

32-GPCR Safety/Liability Functional Assay Panel

Purpose	<ul style="list-style-type: none"> To identify compound liabilities Profiling hits, leads and new chemotypes in a well-defined 32-GPCR assay panel implicated in central nervous system, cardiac, pulmonary and gastrointestinal safety/liability concerns. Using cellular functional assays avoiding binding assay limitations for allosteric or non-functional binders and to remove binding false positives. 																																																
Scope	<ul style="list-style-type: none"> Customer may submit compounds with instructions on handling, solubility, and storage. Multispan shall profile compounds by FLIPR in Ca⁺⁺ assays in: agonist and antagonist modes, single point duplicates or 10-point duplicate dose-response curves according to the customer's requirements 																																																
Timing	<ul style="list-style-type: none"> On the 1st workday of each month, run the assay panel with compounds submitted by the customer up to the last workday of the previous month. On the 4th workday of each month, analyzed and raw data will be communicated via email and uploaded into a database as specified by the customer. Screens shall be performed once a month. Special scheduling arrangement can be discussed. 																																																
Targets	<table border="1"> <thead> <tr> <th>Family</th> <th>Receptor</th> <th>Family</th> <th>Receptor</th> </tr> </thead> <tbody> <tr> <td rowspan="2">Adenosine</td> <td>A2A</td> <td rowspan="2">Endothelin</td> <td>ETA</td> </tr> <tr> <td>A3</td> <td rowspan="3">Muscarinic</td> <td>M1</td> </tr> <tr> <td rowspan="6">Adrenergic</td> <td>alpha1A</td> <td>M2</td> </tr> <tr> <td>alpha1D</td> <td>M3</td> </tr> <tr> <td>alpha2A</td> <td rowspan="3">Opioid</td> <td>delta</td> </tr> <tr> <td>alpha2B</td> <td>kappa</td> </tr> <tr> <td>alpha2C</td> <td>mu</td> </tr> <tr> <td>beta1</td> <td rowspan="10">Serotonin</td> <td>5-HT1A</td> </tr> <tr> <td>beta2</td> <td>5-HT1B</td> </tr> <tr> <td>Angiotensin</td> <td>AT1</td> <td>5-HT2A</td> </tr> <tr> <td>Cannabinoid</td> <td>CB1</td> <td>5-HT2B</td> </tr> <tr> <td>Cholecystokinin</td> <td>CCK1</td> <td>5-HT2C</td> </tr> <tr> <td rowspan="2">Dopamine</td> <td>D1</td> <td>5-HT4</td> </tr> <tr> <td>D2</td> <td>5-HT6</td> </tr> <tr> <td rowspan="2">Histamine</td> <td>H1</td> <td>5-HT7</td> </tr> <tr> <td>H2</td> <td>Vasopressin</td> <td>V1A</td> </tr> </tbody> </table>	Family	Receptor	Family	Receptor	Adenosine	A2A	Endothelin	ETA	A3	Muscarinic	M1	Adrenergic	alpha1A	M2	alpha1D	M3	alpha2A	Opioid	delta	alpha2B	kappa	alpha2C	mu	beta1	Serotonin	5-HT1A	beta2	5-HT1B	Angiotensin	AT1	5-HT2A	Cannabinoid	CB1	5-HT2B	Cholecystokinin	CCK1	5-HT2C	Dopamine	D1	5-HT4	D2	5-HT6	Histamine	H1	5-HT7	H2	Vasopressin	V1A
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Data Quality	<ul style="list-style-type: none"> One control agonist shall be run for each target. CV < 10% and EC50 value of the control compound DRC from run to run shall not exceed 10^{1/2}. Any questionable data shall be repeated at no additional charge. 																																																