

## SAFETYscan™

## In Vitro Pharmacological Profiling Services

Functional Data for Improved Off-Target Liability Testing

Designed for lead optimization and safety profiling, DiscoverX's SAFETYscan *in vitro* pharmacological profiling services improve off-target liability testing. We offer functional assays with human targets for safety screening, improving upon traditional rodent-based binding assays. Our Safety47™ panel includes the targets recommended by major pharmaceutical companies for safety profiling<sup>1</sup>. Assessing the specificity of lead compounds early in development using highly relevant and predictive assays allows more informed decisions about compound safety, ultimately leading to the development of safer and more effective drugs.



## SAFETYscan In Vitro Pharmacological Profiling Services Highlights:

- Save resources by identifying potential adverse events before expensive animal studies or clinical trials
- Reach actionable data faster by reducing false positives with functional readouts
- Improve data quality with mechanism of action data from antagonist and agonist modes

Family	Gene Symbol	Target	Readout
<b>GPCR*</b>			
Adenosine	ADORA2A	ADORA2A	Calcium
Adrenergic	ADRA1A	ADRA1A	Calcium
	ADRA2A	ADRA2A	cAMP
	ADRB1	ADRB1	cAMP
	ADRB2	ADRB2	cAMP
Cannabinoid	CNR1	CB1	cAMP
	CNR2	CB2	cAMP
Cholecystokinin	CCKAR	CCK1	Calcium
Dopamine	DRD1	D1	cAMP
	DRD2S	D2S	cAMP
Endothelin	EDNRA	ETA	Calcium
Histamine	HRH1	H1	Calcium
	HRH2	H2	cAMP
Muscarinic	CHRM1	M1	Calcium
	CHRM2	M2	cAMP
	CHRM3	M3	Calcium
Opioid and Opioid-Like	OPRD1	OPRD1	cAMP
	OPRK1	OPRK1	cAMP
	OPRM1	OPRM1	cAMP
Serotonin	HTR1A	5HT1A	cAMP
	HTR1B	5HT1B	cAMP
	HTR2A	5HT2A	Calcium
	HTR2B	5HT2B	Calcium
Vasopressin	AVPR1A	AVPR1A	Calcium
<b>Kinases</b>			
TK	LCK	LCK	KINOMEScan®
	INSR	INSR	KINOMEScan
	VEGFR2	VEGFR2	KINOMEScan
AGC	ROCK1	ROCK1	KINOMEScan

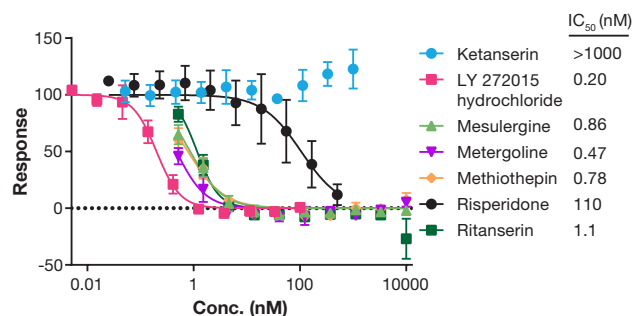
Family	Gene Symbol	Target	Readout
<b>Transporters</b>			
Dopamine	SLC6A3	DAT	Neurotransmitter uptake
Norepinephrine	SLC6A2	NET	Neurotransmitter uptake
Serotonin	SLC6A4	SERT	Neurotransmitter uptake
<b>Ion Channels</b>			
GABA Channel	GABRA1	GABAA	Membrane potential
Serotonin Channel	HTR3A	5-HT <sub>3</sub>	Calcium flux
Ca <sup>2+</sup> Channel	CACNA1C	Ca <sub>v</sub> 1.2	Calcium flux
K <sup>+</sup> Channel	KCNH2	hERG	Thallium flux
	KCNQ1	KvLQT1/minK	Thallium flux
Na <sup>+</sup> Channel	SCN5A	Na <sub>v</sub> 1.5	Membrane potential
Glutamate Channel	GRIN1/GRIN2B	NMDAR 1/2B	Calcium flux
Nicotinic Channel	CHRNA4/CHRN2	nAChR (α4/β2)	Calcium flux
<b>Nuclear Receptors*</b>			
Steroid Nuclear Receptors	AR	AR	Nuclear translocation
	GR	GR	Protein interaction
<b>Non-Kinase Enzymes</b>			
AA Metabolism	COX1	COX1	Enzymatic activity
	COX2	COX2	Enzymatic activity
Monoamine and Neurotransmitter	AChE	AChE	Enzymatic activity
	MAOA	MAO-A	Enzymatic activity
Phosphodiesterases	PDE3A	PDE3A	Enzymatic activity
	PDE4D2	PDE4D2	Enzymatic activity

\* For follow up studies, cell lines and/or ready-to-use kits may be available for these targets. Please inquire.

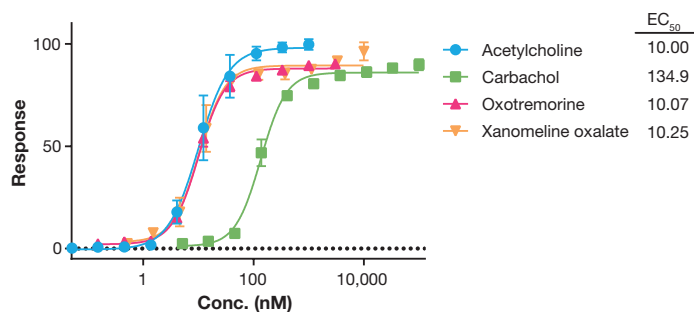
<sup>1</sup> Bowes *et al.*, *Nature Reviews*, **11**: 909-922 (2012)

# Functional Assays with Human Targets

## Optimized Assays Validated with Reference Compounds

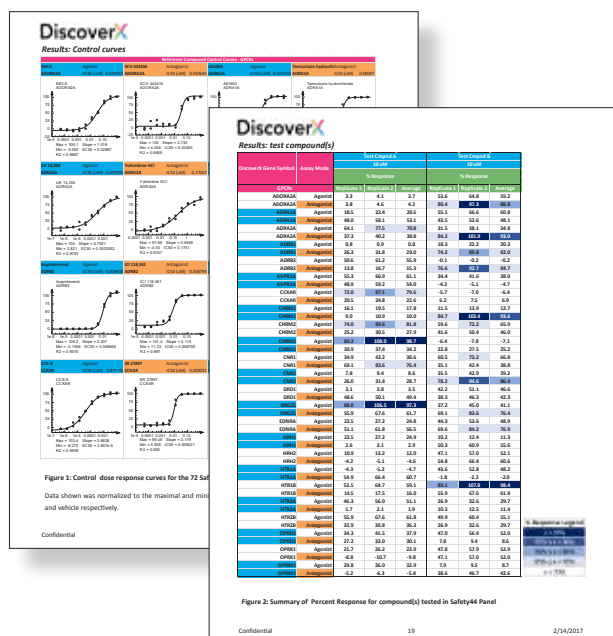


Inhibition of Serotonin (5-Hydroxytryptamine) HTR2B signaling by reference compounds.



Simulation of cholinergic receptor, muscarinic 1 (CHRM1) by reference agonist compounds.

## Data Analysis Made Easy with Comprehensive Reports



- Easy to interpret data summary and E/IC<sub>50</sub> curves
- Heatmap highlights potential adverse events
- Enable efficient decision making for lead selection or SAR analysis

Learn more about SAFETYscan™ In Vitro Pharmacological Profiling Services at [discoverx.com/safetyscan](https://discoverx.com/safetyscan)