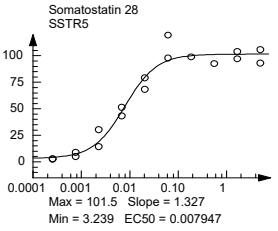
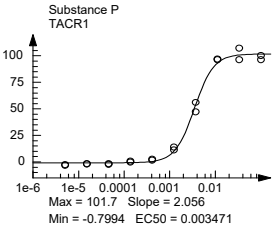
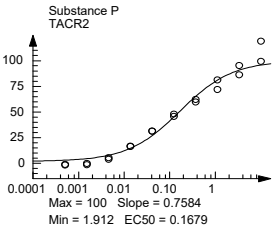
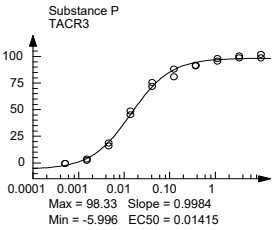
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
Peptide YY	Arrestin	Agonist	NPY1R	EC50	0.0028894	0.82	-7.7	99.1	100.41	
Peptide YY	Arrestin	Agonist	NPY2R	EC50	0.0021196	1.96	-0.5	100.2	100.79	
[Lys 8,9] Neurotensin	Arrestin	Agonist	NTSR1	EC50	0.000085067	1.59	2.3	99.9	102.15	
DADLE	Arrestin	Agonist	OPRD1	EC50	0.0016588	1.28	-4	100.2	101.54	
Dynorphin A	Arrestin	Agonist	OPRK1	EC50	0.049033	1.16	1.9	103.6	100.9	
Orphanin FQ	Arrestin	Agonist	OPRL1	EC50	0.012725	1.21	-4.9	100.8	101.49	

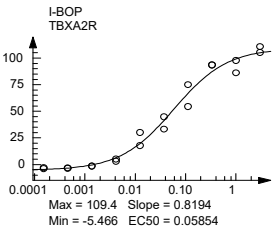
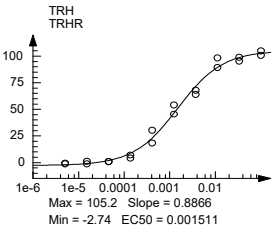
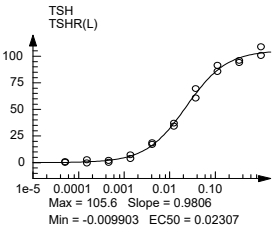
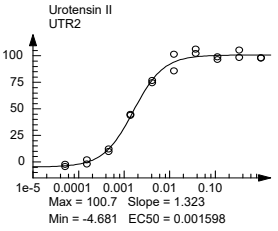
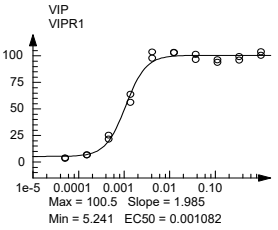
Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
[Met] Enkephalin	Arrestin	Agonist	OPRM1	EC50	0.6347	0.87	-4.7	101.3	106.46	
5-OxoETE	Arrestin	Agonist	OXER1	EC50	1.8185	1.01	-0.7	100	100	
Oxytocin	Arrestin	Agonist	OXTR	EC50	0.0059596	1.22	1.3	107.2	101.81	
2-methylthio-ADP	Arrestin	Agonist	P2RY1	EC50	0.016643	0.82	2.6	100.3	100.21	
ATP	Arrestin	Agonist	P2RY11	EC50	394.93	5.17	-0.1	100	100	
2-methylthio-ADP	Arrestin	Agonist	P2RY12	EC50	0.00094391	1	-6	98.3	102.49	

Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
UTP	Arrestin	Agonist	P2RY2	EC50	0.59243	1.66	-5.6	98	103.1	
UTP	Arrestin	Agonist	P2RY4	EC50	0.39628	1.06	-1.2	106.3	101.3	
UTP	Arrestin	Agonist	P2RY6	EC50	0.09423	1.12	1.1	103	101.32	
Pancreatic Polypeptide	Arrestin	Agonist	PPYR1	EC50	0.0016037	1.13	-3.6	98.3	104.4	
PrRP-31	Arrestin	Agonist	PRLHR	EC50	0.0026408	0.82	-10	100	104.4	
EG VEGF	Arrestin	Agonist	PROKR1	EC50	0.039994	0.9	-1.3	120.1	110.86	

Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
EG VEGF	Arrestin	Agonist	PROKR2	EC50	0.012877	1.24	-0.9	102.4	101.12	
PAF	Arrestin	Agonist	PTAFR	EC50	0.0065525	1.87	1.5	97.9	101.24	
Prostaglandin E2	Arrestin	Agonist	PTGER2	EC50	1.4375	0.9	1.9	100	106.77	
Prostaglandin E2	Arrestin	Agonist	PTGER3	EC50	0.0099949	1.19	-1.8	99.5	101.36	
Prostaglandin E2	Arrestin	Agonist	PTGER4	EC50	0.0017553	1.25	-8.4	99.3	101.82	
Cloprostenol	Arrestin	Agonist	PTGFR	EC50	0.0093571	1.15	-2.9	95.6	107.94	

Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
Beraprost	Arrestin	Agonist	PTGIR	EC50	0.77053	0.81	-2.4	104.8	103.14	
PTH(1-34)	Arrestin	Agonist	PTHR1	EC50	0.0012344	1.64	0.1	96.9	102.22	
TIP-39	Arrestin	Agonist	PTHR2	EC50	0.00076909	1.4	-1.8	95.7	101.06	
Relaxin-3	Arrestin	Agonist	RXFP3	EC50	0.023973	1.07	-4.7	103.7	109.71	
Secretin	Arrestin	Agonist	SCTR	EC50	0.001206	1.85	-0.5	99	103	
Somatostatin 28	Arrestin	Agonist	SSTR1	EC50	0.0061574	0.71	-7.8	111	104.21	

Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
Somatostatin 28	Arrestin	Agonist	SSTR2	EC50	0.0035469	1.15	-1.3	100.6	103.73	
Tyr-SST 14	Arrestin	Agonist	SSTR3	EC50	0.01308	0.99	-1.2	104.6	102.51	
Somatostatin 28	Arrestin	Agonist	SSTR5	EC50	0.0079469	1.33	3.2	101.6	100.56	
Substance P	Arrestin	Agonist	TACR1	EC50	0.003471	2.06	-0.8	101.7	101.79	
Substance P	Arrestin	Agonist	TACR2	EC50	0.16793	0.76	1.9	100	109.18	
Substance P	Arrestin	Agonist	TACR3	EC50	0.01415	1	-6	98.3	100.35	

Compound Name	Assay Name	Assay Format	Assay Target	Result Type	RC50 (uM)	Hill	Curve Bottom	Curve Top	Max Response	Result Graph
I-BOP	Arrestin	Agonist	TBXA2R	EC50	0.058536	0.82	-5.5	109.4	108.03	
TRH	Arrestin	Agonist	TRHR	EC50	0.0015109	0.89	-2.7	105.2	102.87	
TSH	Arrestin	Agonist	TSHR(L)	EC50	0.023069	0.98	0	105.6	104.84	
Urotensin II	Arrestin	Agonist	UTR2	EC50	0.0015978	1.32	-4.7	100.7	101.95	
VIP	Arrestin	Agonist	VIPR1	EC50	0.0010821	1.98	5.2	100.5	102.25	
VIP	Arrestin	Agonist	VIPR2	EC50	0.0014456	2.09	0.6	100	102.28