

GPCR/TRANSPORTER/ION CHANNEL SCREENING

Overview of Capabilities

Multiple Functional Readouts

- FLIPR assay (Calcium flux for GPCR and ion-channel, FMP for transporter or ion channel)
- cAMP assay (LANCER, HTRF)
- Receptor binding assay
- Receptor occupancy on brain homogenate Reporter gene
- Uptake assay

Broad Service Scope

- High-throughput screening
- Compound profiling of SAR
- Selectivity panel screening IC50 test

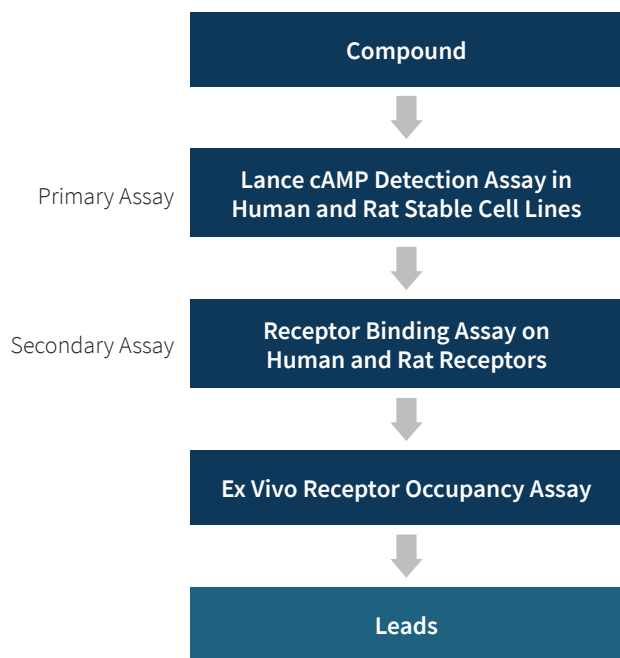
GPCR								
Adenosine	Adrenergic	Dopamine	Fatty Acid	Histamine	Mtobotropic Glutamate	Muscarinic Acetylcholine	NPY	Serotonin
A1	α 1A	D1	GPR40	H1	GLP-1	M1	NPY2	5HT1A
A2A	α 1B	D2		H2		M2	NPY4	5HT1B
	α 2A	D4		H3		M3		5HT1E
	β 2					M5		5HT2A
								5HT2B
								5HT2C

Ion Channel		Transporter	
P2X3	TRPC6	URAT1	Glutamate
P2X2/3			
P2X4			
P2X7			

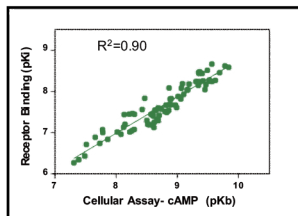
Assay Formats:

- HTRF cAMP Assay (GPCR)
- Calcium Flux (GPCR & Ion Channel)
- Membrane Potential Assay (Ion Channel)
- Radiolabel Binding Assay (GPCR)
- Radiolabel Uptake Assay (Transporter)

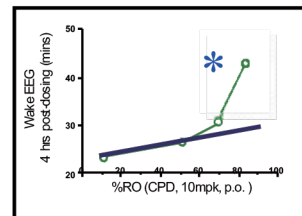
Drug Discovery in GPCR



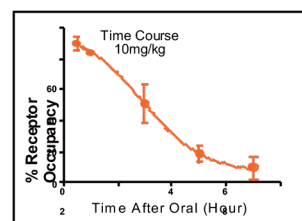
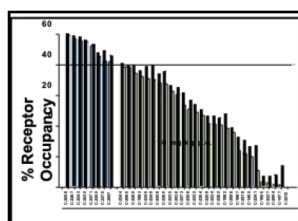
Correlation of cAMP & Binding Assay



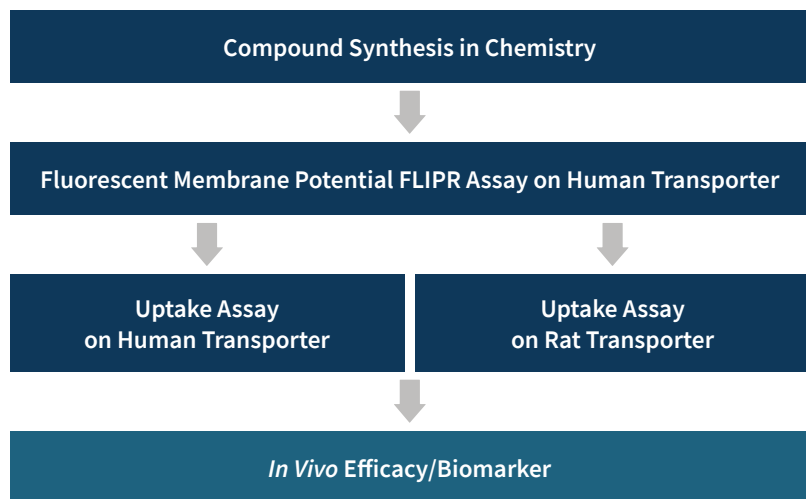
RO/In Vivo EEG Correlation



Ex Vivo Brain Receptor Occupancy Assay



Drug Discovery in Transporter

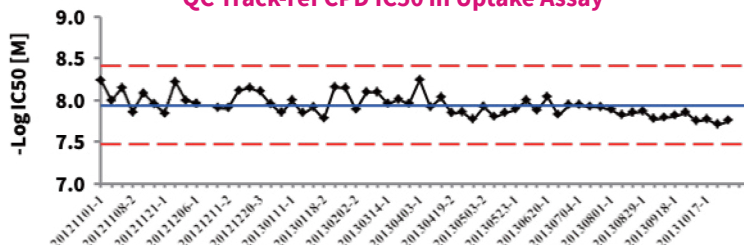


- Target: A Neurotransmitter Transporter
- Project Goal: Hit-to-Lead
- Disease: Pain

Assay Plate-Plate No.

- Uptake assay using CytoStar-T scintillating microplates from PE
 - No wash or separation step
 - Real-time analysis

QC Track-ref CPD IC50 in Uptake Assay



DRC of Ref Cmpd

