



CHANTEST SERVICES DRUG DISCOVERY & SAFETY TESTING

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SCREENING ASSAY BULLETIN

HERG-Lite® – Think Outside The Block

High Throughput, Non-Electrophysiological screening for hERG risk

Identify compounds that produce hERG risk by trafficking inhibition.

HERG-Lite® is a chemiluminescent assay that monitors hERG expression at the cell surface. It identifies hERG trafficking inhibitors by exposing a wild-type (WT) hERG to drug overnight. HERG-Lite® identifies hERG channel blockers by measuring rescue of a trafficking-defective mutant hERG (SM) cell line.

Benefits:

- Only HERG-Lite® detects compounds, such as anti-cancer drugs like arsenic trioxide and geldanamycin derivations that produce hERG risk indirectly by inhibiting trafficking
- HERG-Lite®/TF assay detects trafficking inhibition and mature and immature hERG proteins
- Identifies compounds that block hERG directly and rescue the hERG SM cell line
- Results provided 48 hours after study completion

Assay	# TAs	Protocol	Concentrations	Positive Control	Negative Control
HERG-Lite®	10 +	3 conc. @ n=3, on SM & WT, plus pos. & neg. controls per group Turnaround time: 1 week	1, 10 & 30 uM* @ n=3 per conc. <i>*or your choice</i>	Astemizole* 1 conc. @ n=3 per group <i>*or your choice</i>	DMSO 1 conc. @ n=6 per group
HERG-Lite®/TF	5 +	3 conc. @ n=3, on WT only Turnaround time: 1 week			

Drug	Test Conc	Relative Surface Expression		hERG Risk
		hERG SM	hERG WT	
Arsenic Trioxide	1	1.04	0.86	Yes
	10	0.87	0.35	
Cisapride	1	3.88	1.16	Yes
	10	5.05	1.19	
Quinidine	1	1.22	0.97	Yes
	10	1.80	1.11	
Amiodarone	1	1.51	0.99	Yes
	10	1.13	0.55	
Thioridazine	1	0.98	0.92	Yes
	10	0.99	0.50	
Acetaminophen	1	1.05	0.96	No
	10	1.06	0.96	
Aspirin	1	0.97	0.98	No
	10	1.08	0.99	